Reductive Aminations of Carbonyl Compounds with Borohydride and Borane Reducing Agents

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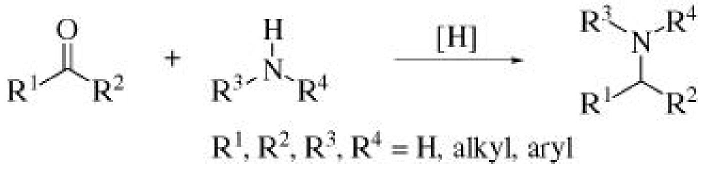
1. Introduction

Reductive amination is an important tool for synthetic organic chemists in the construction of carbon-nitrogen bonds. This reaction, also termed reductive alkylation, involves condensation of an aldehyde or ketone with an amine in the presence of a reducing agent as illustrated in Eq. 1. A wide variety of substrates



(1)

can be used including aliphatic aldehydes and ketones, aromatic aldehydes and ketones, and even benzophenones. Further, a range of amines from ammonia to aromatic amines, including those with electron-withdrawing substituents, can be employed. For particularly sluggish reactions, such as those involving weakly electrophilic carbonyl groups, poorly nucleophilic amines, or sterically congested reactive centers, additives such as molecular sieves or Lewis acids are often useful.

Reductive aminations have been reviewed on numerous occasions, (1-17) and this chapter focuses on those conditions in which the carbonyl component, amine, and reducing agent react in the same vessel. The reduction of a preformed, isolated species such as an imine or oxime is not covered. This review is also restricted to reductive aminations using borohydride and borane reducing agents. Reactions carried out with other metal hydrides or inorganic reducing agents in addition to catalytic hydrogenations, Leuckart conditions, and enzymatic reductive aminations are not included. A review summarizing reductive alkylation of proteins has been published recently, (18) and these substrates are not covered here. This chapter concentrates on reductive amination chemistry mediated by borohydride and other boron-containing reducing agents from 1971, the year when sodium cyanoborohydride was

introduced by Borch and coworkers, (19) through the middle of 1999. Although we have been as inclusive as possible, there are almost certainly additional references that we inadvertently missed. We apologize in advance to those authors who do not see their own contributions cited here.

In addition to reductive aminations of aldehyde and ketone substrates, we review reactions of related structures including acetals, aminals, ketals, carboxylic acids, and nitriles as well as dicarbonyl substrates that form a nitrogen-containing ring. Intramolecular processes in which the substrate contains both the carbonyl and amine moieties are described. In these reactions, one of the components is typically masked, and reductive amination occurs upon deprotection. The intramolecular variant is a useful method for preparing cyclic amines.

While sodium cyanoborohydride is the best known hydride reagent for reductive alkylations, sodium borohydride is often used as well. (20) Sodium triacetoxyborohydride is now widely used because it is nontoxic and generally does not reduce the carbonyl group prior to imine formation. (21) Amine boranes such as borane-pyridine are also employed in reductive aminations. (22) We review all of the various boron-containing hydride sources in reductive aminations in this chapter, including labeled metal hydrides such as sodium cyanoborodeuteride.

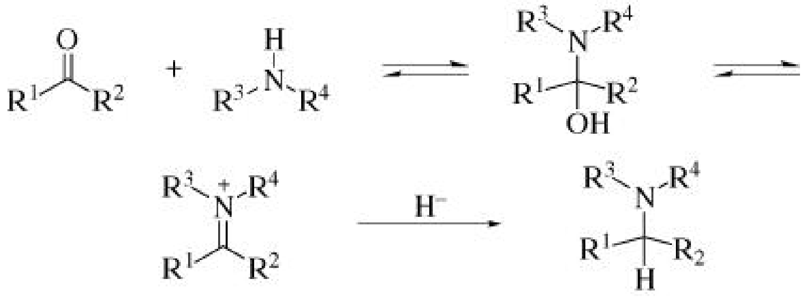
Instances where reductive aminations fail are described, including cases when reaction is not observed and also where side products appear, such as alcohols and bis-alkylated amines.

Finally, we discuss the application of this method to a solid support in parallel synthesis and combinatorial chemistry as well as reductive aminations that proceed in tandem with a second reaction such as in reductive lactamizations.

The Tabular Survey at the end of the chapter includes thousands of specific reactions and applications for reductive aminations, including sections on aldehydes, ketones, dicarbonyl substrates, tricarbonyl substrates, carboxylic acids, nitriles, intramolecular reductive aminations, reductive lactamizations, and Michael-type additions and reductive aminations.

2. Mechanism and Stereochemistry

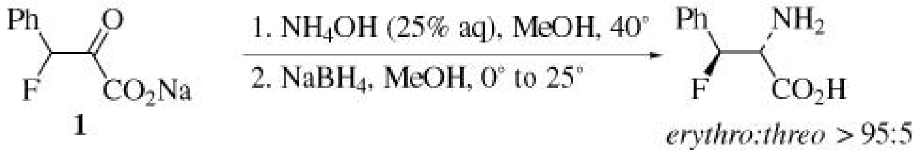
The mechanism and stereoselectivity of reductive aminations are dependent on the reducing agent and additives employed, and many examples are provided later in the text. A reasonable mechanism has been proposed (Eq. 2) involving



(2)

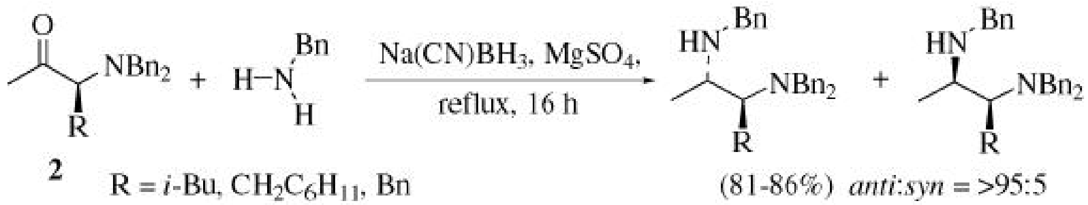
condensation of the carbonyl compound and amine to give a carbinolamine, followed by dehydration to an iminium ion that is then reduced to the amine. (19) The formation of the iminium species is reversible, but hydride addition is not. Evidence exists that hydride may attack the intermediate carbinolamine in some reactions to provide the target amine directly. (23) Depending on the reducing agent and reaction conditions used for reductive amination, a variety of functional groups (R^1–R^4 in Eq. 1) are tolerated, including carboxylic acid, ester, amide, hydroxy, nitrile, nitro, azide, *N*-oxide, and halogen. The major side reactions commonly observed are bisalkylation of primary amines and reduction of the carbonyl group.

Reductive aminations of prochiral ketones form a new stereocenter, and stereoselectivity is often achieved. Stereoselectivity is addressed in the Scope and Limitations section, and specific examples are found in the Tabular Survey. With acyclic ketones, modest levels of stereocontrol are generally observed. One no-table exception is the reductive amination of 3-fluoro-3-phenylpyruvate (**1**), which proceeds with >95:5 erythro:threo selectivity (Eq. 3). (24, 25)



(3)

In addition, reactions of fairly hindered 2-(dibenzylamino)ketones **2** with benzylamine result in high levels of stereocontrol (26) (Eq. 4) similar to reactions of substituted aryl benzyl ketones **3** (Eq. 5). (27, 28)



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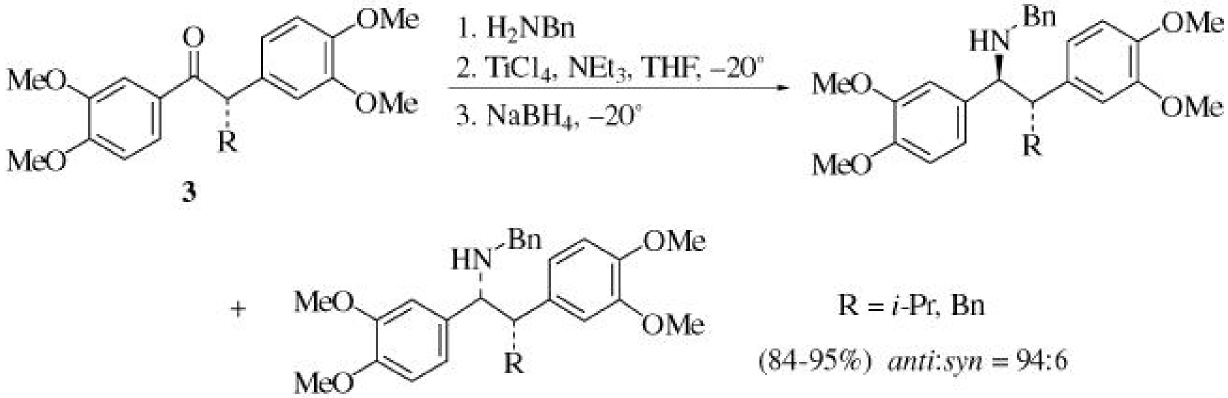

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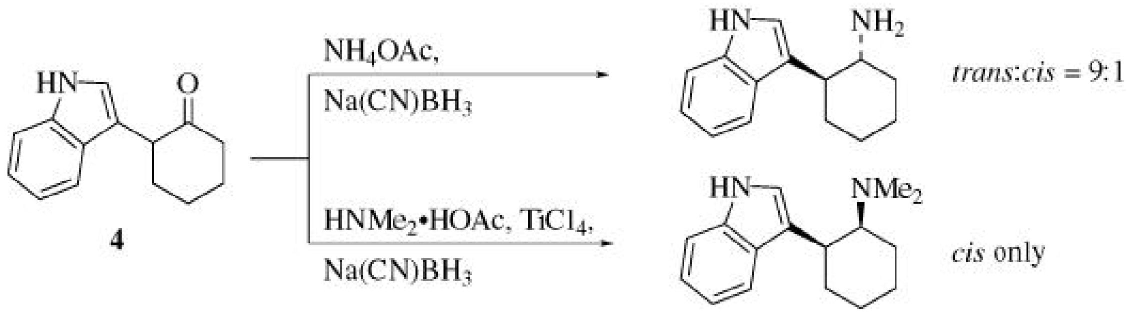
Reductive amination of α -*tert*-butyldimethylsilyloxypropanones affords the erythro isomers exclusively when the imines are formed in the presence of magnesium perchlorate. (29) With the related 1-hydroxy-1-phenylpropanone, reductive amination using zinc borohydride gives higher erythro:threo selectivity than when sodium borohydride is used. (30)

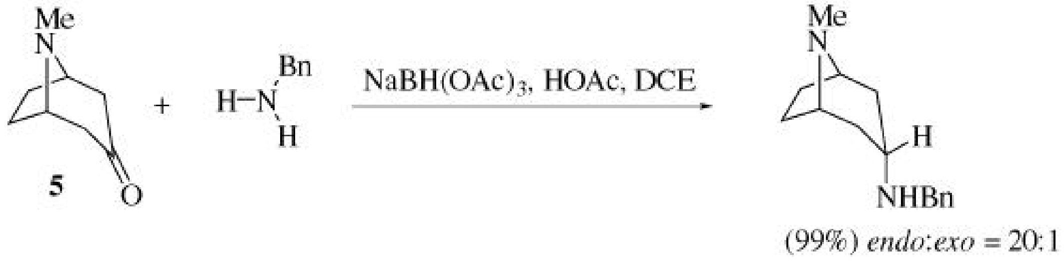
There exist few general studies on stereocontrol in the reductive amination of cyclic ketones, although hydride reductions of cycloalkylimines have been explored in some detail. (31-33) The reductive amination of 2-methylsulfenyl- and 2-methylsulfonylcycloalkanones has been probed as a function of reducing agent, amine, and reaction temperature. (34) An interesting preference for the trans product |is observed in the reaction of 2-(3-indolyl)cyclohexanone (**4**) with ammonium acetate, but the cis isomer is formed selectively with secondary amines (Eq. 6). (35-37) More recently, this reaction has been studied as a function of the reducing agent; the trans isomer predominates when sodium cyanoborohydride or zinc borohydride is the reducing agent, but the cis isomer is the only isomer when the



(6)

enamine is preformed with titanium(IV) tetrachloride followed by treatment with sodium cyanoborohydride. (37, 38) In a related example, reductive amination of this ketone with methylamine affords cis and trans mixtures while dimethylamine gives cis products only. (39)

Reductive aminations of bicyclic ketones typically afford the endo isomer resulting from hydride addition to the less hindered face of the iminium intermediate. For example, reaction of tropinone (**5**) with benzylamine in 1,2-dichloroethane (DCE) results in a 20:1 mixture of endo:exo isomers (Eq. 7). (21)



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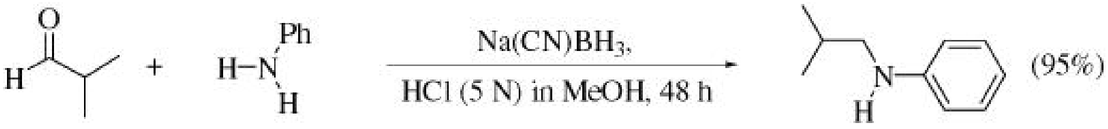
3. Scope and Limitations: The Reducing Agent

This section highlights reactions of boron-based reducing agents and additives, such as dehydrating agents or Lewis acids, which facilitate imine formation or form a complex with the imine thereby enhancing its electrophilicity.

3.1. Sodium Cyanoborohydride

Borch and coworkers introduced sodium cyanoborohydride for reductive aminations in 1971. (19) This reagent is commercially available and it can also be prepared from sodium borohydride and hydrogen cyanide (40) or mercuric cyanide. (41) The electron-withdrawing cyano ligand of sodium cyanoborohydride decreases the hydridic reactivity compared to sodium borohydride and allows for selective reduction of carbon-nitrogen double bonds in the presence of aldehydes or ketones at slightly acidic pH in the range of 5–7. This property of sodium cyanoborohydride rapidly popularized reductive aminations using a soluble hydride source. A wide range of carbonyl and amine partners have been subjected to sodium cyanoborohydride typically in alcoholic solvents, although acetonitrile and tetrahydrofuran have also been used. The solubility of sodium cyanoborohydride in aprotic solvents can be enhanced by the addition of Aliquat 336, a methyltrialkyl($C_{8–10}$)ammonium chloride. (42) Cyanoborohydrides hydrolyze to some degree in aqueous or alcoholic solvents. (43)

Aliphatic aldehydes such as isobutyraldehyde react readily with aromatic amines (Eq. 8), as do aromatic ketones, e.g. acetophenone, with aliphatic amines (Eq. 9). (19) Aliphatic ketones and cycloalkanones, such as cyclohexanone,



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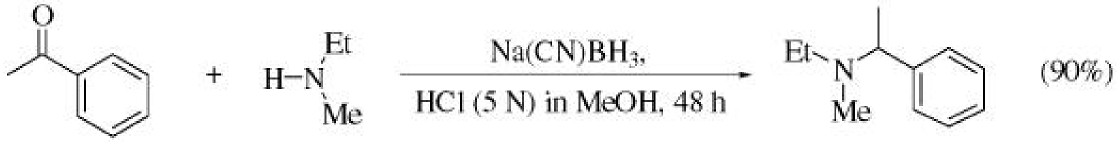

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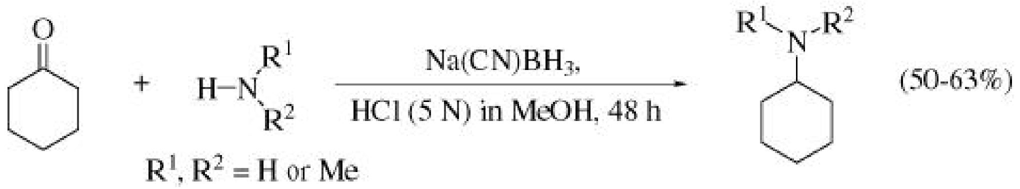
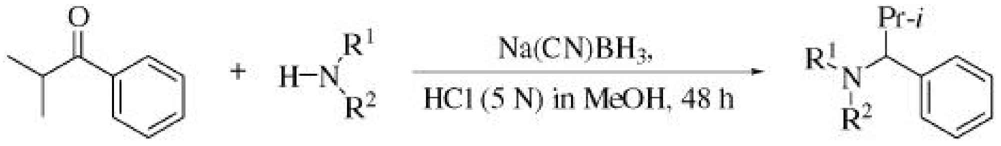
undergo reductive amination with primary and secondary amines and ammonia in good yields (Eq. 10), (19) whereas pyruvic acid derivatives and β-ketoesters react in modest yield. acetophenone provides the expected monoalkylation products with



(10)

ammonia and primary amines. Isobutyrophenone (**6**) undergoes reductive amination with ammonia and methylamine in 75% and 91% yields, respectively, but reaction with dimethylamine affords product in only 5% yield (Eq. 11), and extremely hindered ketones such as *tert*-butylmethyl ketone and diaryl ketones fail to react. (19)



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R^1	R^2	
H	H	(75%)
H	Me	(91%)
Me	Me	(5%)

(11)

A pH of 5–7 is optimal for reduction of the intermediate iminium species. The reaction acidity is often controlled by addition of a methanolic solution of HCl, or by using the amine HCl salt as the starting material. Better yields are obtained by stirring the amine and carbonyl components to allow complete imine formation prior to addition of the reducing agent, (44) or by use of 3 Å molecular sieves as a dehydrating agent. Although this reaction is used routinely, only a few researchers have reported systematic studies on the effects of solvent, pH, reagent concentration, temperature, and reaction time on product formation. (45-47)

3.2. Lithium Cyanoborohydride

Lithium cyanoborohydride was found by Borch and Durst to be interchangeable with sodium cyanoborohydride. (19, 48) but the former is used less often than the latter because it is not commercially available. (19, 49-59) The preparation of Lithium cyanoborohydride has been reported. (60)

3.3. Tetrabutylammonium Cyanoborohydride

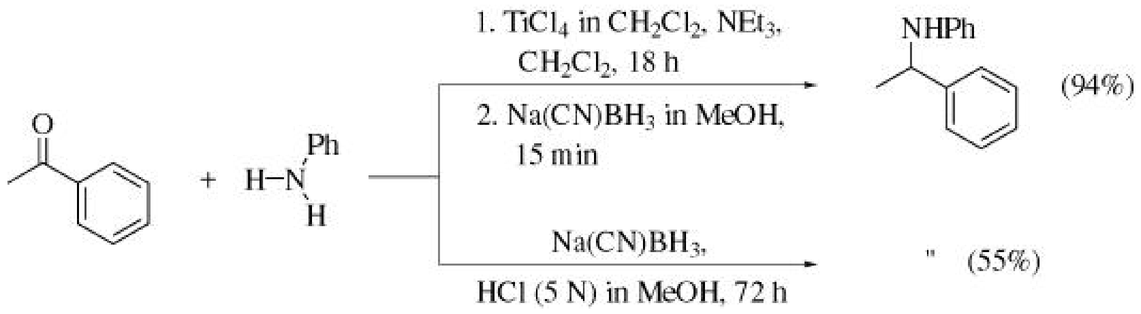
Tetrabutylammonium cyanoborohydride was developed for reductive aminations in nonpolar aprotic solvents in which sodium cyanoborohydride is poorly soluble. (42) Dichloromethane is the solvent of choice for reductive

aminations using Tetrabutylammonium cyanoborohydride, and other solvents commonly employed are THF, hexane, benzene, and acetonitrile. This reagent is ineffective with ketones and secondary amines, such as diethylamine, and ammonium salts are not used because of their poor solubility in aprotic solvents. Reactions of Tetrabutylammonium cyanoborohydride are often run in the presence of a small amount of methanolic HCl or 4 Å molecular sieves. (61-64)

3.4. Cyanoborohydride on a Solid Support
Cyanoborohydride has been immobilized on Amberlyst 26 ion exchange resin, which is easily removed by filtration at the end of the reaction. (65) Cyanoborohydride forms complexes to the resin via a quaternary ammonium salt. An advantage of using polymer-supported Cyanoborohydride is that the toxic cyanide ion is immobilized on the resin. However, reductive aminations are slower using the resin-bound reagent than in solution and are typically conducted in refluxing methanol. Reactions employing polymer-supported Cyanoborohydride in acetic acid and either 1,2-dichloroethane (66, 67) or methanol (68) have also been reported.

3.5. Sodium Cyanoborohydride and Dehydrating Agents
When using sodium cyanoborohydride in reductive aminations, (19) Borch and coworkers found that 3 Å molecular sieves can be added to facilitate the reaction. In many instances, 4 Å sieves are employed, and in a few cases, 5 Å sieves are used. (69, 70) Molecular sieves promote imine formation by absorbing water, thus driving the dehydration to completion. Unactivated molecular sieves can be crucial to the success of the reaction, (71) and marked improvement can be observed when 4 Å sieves are added. (72) Reductive amination is facilitated using 3 Å and 4 Å sieves together. (73) Sodium sulfate (74) and magnesium sulfate (26, 75-80) are also employed as dehydrating agents in reductive aminations.

3.6. Sodium Cyanoborohydride and Titanium(IV) Additives
Lewis acids facilitate imine formation in reductive aminations with sodium cyanoborohydride because they form a complex with the carbonyl oxygen, thereby increasing the electrophilicity of the carbonyl carbon. Moreover, Lewis acids can act as water scavengers. In addition, these agents may coordinate with the intermediate imine, thereby increasing its electrophilicity. For example, treatment of a ketone with an amine and titanium(IV) tetrachloride in dichloromethane or benzene for 18 hours followed by addition of sodium cyanoborohydride in methanol is effective when the initial Borch conditions are not. (81) Reductive aminations of acetophenone with aniline (Eq. 12) or of isobutyrophenone (**6**) with dimethylamine using titanium(IV) tetrachloride (Eq. 13) proceed in 94% and



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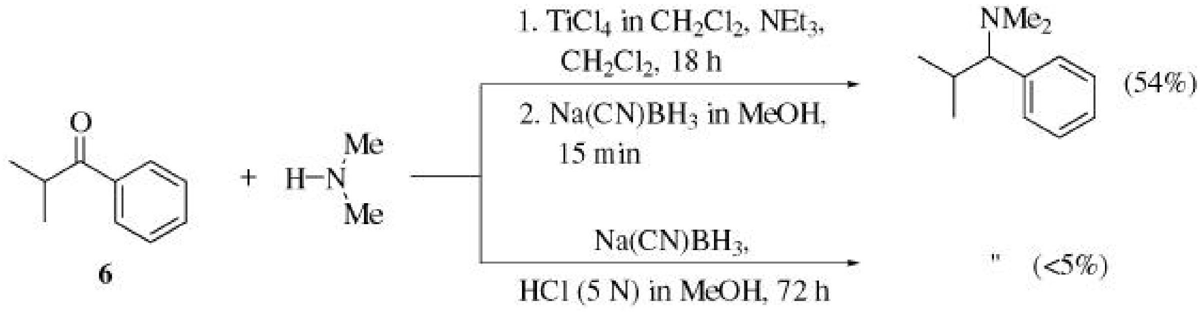
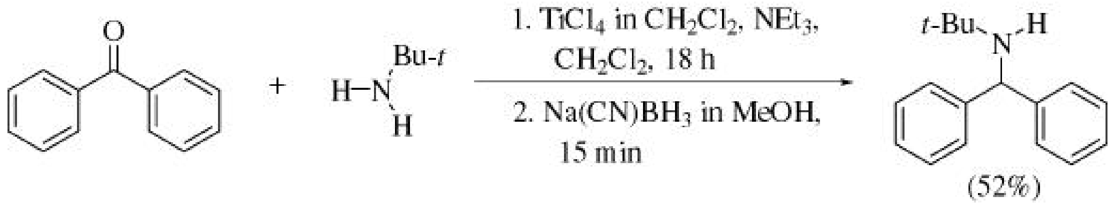


(13)

54% yields, respectively, (81) whereas the same products are obtained with Borch's original conditions in 55% and <5% yields, respectively. (19) Further, the titanium(IV) tetrachloride mediated reaction of benzophenone with *tert*-butylamine affords the desired product in 52% yield (Eq. 14). Primary amines

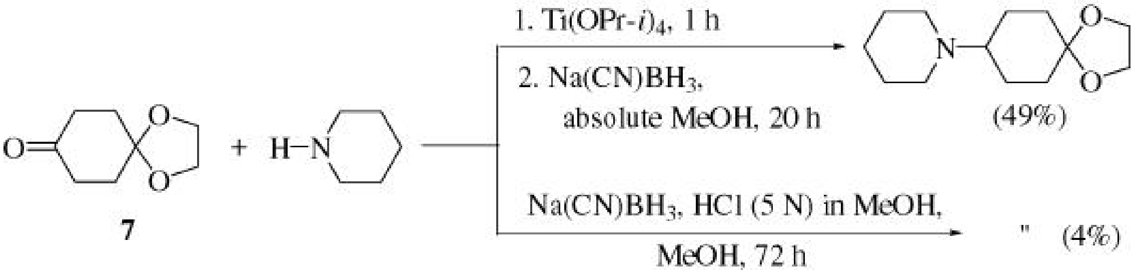


(14)

can be prepared in the presence of titanium(IV) tetrachloride by using hexamethyldisilazane as the amine source since ammonia itself forms an insoluble complex with titanium tetrachloride. The titanium(IV) tetrachloride conditions can be employed in the reductive amination of α-trifluoromethylketones that typically form aminals with amines. Other carbonyl substrates that successfully undergo reductive amination in this manner include ortho-substituted aromatic aldehydes, (82) aliphatic ketones, (83) 2-substituted cyclohexanones, (35) 2,6-disubstituted cyclohexanones, (81) aliphatic aromatic ketones, (84) and aliphatic heteroaromatic ketones. (85)

Titanium(IV) isopropoxide is also used in reductive aminations. (86) This

reagent is less reactive than titanium(IV) tetrachloride, but is more compatible with acid-sensitive functional groups including acetals, ketals, esters, amides, ureas, and carbamates. The amine and ketone are stirred in neat titanium(IV) isopropoxide for an hour followed by dilution with methanol or ethanol, and subsequent addition of sodium cyanoborohydride. Reaction of piperidine with either 1,4-cyclohexanedione monoethyleneketal (**7**) or tropinone (**5**) in the presence of titanium(IV) isopropoxide affords the desired products in 49% and 58% yield, respectively (Eqs. 15 and 16), while reaction of 4-carboethoxypiperidine with 1-*tert*-butoxycarbonyl-4-piperidone (**8**) proceeds in 82% yield (Eq. 17). (86) The



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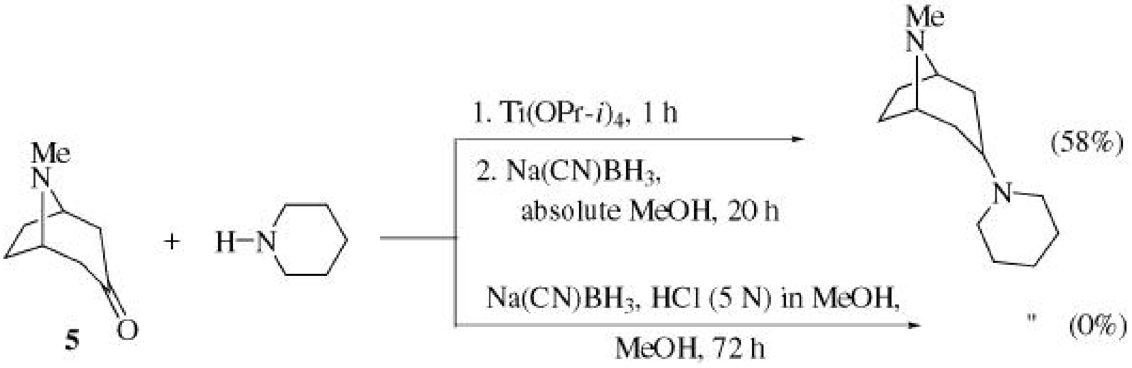

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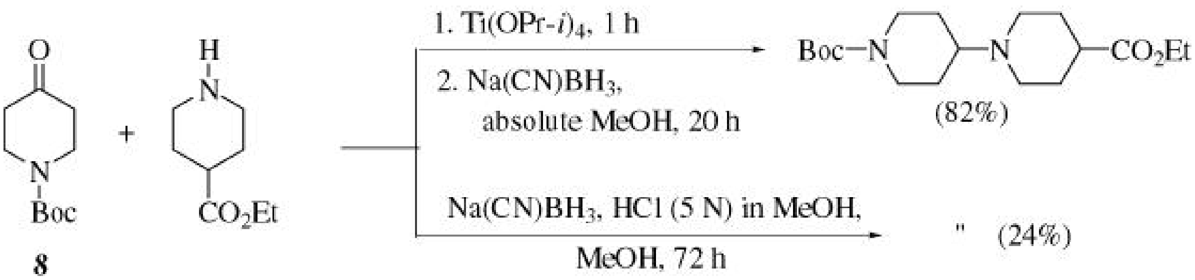
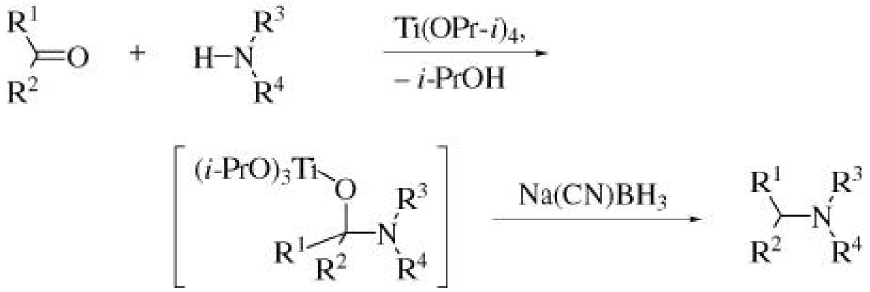


(17)

yields of product obtained from these reactions when using the initial Borch conditions are 4, 0, and 24%, respectively. (19) Imine or enamine

functionalities are not detected when the titanium(IV) isopropoxide mediated reactions are monitored by IR, suggesting that an iminium species is only a transient intermediate or that a titanium(IV)-complexed aminal is reduced directly (Eq. 18). Titanium(IV) isopropoxide

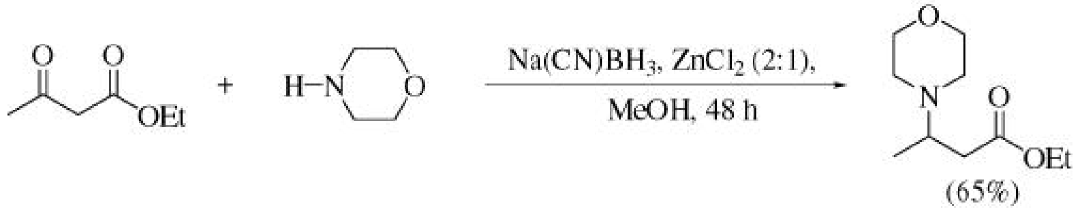


(18)

is used in reductive aminations of aliphatic ketones, (87) cycloalkanones, (88, 89) bicyclic ketones, (90-93) an aromatic ketone, (94) and α-substituted aldehydes. (95-100) One modification has been reported in which the imine is formed with titanium(IV) isopropoxide in toluene with 3 Å molecular sieves followed by addition of the reducing agent. (101) Titanium(IV) ethoxide is employed in a similar fashion in reductive alkylations, (102-104) and an advantage of this reagent is that it has less steric bulk than titanium(IV) isopropoxide and is capable of forming a tighter complex with the reacting species. (102)

3.7. Sodium Cyanoborohydride and Zinc Halide Additives

Zinc reagents as additives were first reported by Kim and coworkers who used a 1:2 zinc chloride:sodium cyanoborohydride complex in methanol. (105, 106) This combination works well with a variety of carbonyl and amine substrates. For instance, ethyl acetoacetate undergoes reductive amination with morpholine under these conditions in 65% yield (Eq. 19), and related examples have been reported (107-120) such as those involving acetals like **9** that are especially unreactive (Eq. 20). (121, 122) Reductive amination can be carried out on an aromatic amine in



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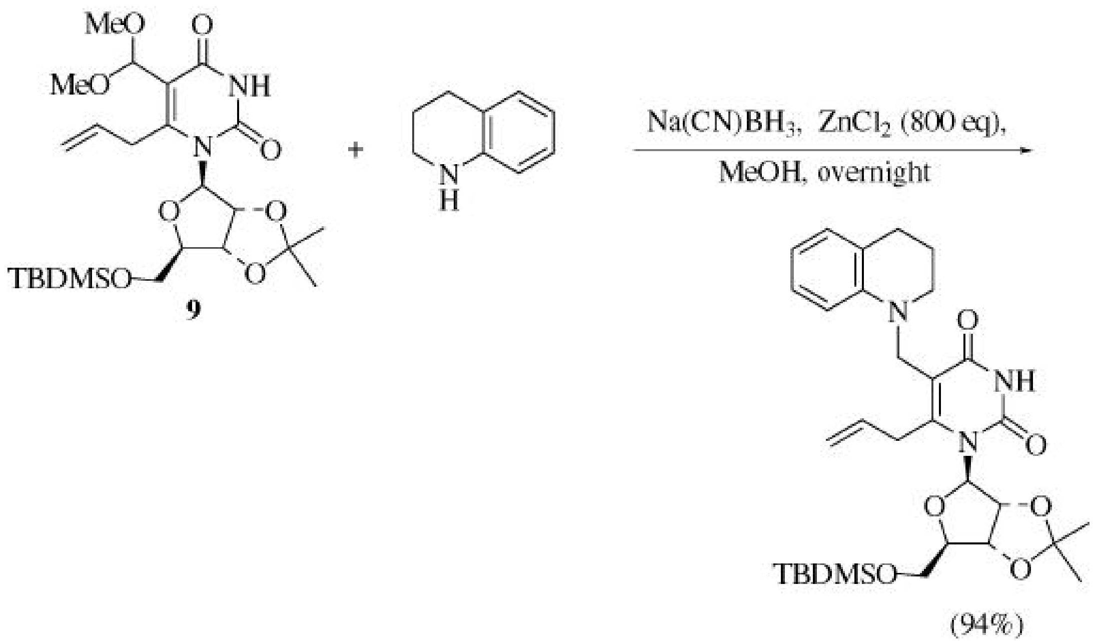


(20)

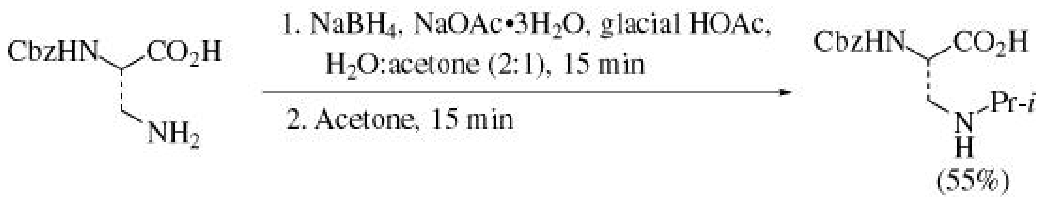
the presence of a β -enaminoester, (123) and 4 Å molecular sieves are used with a zinc chloride:sodium cyanoborohydride complex. (124) Zinc bromide (125) is employed with sodium cyanoborohydride in reductive aminations, as is zinc iodide with 4 Å molecular sieves. (126)

3.8. Isotopically Labeled Cyanoborohydrides

Cyanoborohydride reagents labeled with either deuterium (127-137) or tritium (19, 138-142) are used to prepare compounds for mechanistic or biological studies. The synthesis of sodium cyanoborodeuteride has been reported, (143) and this material as well as sodium cyanoborotritide are commercially available.

3.9. Sodium Borohydride

Early reports involving the use of sodium borohydride in one-pot reductive aminations involve methanol (144) or an acidic buffer as solvent (Eq. 21). (20) Unlike reactions with sodium cyanoborohydride, acid is generally not required since



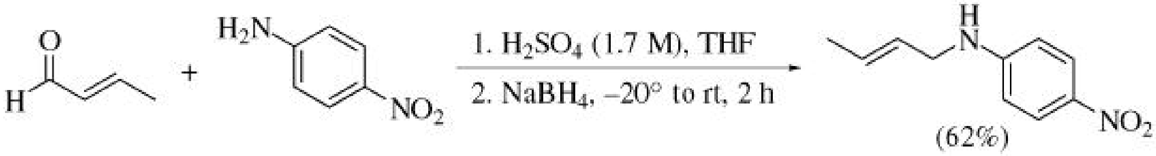
(21)

sodium borohydride reduces imines readily. However, since sodium borohydride also reduces aldehydes and ketones, it is important to ensure that

imine formation is complete prior to addition of the reducing agent. An advantage of sodium borohydride is that it is less toxic than sodium cyanoborohydride. Typically, alcohols are employed as solvents since Abdel-Magid and coworkers demonstrated that imine formation is faster in methanol relative to tetrahydrofuran and 1,2-dichloroethane. (21) sodium borohydride is added to complete imine reductions when sodium cyanoborohydride (145-150) or sodium triacetoxyborohydride (151) had been used originally.

3.10. Sodium Borohydride, Acidic Additives, and Dehydrating Agents

Reductive alkylations with sodium borohydride are conducted under acidic conditions to enhance the reactivity of the intermediate imine. These reactions are performed using either acidic buffer, as in the initial report, (20) or under more strongly acidic conditions. Trifluoroacetic acid in either THF or dichloromethane, (152) which may generate sodium trifluoroacetoxyborohydride as the reducing agent, and aqueous sulfuric acid-THF mixtures are useful additives in this reaction. (153-164) These conditions are particularly useful for unreactive systems such as 4-nitroaniline and (*E*)-2-butenal (Eq. 22) (159) or *N*-methylaniline and benzaldehyde



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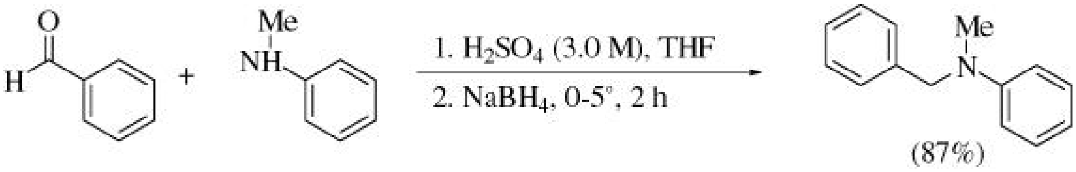
(Eq. 23). (160) Additionally, catalytic amounts of *p*-toluenesulfonic acid can facilitate imine formation. (165) A limited study of the effect of varying pH in a reductive amination has been published. (166)

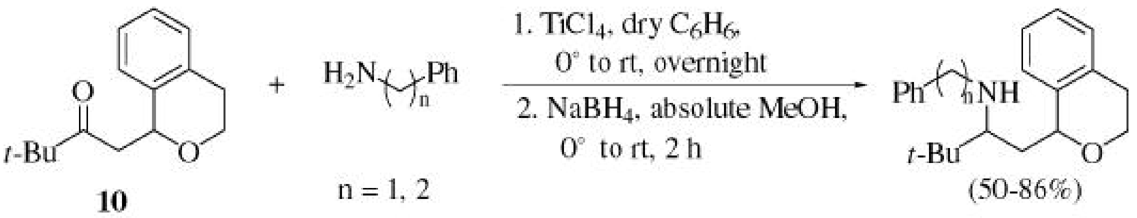


(23)

Both 3 Å (167, 168) and 4 Å (169, 170) molecular sieves in addition to sodium sulfate, (171) magnesium sulfate, (172-178) and calcium sulfate (171) have been used as dehydrating agents that drive imine formation in reactions of sodium borohydride. Cesium chloride, (179, 180) nickel chloride, (181) and ferric chloride (182) have also been used. Moreover, reactions have been conducted in the presence of hydrogen. (183, 184)

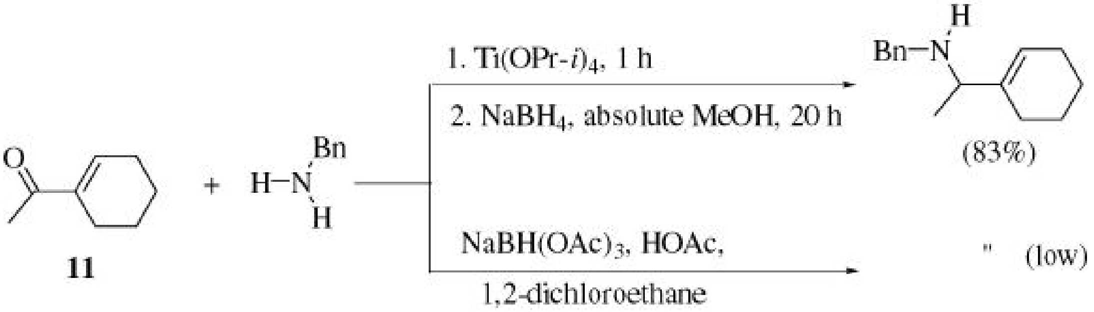
3.11. Sodium Borohydride and Titanium(IV) Additives

As with sodium cyanoborohydride, both titanium and zinc reagents are used with sodium borohydride. For example, titanium(IV) tetrachloride has been added to a solution of ketone and amine in an inert solvent such as benzene, (185) THF, (27, 28) or dichloromethane (186, 187) to assist imine formation, followed by introduction of sodium borohydride in methanol. *tert*-Butyl ketone **10** undergoes reductive amination with primary amines to provide the desired products in moderate to good yields (Eq. 24). (185)



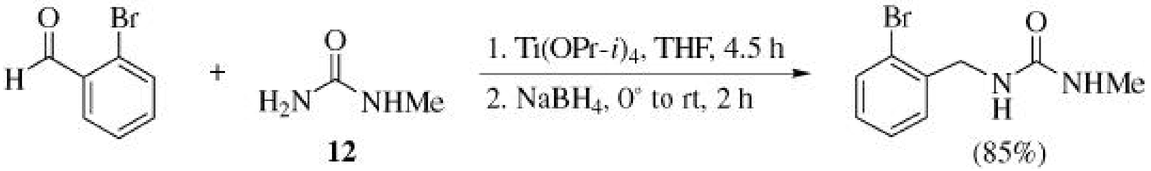
(24)

When used initially, titanium(IV) isopropoxide, a milder reagent, was mixed with the aldehyde and amine in diglyme at 60° followed by treatment with sodium borohydride. (188) In subsequent reports, the carbonyl compound and amine are treated with titanium(IV) isopropoxide in ethanol, (189-195) 2-propanol, (193) or toluene (196, 197) or as a neat mixture (21, 198-201) followed by addition of the reducing agent in alcoholic solvent. These latter conditions are particularly useful for unreactive substrates. For example, α , β -unsaturated ketone **11** undergoes reductive amination with benzylamine, titanium(IV) isopropoxide, and sodium borohydride in good yield, whereas this transformation occurs slowly with Sodium triacetoxyborohydride resulting in low yield (Eq. 25). (21) Even weakly nucleophilic



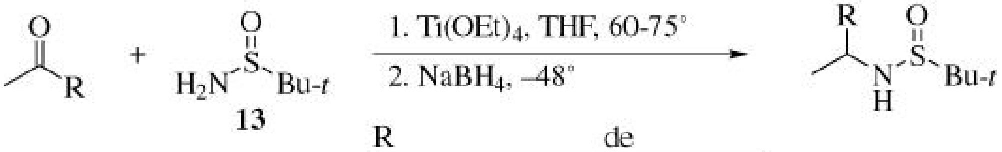
(25)

N-monosubstituted ureas like methylurea (**12**) react with aromatic aldehydes when titanium(IV) isopropoxide is added with THF (Eq. 26). (202) Under these conditions, however, the reaction of aliphatic aldehydes is unsuccessful, possibly because the intermediate imine enolizes to an enamine that does not react further.



(26)

Titanium(IV) ethoxide has been used to prevent transesterification of ethyl esters. (193) sodium borohydride with titanium(IV) ethoxide promotes reductive amination between weakly nucleophilic *N*-sulfinylamines, for example *tert*-butylsulfinylamine (**13**), and ketones in good yield with high diastereoselectivity (Eq. 27). (203) In this reaction, other hydride reagents afford products in lower yield with eroded diastereoselectivity.



R	de	
i-Pr	97:3	(66%)
Ph	96:4	(78%)
(*E*)-CH=CHPh	90:10	(76%)

(27)

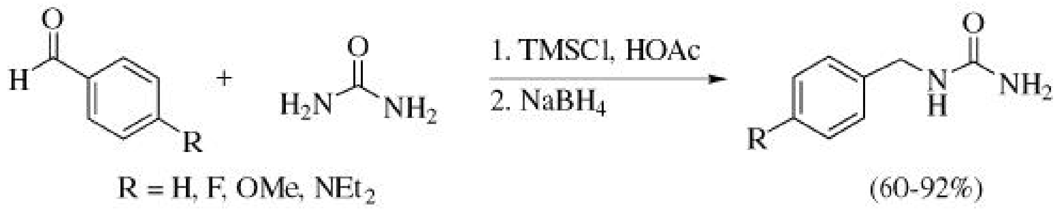
3.12. Sodium Borohydride and Zinc Salt Additives

Zinc chloride is added to a mixture of the carbonyl compound and amine in inert solvents such as isopropyl acetate, (204) dichloromethane, (205) or THF (206) followed by the reducing agent in neat form or in an alcoholic solvent. Zinc trifluoroacetate (204) is reported to generate a significant rate acceleration over zinc chloride. Zinc borohydride can be used in reductive aminations, (30, 37, 206-209) and the imine can be performed with zinc chloride in THF. Alternatively, zinc borohydride is added after performing the imine on silica gel. (210) These conditions are particularly useful for the reductive alkylation of amines with α , β -unsaturated carbonyl compounds.

3.13. Sodium Borohydride and Other Additives

Ureas do not undergo reductive alkylation under typical conditions because they are not nucleophilic enough to form an imine. However, a one-pot procedure for ureas has been developed in which the reacting components are treated with trimethylsilyl chloride in acetic acid followed by addition of sodium borohydride (Eq. 28). (211) This transformation works best for aromatic

aldehydes but is problematic for enolizable and α , β -unsaturated aldehydes. The major side product formed by dialkylation is best avoided by using a 20:1 ratio of urea to aldehyde.



(28)

Reductive amination via reaction of an amine and an aldehyde with benzotriazole followed by addition of sodium borohydride has been reported, and the intermediate adduct is generally isolated. (212, 213) However, in one example, reductive amination was carried out without isolation of the intermediate, thereby minimizing dialkylation. (214) Reductive aminations with sodium borohydride supported on montmorillonite K10 clay under microwave irradiation are effective for a variety of substrates, including acetophenone and aniline, which are unreactive under the original Borch conditions. (215)

3.14. Other Borohydride Reducing Agents

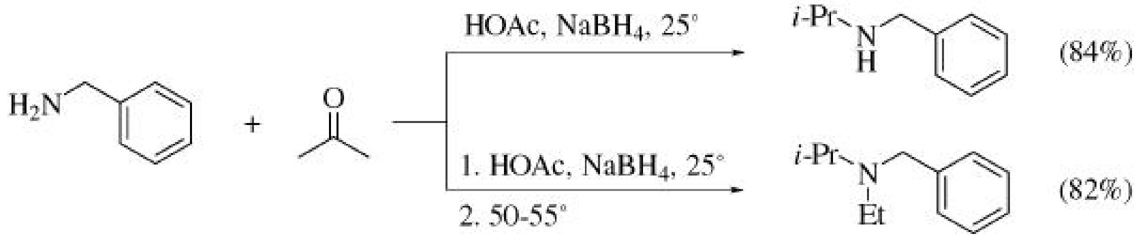
Lithium borohydride (216) and potassium borohydride (217-221) have been used in reductive aminations. As with sodium cyanoborohydride, polymer-supported borohydride has been utilized. (66, 67, 222-224) Also, borohydride exchange resin with nickel acetate (225) or palladium acetate (226) reductively alkylates hydrazine, which then acts as an ammonia equivalent. sodium borohydride labeled with either deuterium (156, 159, 160, 227-230) or tritium (231, 232) as well as potassium borotritide (233) have been employed. Preparation of tritium-labeled borohydride reagents has been described. (234)

3.15. Sodium Triacetoxyborohydride and Other Triacyloxyborohydrides

Gribble and co-workers discovered that triacyloxyborohydrides generated in situ from sodium borohydride in neat carboxylic acids are excellent reagents for reductive amination. (235) They observed that treatment of indole with sodium borohydride in glacial acetic acid affords *N*-ethylindoline cleanly (Eq. 29). The

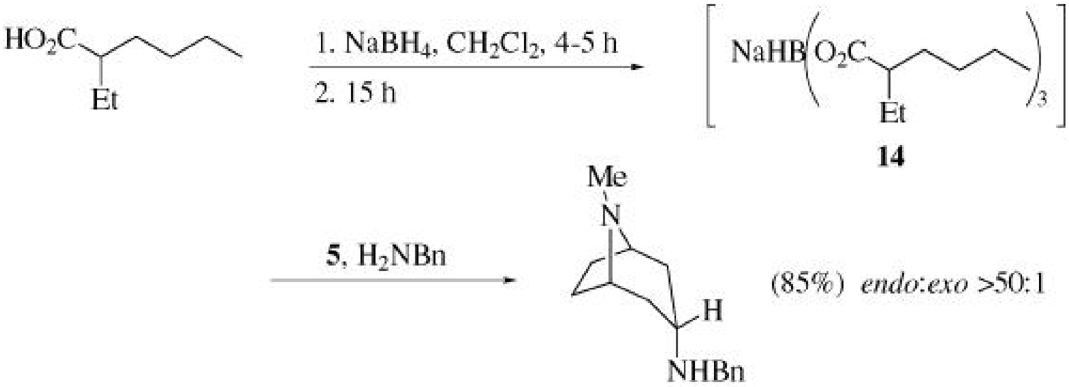
(29)

mechanism of this reaction was not elucidated, although the reducing agent was proposed to be an acyloxyborohydride species. (236-239) A process involving an amide intermediate or a diborane species was initially ruled out, although later experiments using sodium borodeuteride supported the existence of an amide intermediate. (240) This methodology is effective in the alkylation of primary and secondary anilines with a variety of carboxylic acids except formic and trifluoroacetic acid. Later studies found that formic (241-244) and trifluoroacetic acids (245, 246) are indeed viable reagents. Even fairly unreactive amines such as *N*-ethylaniline and *N,N*-diphenylamine react under these conditions. Gribble and co-workers subsequently demonstrated that secondary aliphatic amines can reductively aminate carboxylic acids, including even pivalic acid, in the presence of sodium borohydride. (247) Primary aliphatic amines do not generally react cleanly as the major products are the corresponding amides and bis-alkylated amines. However, benzylamine reacts with acetone and acetic acid in the presence of sodium borohydride to provide the unsymmetrical amine in good yield. The starting amine condenses selectively with the ketone at room temperature, whereas alkylation with acetic acid occurs on heating (Eq. 30). (247)



(30)

Reductive aminations using solid carboxylic acids can be performed with sodium borohydride in benzene (248) or dichloromethane. (152, 167, 249-252) Alternatively, the tri(acyloxy)monohydroborate can be prepared by adding sodium borohydride to a benzene solution of the carboxylic acid and isolating the product by precipitation and filtration. (246, 248) More sterically demanding carboxylic acids provide greater stereocontrol in the reductive amination of substituted cycloalkanones and tropinones. (250, 252) For example, reaction of tropinone (**5**) with benzylamine and the acyloxyborohydride **14** derived from 2-ethylhexanoic acid affords endo: exo products in >50:1 ratio (Eq. 31). Reaction of the corresponding acetic acid



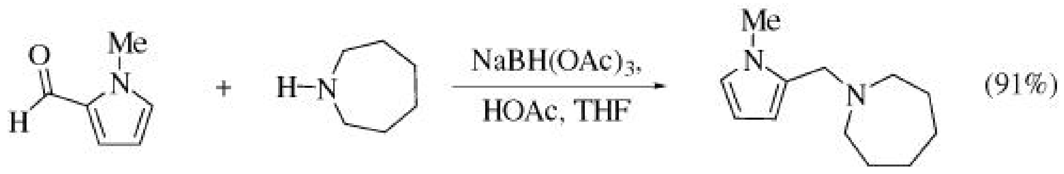
(31)

derived acyloxyborohydride derivative provides a 12:1 mixture of products. Chiral acyloxyborohydrides have been used in the reduction of preformed imines, (253-255) but not in one-pot reductive aminations. In addition to amines, a variety of substrates with C-N double bonds have been treated with carboxylic acids and sodium borohydride to reduce this double bond and promote reductive alkylation sequentially in one pot. (235, 241-243, 248, 256-264) In addition, aroyl azides undergo rearrangement to the isocyanate, hydrolysis to the aniline, and *N,N*-dialkylation to afford *N,N*-dialkylanilines. (265)

The reaction of indole with acetic acid and sodium cyanoborohydride affords the corresponding indoline without alkylation, unlike the results obtained using sodium borohydride under comparable conditions. (235, 266) However, sodium cyanoborohydride and acetic acid are used to successfully alkylate oximes, (267) indoles, (268) quinolines, (244) β -enaminoesters, (269) imines, (270) and amidines. (271) Furthermore, potassium borohydride is employed in the reductive alkylation of diazines. (228)

Abdel-Magid and co-workers demonstrated that commercially available sodium triacetoxyborohydride is an outstanding reducing agent for reductive aminations of aldehydes and ketones with amines. (15, 21, 272, 273) This reagent reduces aldehydes but not ketones, (274) except for β -hydroxyketones. (275) Reductive aminations with sodium triacetoxyborohydride are generally conducted in an aprotic solvent, such as dichloromethane, 1,2-dichloroethane, THF, or acetonitrile because the reagent readily reduces carbonyl compounds in methanol and decomposes in water. For ketones, reductive amination can be promoted by adding an equivalent of acetic acid, or by adding the amine as an acid salt. Acid is often not added in reactions of aldehydes since it may facilitate their reduction to alcohols. (15) A wide range of aliphatic and aromatic aldehydes as well as aliphatic, cyclic, and bicyclic ketones have been used in reductive aminations with sodium triacetoxyborohydride (Eqs. 32 and 33). (21) Importantly, weakly basic amines also undergo reductive alkylation (Eq. 34). (21) A particularly interesting

observation is that benzaldehyde is reductively aminated by *p*-toluenesulfonamide using this reagent (Eq. 35), whereas benzamide is unreactive under similar conditions. (21)



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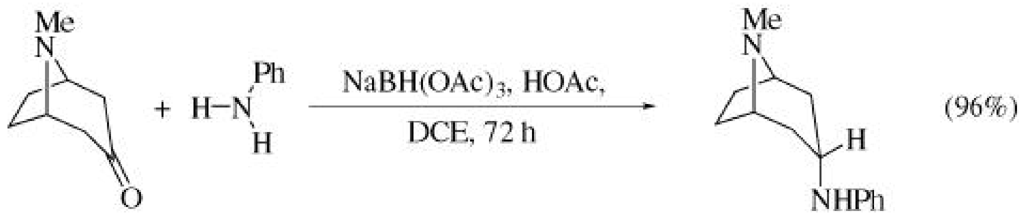

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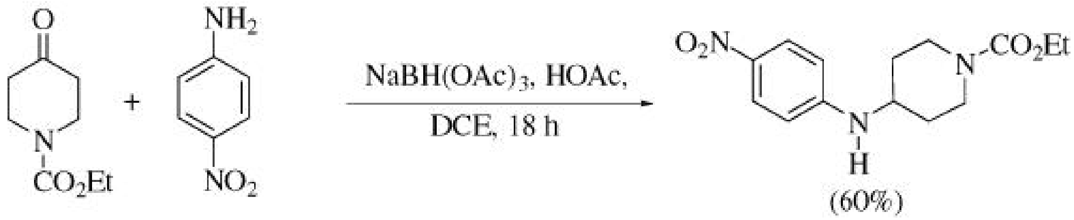

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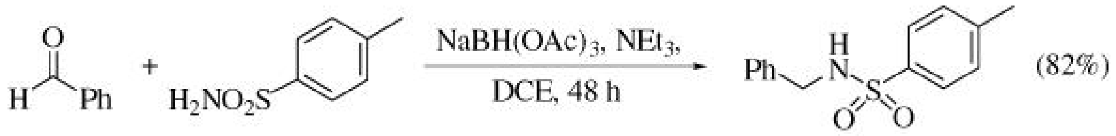
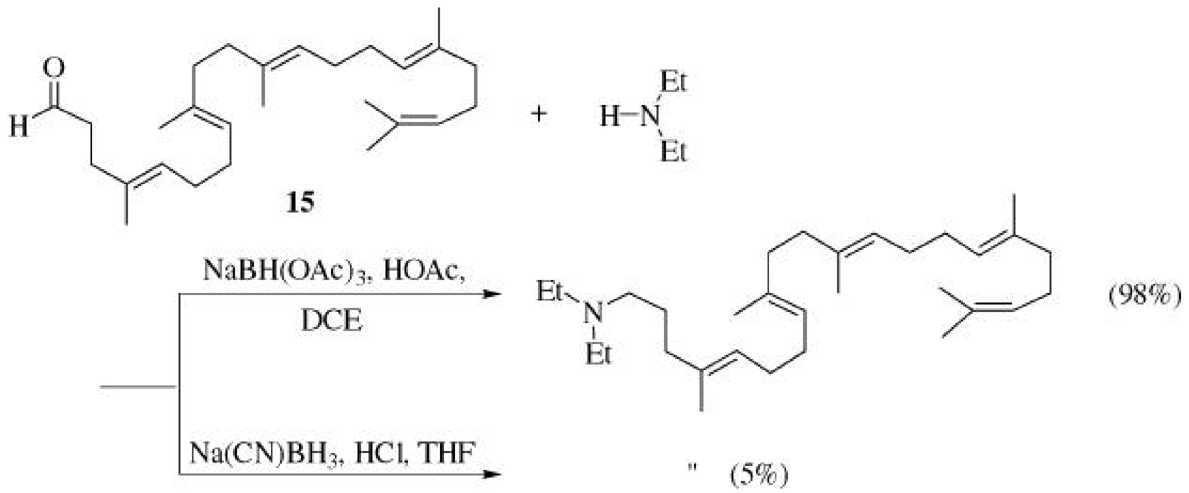


(35)

A limitation of sodium triacetoxyborohydride in reductive aminations with an ammonia equivalent is that the standard source, ammonium acetate, is poorly soluble in aprotic solvents which are required with this reducing agent. However, ammonium trifluoroacetate, which has greater solubility in aprotic solvents, can be used as an ammonia equivalent in reductive aminations using sodium triacetoxyborohydride as the reducing agent. (15) For reductive aminations with reagents available only in aqueous solution, such as formalin or aqueous amine salts, an excess of the reducing agent can be employed. (15, 21) acetophenones and α , β -unsaturated ketones undergo reductive

amination sluggishly with sodium triacetoxyborohydride, and hindered ketones such as camphor are unreactive.

The minimal toxicity of sodium triacetoxyborohydride is an obvious advantage over the use of sodium cyanoborohydride. Better yields are achieved with sodium triacetoxyborohydride than with sodium cyanoborohydride in the reactions of the long-chain aldehyde **15** with diethylamine (Eq. 36), (15, 21) and of cyclohexanone with morpholine (Eq. 37). (21) Similar observations are made in the reaction



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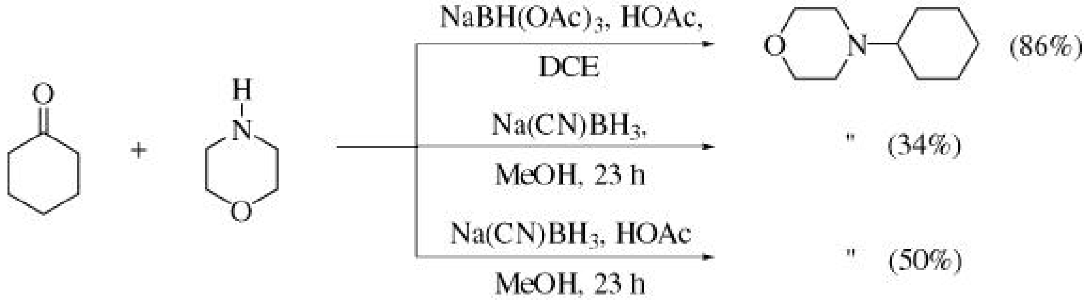
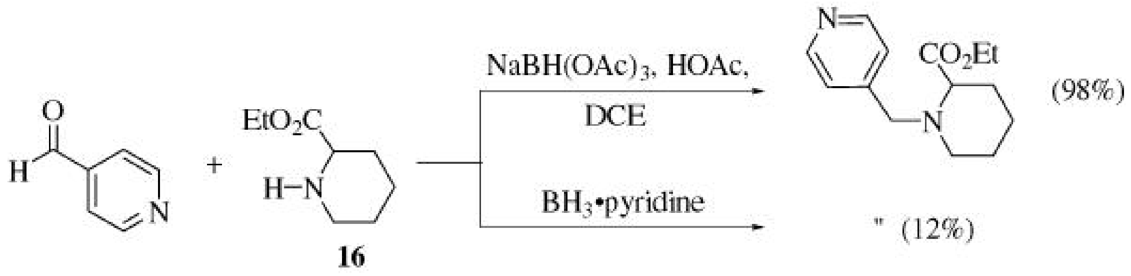


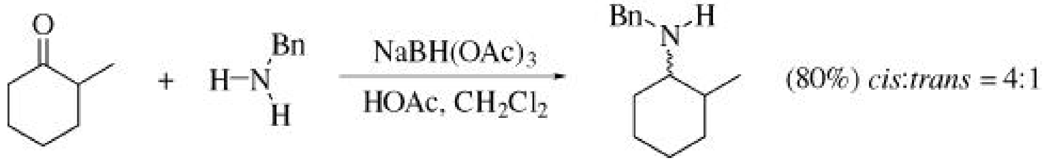
(37)

of a 4-substituted cyclohexanone with aliphatic amines. (276) In addition, reductive amination of ethyl pipecolinate **(16)** with 4-pyridinecarboxaldehyde proceeds more efficiently using sodium triacetoxyborohydride (Eq. 38) than when either titanium(IV) isopropoxide or borane-pyridine is used as the reducing agent. (21)



(38)

A preference for cis products is observed in the reductive amination of 2-methylcyclohexanone with benzylamine and sodium triacetoxyborohydride (Eq. 39). (250) Furthermore, 2-(carboalkoxy)cyclohexanones give only the cis product, (15, 249)

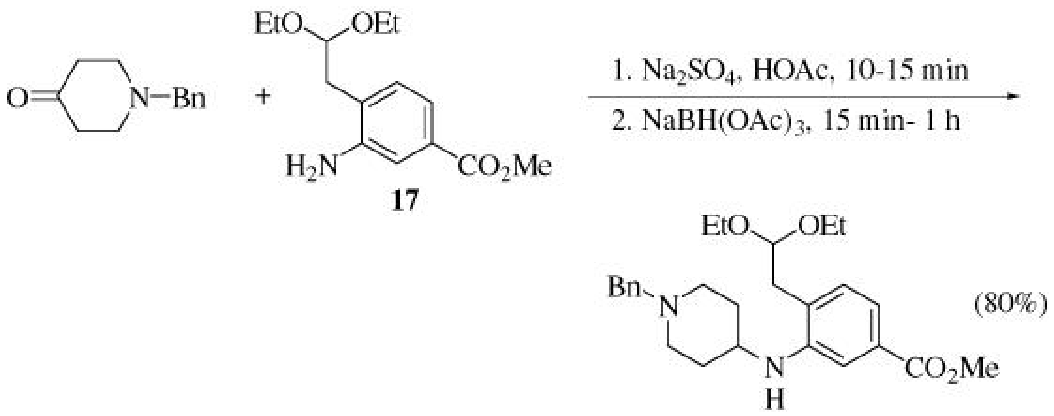


(39)

probably via an enamine rather than an imine intermediate. Bicyclic ketones such as norcamphor or tropinone produce almost exclusively endo products; one exception is the reaction of tropinone with piperidine, which provides a 1:1 mixture of endo:exo isomers. (21) Reductions of imines (32) and β-enaminoesters (277) with sodium triacetoxyborohydride are more stereoselective than those with sodium cyanoborohydride.

3.16. Sodium Triacetoxyborohydride and Additives

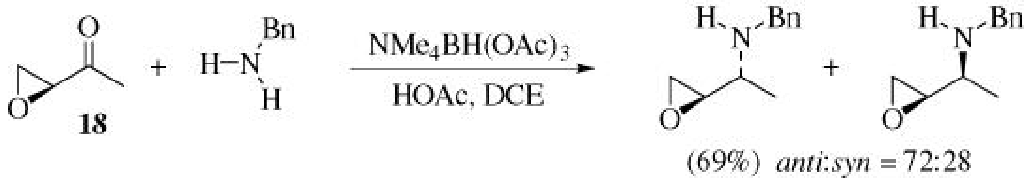
Very few modifications of sodium triacetoxyborohydride-mediated reductive aminations of amines with aldehydes or ketones have been described. Reductive amination of *N*-benzyl-4-piperidone with ortho-substituted amine **17** is incomplete under neutral conditions or upon the addition of acetic acid. (278) However, sodium sulfate and acetic acid promote the completion of the reaction (Eq. 40). (278) The use of sodium triacetoxyborohydride in combination with 3 Å (279) and 4 Å molecular sieves, (280, 281) and zinc chloride (177) has been reported.



(40)

3.17. Tetramethylammonium Triacetoxyborohydride

Tetramethylammonium triacetoxyborohydride is used in the reductive amination of α -epoxyketone **18** with benzylamine (Eq. 41). (282, 283) When sodium triacetoxyborohydride is employed instead, reductive amination occurs with subsequent

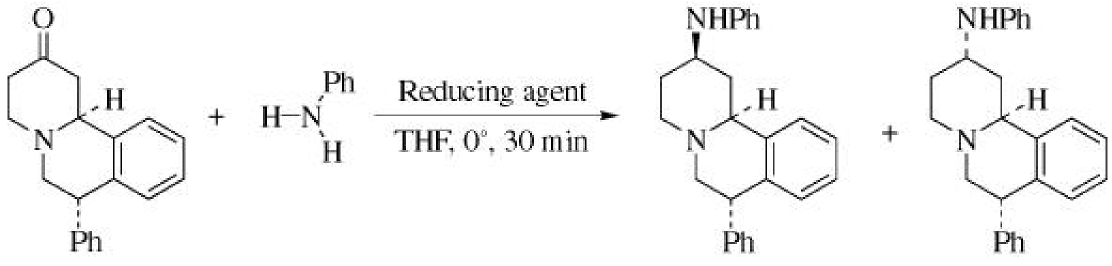


(41)

intramolecular attack of the amino group on the epoxide affording an aziridine as the major product. The use of molecular sieves facilitates the reaction with tetramethylammonium triacetoxyborohydride. (283) In another example, tetramethylammonium triacetoxyborohydride is used to reduce a preformed imine. (284)

3.18. Other Hydride Reducing Agents

Sodium cyano-9-borabicyclo[3.3.1]nonane hydride is employed successfully in the reaction of an amino sugar with 3-methyl-2-cyclohexen-1-one. (61) Lithium triethylborohydride (Super-Hydride), lithium tri(*sec*-butyl)borohydride, and sodium diisopinocampheylcyanoborohydride were compared as reducing agents in an attempt to improve stereoselectivity in the reductive amination of tricyclic ketone **19** to give stereoisomers **20** and **21** (Eq. 42). (285) The aluminum hydride reagents



19 **20** **21** (42)

	20:21
$Na(CN)BH_3$	1:1
$Li(Et)_3BH$	1:1
$Li(s\text{-}Bu)_3BH$	1:2
Sodium diisopinocam- pheylcyanoborohydride	<1:99

diisobutylaluminum hydride (DIBAL-H), (286-289) sodium bis(2-methoxyethoxy) aluminum hydride (Red-Al), (290) and lithium aluminum hydride (291-297) have limited application since they readily reduce aldehydes and ketones to alcohols.

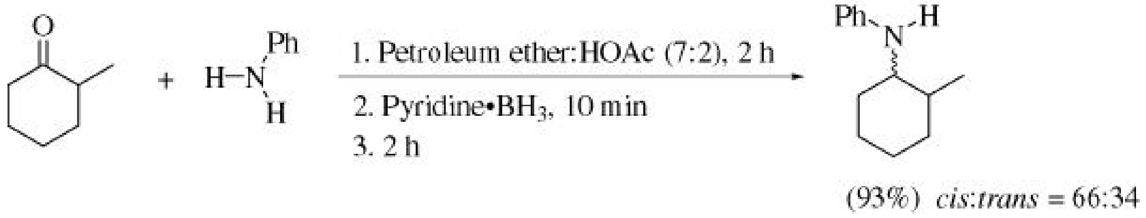
3.19. Amine Boranes

Borane-amine complexes are nontoxic alternatives to sodium cyanoborohydride in reductive aminations, (22, 298) The reducing capabilities of these borane-amine complexes are affected by the basicity and steric characteristics of the amine ligand. Bulky, weakly basic amines, such as *N,N*-diethylaniline, impart electrophilic properties to borane while small, strongly basic amines impart reducing character. Thus, borane-ammonia and borane-*tert*-butylamine not only readily reduce imines and iminium salts, but can also reduce ketones and aldehydes.

3.20. Borane-Pyridine Complex

The borane-pyridine complex was developed for reductive aminations because it typically reduces imines and iminium salts preferentially relative to carbonyl groups. (22) No reductive amination is observed at neutral pH, but reaction occurs in glacial acetic acid or acetic acid with an aprotic co-solvent. With borane-pyridine, primary amines undergo reductive alkylation with both aliphatic and aromatic aldehydes although bis-alkylation to the tertiary amine is a common side reaction. In addition, using this reagent, both aliphatic and aromatic primary amines react with cycloalkanones, but not camphor. Borane-pyridine is effective for reductive alkylations of secondary amines with aromatic and heteroaromatic aldehydes, conducted in ethanol without acid for substrates bearing electron-deficient aromatic rings. (299) Molecular sieves (4 Å) can also facilitate reactions with borane-pyridine in methanol. (300)

Primary and secondary aliphatic amines and aniline react with hexanal and benzaldehyde using borane-pyridine, although appreciable dialkylation of primary amines can occur. (22) Treatment of 2-methylcyclohexanone with aniline affords a 66:34 mixture of cis:trans isomers (Eq. 43). Moreover, acetophenone undergoes reductive amination readily with aniline and 4-methoxyaniline (Eq. 44), but not with 4-nitroaniline. (22) The yield with 4-methoxyaniline is higher



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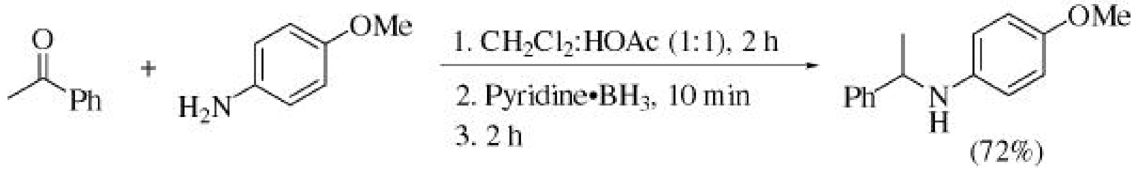
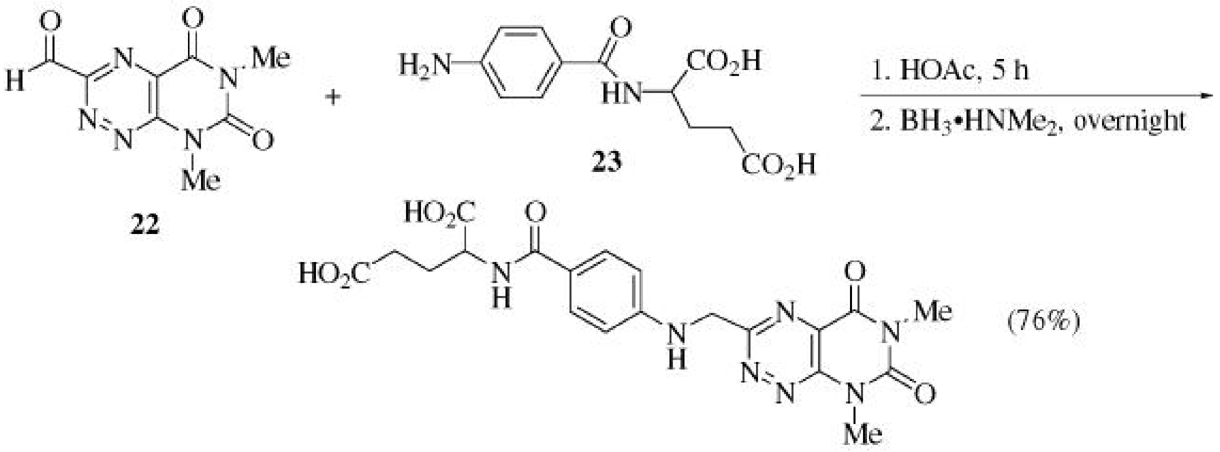


(44)

than that obtained with sodium cyanoborohydride. Curiously, acetophenone does not undergo reductive amination with primary aliphatic amines and borane-pyridine. (22) cyclohexanone gives a higher yield than 2-pentanone in a study comparing a variety of amines using borane-pyridine, whereas 4-methyl-2-pentanone and acetophenone react very sluggishly. (300) In addition, the use of one equivalent of pyridinium *p*-toluenesulfonate (PPTS) with borane-pyridine in 3:1 methanol-THF is effective for reaction of hydroxylamines with aldehydes. (301) Borane-pyridine effects reductive alkylation with acetic acid along with concomitant reduction of quinoline to an *N*-ethyltetrahydroquinoline. (302) Trideuterated pyridine-borane is used in reductive aminations to prepare deuterated amines. (303) Based on a systematic comparison, borane-pyridine is considerably better than a variety of other reducing agents in the reductive amination of a ribozyme. (304)

3.21. Alkylamine Boranes

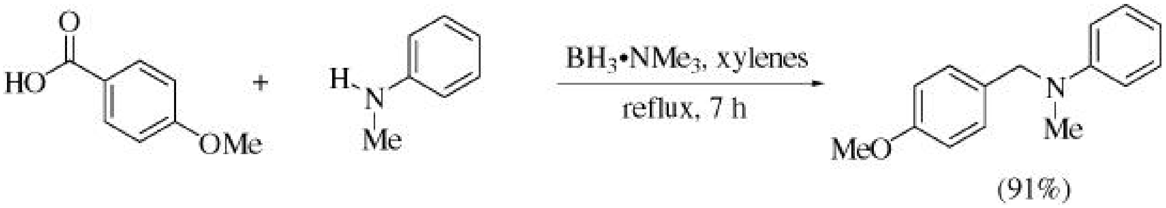
Dimethylamine borane was the first alkylamine borane to be used in the reduction of imines. (305) Subsequently, dimethylamine borane (306-315) and triethylamine borane (316-319) were recruited for reductive aminations of substituted anilines with aldehydes. A good example is the reaction of aldehyde **22** with substituted aniline **23** (Eq. 45). (313) Dimethylamine borane is also used in the reaction of sugars with 2-aminopyridines, (320) and of 1-diethylamino-4-pentanone



(45)

diethylketal with a substituted aminoquinoline. (321) The electron-rich 3,4,5 trimethoxyacetophenone in combination with an electron-poor amine-substituted pyridopyrimidine react with triethylamine borane in low yield. (322) The use of triethylamine borane in the reaction of glyoxal with aliphatic amines gives the expected products in yields similar to those obtained when sodium cyanoborohydride and sodium borohydride are used. (323) *tert*-butylamine borane works well with fairly unreactive substrates as long as the imine is preformed in acetic acid with molecular sieves, followed by the addition of reducing agent and subsequent heating. (324, 325) A study of the extent of methylation of N- α -acetyl-L-lysine as a function of an amine-borane complex and pH was reported. (326)

Trimethylamine borane is effective in the reductive amination of benzoic acid with aniline or benzylamine in refluxing xylenes, (327) and these conditions have been applied to the synthesis of biologically active aminotetralins. (328, 329) This reagent is especially useful in the reaction of secondary aromatic amines with aromatic carboxylic acids as exemplified in the reaction of *N*-methylaniline with 4-methoxybenzoic acid (Eq. 46), (327) as these anilines typically undergo reductive



(46)

aminations with benzaldehydes very sluggishly when using other hydride reducing agents. Primary amines do not react cleanly using this protocol because dialkylation products are formed.

The boranes of (*R*)-(+)- α -phenethylamine and (*S*)-(–)- α -phenethylamine, prepared by condensation of the amine hydrochloride salts with sodium borohydride, are utilized in reductive aminations of ketones and pyruvic acid derivatives to prepare α -amino acids. (330) The pyruvic acid derived products are formed in better yields, although with poorer enantioselectivity, than when sodium cyanoborohydride is employed.

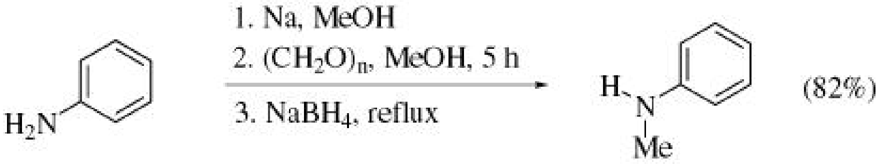
3.22. Other Boranes

Although typical borane reagents have limited utility in reductive aminations, one exception is the use of borane-tetrahydrofuran in the alkylation of primary aliphatic and aromatic amines with ketones and aldehydes. (331) The preparation of tritiated borane-tetrahydrofuran has been reported. (234) Catecholborane effects reductive alkylations of pyruvic acid derivatives whereas the use of borane-tetrahydrofuran or the borane-diethylaniline complex results in exclusive reduction of the carbonyl group. (332) Borane dimethyl sulfide with titanium(IV) isopropoxide and titanium(IV) tetrachloride gives higher yields of products in reductive aminations than does borane-tetrahydrofuran. (333)

4. Scope and Limitations: The Carbonyl Component

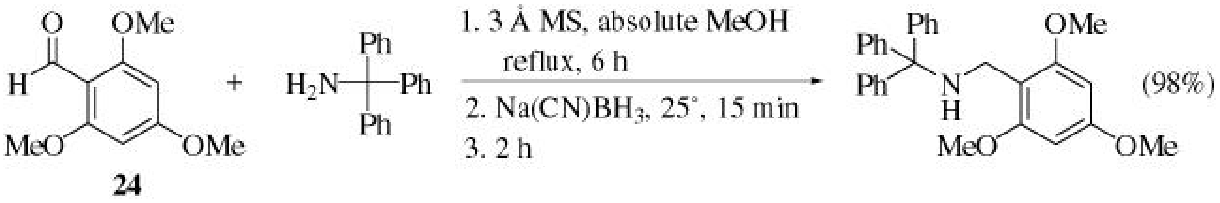
4.1. Aldehydes

A variety of aldehydes and ketones are routinely reductively alkylated with amines, and limitations are based on steric as well as electronic factors. Formaldehyde and aliphatic aldehydes are especially reactive partners. Dialkylated products form readily when these substrates are combined with primary amines since the initially formed secondary amines are more reactive than the starting amine. Monoalkylation with aliphatic aldehydes is effected by using either an excess of amine or a 1:1 mixture of aldehyde to amine and ensuring that imine formation is complete prior to addition of reducing agent. (21, 22, 300) Dimethylation with formaldehyde cannot be controlled since a large excess of formaldehyde is typically used, although a mixture of mono- and dimethylated products can be observed. (330, 334-337) However, monomethylation of primary amines is achieved by condensation with paraformaldehyde in the presence of methoxide to form the imine, which is subsequently reduced (Eq. 47). (338-341)



(47)

Primary amines will undergo reaction with one aldehyde followed by a second one to introduce two *N*-alkyl substituents sequentially. (177) Tyramine is reductively alkylated with 6-bromoisovanillin and then with formaldehyde in one pot. (342) Aromatic and heteroaromatic amines undergo reductive alkylations readily with hindered aliphatic aldehydes such as pivalaldehyde (343, 344) and α , α -dimethylphenylacetaldehyde. (345) For instance, the electron-rich 2,4,6-trimethoxybenzaldehyde (**24**) reacts with tritylamine in good yield (Eq. 48). (346, 347) α , β -Unsaturated aldehydes are also utilized in reductive aminations although imine formation is slow and reduction of the double bond and Michael addition are competing side reactions. (336) Alternatively, these substrates undergo an efficient two step process when the imine is formed in trimethyl orthoformate followed



(48)

by treatment with sodium borohydride in methanol. (348) Aldehydes derived from amino acids are used extensively in reductive aminations, and the resulting aminomethyl group can act as an amide replacement in peptidomimetic research. Fluorenylmethyloxycarbonyl (Fmoc)-protected glycine aldehyde affords a higher yield of bis-alkylated products when compared with α -substituted α -amino aldehydes. (349) Acetals such as sugars readily undergo reductive amination, and the reductive amination of carbohydrates is applied in chromatographic analysis. (350-381) Aminals are also reductive amination substrates although molecular sieves or an acid additive is generally required for activation.

4.2. Ketones

Aliphatic and cyclic ketones are excellent reductive amination substrates, and some cycloalkanones are reported to be even more reactive than aliphatic aldehydes. (300) Ketones typically do not bis-alkylate primary amines, although dialkylation of an amine by a highly reactive cyclobutanone has been reported. (21) Hindered ketones, including *tert*-butyl (185, 382, 383) and adamantyl (195, 199) ketones, are reductively aminated with ammonium acetate or primary amines. Even many bicyclic ketones, such as norbornanone and tropinone, react readily with amines, camphor being an exception. (21) Additionally, mixed aliphatic aromatic and heteroaromatic ketones react well with primary and secondary amines. Interestingly, a 3-thienyl ketone affords the alkylated amine in much better yield than does the corresponding 2-thienyl ketone. (383) However, diaryl ketones react very poorly and require the addition of Lewis acids. (81) α , β -Unsaturated ketones are of limited utility in reductive aminations because they are weakly electrophilic. For example, in a competition experiment in which a mixture of acetylcyclohexane and 1-acetylcyclohexene is treated with benzylamine and sodium triacetoxyborohydride, the only product observed is the one derived from acetylcyclohexane. (21) α -Ketoacids, such as pyruvic acids, are utilized in reductive aminations to provide α -amino acids. 1,3-Diketones, β -ketoesters, and β -tetralones are also used as substrates despite the fact that these ketones are extensively enolized. In fact, reactions of β -ketoesters (15) and β -ketophosphonates (383) are thought to proceed via an enamine. Even ketals can be reductively aminated; molecular sieves or acid additives are usually required to drive the reaction.

4.3. Selectivity among Carbonyl Groups

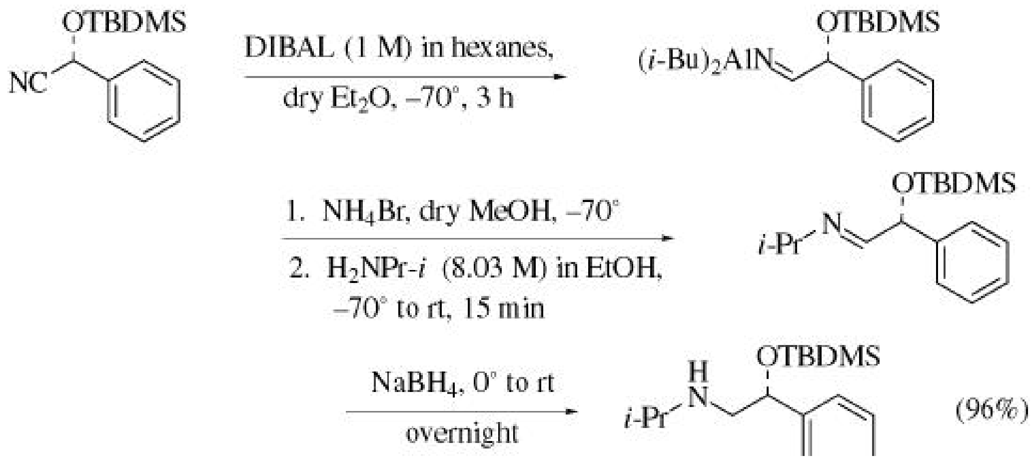
Selective reductive amination can often be achieved in substrates containing two carbonyl groups. There are a number of examples where a substrate containing an aliphatic aldehyde and a hindered aliphatic ketone reacts with an amine at the aldehyde only. (384-387) An α , β -unsaturated aldehyde selectively undergoes reaction with an aromatic amine in the presence of an aliphatic aromatic ketone. (159) The aldehyde group reacts preferentially when present in certain substrates also containing an α , β -unsaturated ketone, (388-394) acetal, (395) biaryl ketone, (119) or a ketal. (61, 122, 388, 389, 396, 397) Steric factors can govern selectivity, as in the case of steroidal diketones. (398-403) Aliphatic ketones can also be selectively reductively aminated in the presence of an aliphatic aromatic ketone, (62) diaryl ketone, (404) and an α , β -unsaturated ketone. (405) The reductive amination of an aliphatic aromatic ketone in the presence of a diaryl ketone has also been reported. (406) Ketones react selectively over acetals (278, 407) and ketals, (21, 86, 88, 90, 408-413) and an α , β -unsaturated ketone reacts selectively in the presence of a ketal. (408) Furthermore, reductive aminations of aldehydes can be conducted in acetone as solvent. (20, 414)

4.4. Carboxylic Acids

Reductive alkylations of amines with carboxylic acids require sodium borohydride and heating, thus increasing the possibility of side reactions. However, these conditions are particularly useful for reductive amination of secondary aromatic amines (235, 247, 248, 259, 327) or bis-aromatic amines (235, 248, 246, 415-418) which often react sluggishly with aldehydes or ketones.

4.5. Nitriles

A one-pot reductive amination of nitriles involves in situ reduction to an iminoaluminum species, trans-imidation by addition of a primary amine, and then further reduction to the product amine (Eq. 49). (419-428) This method has been applied to the synthesis of chiral 1,2-aminoalcohols from cyanohydrins.

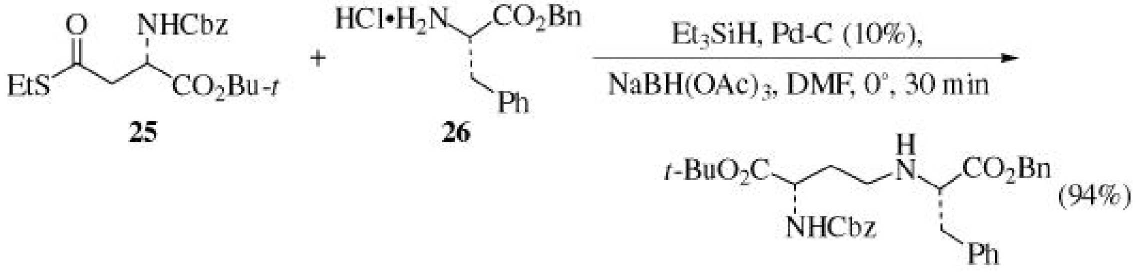


(49)

4.6. Masked Carbonyl Groups

Treatment of a glycosidic linkage with a β -glucosidase liberates an aldehyde that can then be subjected to conditions of reductive amination. (429-432) Moreover, 6-bromopyranosyl sugars are treated with zinc to effect ring opening and subsequent liberation of an aldehyde. (433-439) An α -sulfonyl ether is unmasked under the conditions of reductive amination to give a reactive ketoaldehyde. (435)

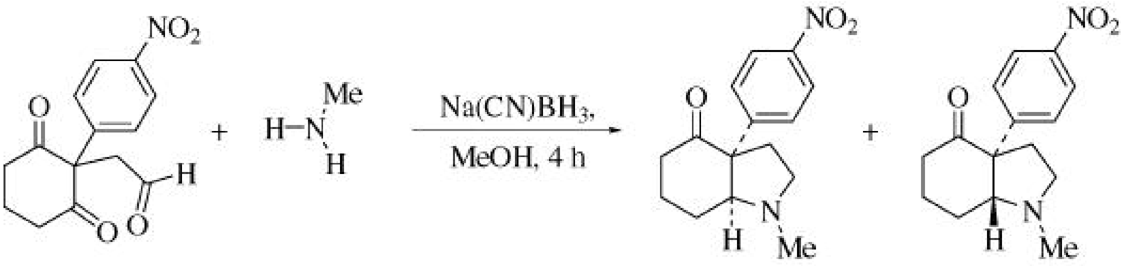
Cyanohydrins can be starting materials in reductive aminations. (440) *S*-Ethyl thioesters have also been used as masked aldehydes in cases where the aldehyde itself is unstable. (441) Combination of thioester **25** with amine **26** in the presence of palladium on carbon and triethylsilane generates an imine; on subsequent addition of sodium triacetoxyborohydride the intermediate imine is reduced to yield the expected monoalkylated product (Eq. 50). (441) Under these reaction conditions, olefins, except for those that are conjugated, are susceptible to reduction. Aldehyde reduction, another potential side reaction, is suppressed when the solvent is DMF or 2% HOAc in DMF rather than methanol-water.



(50)

4.7. Dicarbonyl and Tricarbonyl Substrates

Reductive aminations of 1,4-, 1,5-, and 1,6-dicarbonyl compounds provide a method for preparing cyclic amines. Dialdehydes, ketoaldehydes, and diketones are successful reductive amination substrates for this purpose, as are compounds containing masked carbonyls such as acetals or ketals. Reductive amination of a dicarbonyl compound in which one of the reacting centers is an amide has been reported. (296, 297) When the dicarbonyl substrate is a ketoaldehyde, the first reductive amination presumably occurs at the aldehyde with subsequent reaction at the ketone. New stereocenters are typically formed in reactions of dicarbonyl compounds, as illustrated by the reaction of diketone **27** with methylamine yielding products **28** and **29** (Eq. 51). (442) Cyclization proceeds after the first reductive amination

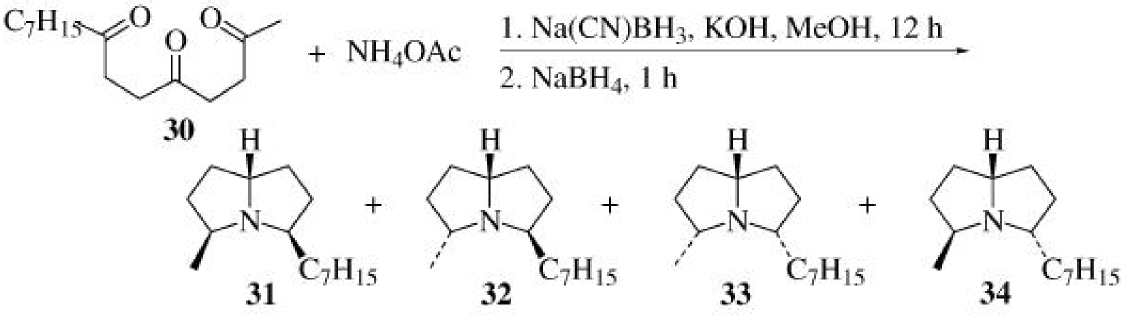


27 28 29

(62%) **28**:**29** = 1.5:1

(51)

because the second imine formation is an intramolecular process that is usually faster than the corresponding intermolecular one. However, diamination in addition to cyclization is observed in some instances. (158, 399) Monoreductive alkylation may occur in situations where one of the carbonyl groups is significantly less reactive than the other (443) or the amine is weakly nucleophilic. (444) Tricarbonyl compounds like pentadecane-2,5,8-trione **(30)** can be used to prepare bicyclic amines, often yielding a mixture of stereoisomers such as the substituted hexahydropyrrolizines **31–34** (Eq. 52). (436, 445-451)

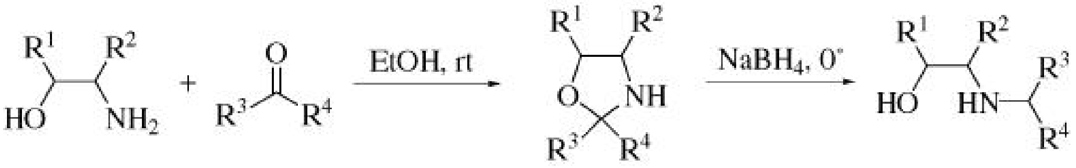


(80%) **31**:**32**:**33**:**34** = 14:2.2:2:1

(52)

5. Scope and Limitations: The Amine Component

The simplest amines in reductive aminations are unsubstituted ammonium salts such as ammonium acetate, although ammonium trifluoroacetate and other salts have also been used. (15, 452) Most aliphatic and aromatic primary and secondary amines participate in reductive aminations. Primary amines readily undergo dialkylation, particularly with aldehydes since the monoalkylated product is often more nucleophilic than the starting amine. This problem can be circumvented by limiting the quantity of carbonyl compound used and also by ensuring that imine formation is complete prior to the addition of reducing agent. Furthermore, rapid reduction of the imine prevents reaction of the product amine with imine. Primary 1,2-aminoalcohols react with aldehydes and ketones to form an intermediate oxazolidine, which is reduced in situ to the *N*-monoalkylated product (Eq. 53). (453-457) 1,3-Aminoalcohols presumably react in a similar manner via an



(53)

oxazine. (458) In some examples, the intermediate oxazolidines (459, 460) and oxazines are isolated (335) and then reduced to the desired alkylated product. Similarly, reductive alkylation of 2-aminobenzenethiol is believed to proceed via a benzothiazoline intermediate. (461)

Sterically hindered amines including *tert*-butylamine, (390, 462) neopentylamine, (390) tritylamine, (346) and an α , α -disubstituted benzylamine (463) react readily with aldehydes. *tert*-butylamine even undergoes reductive amination with benzophenone in modest yield. (81) A definitive and systematic study has been reported involving the use of sodium triacetoxyborohydride to effect these types of reductions. (21)

Electron-deficient anilines can often be used in reductive alkylations with aldehydes and aliphatic and alicyclic ketones. For example, 2-nitroaniline and cyclohexanone give the expected product in about 30% yield, but 2,6-dichloro- or 2,6-dibromoanilines are not reductively alkylated by ketones. (21) Good yields of product are reported for the reaction of 2,6-dimethylaniline or 2,4,6-trichloroaniline with formaldehyde (154) or acetone, (464) but a 2,6-dibromoaniline does not undergo reaction with formaldehyde and sodium borohydride. (465) In another case, 2-fluoroaniline undergoes reaction with a β -ketoester in modest yield. (466) The reaction of secondary aromatic amines

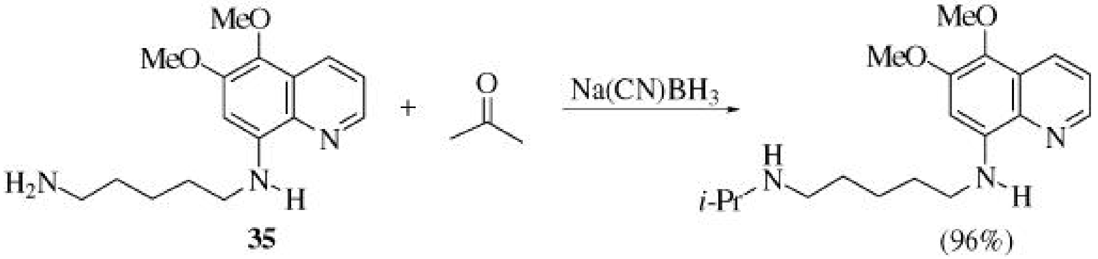
with aromatic aldehydes and ketones is problematic, and only a few successful examples have been reported. (160, 467-470) Reductive alkylation can be achieved when a benzoic acid is used as the carbonyl component. (327)

2-Aminopyridine derivatives have been used in the reductive amination of monosaccharides (320, 351, 471) and oligosaccharides. (320, 472-474) Other related amino-substituted π -deficient heterocycles have also been reductively alkylated. (322, 324, 475, 476)

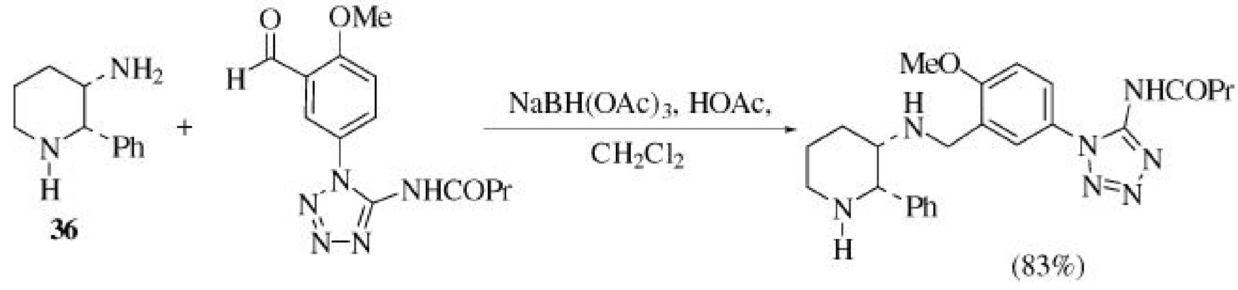
Silylated amines such as hexamethyldisilazane derivatives can be used in reductive aminations, having the advantage of enhanced solubility in organic solvents compared to ammonium salts. (81, 204) Hydrazines, (21, 75, 154, 444, 477-490) *N*-hydroxylamines, (19, 49, 288, 289, 303, 480, 481, 491-512) and *N*-alkoxyamines (301, 513) can also be employed. However, reductive amination of an aliphatic ketone with *tert*-butylhydrazine is not successful, and occasionally a hydroxylamine is reduced to the unsubstituted amine upon heating. (480) Hydrazine can be used as an ammonia equivalent in reactions with carbonyl compounds in the presence of borohydride exchange resinnickel acetate. (225) Reductive alkylation of an *N*-acyl hydrazine on the non-acyl nitrogen has been reported. (514)

Weakly nucleophilic nitrogens such as those contained in amides or ureas do not generally participate in the reaction, although a few instances have been reported involving ureas, (211) sulfonamides, (21) and *N*-sulfinylamines. (203)

Reductive alkylation of lysine typically results in reaction at both nitrogens, (20, 45, 515, 516) although exclusive reaction at the ε -nitrogen has been reported. (233, 517, 518) Reductive alkylation of LY264826, a vancomycin derivative that contains two primary amino groups as well as a secondary amine, affords mixtures of mono-, di-, and trialkylated products. (519) Primary amines can react selectively in the presence of secondary amines, particularly aliphatic aromatic amines such as **35** (Eq. 54), (522) or hindered amines like **36** (Eq. 55). (21, 519-523) In addition, an aminodeoxyadenosine reacts with formaldehyde exclusively at the primary amine on the sugar moiety whereas the amino substituent on the purine does not react. (453)



(54)

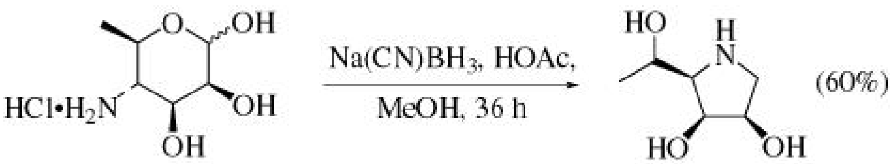

NH2
Ph
N
H
36
+
O
H
OMe
N
NHCOPr
N
N–N
NaBH(OAc)3, HOAc,
CH2Cl2
MeO
H
N
NHCOPr
N
N
N=N
Ph
N
H
(83%)

(55)

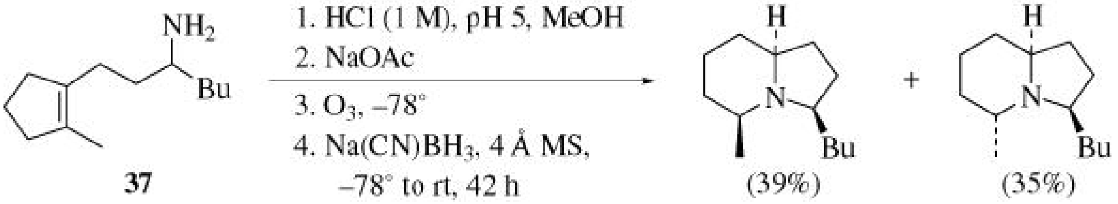
6. Intramolecular Reductive Aminations

Intramolecular reductive aminations require substrates in which either the carbonyl or amino group is masked; otherwise, the unprotected moieties would interact prematurely. Generally, the reactive groups are liberated under the conditions employed for the reductive amination. In reactions in which the substrates contain an amine protected as an azide, (524-545) nitro group, (546-555) acetyl nitronate, (556) nitroso acetal, (557) *N*-(carbobenzyloxy)amino, (558-573) *N*-(*tert*-butyloxy-carbonyl)amino, (574) *N*-benzyl group, (575-581) *N*-(9-phenylfluoren-9-yl) group, (582, 583) or imidate, (584) or when the carbonyl group is protected as an *O*-benzyl acetal, (534, 397, 585-587) the free amine or carbonyl is generated and reductive amination occurs in one pot under the conditions of catalytic hydrogenation. Alternatively, either the amino or carbonyl moiety is deprotected separately, and the reductive amination step alone is conducted with hydrogen. (588, 589) In addition, the reduction has been carried out with zinc (590) or electrochemically. (591)

In intramolecular reductive aminations where soluble hydrides are used, the carbonyl group is often masked as an acetal (592-596) or ketal (408) which then reacts directly or is hydrolyzed under acidic conditions to afford the free carbonyl group (Eq. 56). (592) Alternatively, an olefin, such as cyclopentene derivative **37**, is ozonized to generate an aldehyde or ketone that subsequently undergoes reductive amination (Eq. 57), (433, 436, 439, 597-599) or an alcohol is oxidized to provide the



(56)

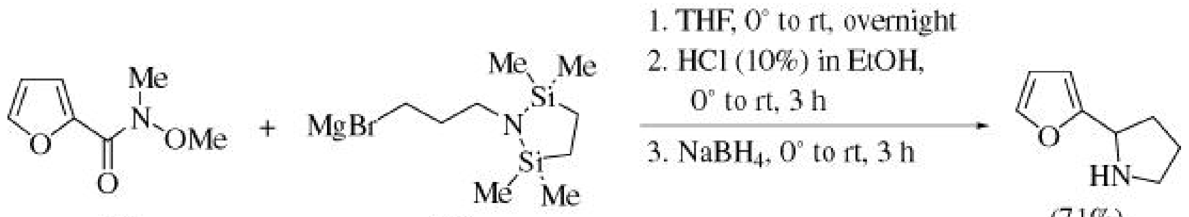


(57)

requisite ketone. (446) In another instance, an aldehyde is first masked as an oxime and then ozonized to provide the reactive carbonyl group. (600) In yet another example, an aldehyde is generated in situ from an ester by DIBAL

reduction. (601-603)

Azides are utilized as latent amines that, upon liberation by treatment with triphenylphosphine followed by the addition of reducing agent, undergo reductive cyclization. (216, 604, 605) Alternatively, the azido group can be reduced catalytically, and then sodium cyanoborohydride used to mediate reductive amination. (606) Carbobenzyloxy-protected amines can be deprotected by hydrogenolysis followed by addition of sodium cyanoborohydride to effect reductive amination. (120) A trifluoroacetamido group can be used as means of amine protection prior to reductive alkylation. (607) In an unusual example, an allylic sulfide containing a ketone is treated with an *S*-aminating agent, and subsequent [2,3]-sigmatropic rearrangement affords an allylamine that undergoes intramolecular reductive amination in situ upon the addition of a reducing agent to yield a piperidine derivative. (608) Finally, *N*-methoxy-, *N*-methylamide **38** (Weinreb's amide) reacts with 3-(*N*-silylamino)propyl Grignard reagent **39** generating an aminoketone which is then *N*-deprotected and treated with a reducing agent in one pot to prepare a 2-substituted pyrrolidine (Eq. 58). (609)



(58)

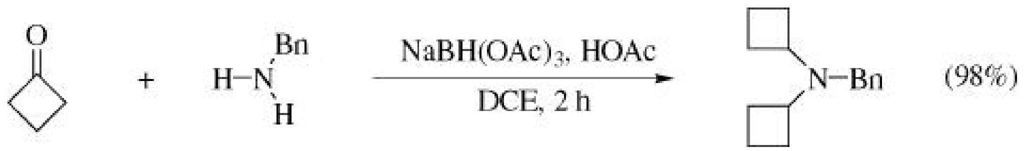
Amines have been derivatized as amides, (610, 611) *N*-benzyloxycarbonyl urethanes, (612) or as benzylidene groups prior to reaction. (613, 614) Some intramolecular reductive aminations are conducted with hydride reducing agents, but the intermediate imine, (150, 284, 408, 549, 615-637) α -hydroxylamine, (638, 639) α -methoxyamine, (640) or *N,O*-ketal (641) is isolated prior to addition of the reducing agent. A nitrone formed by intramolecular condensation of a ketone with an *N*-hydroxylamino group is also reduced under appropriate conditions. (642) In one application, the carbonyl group is masked as a thioacetal (615) and, in another, a latent dicarbonyl is present as a furan. (616) Substrates in which the amine component is protected with a *tert*-butoxycarbonyl group have been used, (620, 626, 638) although in one reaction the intermediate imine is isolated and reduced by catalytic hydrogenation. (574) An *N*-carbobenzyloxy group on an amine can be removed by hydrogenolysis, and reductive amination is carried out upon the addition of a hydride source. (619, 624, 640) The nitro group of a

3-nitropropylaryl ketone is reduced by hydrogenation to the corresponding aminoketone that cyclizes to a 2-arylpyrroline. After purification, the isolated imine is reduced with sodium cyanoborohydride providing a highly functionalized pyrrolidine. (625) In a synthesis of solenopsin, a 4-aminopentyl-substituted ketal is subjected to acid treatment to provide a 1-piperidine. Reduction with lithium aluminum hydride-trimethylaluminum complex affords the target molecule. (643)

7. Side Reactions

7.1. Overalkylation of the Amine

Overalkylation of the amine product is a common side reaction, which is generally observed in the reaction of primary amines with aldehydes. When formaldehyde is used bisalkylation of a primary amine is very difficult to avoid, although monomethylation of an aniline with formaldehyde and sodium cyanoborohydride occurs when acetone, rather than acetonitrile, is the reaction solvent. (334) In another study, treatment of a primary amine with formaldehyde and sodium cyanoborohydride in aqueous solution provides a mixture of mono- and dimethylated materials. (644) Mono- versus dialkylation of an aminomethyl-substituted dipeptide is controlled by choice of the protecting group on the terminal nitrogen. (645) Two alternatives for monoalkylation are condensation of the amine with paraformaldehyde in strong base followed by treatment with sodium borohydride, (338) and reaction of an aromatic amine with trialkyl orthoformate followed by treatment of the isolated alkylimidate with sodium borohydride. (646) Alternatively, the amine can be treated with an aldehyde in trimethyl orthoformate, and the isolated imine is then reduced with sodium triacetoxyborohydride. (647) Monoalkylation is also enhanced by using an excess of amine or a 1:1 mixture of aldehyde:amine and preforming the imine prior to the addition of reducing agent. (21, 22, 300, 648) The pH of the reaction medium has an effect on dialkylation in the reaction of a primary amine with an α -ketocarboxylic acid as dialkylation is observed with the sodium salt of the acid, which is more soluble and reactive than the acid. (649) Carboxylic acids and primary amines (particularly aliphatic amines) give dialkylated products. (54, 240, 247, 327, 409, 650-668) In some instances, aromatic primary amines are dialkylated, (235, 248, 669) and the bis-adduct of cyclobutanone and benzylamine forms readily (Eq. 59). (21)



(59)

Dialkylation is observed more frequently with aldehydes than with ketones as substrates in the reaction of ammonium salts or primary amines because of the greater reactivity and reduced steric bulk of aldehydes. (21, 22, 195, 211, 222, 229, 280, 300, 336, 349, 395, 453, 477, 515, 574, 659, 670-706) Trialkylation is observed in the reaction of ammonium salts with some

aldehydes, (395, 707) as is dialkylation of ammonium species with some ketones (19, 707-713) and, in one example, a ketal. (714)

7.2. Reduction of the Carbonyl Component to the Corresponding Alcohol

Another common side reaction in reductive amination chemistry is reduction of the carbonyl component to the corresponding alcohol. This problem can be avoided if the imine species is completely formed prior to addition of reducing agent. If imine formation is slow because of poor reactivity of either the amine or carbonyl component, this side reaction may be unavoidable. Competitive reductions of aldehydes, (21, 45, 158, 159, 214, 221, 301, 441, 475, 515, 517, 671, 673, 682, 687, 688, 715-732) ketones, (19, 21, 22, 39, 48, 94, 141, 214, 220, 222, 285, 330, 331, 333, 396, 444, 452, 717, 733-760) an α , β -unsaturated ketone, (147, 611) and even a dienone (761) have been reported. In one account, reduction of an aldehyde is suppressed by changing the reducing agent from sodium cyanoborohydride to sodium triacetoxyborohydride. (723) In a related example, a hemiaminal is reduced, and the alcohol that is generated attacks an adjacent ester in the substrate to form a lactone. (762) Higher pH can bias the reaction toward reductive alkylation over carbonyl group reduction. (19, 734, 743)

7.3. Other Competing Reductions

The double bond of an α , β -unsaturated carbonyl starting material is often reduced. (61, 159, 160, 187, 383, 408, 631, 715, 763) The double bond, in conjugation with the iminium moiety, is susceptible to 1,4-conjugate reduction. This side reaction is avoided by increasing the pH of the reaction medium. (16, 408) It has been reported that an α , β -unsaturated ketone produces the corresponding saturated alcohol. (147, 611) sodium borohydride mediated reduction of an N-O bond has also been reported. (764) sodium cyanoborohydride reduces an aryl ketone or aldehyde to the corresponding methylene (736) or methyl (765, 766) group, and reduces an aliphatic aldehyde to a methyl group. (675) In the reductive amination of formyl-substituted tetrapyrroles, the corresponding methyl-substituted tetrapyrroles are isolated as by-products (13–17% yield) when either sodium borohydride (765) or sodium cyanoborohydride (766) is employed. When the acetaldehyde moiety of the macrocyclic lactone antibiotic leucomycin A_3 is treated with ammonium acetate and sodium cyanoborohydride, trace amounts of the ethyl-substituted lactone are isolated. (675) Similarly, when an 8-oxobenzomorphan derivative is subjected to similar conditions, the by-product resulting from reduction of the ketone to a methylene group is isolated in 20% yield. Concomitant over-reduction of a pyridine ring has been observed. (615) Under acidic reductive amination conditions, indoles are reduced to the corresponding indolines. (235, 743, 767, 768) Further, a 2-bromo-3-ketophosphonate is reductively debrominated. (383)

7.4. Addition of Nucleophiles to the Iminium Species

Occasionally nucleophiles other than hydride add to the intermediate imines. A common example is the addition of cyanide ion to give α -cyanoamines when sodium cyanoborohydride is the reducing agent. (81, 131, 204, 299, 719, 733, 741, 769-773)

Methanol can trap an iminium species; (774) for example, the *N*-methoxymethyl compound rather than the expected *N*-methylated product is isolated in a reductive amination procedure using methanolic formaldehyde. (775) In another attempted intramolecular reductive amination, the intermediate imine is trapped by either methanol or ethanol. (462) Formation of *N*-hydroxymethylated material as a byproduct in *N*-methylation with formaldehyde has been reported, resulting from either incomplete reduction or nucleophilic addition of water to the iminium species. (776, 777) Treatment of the *N*-(hydroxymethyl)amine with sodium borohydride produces the desired *N*-methylated product. In the reaction of an amine substrate containing a secondary amide with formaldehyde, the amide nitrogen is *N*-hydroxymethylated, and this process is reversed by treatment with aqueous hydrochloric acid in refluxing methanol. (778)

Intramolecular nucleophilic trapping of the iminium species can occur. In one example, an oxygen atom positioned β to the carbon atom of an iminium group attacks to form an oxazolidine, (453, 779) which is then cleaved with a reducing agent. In a related example, a γ -hydroxy group adds to the β position of an α , β -unsaturated iminium species, forming an epoxide. (611) Trapping of an iminium intermediate by an adjacent nitrogen or sulfur atom affords the corresponding imidazolidine, (331) dihydrodiazine, (777, 780) or thiazolidine. (331, 781) Reaction of an aldehyde with a 1,2-diaminoethane or 1,3-diaminopropane gives the corresponding 1,3-diazacyclopentane or 1,3-diazacyclohexane, respectively, as by-products. (782) Intramolecular migration of a tertiary benzylic carbonium ion to an iminium species is also observed. (270)

7.5. Side Reactions Unique to Cyanoborohydrides

A cyanohydrin is isolated as a by-product in a reductive amination using sodium cyanoborohydride. (744, 756) Also, cyanoborane adducts of the product amines hinders their isolation. (471, 778, 783-786) Hydrolysis of these materials to provide uncomplexed amines is difficult; however, some success has been realized using refluxing triethylamine-tetrahydrofuran (778) or potassium hydroxide in refluxing aqueous methanol. (784, 787)

7.6. Side Reactions Unique to Acyloxyborohydrides

Acylation of amines can occur when acyloxyborohydrides are formed in situ (228, 237, 240, 249-251, 264, 269, 788) and when sodium triacetoxyborohydride is employed as the reducing agent. (21, 789) Reductive alkylation of a primary amine with a variety of substituted phenylacetic acids is

successful except with 4-nitrophenylacetic acid, where the only product isolated is the corresponding amide. (788) Another side reaction involving sodium triacetoxyborohydride is *N*-ethylation of the reacting amine (21) where the ethyl group arises from one of the acyloxy ligands. This side reaction generally occurs either at elevated temperatures or when reduction is slow.

7.7. Miscellaneous Side Reactions

Occasionally the intermediate imine (21, 71, 331, 443, 487, 790) or enamine (674, 773, 791) is isolated. In these instances, the imine is unreactive for either steric or electronic reasons or because it is poorly soluble. (790) One intermediate carbinolamine fails to be dehydrated to the corresponding imine. (487, 792) In the reaction of 1,4-dicarbonyl substrates to form cyclic amines, the corresponding pyrroline, (145) pyrrole, (145, 436, 727) or enamine (443, 487) is isolated, indicating incomplete reduction. Diamine byproducts that arise from titanium(IV)-mediated coupling of the intermediate imines are isolated when using borane methyl sulfide with titanium(IV) isopropoxide and titanium tetrachloride. (332)

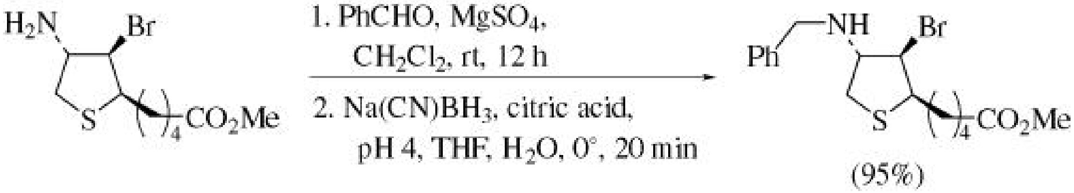
Acetals (793, 794) and ketals (71) are side products in reductive aminations with sodium cyanoborohydride in alcoholic solvents such as methanol. This pathway can be eliminated by using aprotic solvents or sterically hindered alcohols such as isopropyl or *tert*-butyl alcohol, depending on the solubility of the reactants. The formation of a dimethyl acetal can be suppressed by decreasing the amount of acid present in the reaction mixture. (795) Reaction of an indole nitrogen with formaldehyde is prevented when the solvent is changed from methanol to acetonitrile. (691)

Some side reactions arise because of the particular reacting species involved. For instance, reductive amination of a β -phosphonopyruvate with ammonium bromide results in some amide formation, which is averted by using ammonium acetate. (755) The transesterification of a benzyl to a methyl ester is observed in methanol, (796) but can be avoided by using 2-propanol (797, 798) as the reaction solvent. Also, transesterification of an ethyl ester to a methyl ester, (799) and that of a trichloroethyl ester to the corresponding methyl ester are observed. (117) Reductive amination with sodium borohydride and titanium(IV) isopropoxide causes transesterification of some ethyl esters. This transesterification is circumvented by using titanium(IV) tetraethoxide. (193) Hydrolysis of a 9-fluorenylmethyl ester (441) and deacetylation of an alcohol are other side reactions that have been observed. (792) Deacetylation of an amine can be avoided by using acetonitrile rather than methanol as the solvent. (102) Lactones can undergo ring opening by methanol as well as by amines. (800)

Intramolecular acyl transfer has been reported. (789) In a similar situation, a γ -ketoester undergoes keto-enol tautomerism with subsequent lactonization

and double bond migration to form an α , β -unsaturated lactone. (773) Intramolecular lactamization is observed after introduction of an amino group into the substrate by reductive alkylation, followed by attack of the amine nitrogen on an ester (84, 383, 441, 765, 801) or lactone. (802, 803) This process can be prevented by conversion of the ester to an amide. (801) In many synthetic schemes, however, the sequence of reductive amination followed by intramolecular lactamization is desirable.

Competitive formation of the corresponding aziridine during the cyclization of a β -bromoethylamine is suppressed through the use of buffered acid (Eq. 60). (804) Hydrolyses of a triphosphate to a diphosphate, (730) of sugar moieties



(60)

from a daunorubicin derivative, (742, 758) and of a digoxin analog (796) are all observed. Similarly, reaction of certain nucleoside aldehydes leads to depurination. (301) Treatment of an α -epoxyketone with benzylamine and sodium triacetoxyborohydride results in reductive amination followed by intramolecular opening of the epoxide by the amine to yield an aziridine. (282) Use of tetramethylammonium triacetoxyborohydride suppresses aziridination. In addition, intramolecular attack of a 1,3,4-oxadiazole by an amino group is reported. (805) Omitting the titanium(IV) reagent avoids the intramolecular cyclization of a 1-(2-aminophenyl)-2-pyrrolidinone to the corresponding benzimidazole when that substrate is reacted with sodium cyanoborohydride and titanium(IV) tetrachloride (83)

Stereocenters α to the carbonyl or imine can epimerize, since the proton adjacent to the intermediate iminium species is acidic, such as in the case of α -alkoxyaldehydes. (721, 732, 798, 806-808) In the reductive amination of glucose, epimerization of the 2-hydroxyl gives the corresponding manno isomer. (320) This process is thought to proceed via an Amadori rearrangement to the 2-keto derivative that is subsequently reduced to the alcohol. Epimerization adjacent to a ketone carbonyl can also occur. (44, 125, 770, 802, 809) Racemization of α-amino acids (117, 619, 706, 810) and α -amino aldehydes (797, 811-814) are especially facile owing to the enhanced acidity of the α proton. An extensive study appeared that describes the extent of racemization of α -amino acids as a function of pH and reducing agent. (810) Epimerization of α -amino aldehydes can be suppressed by ensuring that the imine is rapidly trapped by hydride. (814, 815) Racemization of a benzylic center adjacent to an amine is also possible. (816) Other sites remote from the

reacting centers can undergo epimerization, such as those involving benzhydryl or allyl functionality. (204) Racemization at a carbon atom adjacent to the nitrogen atom of a β -keto amide is observed. (44) Similarly, deuterium atoms adjacent to a carbonyl group can be exchanged to give the corresponding protio species. (817) This process is minimized by the removal of basic impurities from sodium cyanoborohydride.

Some researchers suggest that rearrangements of aldimines to the more stable ketimines are responsible for certain side reactions. (516) Certain cyclic polyamines can fragment on reaction with formaldehyde and hydride reducing agents. (818) Indoles and sodium formic acid (258) or trifluoroacetic acid (246) on treatment with borohydride undergo a variety of transformations, including ring opening, dimerization, and formation of bis adducts with trifluoroacetic acid. An intramolecular Mannich side reaction has also been reported. (769) Double reductive amination occurs on a substrate in a reaction designed for monoreductive amination only, (819) but monoalkylation is controlled by adding a large excess of amine. (820) Many unusual carbon-carbon bond cleavages are observed in the attempted reductive amination of FK-506. (751) Double bond migration (430, 821) and isomerization (677) are also seen in this system. In the reductive amination of rifaldehyde, an aldehydic macrocycle, the nitrogen of the desired amine product adds to the carbonyl group of an adjacent amide forming a dihydropyrimidine. (822, 823) A by-product in the reductive amination of 1,5-dihydrobenzindol-4-one is the fully aromatic tricyclic compound. (743) In the reductive alkylation of an oxime with a carboxylic acid, the oxime itself was an alkylating agent instead of the carbonyl group. (267) Attempted methylation of a ferrocenylmethylamine with formaldehyde in acetic acid and acetonitrile results in displacement of the amino group by acetate ion to afford the corresponding ferrocenylmethyl acetate. (824) Reductive amination of an aliphatic aldehyde tethered to a 2-(2-nitrophenyl)-1,3-cyclohexanedione affords a by-product resulting from reduction of the aldehyde to the corresponding alcohol that subsequently attacks one of the ketones giving a macrocyclic lactone. (442) Reductive amination of an aniline with an aldehyde leads to formation of 1,2,3,4-tetrahydroisoquinolines when the aldehyde and a ketone are stirred in acid prior to addition of reducing agent. (698)

8. Failed Reactions

In some preparations, reductive aminations do not proceed as desired because of the unreactive nature of either the carbonyl or amine components in the initial condensation step. For aldehydes, one unreactive substrate is a 3-substituted-2-formylpyrrole that fails to react with ammonium acetate (825) or hexylamine (826) in the presence of sodium cyanoborohydride. The reaction proceeds in good yield when the imine is preformed in refluxing tetrahydrofuran with molecular sieves followed by the addition of sodium borohydride. A related 2-formylpyrrole containing a remote ester fails to undergo reductive amination with ammonium acetate, whereas the corresponding carboxylic acid does react. (827) The reductive amination of glucose with 2-aminopyridine in aqueous methanol is unsuccessful even at reflux, but the reaction affords a nearly quantitative yield of the product on heating in DMF. (471)

Aminooxadiazoles, electron-deficient heterocycles, are unreactive with benzaldehyde unless the imine is preformed under fairly vigorous conditions, such as in refluxing benzene with *p*-toluenesulfonic acid. (828) In another reaction, reductive alkylation of cystine with 4-dimethylaminobenzaldehyde fails because of the poor electrophilicity of the aldehyde; the corresponding reaction with 4-nitrobenzaldehyde is also unsuccessful. (781) Reaction of an aldehyde attached to a furanose ring with a hydroxylamine is unsuccessful using sodium cyanoborohydride, sodium triacetoxyborohydride, and zinc borohydride as reducing agents with or without acid catalysts, but the desired product is formed in good yield using borane-pyridine with pyridinium *p*-toluenesulfonate. (301) Reductive aminations on a 4-alkoxy-2,6-dimethoxybenzaldehyde fail, possibly because of both steric and electronic effects. (829) Reaction of 8-hydroxyquinoline-2-carboxaldehyde with a primary aliphatic amine and sodium triacetoxyborohydride is unsuccessful whereas the reaction of the corresponding 8-acetoxy derivative does work. (728) A glucopyranosyl aldehyde fails to react with 2-ethanolamine, but reductive amination with aniline is successful. (729)

Camphor is a particularly unreactive ketone that fails to react with benzylamine and sodium triacetoxyborohydride because of steric hindrance. (21) Reductive amination of a 2,2-disubstituted β -ketoester with ammonium acetate is also unsuccessful presumably for the same reason. (755) Reaction of a substituted aryl benzyl ketone and benzylamine with titanium(IV) tetrachloride is successful with sodium borohydride, but bulkier reagents such as sodium triacetoxyborohydride and lithium triethylborohydride do not effect reduction. (28) A ketohexose with a tertiary carbon adjacent to the carbonyl group also fails to undergo reductive amination. (830) Reaction of a decalone bearing an

angular methyl group with benzylamine is problematic. (753) Reductive amination of a tricyclic ketone containing an α -methyl group with aniline in the presence of sodium cyanoborohydride fails, but the reaction proceeds uneventfully when the imine is preformed. (285)

Reductive alkylation of lysine with acetophenone or benzophenone in the presence of sodium borohydride is unsuccessful, (20) as is the reaction of 1-trityl-4-acetylimidazole with aniline. (831) Reductive amination of an *o*-nitroacetophenone with ethyl glycinate fails. (832) In addition, isobutyrophenone does not react with secondary amines and sodium cyanoborohydride, and *tert*-butyl ketones and benzophenones are also relatively unreactive. (19) Reaction of a bispyridyl ketone with a branched primary amine fails with a variety of hydride reducing agents, but is successful with zinc in refluxing 2-propanol. (833) When borane-pyridine is the reducing agent, acetophenone reacts readily with anilines except for 4-nitroaniline, but not with aliphatic amines. (22) Aliphatic amines may be less reactive than aromatic amines because they are more readily protonated; thus less of the free amine is available for reaction.

In some instances, reductive aminations of dicarbonyl substrates fail. A number of 1,5-ketoaldehydes are successfully cyclized to the corresponding piperidines with α -substituted benzyl- and naphthylamines, but not when the α -substituent is a methyl ester. (834) Some other limitations are dependent on ketone substitution. Attempted reaction of a ketoaldehyde that is part of a tetracyclic heterocycle with benzylamine leads to complex product mixtures. (835) The cyclization of a carbohydrate-derived diketone to a highly substituted piperidine is successful using ammonium acetate, but the double reductive amination fails with primary amines. (836) Furthermore, a dicarbonyl compound reacts with two molecules of amine to give an acyclic product. (837)

Reductive aminations with ammonium salts can fail, (39, 204, 614, 753, 755, 756, 838-846) often because of the poor solubility of ammonium salts in organic solvents. (15, 839) An additional impediment is that the intermediate carbinolamine may not eliminate water readily to form the imine species. (838)

Sterically hindered primary amines can be unreactive, such as *tert*-butylamine and 4-aminoheptane. For example, these amines do not react with 1-arylacetone derivatives under the standard Borch conditions. (480) In addition, 2-propylamine and *tert*-butylamine did not undergo reductive amination with 2-carboxyacetophenone, (733) an acetal, (724) or a nitrile, (428) whereas other primary amines do react. Dimethylamine fails to react with a bicyclic acetophenone derivative for steric as well as electronic reasons. (759) Reaction of (dicyclohexyl) methylamine with dicyclohexylketone fails most likely because of steric factors, (847) and a triazaadamantane derivative does

not react with acetaldehyde or acetone. (848) Reductive alkylation of adamantylamine and *tert*-butylamine with an α -methyl substituted steroidal ketone is also unsucessful. (849) As expected, reductive alkylations of tritylamine can be difficult. (850) Reaction of methylamine (851) or ethylamine (852) with one aliphatic ketone is only successful under catalytic hydrogenation conditions. Further, reductive amination of an aliphatic aromatic ketone with an aminoacetaldehyde acetal is unsuccessful. (844)

Reductive alkylation of secondary amines with ketones can be poor. There are instances in which reactions fail even with a reactive partner, such as in treatment of 2-substituted pyrrolidines with formaldehyde. (853) Piperidine fails to react with acetone or cyclohexanone using sodium borohydride. (20) Reaction of a secondary amine with adjacent ring fusion and acetaldehyde is unsuccessful, (854) as is condensation of dimethylamine with a tricyclic ketone. (855) Diisopropylamine fails to react with cycloheptanone, (21) and reductive alkylation of a secondary amine with a β -tetralone is unsuccessful. (856) Hindered amines are not suitable substrates for 4-piperidones. (857) Reductive alkylations of secondary amines with a hindered methyl ketone (858) or aliphatic heteroaromatic ketones (859) are only successful if the imine is preformed. Reductive amination of a hemiacetal with an azetidinyl ester does not work, whereas reaction with the corresponding carboxylic acid is successful. (835, 860)

Aromatic amines are less reactive than aliphatic amines because of their reduced nucleophilicity, but they generally undergo reductive aminations readily. One exception is the combination of 2,6-disubstituted anilines with aldehydes (465) and aliphatic or alicyclic ketones. (21) In addition, 2-nitroaniline reacts only slowly with cyclohexanone, and 2,4-dinitroaniline fails to react with benzaldehyde. (21) 4-(Carboethoxy)aniline does not react with an imidazolyl aldehyde, partly because of the weak nucleophilicity of the amine. (861) Ketosugars do not undergo reductive amination with 2-aminopyridine, a fairly non-nucleophilic amine. (471) A number of limitations are observed in the reaction of bisaromatic amines; for instance, iminodibenzyl fails to react with hexanal whereas iminostilbene, the corresponding dehydro derivative, gives the expected product in good yield. (21)

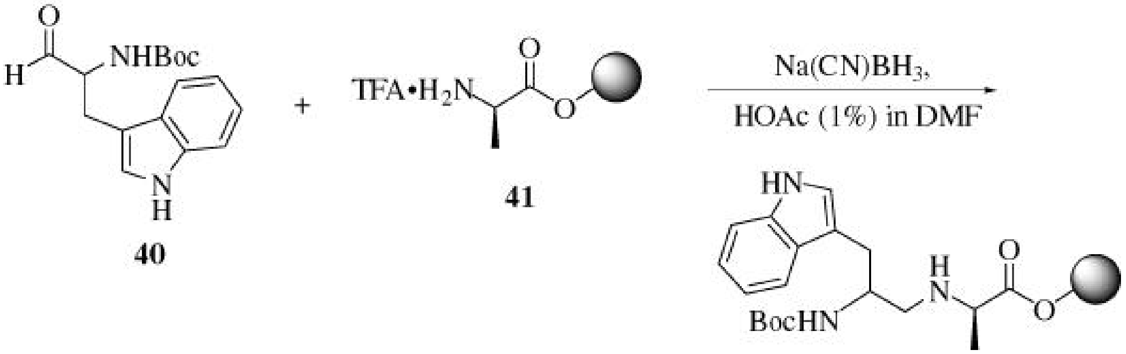
Sometimes the intermediate imine is isolated because it fails to undergo reduction upon addition of the hydride reagent. (151) This problem can often be circumvented by using a more potent reducing agent. Occasionally, the intermediate hydrazone or oxime is not reduced in reactions of hydrazines and hydroxylamines. For example, *N*-phenylhydrazine does not undergo reductive amination with benzaldehyde in the presence of sodium triacetoxyborohydride as only the hydrazone is isolated. (21) Similarly, *N*-hydroxylamine fails to undergo reductive alkylation with cyclooctanone when sodium

triacetoxyborohydride is used, and the corresponding oxime is formed. Amides (21) and ureas (862) are not generally nucleophilic enough to undergo reductive alkylations.

Other reductive aminations fail owing to an inherent instability of the reactants, intermediate imines, or products under the reaction conditions used. For example, attempted reductive amination of a 2-(diethylphosphonyl)glycine derivative with benzaldehyde results in an intractable mixture presumably because either the starting amine or intermediate imine is base labile. (863) Reductive aminations of a malonaldehyde derivative (864) and *trans*-retinal (865) are also unsuccessful. Attempted reaction of 1-oxoalkylphosphonates with benzylamine or α -methylbenzylamine results in cleavage of the carbon-phosphorus bond, although reaction with the more sterically hindered benzhydrylamine is successful. (850) *N*-Methylation of a highly functionalized aminocyclitol with formaldehyde and sodium cyanoborohydride leads to complex product mixtures. (866) Reductive aminations can occasionally result in mixtures of products with no obvious explanation. (867)

9. Reductive Aminations on a Solid Support

Reductive aminations have been extensively applied in solid phase organic synthesis for the preparation of compound libraries. Initially, this reaction was used in peptide chemistry to introduce the — CH_2NH —group as an amide bond surrogate by treatment of a resin-bound amino acid with an amino acid aldehyde. (683, 812, 868-878) Under the original conditions, sodium cyanoborohydride is dissolved in 1% acetic acid in DMF, and generally the resin-bound amine, e.g. **40**, is treated with a soluble *N*-protected amino aldehyde such as the propionaldehyde derivative **41** (Eq. 61). (868) Although the reaction is successful for a



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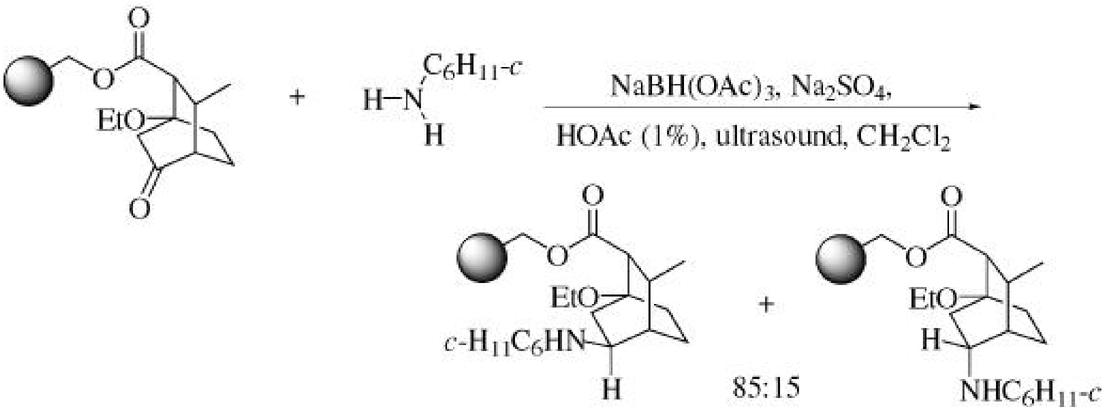
variety of substrates, one attempt that failed is the reaction of *N*-Boc asparagine aldehyde bearing a xanthenyl protecting group on the side chain with a resin-bound *O*-benzyl protected serine. (870) Some studies have probed the tendency of enolizable substrates to racemize, (313, 814) a phenomenon that can be minimized by rapid addition of the reducing agent to the imine. (814) A variety of aminomethyl peptide derivatives have been prepared using the sodium cyanoborohydride and acetic acid in DMF conditions. (797, 813, 815, 879-887) An amino acid can be added as an acid salt in lieu of acetic acid. (888) An amino acid moiety can be coupled with a small organic substrate that is immobilized on a polymer support. (889, 890) In one report, an amino acid is reacted with a resin-bound α -ketoester. (891) Subsequently, solid-phase reductive aminations have been utilized in reactions of non-amino acid substrates. (892-896) Alternative solvents that have been used include DMA, (892) DMF:dichloromethane (1:1), (897) dichloromethane:THF (1:1), (898) THF:water:acetic acid, (899) trimethyl orthoformate with a small amount of acetic acid, (900) methanol or ethanol, (815, 901, 902) aqueous THF with acetic acid, (903) methanol with acetic acid, (904) and aqueous phosphate buffer. (905) Often the carbonyl and amine components are stirred together to ensure complete imine formation prior to the addition of sodium cyanoborohydride. An alternative procedure is represented by the reaction of a resin-bound amine with an aldehyde and

benzotriazole in a triethyl orthoformate:DMF mixture followed by addition of reducing agent in THF. (906)

In some syntheses the imine is preformed on the resin and excess reagents are washed away prior to the addition of sodium cyanoborohydride. (907) Typically, trimethyl orthoformate is used as solvent in the imine-forming step followed by washing the resin and subsequent addition of the reducing agent alone (908) or with a small amount of acetic acid. (344, 909-911) Alternatively, the imine is formed in trimethyl orthoformate (912, 913) or trimethyl orthoformate:dichloromethane, (914) or trimethyl orthoformate:THF mixtures, (915) washed and then treated with sodium cyanoborohydride in DMF (912) or DMF containing a small amount of acetic acid. (913, 914, 916) In one report, the imine is prepared in THF with molecular sieves followed by addition of the reducing agent in ethanol. (917) Imines have also been formed by transimination of a resin-bound amine with another imine, rather than a carbonyl compound, followed by addition of the reducing agent in *N,N*-dimethylacetamide with acetic acid. (918)

Sodium borohydride is used only to a limited extent in solid-phase reductive aminations because it readily reduces aldehydes and ketones. This reagent is used in a one-pot process in dichloromethane, (919) but the imine is formed in advance. In related examples, 1% acetic acid in DMF is used to form the imine prior to addition of sodium borohydride in a mixture of DMF and methanol. (920, 921) Recently the imine was pre-formed in trimethyl orthoformate and then treated with sodium borohydride in methanol, (922) ethanol, (923) or mixtures of THF:ethanol (924) or DMF:ethanol. (925) In one case, a two-step process was reported to be more effective than a one-pot transformation when using additives such as acetic acid or magnesium sulfate. (913) Also, coupling of a dialdehyde with an amine immobilized on an agarose gel is achieved by treatment with sodium borohydride in aqueous borate buffer. (926)

Reactions with sodium triacetoxyborohydride in solid-phase synthesis are typically conducted in DMF containing 1% acetic acid, (887, 927-938) dichloromethane, (939) dichloromethane with a small amount of acetic acid, (940, 941) dichloroethane, (942) DMA, (943) and dichloromethane:methanol mixtures. (944) In addition, the imine can be formed in a 1:1 mixture of trimethyl orthoformate and DMF with acetic acid followed by addition of sodium triacetoxyborohydride. (945, 946) In another report, the imine is prepared in THF with acetic acid and sodium sulfate followed by introduction of sodium triacetoxyborohydride. (947) dichloromethane with ultrasound alone (948, 949) or with ultrasound, sodium sulfate, and a small amount of acetic acid are also used (Eq. 62). (950, 951) In addition, polymer-bound

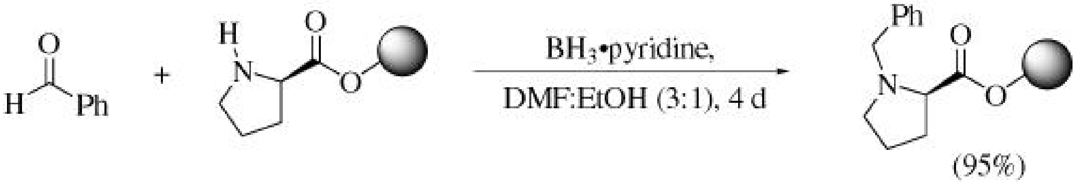


(62)

6-carboxy-4-chromanone reacts with amines and titanium(IV) isopropoxide in toluene followed by addition of sodium triacetoxyborohydride and acetic acid, (952) since the use of sodium triacetoxyborohydride without acetic acid, sodium cyanoborohydride, borane-pyridine, or sodium borohydride results in incomplete reaction. Alternative methods such as heating or sonication result in cleavage from the solid support. In one report, racemization is minimized by combining the reducing agent with either the carbonyl component or amine prior to addition of the other reactant. (930) Tetramethylammonium triacetoxyborohydride is also employed in dichloromethane with acetic acid. (953) An advantage of this reagent is its enhanced solubility in organic solvents. In an interesting example, tetramethylammonium triacetoxyborohydride is used to initiate the reduction with subsequent addition of sodium cyanoborohydride to complete the reaction. (954)

The imine has been preformed in a separate step prior to the addition of sodium triacetoxyborohydride. For example, imine formation is carried out in trimethyl orthoformate (955-960) or a mixture of trimethyl orthoformate and DMF followed by reduction in dichloromethane, (955, 958) dichloromethane with acetic acid (959, 961, 962) or DMF. (960) Alternatively, the imine is preformed in toluene followed by reduction in dichloromethane. (963) In addition, imine formation is conducted in DMF with acetic acid followed by addition of the reducing agent in 1-methyl-2-pyrrolidinone. (964)

Finally, borane-pyridine has been utilized in solid-phase reductive aminations in 3:1 DMF:ethanol as solvent (Eq. 63) (965, 966) or in 1% acetic acid in DMF. (967)



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In more recent reports, the amine and aldehyde are combined in trimethyl orthoformate (968) or trimethyl orthoformate-methanol (969-972) to form the imine followed by the addition of borane-pyridine with a small amount of acetic acid. Moreover, the imine can be preformed on the resin and then reduced with borane-pyridine in a mixture of DMF and ethanol. (908)

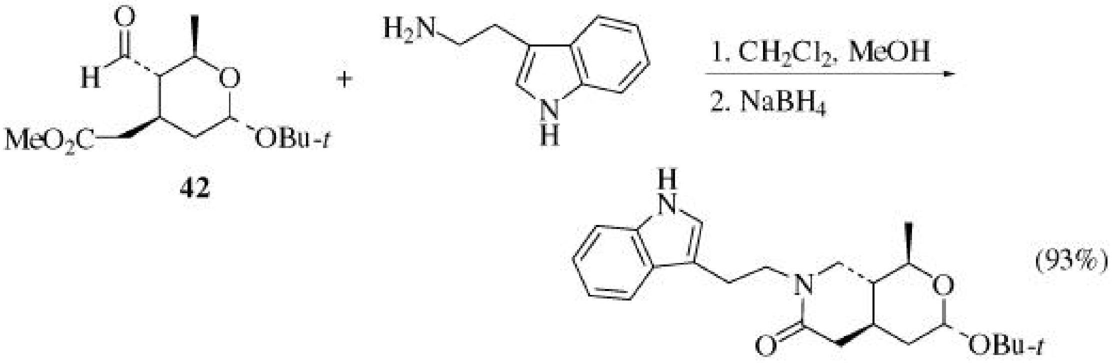
Few systematic comparisons of reducing agents on a solid support have been reported, although borane-pyridine is observed to be more effective than sodium cyanoborohydride and sodium triacetoxyborohydride in limited studies. (908, 969, 970) Also, in one example, sodium cyanoborohydride is reported to be more effective than either sodium borohydride or sodium triacetoxyborohydride. (913) The yields of reductive amination products as a function of the resin linker have been compared. (898)

A common side reaction in solid-phase reductive aminations is overalkylation of the amine, (919, 925, 973) especially in procedures where the amine is immobilized on the resin and an excess of carbonyl compound is employed. This problem can be avoided by pre-forming the imine prior to addition of the reducing agent. (919) In one example, dialkylation is avoided by converting the amine to an amide followed by borane reduction. (973)

Compound libraries have not only been generated via reductive amination on solid supports, but also by using parallel synthesis in solution. (66, 67, 224, 974-981) These reactions are often driven by using an excess of one of the reagents. In one preparation, glycine is used to scavenge the excess aldehyde, thus avoiding chromatographic purification of the reaction products. (975) Alternatively, separation of the desired product from unreacted carbonyl substrate is carried out with a cation-exchange resin (982) although, in one report, removal of excess benzaldehyde is problematic. (983) Resin-bound aldehyde or acid chloride is also used to trap excess primary or secondary amines, respectively. (67, 224)

10. Tandem Reactions

Reductive aminations have been conducted in tandem with a second reaction in the same vessel. The most common example is reductive lactamization in which the newly formed amine condenses intramolecularly with a carboxylic acid or ester forming a lactam. The carboxylic acid moiety can be contained in either the reacting carbonyl compound, e.g. **42** (Eq. 64) (984) or in the amine, e.g. **43** (Eq. 65). (985) With some reactants, lactam formation occurs readily at ambient



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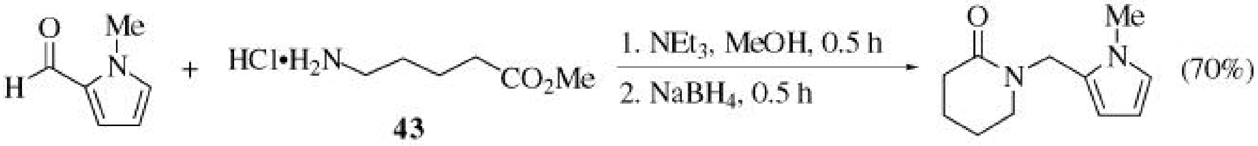

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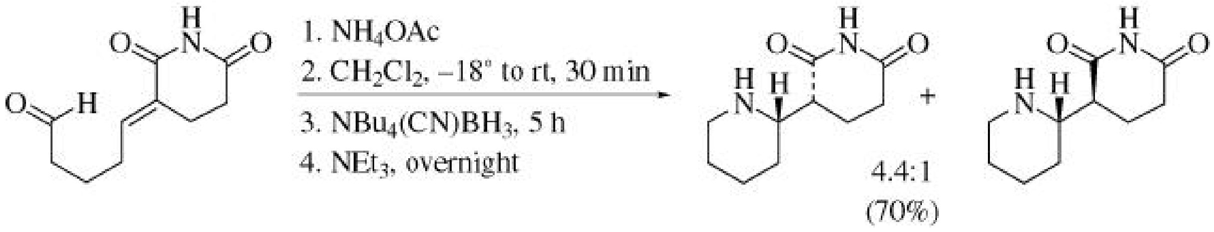
temperature, but often heating is required to effect ring closure. Generally, heat is applied in the same reaction vessel, but in one example the intermediate is isolated and then heated in ethanol. (986) A catalytic amount of 1-hydroxybenzotriazole (HOBT) and heating in toluene can effect complete lactam formation, (987, 988) and treatment with diphenyl phosphorazidate (DPPA) in dioxane is also used. (989) Thionyl chloride is used in another instance. (990) Lactamization is observed when the newly introduced amino group displaces an oxazolidinone. (991) In one report, reductive amination with ethylenediamine is followed by lactamization and intramolecular displacement of an aromatic methoxy group. (992) Treatment of *N*-(2-aminoethyl)oxamates with aldehydes and ketones using sodium triacetoxy-borohydride affords by-products resulting from acyl transfer and intramolecular cyclization to the 2,3-diketopiperazine prior to reductive alkylation. (280)

An alternative and intriguing tandem process that yields macrocyclic lactams is

reductive amination followed by ring expansion. For example, reductive amination of an aliphatic aldehyde tethered to an α -nitrocycloalkanone precedes attack of the amino group on the α -nitroketone forming a lactam with concomitant cleavage of the carbon-carbon bond. (462, 993, 994) In a related system, an amine displaces an aliphatic nitro group to generate a cyclic product. (995)

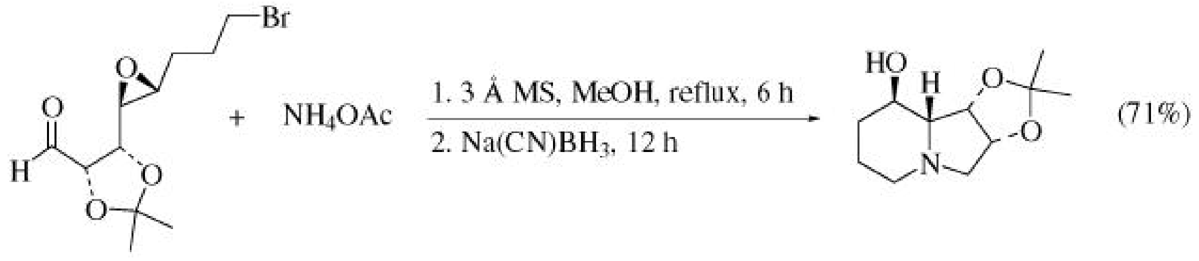
Other tandem reactions have been explored to a much more limited extent. One example is reductive amination followed by Michael-type addition of the amino group to an α , β -unsaturated carbonyl moiety, a sequence that has been applied to the synthesis of pyrrolidines, (996, 997) 2,6-disubstituted piperidines, (998, 999) and alkaloid natural products (Eq. 66). (64, 388, 389) Similarly, a diamine reacts with



(66)

an α , β-unsaturated aldehyde affording a diazepine. (603, 1000-1002) Alternatively, an α , β -unsaturated aldehyde or ketone incorporates one amine by reductive amination and the second by conjugate addition. (717) In another report, reductive amination with an acetal occurs followed by intramolecular Michael addition to an α , β -unsaturated ester and subsequent lactonization with the liberated acetal hydroxy group. (1003)

Another tandem reaction is the reductive amination of an epoxycarbonyl substrate followed by epoxide ring opening by the amino group. (1004) In a related reaction, the amine is first added to the ketoepoxide, and the intermediates are isolated prior to addition of the reducing agent. (1005) Moreover, an α -epoxyketone is reductively aminated with ammonium acetate and then treated with a carbonate source to provide oxazolidinones in one pot. (1006, 1007) Carbonyl substrates containing a 1,3,4-oxadiazole heterocycle undergo ring opening on heating after reductive amination, affording cyclopentane-fused triazoles. (805) Another example is the reaction of ketoaldehyde **44** with ammonium acetate; the amino group reacts at multiple electrophilic sites to generate a bicyclic product (Eq. 67). (1008, 1009)



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(67)

Reductive amination followed by intramolecular transposition of an acyl group has been applied to natural product synthesis. (707, 1010) Reductive alkylation of 3-amino-2-(*N*-formamidoamino)pyridine affords the corresponding 3-substituted imidazo[4,5-*b*]pyridine. (1011) Tryptamine and 1,5-dialdehydes undergo a one-pot Pictet-Spengler cyclization followed by reductive amination providing tetracyclic structures. (1012, 1013) Another example of reductive amination followed by a Pictet-Spengler type cyclization has been reported. (429)

In the reaction of an α -bromoketone with a β -aminothiol, alkylation of the sulfur is followed by an intramolecular reductive alkylation. (1014) Furthermore, reductive amination of a γ-cyanoketone with subsequent addition of the amine to the nitrile affords the corresponding cyclic amidine. (1015)

In addition to direct tandem reactions, reductive alkylations can be accompanied by reduction of carbon-nitrogen double bonds present elsewhere in the substrate in addition to those examples described for the reactions of carboxylic acids. Examples include the reduction of imines to amines, (682, 1016, 1017) indoles to indolines, (1018) and hydrazones to hydrazines. (477)

11. Comparison with Other Methods

Many other methods exist for introduction of an alkyl group onto a nitrogen atom. (1019-1023) Alternatives to reductive aminations include direct alkylation of amines with alkyl halides or alkyl sulfonates, including alkyl triflates. These reactions are typically run in aprotic solvents in the presence of a base such as triethylamine or pyridine to scavenge the acid liberated in the alkylation. The choice of reductive alkylation versus direct alkylation may be dictated by the availability of aldehyde or ketone versus alkylating agent. Overalkylation, such as in the formation of quaternary ammonium salts, can be problematic with alkyl halides. Direct alkylation is particularly useful in the reaction of weakly basic nitrogens such as amides, although addition of a strong base such as lithium diisopropylamide or alkyllithium may be required. A more controlled means for introduction of an alkyl substituent on nitrogen is a two-step process in which the amino group is acylated with an acid chloride or carboxylic acid, and the resulting amide is reduced, typically with lithium aluminum hydride or borane. This strategy can be valuable for the monoalkylation of a primary amine.

Alternative reductive amination conditions that are beyond the scope of this chapter can also be employed to introduce an alkyl substituent on nitrogen. Other metal hydrides besides borohydrides and boranes can be used as reducing agents; these hydrides include silanes and iron reagents. Also, hydrogen gas in the presence of a catalyst has been used extensively to effect reductive alkylations. Furthermore, the amine and carbonyl component can react to form an imine or enamine that is isolated and subjected to reduction with either a hydride or hydrogen. This approach is particularly useful in reactions in which imine formation is problematic.

12. Experimental Conditions

A variety of hydride reducing agents have been developed for the reductive alkylation of amines. Sodium triacetoxyborohydride is the reagent of choice because it does not have the toxicity and disposal problems of sodium cyanoborohydride. In addition, this substance is reasonably soluble in aprotic organic solvents. However, it decomposes in protic solvents and cannot be employed for reductive aminations of compounds that are only soluble in water or alcoholic solvents, such as ammonium salts or unprotected sugars. In these situations, sodium cyanoborohydride or sodium borohydride must be used. Since sodium borohydride readily reduces aldehydes and ketones, reactions are best conducted by ensuring that imine formation is complete prior to addition of the reducing agent. Triacetoxyborohydride, cyanoborohydride, and borohydride are all effective in the reductive amination of most aldehydes with primary or secondary aliphatic or aromatic amines. These reducing agents can also be used for reactions of aliphatic ketones with primary or secondary aliphatic amines as well as primary aromatic amines. The reaction is often facilitated by the addition of an equivalent of acid or molecular sieves.

Certain substrates are problematic, such as in reductive aminations of aromatic aldehydes with aliphatic aromatic amines. The use of sodium borohydride with sulfuric acid is reported to be successful; (160) alternatively, the amine can be reacted with a benzoic acid derivative rather than the corresponding benzaldehyde. Reductive amination of acetophenone and benzophenone derivatives as well as α, β-unsaturated ketones can be sluggish because of the reduced electrophilicity of the carbonyl group. The use of the additives titanium(IV) tetrachloride or titanium(IV) isopropoxide may improve these reactions. Specific examples of conditions that can be utilized for reductive aminations are listed in the Tabular Survey.

12.1. Cyanoborohydrides

Caution! Cyanoborohydrides are extremely toxic and should be handled in a fume hood at all times. Since reductive aminations are generally conducted in acidic medium, HCN *gas can be liberated. Therefore, the reactions must be run in a well-ventilated hood.* The reactions are preferably run in an inert atmosphere and exclusion of water may be desirable to prevent iminium hydrolysis, but these measures are not strictly required. The reactions should be worked up in a hood because of the cyanide hazard. Generally workup involves concentration of the reaction mixture under reduced pressure, followed by addition of a solution of aqueous base such as sodium carbonate and subsequent

extraction with an appropriate organic solvent. The aqueous extracts should be treated with sodium hypochlorite solution (Clorox[R]) to oxidize cyanide to cyanate, which is less toxic. A detailed procedure for the destruction of cyanide is in the literature. (1024) sodium cyanoborohydride is available commercially as a neat solid and also as a solution in tetrahydrofuran. (1025)

In the original procedure, a five-fold excess of amine relative to carbonyl substrate was recommended to prevent dialkylation of the amine. (19) A variety of solvents can be utilized for reductive aminations with cyanoborohydrides. These reactions are generally conducted in methanol although ethanol (391, 406, 452, 762, 1026-1032) is often used as are 2-propanol, (36, 71, 76, 80, 798, 1033-1037) *tert*-butyl alcohol, (388, 387, 762, 1038) ethylene glycol, (47) and methoxyethanol:methanol mixtures. (731, 1039) Lipophilic solvents tend to enhance the solubility of the reaction substrates, and 2-propanol is used deliberately to suppress the ketalization observed in methanol (71) and to prevent transesterification of a benzyl ester. (798) Reductive aminations are also conducted in water and alcoholic solvents (367, 491, 493, 497, 1040-1045) as well as in alcoholic solvents with an aprotic co-solvent. Such combinations include methanol:THF, (113, 467, 512, 731, 1046-1058) methanol:DMF, (170, 519) methanol:chloroform, (518, 1059, 1060) methanol:chloroform:DMSO, (1061) methanol:dichloromethane, (81, 765, 1062, 1063) and 2-propanol:THF. (808, 1064-1066) Reductive aminations are also run in strictly aqueous systems. (49, 147, 475, 644, 1067-1069)

Since acidic conditions generally promote reductive aminations, these reactions are often run in acidic solvents. Acetic acid (152, 1070) and trifluoroacetic acid (181) are commonly used, and mixtures containing acetic acid:methanol, (1071) acetic acid:ethanol, (1072) acetic acid:dichloromethane, (1073) acetic acid:pyridine, (1074) and acetic acid:pyridine:THF have also been used successfully. (1075)

Neat aprotic solvents are of use in reductive aminations. Borch and Hassid initially used acetonitrile in reactions involving formaldehyde because reactions in methanol were sluggish owing to hemiacetal formation. (793) However, acetonitrile is often used in reactions with sodium cyanoborohydride both by itself and with a cosolvent such as THF (854) or DMSO. (1076) Ethers, including THF, (69, 285, 462, 596, 777, 1077-1086) dimethoxyethane, (800, 1087) and methylated spirits (1088) can also be used. Like acetonitrile, THF is used to prevent acetal formation, which can occur in alcoholic solvents. (794) Other aprotic solvents that are used include DMF, (75, 471, 722, 726, 914) trimethyl orthoformate, (344, 510) and 1,2-dichloroethane. (849) Aqueous solutions of acetonitrile (738,

758, 1089-1093) THF, (365) tetrahydropyran, (1094-1096) acetone, (1097) and THF:2-propanol (125) can also be effective. In addition, heating the substrates in toluene with *p*-toluenesulfonic acid can be used to form the imine intermediate, which is subsequently treated with the reducing agent in methanol. (1098)

In his initial paper describing sodium cyanoborohydride, Borch reported the use of acetic acid to adjust the pH of the reaction mixture to the optimal value of 4–6. (19) Other acid sources or acid buffers that are used to optimize pH include HCl solutions in alcoholic solvents, trifluoroacetic acid, (47, 513, 1099) *p*-toluenesulfonic acid, (1100) formic acid, (611) phosphate, (44, 391, 495, 673, 703, 704, 730, 1012, 1041, 1101-1107) sodium acetate, (146, 400, 750, 992, 1031, 1037, 1044, 1097, 1108-1115) potassium acetate, (279) borate, (682) citrate, (46, 804, 1086) and citrate and phosphate together (408, 1116) either as a solid or in aqueous solution. Tris(hydroxymethyl)aminomethane (Sorensen's buffer) is also used. (1117) Alternatively, the proton source can be the acid salt of the amine. Bases such as potassium hydroxide can be added to reaction mixtures to carefully adjust the reaction conditions. (1118) The pH of the reaction mixture can be monitored with pH paper or with indicators such as bromocresol green, (496, 745, 1119-1122) bromocresol purple, (1071, 1123, 1124) bromothymol blue, (46, 490, 800, 1125) methyl orange, (509, 512) methyl red, (748) neutral red, (760) phenolphthalein, (1126) and thymol blue. (754)

Reductive aminations are typically run at ambient temperature. Sometimes elevated temperatures are required to form the imine, but the reaction mixture is cooled prior to addition of hydride (Eq. 48). (346, 347)

12.2. Borohydrides

Sodium borohydride is not as toxic as sodium cyanoborohydride and can be decomposed by dissolution in water followed by slow addition of dilute aqueous acid. (1032) The solution should be rendered acidic slowly because hydrogen gas is evolved. Reductive aminations with sodium borohydride are generally run in methanol or ethanol although other solvents can be used depending on the solubility of the reactants. For example, methanol:THF, (740, 1127) methanol:benzene, (185) ethanol:benzene, (170) methanol:DMF, (169) methanol:dichloromethane, (1128) ethanol:isobutyl acetate, (747) and acetone:water (20) solvent mixtures are all suitable under certain conditions. benzene is also used with trace amounts of methanol. (174) Imine formation in dichloromethane followed by addition of the reducing agent in methanol is reported. (984) Acetone is used as the solvent in a reductive alkylation with propionaldehyde. (414) These reactions are normally run at ambient temperature although heating may be required to

drive imine formation. For instance, imine formation is carried out in refluxing THF, (826) toluene, (1129) DMF, (175, 177) and DMF: THF mixtures (826) with molecular sieves or magnesium sulfate followed by cooling and subsequent addition of the reducing agent. The reducing agent may be added slowly at 0° to avoid exotherms, particularly if the solvent is methanol.

Reductive alkylations with sodium borohydride are also run under acidic conditions with acetate buffer, (384, 414, 453, 1130-1135) as in the initial Schnellenberger citation, (20) but the use of phosphate, (1136, 1137) bicarbonate, (603) or borate (1138) buffer has also been reported. *p*-Toluenesulfonic acid is also used as an additive. (165, 1139) Reactions with sodium borohydride are run in acetic acid (458, 1140, 1141) and also with stronger acids including 2 : 1 mixtures of trifluoroacetic acid in either THF or dichloromethane, (152) aqueous sulfuric acid:THF mixtures, (153-160) and aqueous sulfuric acid:ethylene glycol monomethyl ether mixtures. (156) Alternatively, reductive alkylations with sodium borohydride are conducted under basic conditions with aqueous sodium hydroxide (1142, 1143) or triethylamine. (1144) Studies probing the effect of the relative ratios of reducing agent to ketone (20) and amine (154) have been published.

12.3. Acyloxyborohydrides

When reductive alkylation involves reaction of an amine with a carboxylic acid, the acyloxyborohydride is generated in situ from the acid and sodium borohydride. In the initial report, (235) sodium borohydride, in pellet form, is added to a solution of the amine in the desired carboxylic acid. The reaction proceeds at room temperature, but heating at 50–55° is often required for completion. Sometimes ether co-solvents such as diglyme and THF are used. (247) Alternatively, reaction of a carboxylic acid with sodium borohydride in benzene or toluene at room temperature generates the desired acyloxyborohydride; once hydrogen evolution is complete, the amine is added, and the reaction mixture is heated to reflux. (248)

Reductive aminations of amines with aldehydes or ketones and sodium triacetoxyborohydride require aprotic solvents, and 1,2-dichloroethane, THF, acetonitrile, and dichloromethane are most commonly used. (21) Solvent selection is dependent on the solubility properties of the reacting species. acetic acid, (278) toluene, (1145) and DMF (441) are also used, and a comparison of different solvents has been reported. (252) Acids, for example 1–2 equivalents of acetic acid, can be added to promote the reaction. (21) Alternatively, the acid salt of an amine can be employed. In reactions where the acyloxyborohydride is generated in situ, dichloromethane (167, 249-251) or the appropriate corresponding

carboxylic acid is the solvent of choice. In one study, Amberlyst 15 facilitated iminium ion formation. (251)

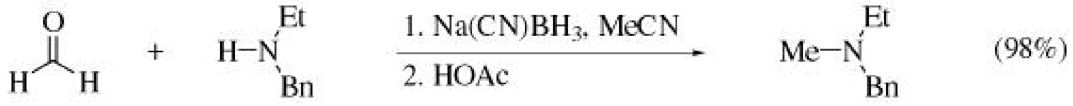
12.4. Amine Boranes

The initial report describing pyridine-borane in reductive aminations states that the reaction must be run under acidic conditions; neat acetic acid, 1:1 mixtures of acetic acid and THF or dichloromethane, and a 2:7 mixture of acetic acid:petroleum ether all are viable solvent systems. (22) In subsequent work, 1:1 acetic acid:dichloromethane has been used, (1011) but more typically, the reactions are run in methanol with 4 Å molecular sieves, (300) in methanol with aqueous phosphate buffer, (541) or in ethanol with one equivalent of acid (303) or with no acid present. (299, 506) These reactions are normally performed at ambient temperature, although reductive alkylations with pyridine-borane in refluxing methanol:dichloromethane (1:1), (1146) 25% aqueous methanol, (728) or methanol:water (1147) with a small amount of acetic acid are reported. Reductive aminations with alkylaminoboranes are generally run in acetic acid, (306-308, 311, 312, 316, 317, 321, 322, 324) and the imine is often preformed prior to addition of the reducing agent. (307, 308, 324, 325) Pyridine facilitated solubility in one report. (320) These reactions can be run at ambient temperature, although heating is sometimes required. In one example, refluxing benzene in combination with molecular sieves is used to drive imine formation, and then the reducing agent is added at ambient temperature. (308)

12.5. Purification

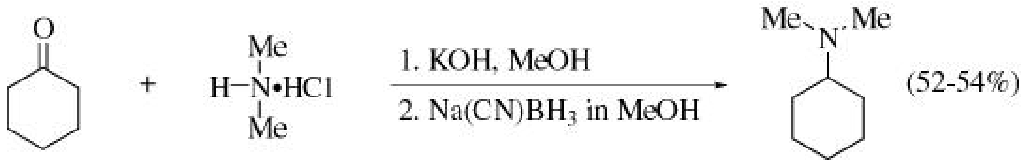
In general, crystallization, distillation, and chromatographic methods can be used to purify the reductive amination product by separating it from unreacted amine or carbonyl compound. Aqueous ethylenediamine can be used to scavenge formaldehyde. (1148) Alternatively, ethyl chloroformate (1149) or phenyl isocyanate (659) can be added to scavenge unreacted amines. Polymer-supported isocyanates, acid chlorides, and aldehydes are also used in purification schemes. (66)

13. Experimental Procedures



13.1.1. N-Methyl-N-ethylbenzylamine [Reductive Amination of formaldehyde with a Secondary Amine Using Sodium Cyanoborohydride] (330)

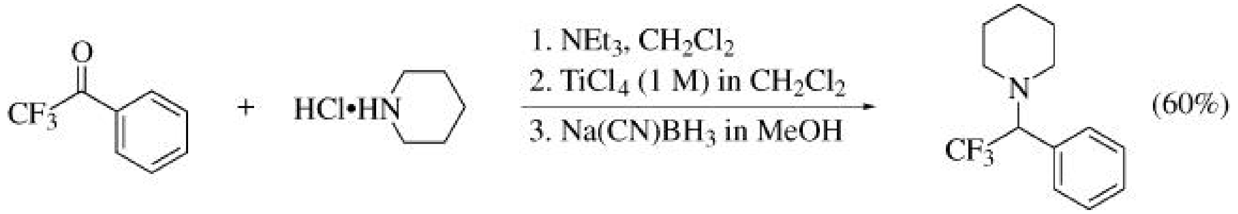
To a stirred solution of *N*-ethylbenzylamine (0.675 g, 5 mmol) and 37% aqueous formaldehyde (2 mL; 25 mmol) was added sodium cyanoborohydride (0.500 g, 8 mmol). A vigorous exothermic reaction ensued, and a dark residue separated. The reaction mixture was stirred for 15 minutes, and glacial acetic acid was added dropwise until the solution tested neutral on wet pH paper. Stirring was continued an additional 45 minutes; glacial acetic acid was added occasionally to maintain the pH near neutrality. The solvent was evaporated at reduced pressure, and 2 N aqueous KOH (20 mL) was added to the residue. The resulting mixture was extracted with Et_2O(3 × 20 mL). The combined ether extracts were washed with 0.5 N aqueous KOH solution (20 mL) and then extracted with 1 N HCl. The acid extracts were combined, neutralized with solid KOH, and extracted with Et_2O(3 × 20 mL). The combined ether extracts were dried (K_2CO_3) and evaporated under vacuum to give 0.735 g (98%) of a colorless oil. Reaction with picric acid (1.5 g) in EtOH afforded 1.61 g (85%) of product. Recrystallization from ethanol provided an analytical sample: mp 110–112°; Anal. Calcd. for $C_{16}H_{18}N_4O_7$: C, 50.79; H, 4.80; N, 14.80. Found C, 51.00; H, 4.79; N, 14.79.



13.1.2. N,N-Dimethylcyclohexylamine [Reductive Amination of a Cyclic Ketone with a Secondary Amine Using Sodium Cyanoborohydride] (1118)

To a solution of dimethylamine hydrochloride (21.4 g, 0.25 mol) in MeOH (150 mL) was added KOH (4 g, 71.3 mmol) in one portion. When the solid had

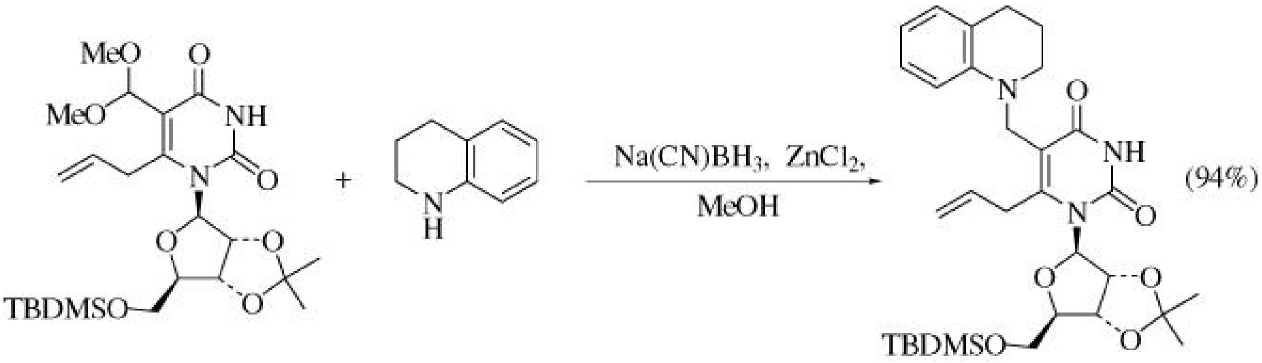
completely dissolved, cyclohexanone (19.6 g, 0.20 mol) was added in one portion, and the resulting suspension was stirred for 15 minutes. Then a solution of sodium cyanoborohydride (4.75 g, 0.76 mol) in MeOH (50 mL) was added dropwise to the stirred suspension over 30 minutes. Potassium hydroxide (15 g, 267 mmol) was added, and stirring was continued until the pellets dissolved completely. The reaction mixture was filtered and partially concentrated (50 mL) on a rotary evaporator while the water bath temperature was kept below 45°. To the concentrate was added water (10 mL) and saturated aqueous sodium chloride solution (25 mL). The layers were separated, and the aqueous layer was extracted with Et_2O(2 × 50 mL). The previously separated organic layer was combined with the ether extracts and extracted with 6 M HCl (3 × 20 mL). The combined acid layers were saturated with sodium chloride and extracted with ether (4 × 30 mL). The aqueous solution was cooled in an ice bath, and KOH pellets were added until the pH was >12. The layers were separated, and the aqueous layer was extracted with Et_2O(2 × 40 mL). The organic layers were combined, washed with saturated aqueous sodium chloride solution, dried (K_2CO_3), and evaporated to dryness. The resulting oil was fractionated through a 15-cm Vigreux column, providing an oil (13.3–13.7 g, 52–54%): bp 156–159°.



13.1.3. 1-(α -Trifluoromethylbenzyl)piperidine [Reductive Amination of an Aliphatic Aromatic Ketone with a Secondary Amine Using Sodium Cyanoborohydride with Titanium(IV) Tetrachloride] (81)

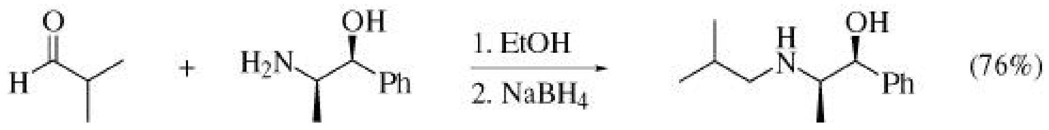
To a dry 100-mL flask with septum and nitrogen bubbler were added piperidine hydrochloride (1.0 g, 8.2 mmol), triethylamine (2.5 g, 25 mmol), 2,2,2-trifluoroacetophenone (1.4 g, 8.2 mmol) and CH_2Cl_2 (50 mL). titanium(IV) tetrachloride (4.1 mL of a 1 M solution in CH_2Cl_2, 4.1 mmol) was added via syringe. The reaction mixture was stirred for 18 hours, carefully treated with a methanolic solution of sodium cyanoborohydride (1.5 g, 25 mmol) in MeOH (20 mL) and stirred for 15 minutes. The reaction mixture was brought to pH 13 with 5 N aqueous NaOH, extracted with EtOAc (2 × 100 mL), dried (Na_2SO_4), and evaporated to give a yellow oil. Flash chromatography (100:10:1 $CHCl_3$: MeOH: NH_4OH) provided the desired product as a yellow oil (1.2 g, 60%). The oil was dissolved in Et_2O (20 mL) and treated with a saturated HCl-MeOH solution. The solid was collected and recrystallized (EtOAc/EtOH) to give the

hydrochloride salt: mp 180–181°;^{1}H NMR (300 MHz, DMSO–d_6) δ 1.35 (m, 2 H), 1.6 (m, 4 H), 2.7 (m, 4 H), 4.7 (q, 1 H), 5.6 (br s, 1 H), 7.5 (m, 5 H); ^{19}F NMR (DMSO–d_6) δ –64.6vs. $CFCl_3$; Anal. Calcd. for $C_{13}H_{16}F_3N·HCl$: C, 55.81; H, 6.12; N, 5.01. Found C, 55.76; H, 6.11; N, 4.85.



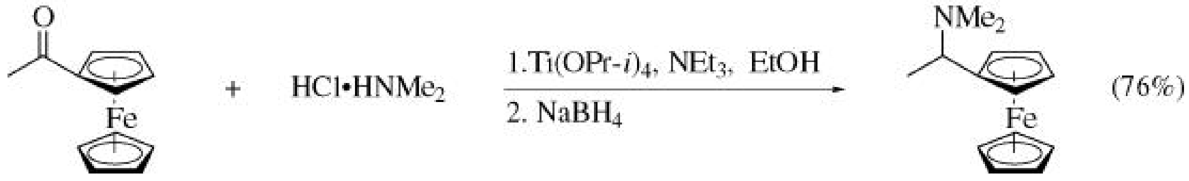
13.1.4. 1,2,3,4-Tetrahydro-1-[2′,3′-O-isopropylidene-5′-O-(tert-butyldimethylsilyl)-6-allythymidyl]quinoline [Reductive Amination of an Acetal with a Secondary Aromatic Amine Using Sodium Cyanoborohydride with Zinc Chloride] (122)

A solution of 1-[2′,3′-*O*-isopropylidene-5′-*O*-(*tert*-butyldimethylsilyl)]-5-dimeth-oxymethyl-6-allyluridine (9.7 mg, 0.019 mmol), 1,2,3,4-tetrahydroquinoline (0.05 mL, 0.40 mmol), anhydrous zinc chloride (2 g, 14.7 mmol), and sodium cyanoborohydride (20 mg, 0.32 mmol) in MeOH (2 mL) was stirred overnight under argon. Solvent removal produced a residue that was dissolved in 1:1 hexane:water (20 mL). The organic layer was removed, and the aqueous layer was extracted with hexane (3 × 10 mL). The organic layers were pooled, extracted with water (5 mL), and concentrated to give a residue that was purified by HPLC (injections of 1-mg aliquots in $CHCl_3$), affording 10.1 mg (94%) of the product as a film: ^{1}H NMR (500 MHz) δ7.07 (m, 1 H), 6.97 (d, *J* = 7.5Hz, 1 H), 6.76 (d, *J* = 8Hz, 1 H), 6.65 (t, *J* = 7.2Hz, 1 H), 5.88 (m, 1 H), 5.72 (s, 1 H), 5.27 (d, *J* = 10.2Hz, 1 H), 5.19 (d, *J* = 6Hz, 1 H), 5.09 (d, *J* = 17.0Hz, 1 H), 4.78 (dd, *J* = 6.3, 4.4Hz, 1 H), 4.15 (m, 3 H), 3.82 (m, 2 H), 3.65 (dm, *J* = 17.1, 2.3Hz, 1 H), 3.38 (dd, *J* = 11.5, 6.0Hz, 1 H), 3.03 (m, 2 H), 2.72 (m, 2 H), 1.87 (app quintet, *J* = 6.0Hz, 2 H), 1.50 (s, 3 H), 1.31 (s, 3 H), 0.87 (s, 9 H), 0.03 (s, 6 H); ^{13}C NMR (125 MHz) δ 163.6, 153.7, 150.6, 146.1, 130.7, 129.2, 127.1, 124.6, 118.8, 117.3, 113.6, 111.6, 109.9, 92.2, 89.7, 84.3, 82.1, 64.3, 46.6, 44.1, 27.9, 27.2, 25.9, 25.4, 22.2, 18.5, –5.2. Anal. Calcd. for $C_{31}H_{45}N_2O_6Si$: C, 63.78; H, 7.77; N, 7.20. Found C, 63.83; H, 8.00; N, 6.98.



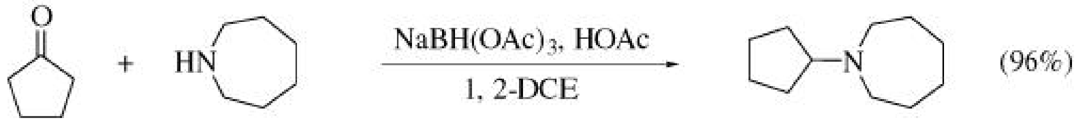
13.1.5. 1-Phenyl-2-(isobutylamino)-1-propanol [Reductive Amination of an Aliphatic Aldehyde with a Primary Amine Using Sodium Borohydride] (454)

A solution of norephedrine (0.515 g, 3.4 mmol) and isobutyraldehyde (1.5 eq) in absolute EtOH was stirred at 25° for 15 minutes. To this solution was added sodium borohydride (0.190 g, 5 mmol), and the reaction mixture was stirred for 15 minutes. The flask was cooled, and 10% aqueous HCl was added dropwise to adjust the solution to pH 1. The solvent was evaporated to near dryness under vacuum. The residue was taken up in water (10 mL), filtered, made basic with NaOH, and extracted with CH_2Cl_2. The extracts were dried (Na_2SO_4), and the solvent was removed on a rotary evaporator. The crude product was recrystallized from hexanes to give 0.535 g (76%) of the title compound: mp 67–68°; mp (hydrochloride) 210–211°.



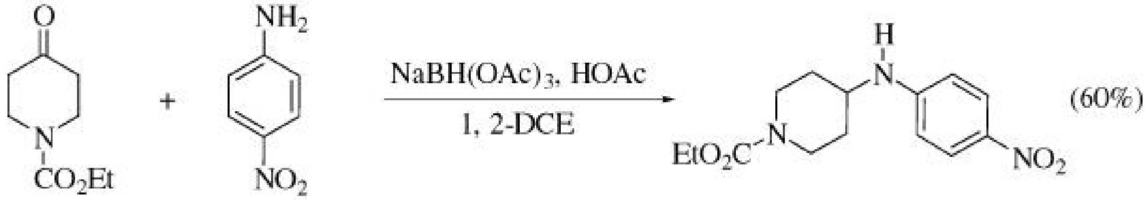
13.1.6. N,N-Dimethyl-1-ferrocenylethylamine [Reductive Amination of an Aliphatic Aromatic Ketone with a Secondary Amine Using Sodium Borohydride and Titanium(IV) Isopropoxide] (191)

A mixture of acetylferrocene (2.3 g, 10 mmol), titanium(IV) isopropoxide (5.7 g, 20 mmol), dimethylamine hydrochloride (1.6 g, 20 mmol), and triethylamine (2.0 g, 20 mmol) in absolute EtOH (10 mL) was stirred at room temperature for 15 hours. To this mixture was added sodium borohydride (0.76 g, 20 mmol), and stirring was continued another 12 hours. The reaction was quenched with 30 mL of 10% aqueous citric acid solution. The resulting inorganic precipitate was removed by filtration, and the aqueous solution was extracted with Et_2O(3 × 50 mL) to remove the neutral materials. The acidic aqueous solution was next made alkaline (pH 10) by the slow addition of 10% aqueous NaOH solution and then extracted with CH_2Cl_2(3 × 50 mL). The combined organic extracts were dried (K_2CO_3), and concentrated under vacuum to give a brown oil. Short-path distillation provided 1.95 g (76%) of product: bp 114° (2 mmHg).



13.1.7. N-Cyclopentylhexamethyleneimine [Reductive Amination of a Cyclic Ketone with a Secondary Amine Using Sodium Triacetoxyborohydride] (21)

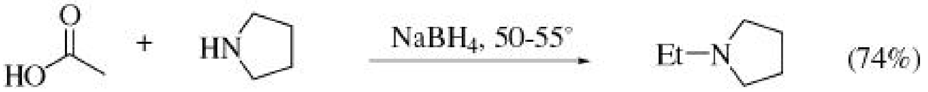
To a solution of cyclopentanone (0.84 g, 10 mmol) and hexamethyleneimine (1.0 g, 10 mmol) in 1,2-dichloroethane (35 mL) was added sodium triacetoxyborohydride (3.0 g, 14 mmol) and acetic acid (0.6 g, 13 mmol). The reaction mixture was stirred at room temperature under nitrogen for 24 hours. The reaction was quenched by adding 1 M aqueous NaOH solution, and the resulting mixture was extracted with Et_2O. The ether extract was washed with brine and then dried ($MgSO_4$). The solvent was evaporated to give the crude free base (1.60 g, 96%). Conversion to the oxalate salt and recrystallization from EtOAc/MeOH afforded the product as shiny white crystals (2.2 g, 86%): mp 171–172°; IR (KBr) 3435 (w), 2934 (s), 2872 (m), 2683 (m), 2648 (m), 2585 (m), 2524 (m), 1720 (m), 1623 (m), 1455 (m), 1404 (m), 1204 (m), 1116 (m), 717 (m), 499 (m), 466 (m) cm^{-1};^{1}H NMR (free base, 400 MHz, $CDCl_3$) δ 2.94–2.83 (m, 1 H), 2.68–2.65 (m, 4 H), 1.87–1.25 (m, 16 H); ^{13}C NMR (free base, $CDCl_3$) δ 65.9, 53.6, 30.2, 27.9, 26.9, 24.1. Anal. Calcd. for $C_{13}H_{23}NO_4$: C, 60.68; H, 9.01; N, 5.44. Found C, 60.69; H, 9.03; N, 5.44.



13.1.8. 1-Carboethoxy-4-(4-nitrophenylamino)piperidine [Reductive Amination of a Cyclic Ketone with a Weakly Basic Aromatic Amine Using Sodium Triacetoxyborohydride] (21)

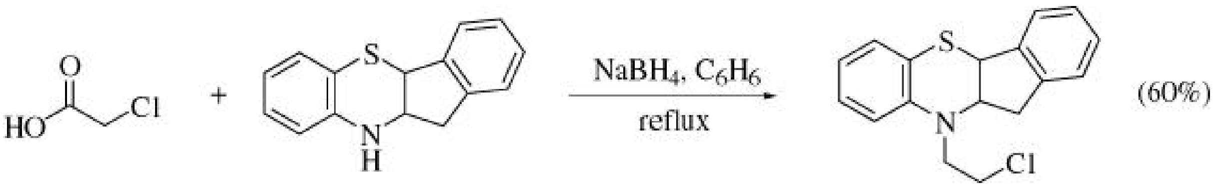
To a solution of 1-carboethoxypiperidone (3.2 g, 19 mmol), 4-nitroaniline (1.0 g, 10 mmol), and acetic acid (3.6 g, 60 mmol) in 1,2-dichloroethane (45 mL) was added sodium triacetoxyborohydride (6.0 g, 28 mmol). The reaction mixture was stirred at room temperature under nitrogen for 18 hours. After the reaction was quenched by adding saturated aqueous $NaHCO_3$ solution, the mixture

was extracted with EtOAc (3 × 75 mL). The EtOAc extracts were combined and dried ($MgSO_4$). The solvent was evaporated to give a yellow semi-solid (4.7 g). Trituration with 7:3 Et_2O/hexane afforded the product as a yellow solid (1.75 g, 60%). An analytical sample was obtained by recrystallization from EtOAc/hexane: mp 172–174°; IR (KBr) 3327 (s), 3182 (w), 3097 (w), 2929 (w), 2870 (m), 2398 (m), 1679 (s), 1600 (s), 1546 (m), 1460 (s), 1387 (m), 1296 (s), 1234 (m), 1184 (m), 1144 (m), 1105 (s), 1033 (m), 937 (m) cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz, DMSO-d_6) δ 8.03 (d, *J* = 9.0Hz, 2 H), 6.59 (d, *J* = 9.0 Hz, 2 H), 6.14 (d, *J* = 7.5 Hz, 1 H), 4.16–4.10 (m, 4 H), 3.58–3.50 (m, 1 H), 3.02 (m, 2 H), 2.04–2.00 (m, 2 H), 1.51–1.42 (m, 2 H), 1.27 (t, *J* = 7.0 Hz, 3 H); ^{13}C NMR ($CDCl_3$, DMSO-d_6) δ 154.9, 152.5, 136.4, 125.9, 110.6, 60.8, 49.0, 41.9, 31.0, 14.2. Anal. Calcd. for $C_{14}H_{19}N_3O_4$: C, 57.33; H, 6.53; N, 14.33. Found C, 57.27; H, 6.54; N, 14.21.



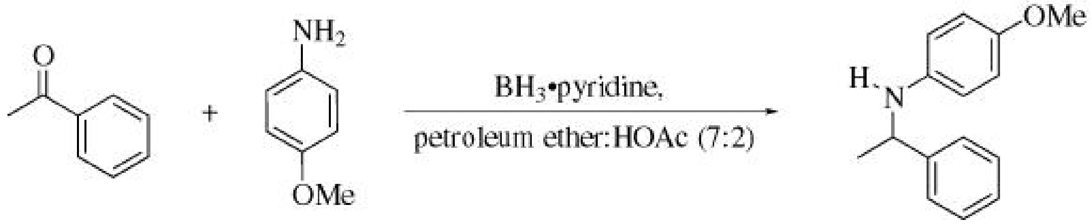
13.1.9. 1-Ethylpyrrolidine [Reductive Amination of a Carboxylic Acid with a Secondary Amine Using Sodium Borohydride] (152)

To a stirred solution of pyrrolidine (2.00 g, 28.1 mmol) in glacial acetic acid (50 mL, 87 mmol) at 50–55° under nitrogen were added sodium borohydride pellets (5.1 g, 130 mmol) over a period of 1 hour. The mixture was stirred at 55° for 12 hours, then cooled, and water was added. The mixture was cooled in an ice bath, made strongly basic with NaOH pellets, and extracted five times with Et_2O. Washing the extracts was avoided because the product has appreciable water solubility. Careful flash distillation of the Et_2O and then distillation of the residue at atmospheric pressure gave 2.05 g (74%) of 1-ethylpyrrolidine as a colorless oil: bp 106°.



13.1.10. N-(2-Chloroethyl)-4b,10,10a,11-tetrahydroindeno[1,2-b]-1,4-benzothiazine [Reductive Amination of a Carboxylic Acid with a Secondary Aromatic Amine Using Sodium Borohydride] (415)

To a solution of 4b,10,10a,11-tetrahydroindeno[1,2-*b*]-1,4-benzothiazine (1.5 g, 6.3 mmol) in dry benzene (50 mL) was added chloroacetic acid (8.9 g, 94.2 mmol) and sodium borohydride (1.2 g, 31.7 mmol). The mixture was heated at reflux with stirring for 2 hours. After cooling, the mixture was made alkaline with aqueous NaOH solution. The aqueous phase was extracted with benzene, and the combined benzene extracts were dried (Na_2SO_4) and evaporated. The residue was purified by column chromatography to give the product in 60% yield: mp 111–112°; ^{1}H NMR ($CDCl_3$,) δ 2.9–3.5 (m, 2 H), 3.5–4.0 (m, 4 H), 4.1–4.5 (m, 2 H), 6.5–6.85 (m, 2 H), 6.95–7.5 (m, 6 H). Anal. Calcd. for $C_{17}H_{16}ClNS$: C, 67.65; H, 5.34; N, 4.64. Found C, 67.35; H, 5.15; N, 4.63.



(72%)

13.1.11. 4-Methoxy-N-(1-phenylethyl)aniline [Reductive Amination of an Aliphatic Aromatic Ketone with an Aromatic Amine Using Borane-Pyridine] (22)

A dry round-bottom flask fitted with a magnetic stirrer and protected with a serum cap was flushed with argon and charged with dry light petroleum (7 mL) and glacial acetic acid (2 mL). acetophenone (1.17 mL, 10 mmol) and 4-methoxyaniline (1.23 g, 10 mmol) were added by syringe, after which the solution was stirred while borane-pyridine (1.20 mL, 10 mmol) was added dropwise over 15 minutes. The mixture was then stirred at room temperature for 2 hours. The serum cap was removed and 5 M HCl (6 mL) was added dropwise. After 15 minutes, when gas evolution had ceased, the mixture was diluted with water (20 mL) and extracted with Et_2O(3 × 25 mL). The acid aqueous phase was made strongly basic with 5 M NaOH solution, and re-extracted with Et_2O(3 × 25 mL). The combined ether extracts were washed with saturated aqueous sodium chloride solution (1 × 25 mL), dried ($MgSO_4$), filtered, and concentrated to give 2.53 g of an oil. Flash chromatography on Kieselgel 60 (45 g, 34–60 μm) with CH_2Cl_2 as eluent gave the product in 72% yield: mp 64.5–65.6°.

14. Tabular Survey

The Tabular Survey includes examples through the middle of 1999. Some compounds have features that allow them to fit into more than one category and somewhat arbitrary distinctions have been made. For instance, cyclic ketones that are mixed aliphatic aromatic ketones are found in the cyclic ketone table, but cyclic ketones that are α- or β -carbonyl ketones or α -heteroatom ketones are found in those respective tables, not in the cyclic ketone table. Also, α -carbonyl aromatic ketones are found in the α -carbonyl ketone table. Ketones with α or β P = O, P = S, and S = O groups are included in Tables IIC and IID, respectively. Dicarbonyl compounds that react with an amine to form a ring are included in the dicarbonyl tables; dicarbonyl substrates that react at only one carbonyl or with two molecules of amine are treated as monocarbonyls. For a number of examples of intramolecular reductive aminations, the carbonyl or amino group is generated in situ, and these entries are depicted as the precursor structure so a carbonyl or amino group may not be evident for these substrates. Examples of this type are included because the generation of the reacting groups and reductive amination occur in one reaction vessel. An overwhelming number of examples of reductive aminations with formaldehyde have been reported, and only examples with detailed experimental procedures are included here.

The entries within each table are arranged by increasing carbon count of the carbonyl substrate primarily, and secondarily by increasing carbon count of the amine substrate.

The following abbreviations are used in the tables:

abs	absolute
Ac	acetyl
Adoc	adamantyloxycarbonyl
Alloc	allyloxycarbonyl
anhyd	anhydrous
aq	aqueous
BER	borohydride exchange resin
Bn	benzyl
Boc	*tert*-butoxycarbonyl
Bz	benzoyl
Cbz	benzyloxycarbonyl
DCE	1,2-dichloroethane
DIBAL	diisobutylaluminum hydride

DIPEA	diisopropylethylamine
DME	1,2-dimethoxymethane, glyme
DMF	*N,N*-dimethylformamide
DMT	4,4¢-dimethoxytrityl
Fm	fluorenylmethyl
Fmoc	fluorenylmethyloxycarbonyl
HOAc	acetic acid
MEM	methoxyethoxymethyl
MOM	methoxymethyl
Ms	mesityl
MS	molecular sieves
Ns	2-nitrophenylsulfonyl
PhFl	phenylfluorenyl
Phth	phthaloyl
Piv	pivaloyl
PMB	*p*-methoxybenzyl
PPTS	pyridinium *p*-toluenesulfonate
rt	room temperature
TBDMS	*tert*-butyldimethylsilyl
TBDPS	*tert*-butyldiphenylsilyl
TCE	2,2,2-trichloroethyl
TEA	triethylamine
TEOC	2-(trimethylsilyl)ethoxycarbonyl
TES	triethylsilyl
TFA	trifluoroacetic acid
THF	tetrahydrofuran
THP	tetrahydropyranyl
TIPS	tri(isopropyl)silyl
TMOF	trimethyl orthoformate
TMS	trimethylsilyl
Tol	*p*-tolyl
Tr	trityl
Troc	2,2,2-trichloroethoxycarbonyl
Ts	*p*-toluenesulfonyl
TsOH	*p*-toluenesulfonic acid

Table IA. Formaldehyde

View PDF

Table IB. Aliphatic Aldehydes

View PDF

Table IC. α-Heteroatom Aldehydes

View PDF

Table ID. α -Carbonyl Aldehydes

View PDF

Table IE. β -Carbonyl Aldehydes

View PDF

Table IF. Aromatic Aldehydes

View PDF

Table IG. Heteroaromatic Aldehydes

View PDF

Table IH. α , β -Unsaturated Aldehydes

View PDF

Table II. Amino Acid and Peptide Derived Aldehydes

View PDF

Table IJ. Acetals and Hemiacetals

View PDF

Table IK. Hemiaminals

View PDF

Table IIA. Aliphatic Ketones

View PDF

Table IIB. α -Heteroatom Ketones

View PDF

Table IIC. α-Carbonyl Ketones

View PDF

Table IID. β -Ketoesters and β -Dicarbonyl Substrates

View PDF

Table IIE. Cyclic Ketones

View PDF

Table IIF. Mixed Aliphatic Aromatic Ketones

View PDF

Table IIG. Mixed Aliphatic Heteroaromatic Ketones

View PDF

Table IIH. Biaryl Ketones

View PDF

Table III. α , β -Unsaturated Ketones

View PDF

Table IIJ. Ketals and Hemiketals

View PDF

Table IIIA. Dialdehydes

View PDF

Table IIIB. Ketoaldehydes

View PDF

Table IIIC. Diketones

View PDF

Table IIID. Masked Dicarbonyl Substrates

View PDF

Table IV. Tricarbonyl Substrates

View PDF

Table V. Carboxylic Acids

View PDF

Table VI. Nitriles

View PDF

Table VII. Intramolecular Reductive Aminations

View PDF

Table VIII. Reductive Lactamizations

View PDF

Table IX. Michael-Type Additions and Reductive Aminations

View PDF

TABLE IA. FORMALDEHYDE

A. Primary Aliphatic Amines

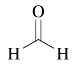
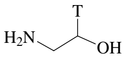
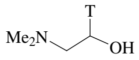
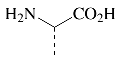
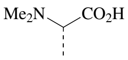
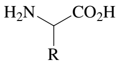
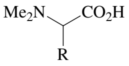
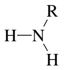
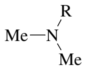
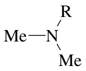
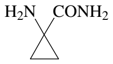
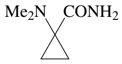
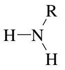
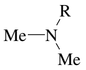
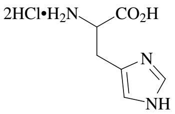
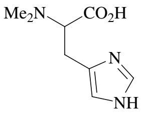
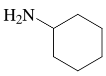
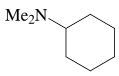
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_1 		1. $Na(CN)BH_3$, Na_3BO_3 (0.2 M aq), pH 9, 30°, 2.5 h 2. HCl (2 M), heat, 5 min	 (—)	1150
		$NaBH_4$, H_2SO_4 (3 M), 0-20°	 n 2 (70) 3 (78) 4 (83) 5 (92) 6 (93) 7 (84) 8 (92)	153
		1. $Na(CN)BH_3$, $MeCN:H_2O$ (6:5), 15 min 2. HOAc, pH 7, 45 min	 (—)	1090
		1. $Na(CN)BH_3$, $MeCN:H_2O$ (3:1), 15 min 2. HOAc, pH 7, 45 min	 R Me DL (—) Me L (—) *i*-Pr DL (—) *i*-Pr L (—) CH(Me)OH DL (—) CH(Me)OH L (—)	1151
		1. $ZnCl_2$, THF, 1 h 2. $Zn(BH_4)_2$, THF, 10 min 3. 10-12 h	 R *n*-Pr (70) $(CH_2)_5OH$ (72) CH_2CO_2Et (70) Bn (65)	207
		1. $ZnCl_2$, CH_2Cl_2, 1 h 2. $NaBH_4$, 12 h	 R *n*-Pr (70) CH_2CO_2Et (65) $C(Me)_2CH_2OH$ (80) $(CH_2)_5OH$ (75) Bn (70) $(CH_2)_2Ph$ (72) $(CH_2)_3Ph$ (70) 1-adamantyl (75)	205
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 2 h	 (87)	1152
		1. $Ti(OPr\text{-}i)_4$, diglyme, 60°, 30 min 2. rt, 30 min 3. $NaBH_4$, 2-3 h 4. 60°, 2-3 h	 R *n*-Bu (80) CH_2CO_2Et (75) $(CH_2)_5OH$ (85) Bn (85) $(CH_2)_3CH(OEt)_2$ (92) $(CH_2)_2Ph$ (88) 1-adamantyl (90)	188
		1. NaOH (1 N), pH 4, MeCN 2. $Na(CN)BH_3$, 30 min	 (40)	1153
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 1.75 h	 (84)	793

TABLE IA. FORMALDEHYDE (*Continued*)

A. Primary Aliphatic Amines

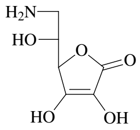
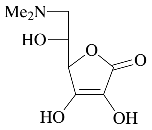
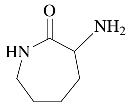
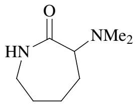
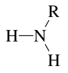
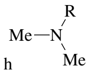
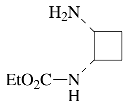
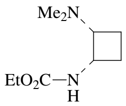
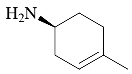
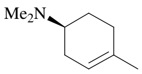
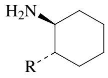
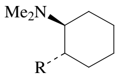
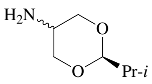
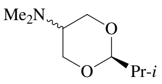
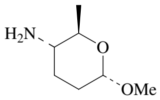
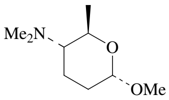
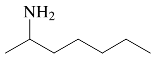
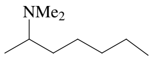
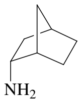
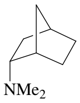
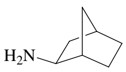
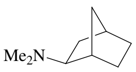
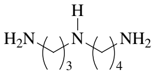
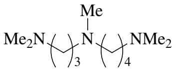
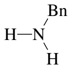
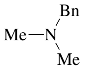
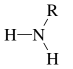
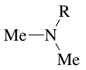
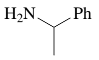
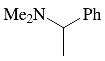
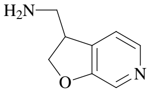
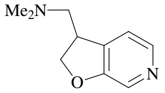
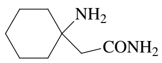
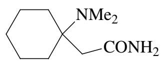
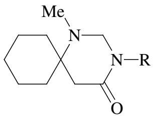
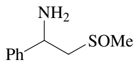
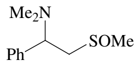
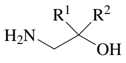
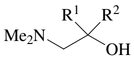
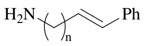
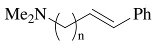
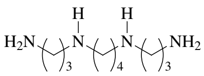
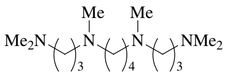
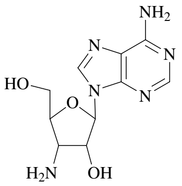
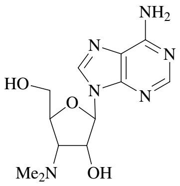
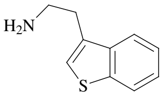
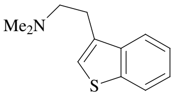
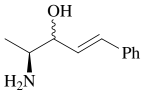
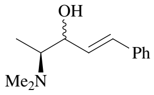
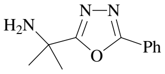
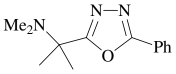
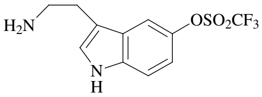
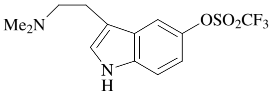
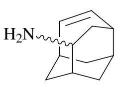
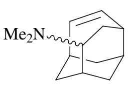
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, HOAc, H$_2$O, 4 h	 (35)	644
		Na(CN)BH$_3$, HOAc, 14 h	 *R* (89) + *S* (—)	1154
		1. Na(CN)BH$_3$, MeCN, 15 min 2. HOAc, pH 7, 0.75-1.5 h	 R *c*-C$_6$H$_{11}$ (84) C$_7$H$_{15}$ (82) *endo*-norbornyl (75) CH(Me)Ph (81)	793
		Na(CN)BH$_3$, MS 3 Å, HCl (5.9 M) in EtOH, pH 6, MeOH, 48 h	 (35)	1155
		Na(CN)BH$_3$, HOAc, pH 7, MeCN, 0°, 1 h	 (–) (57) (+) (55)	1156, 1157
		1. MeOH, reflux 2. NaBH$_4$, rt, 1 h	 R SMe (94) SOMe (80) SO$_2$Me (79)	1158
		1. Na(CN)BH$_3$, MeCN 15 min 2. HOAc, 45 min	 *cis* (75) *trans* (85)	1159
		1. MeOH, reflux, 1 h 2. NaBH$_4$, 1 h	 (74)	1160
		1. Na(CN)BH$_3$, MeCN, 15 min 2. HOAc, pH 7, 1.75 h	 (82)	793
		1. Na(CN)BH$_3$, MeCN, 15 min 2. HOAc, pH 7, 1.75 h	 (75)	793
		Na(CN)BH$_3$, MeCN	 (55)	1161
		NaBH$_4$, H$_2$SO$_4$ (3 M), 0-20°	 (92)	153
		1. NaBH$_4$, TFA, THF, 1 h 2. 25°, 24 h	 (72)	152
		Na(CN)BH$_3$, ZnCl$_2$, MeOH, 2-4 h	 R Bn (79) C$_{12}$H$_{25}$ (83)	105

TABLE IA. FORMALDEHYDE (*Continued*)

A. Primary Aliphatic Amines

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 1.75 h	 (81)	793
	"	Amberlyst-26$^{+}BH_3CN^{-}$	" (84)	65
		$Na(CN)BH_3$, HOAc, MeOH, 0-25°, 2 h	 (90)	1162
		$NaBH(OAc)_3$, DMF:DCE (3:7)	 (11) +  R: H (23); CH_2OH (28)	777
		1. MeOH, reflux, 2 h 2. $NaBH_4$, rt, overnight	 (—)	1163
		1. $Na(CN)BH_3$, MeCN, 30 min 2. HOAc, pH 7, 90 min	 R^1 R^2 Me Ph (+) (76) Me Ph (–) (81) Me c-C_6H_{11} (±) (79) Et c-C_6H_{11} (±) (87)	458
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 45 min	 n 1 (—) 2 (—) 3 (90) 4 (—) 5 (—)	1164
		$NaBH_4$, H_2SO_4 (3 M), 0-20°	 (80)	153
		1. $NaOAc•3H_2O$, HOAc in H_2O, 0°, 30 min 2. $NaBH_4$	 (—)	453
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, 75 min	 (87)	1165
		1. MeOH, reflux, 1 h 2. $NaBH_4$, rt, 20 h	 *R* (69) *S* (69)	1166
		1. $Na(CN)BH_3$, MeCN, 10 min 2. 15 min 3. HOAc, 45 min	 (67)	1167
		$Na(CN)BH_3$, HOAc, pH 5, MeCN, 3 h	 (26)	1168
		$Na(CN)BH_3$, MeCN, overnight	 (40)	1169

A. Primary Aliphatic Amines

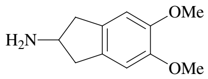
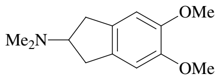
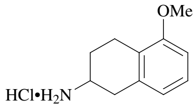
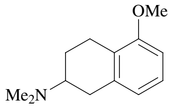
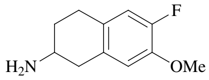
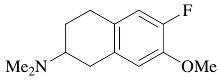
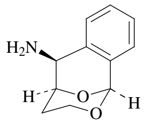
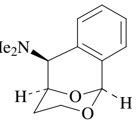
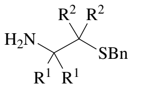
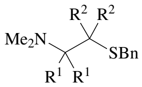
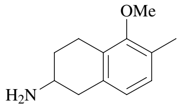
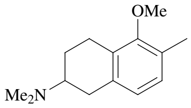
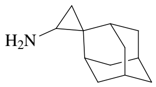
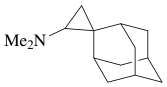
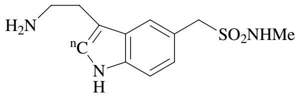
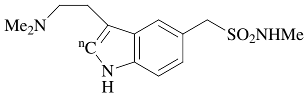
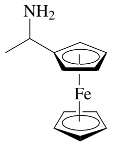
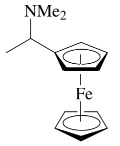
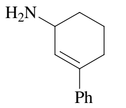
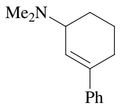
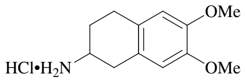
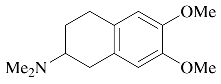
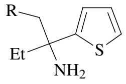
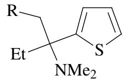
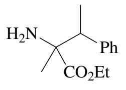
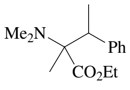
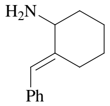
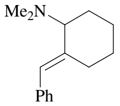
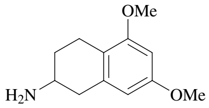
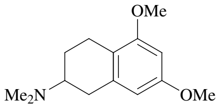
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, reflux, 30 min 2. $NaBH_4$, rt, 1 h	 (78)	660
		$Na(CN)BH_3$, MS 3 Å, MeOH, 5 d	 (80)	654
		$Na(CN)BH_3$, HOAc, pH 6.2-6.5, 20 h	 (35)	1170
		$Na(CN)BH_3$, MeOH, 1 h	 (77)	759
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 1.5 h	 R^1 R^2 H Me (72) Me H (79) Me Me (84)	1171
		1. MeOH, reflux, 45 min 2. $NaBH_4$, rt, 30 min	 (85)	1172
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 1 h	 (91)	1173
		1. $NaBH_4$ in H_2O, every 4 min 2. <5°, 1 h 3. $NaBH_4$, HCl (5 N), 5 min	 C isotope 12 (—) 14 (35)	1174
		$NaBH_4$, MeOH, 0°	 (90)	1175
		1. $Na(CN)BH_3$, MeCN, 20 min 2. HOAc, pH 7, 1.5 h	 (56)	1176
		$Na(CN)BH_3$, MS 3 Å, MeOH, 3 d	 (89)	650, 1177
		$Na(CN)BH_3$, HCl MeOH, 2 h	 R 2-thienyl (82) $CH_2SC_6H_2(OMe)_3$-3,4,5 (65) $CH_2CH_2C_6H_2(OMe)_3$-3,4,5 (45)	1178
		$Na(CN)BH_3$, HOAc, MeCN	 (60)	1179
		1. $Na(CN)BH_3$, MeCN, 30 min 2. HOAc, pH 7, 90 min	 (87)	1176
		$Na(CN)BH_3$, HOAc, pH 6, MeOH, overnight	 (94)	656

TABLE IA. FORMALDEHYDE (*Continued*)

A. Primary Aliphatic Amines

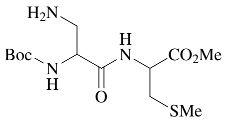
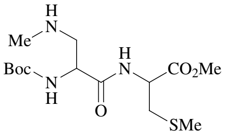
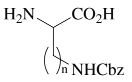
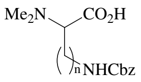
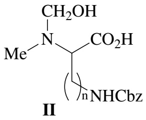
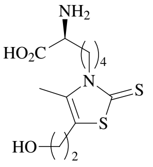
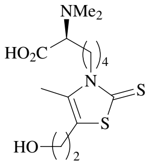
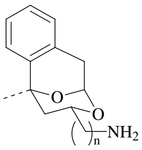
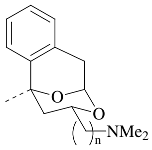
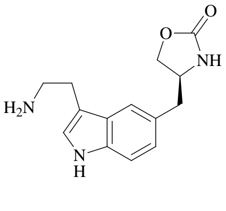
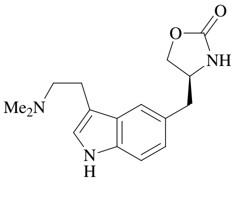
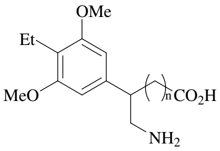
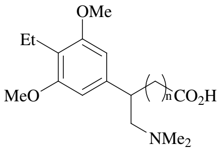
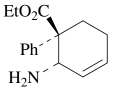
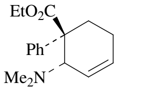
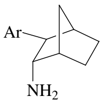
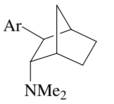
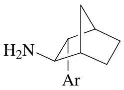
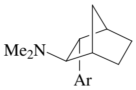
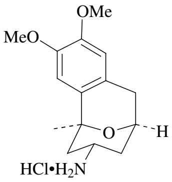
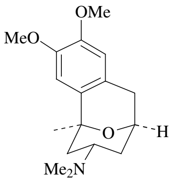
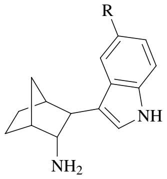
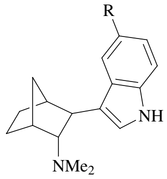
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$	 (95)	645
		1. MeOH, reflux, 30 min 2. $NaBH_4$, rt, 15 h	 I +  II n — I:II 3 (—) 1:3 4 (—) 1:0	776
		1. MeOH, reflux, 2 h 2. CH_2O (aq), 2 h 3. $NaBH_4$, 0°, 10 min 4. 0°, 1 h	 (45)	1180
		$Na(CN)BH_3$, HCl in MeOH, 2.5-4.5 h	 n 1 (66) 2 (24)	1181
		$Na(CN)BH_3$, HOAc, MeOH	 (31)	1182
		$Na(CN)BH_3$, MeOH, 1 h	 n 1 (52) 2 (63)	1183
		$Na(CN)BH_3$, MeCN, 12 h	 (70)	1184
	 Ar = 3,4-$(MeO)_2C_6H_3$	1. MeOH, reflux 2. $NaBH_4$, 25°	 (60)	1185
	 Ar = 3,4-$(MeO)_2C_6H_3$	1. MeOH, reflux 2. $NaBH_4$, 25°	 (60)	1186
		$Na(CN)BH_3$, HOAc, pH 6-5, MeOH, 0° to rt, 24 h	 (48)	1185
		1. $Na(CN)BH_3$, HOAc, pH 6, 1 h 2. HOAc, pH 3.9, 2 h	 R H (83) OMe (89)	690

TABLE IA. FORMALDEHYDE (*Continued*)

A. Primary Aliphatic Amines

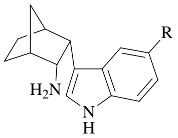
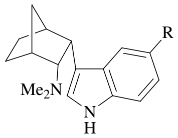
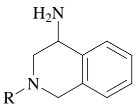
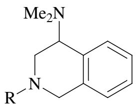
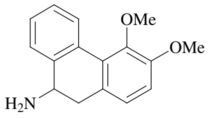
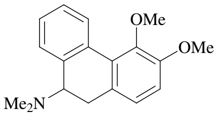
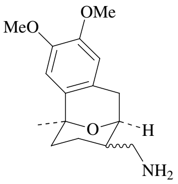
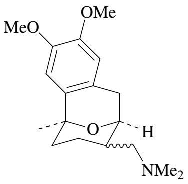
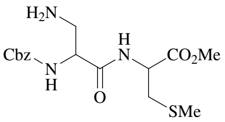
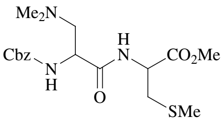
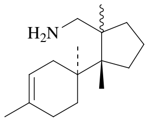
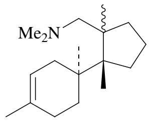
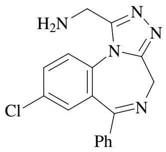
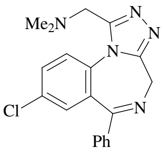
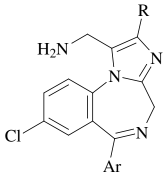
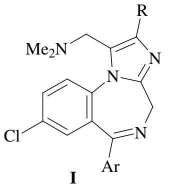
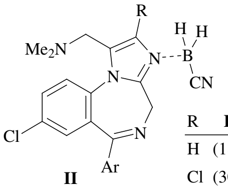
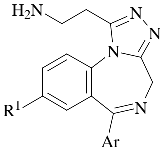
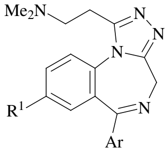
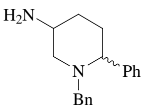
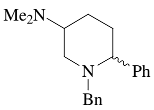
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, HOAc, pH 6, 1 h 2. HOAc, pH 3.7, 2 h	 R: H (90); OMe (89)	690
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 45 min	 R: Ph (36); Bn (57)	1187
		1. $Na(CN)BH_3$, MeCN, 0.5 h 2. HOAc, pH 7, 4 h 3. rt, overnight	 (71)	1188
		$Na(CN)BH_3$, HOAc, pH 5-6, 18 h	 β (71) α (70)	1189
		$Na(CN)BH_3$	 (100)	645
		$Na(CN)BH_3$, HOAc, pH 7, MeCN, 75 min	 (72)	1190, 1191
		1. $Na(CN)BH_3$, HOAc in MeCN, pH 6.4-6.8, MeCN, 2 h 2. 15 min	 (64)	1192
	 Ar = 2-FC_6H_4	$Na(CN)BH_3$, HOAc in MeCN, pH 6.4-6.8, MeCN, 2 h	 **I** +  **II** R / **I** / **II**: H (18) (20); Cl (30) (—)	783
	 Ar = 2-$R^2C_6H_4$	1. $Na(CN)BH_3$, HOAc in MeCN, MeCN, 10-15°, 1.5 h 2. rt, 35 min	 R^1 R^2: H H (68); H Cl (67); Cl H (68); Br H (26); Cl Cl (20)	1148
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 48 h	 *cis* (67) *trans* (55)	1193

TABLE IA. FORMALDEHYDE (*Continued*)

A. Primary Aliphatic Amines

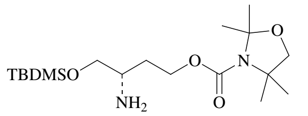
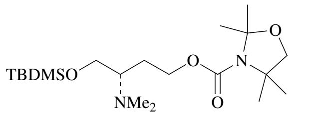
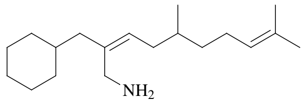
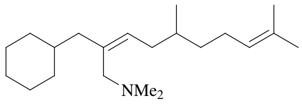
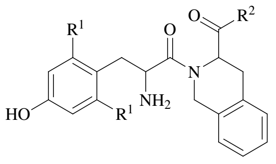
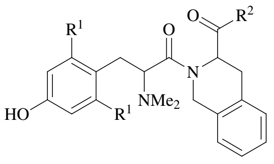
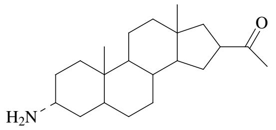
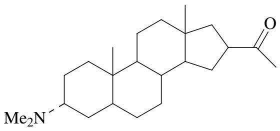
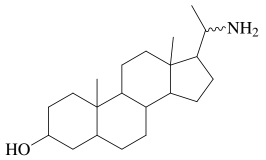
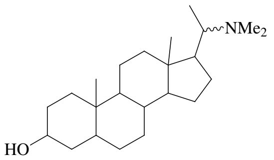
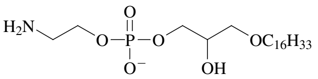
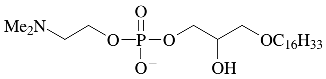
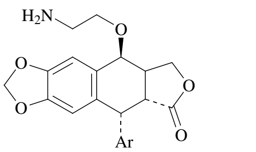
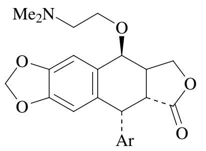
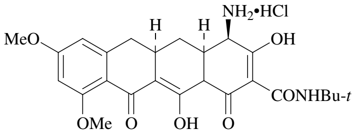
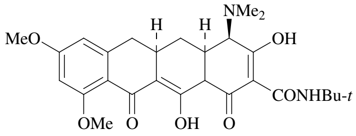
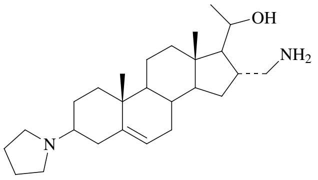
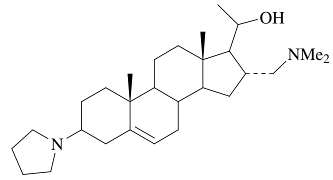
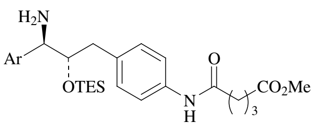
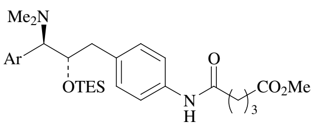
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, 30 min, MeCN/H$_2$O	 (82)	1194
		1. MeOH, 2 h, 65° 2. Na(CN)BH$_3$, 20 min	 (79)	1195
		Na(CN)BH$_3$, H$_2$O/HOAc, 2 h	 R^1 R^2 Me OH (90) H OH (90) Me NH$_2$ (82) Me AlaOH (87)	1196
		1. MeOH, reflux, 45 min 2. Na(CN)BH$_3$, cool	 (91)	1197
		1. MeOH, reflux, 0.5 h 2. NaBH$_4$, cool, 1 h	 α (85) β (—)	1198
		1. Na(CN)BH$_3$, MeOH, 15 min 2. HOAc, pH 7, 1.5 h	 (—)	1199
	 Ar = 3,5-(MeO)$_2$C$_6$H$_2$OH-4	Na(CN)BH$_3$, MeOH, 30 min	 (90)	1200
		Na(CN)BH$_3$, MeOH, 10 min	 (84)	1201
		1. NaBH$_4$, MeOH, 15 min 2. 2 h	 (28)	1202
	 Ar = 4-O$_2$NC$_6$H$_4$	1. MeCN, 10 min 2. Na(CN)BH$_3$, 2 h	 (51)	1203

TABLE IA. FORMALDEHYDE (*Continued*)

A. Primary Aliphatic Amines

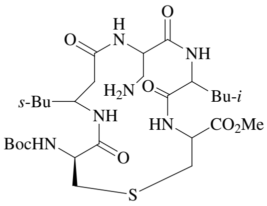
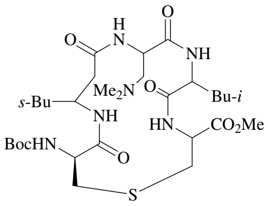
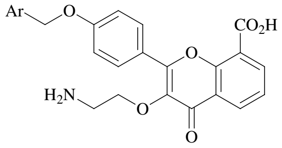
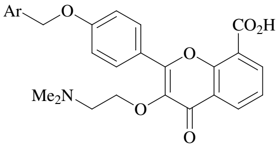
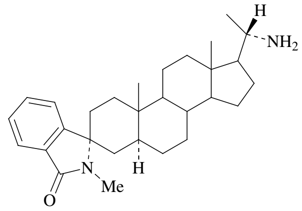
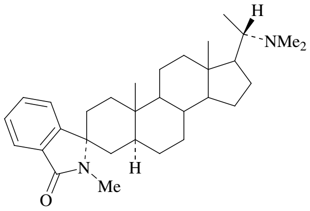
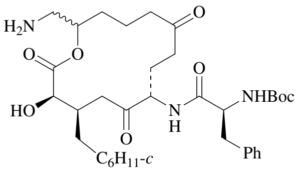
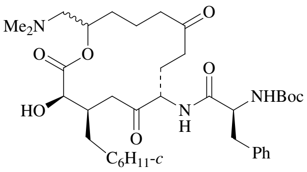
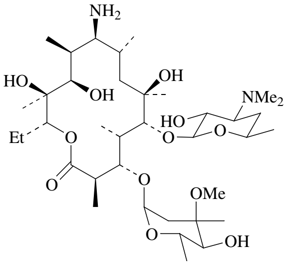
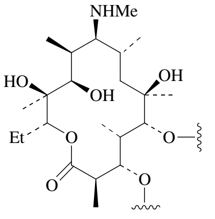
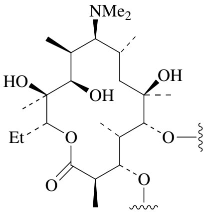
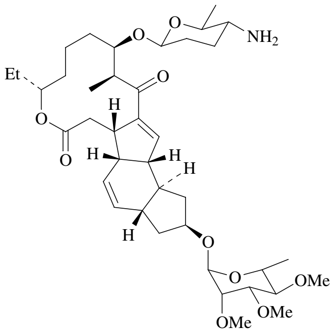
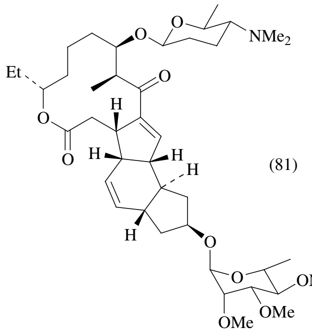
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, 2 h	 (78)	645
	 Ar = 2-quinolinyl	$Na(CN)BH_3$, H_2O	 (21)	1204
		1. MeOH, 2 h 2. $NaBH_4$	 (—)	1205
		$Na(CN)BH_3$, HOAc:pyridine (1:1), overnight	 diastereomer 1 (51) diastereomer 2 (71)	1074
		1. Sodium phosphate buffer, HCl (1 N), pH 5, MeCN 2. $Na(CN)BH_3$, 30 min	 (—) +  (—)	335
		1. NaOAc, HOAc, H_2O:MeOH (5:2) 2. $Na(CN)BH_3$, 10 min 3. 20 min	 (81)	1044

TABLE IA. FORMALDEHYDE (*Continued*)

A. Primary Aliphatic Amines

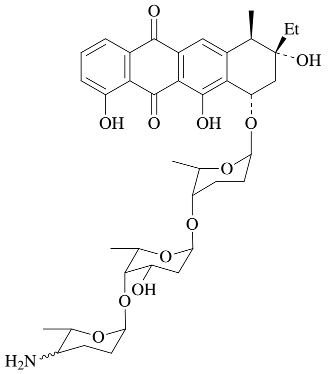
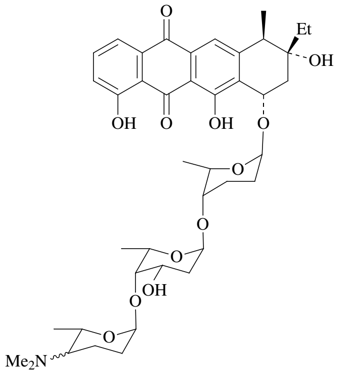
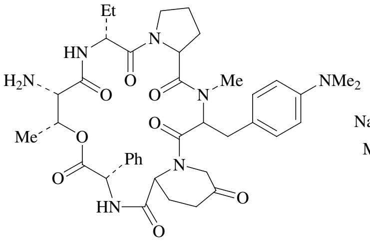
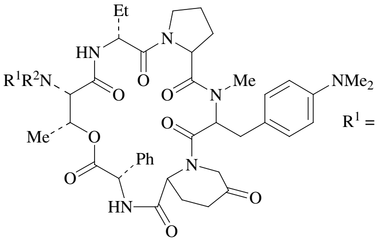
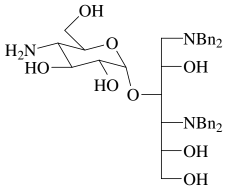
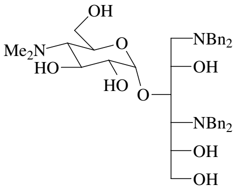
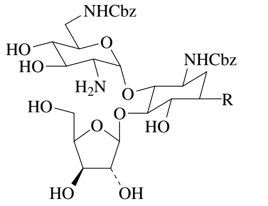
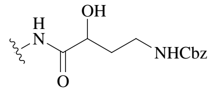
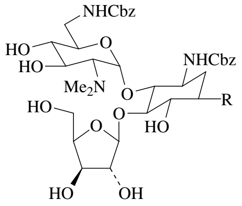
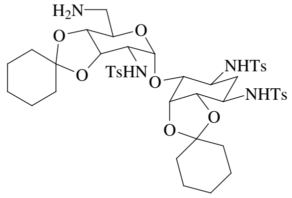
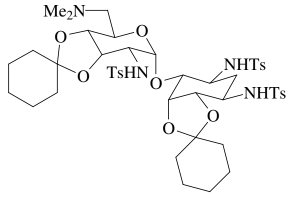
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, HOAc, MeOH, 1.5 h	 β (—) α (—)	737
		$Na(CN)BH_3$, MeCN, 24 h	 $R^1 = R^2 =$ Me (26)	803
	"	$Na(CN)BH_3$, MeCN, 0°, 4 h	" R^1 / R^2: Me / H (17); Me / Me (—)	803
		$Na(CN)BH_3$, MeCN:THF (5:2), 3 h	 (—)	1206
	 R = 	$Na(CN)BH_3$, HOAc, pH 7, MeCN:THF (4:1), 2 h	 (—)	1206
		$Na(CN)BH_3$, HOAc, MeCN, 0° to rt, 1 h	 (—)	1207, 1208

TABLE IA. FORMALDEHYDE (*Continued*)

A. Primary Aliphatic Amines

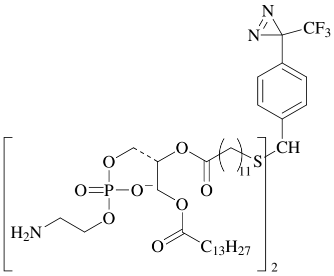
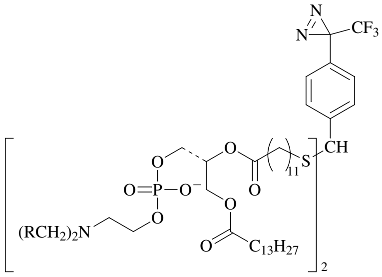
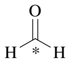
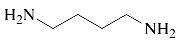
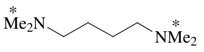
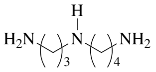
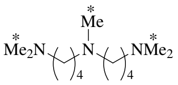
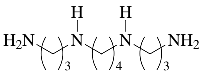
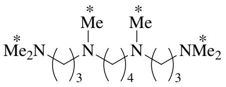
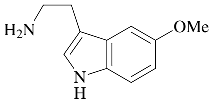
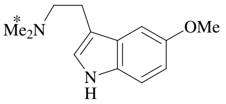
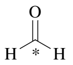
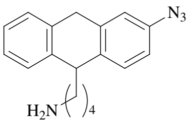
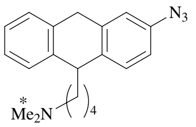
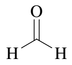
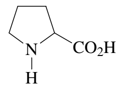
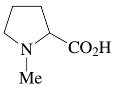
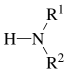
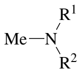
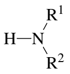
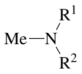
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		NaBT$_4$ or Na(CN)BH$_3$, CHCl$_3$:*i*-PrOH (2:1), 2-3 h	 R: H (—); T (—)	232
 * = ^{11}C		1. NaBH$_4$, borate buffer, 2 min 2. HCl, pH 7, reflux	 (98)	1136
		1. NaBH$_4$, borate buffer, 2 min 2. HCl, pH 7, reflux	 (91)	1136
		1. NaBH$_4$, borate buffer, 2 min 2. HCl, pH 7, reflux	 (89)	1136
		Na(CN)BH$_3$, HOAc, MeOH, 100°, 10 min	 (—)	1209
 * = ^{14}C		1. HOAc, H$_2$O, MeOH, –78 to 25° 2. Na(CN)BH$_3$ (1 M), MeOH, 25°, 1.5 h	 (49)	1210

TABLE IA. FORMALDEHYDE (*Continued*)

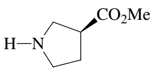
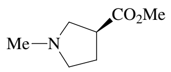
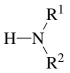
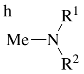
B. Secondary Aliphatic Amines

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, reflux, 30 min 2. NaBH$_4$, cool, 1 h	 (41)	1211
		1. ZnCl$_2$, CH$_2$Cl$_2$, 1 h 2. NaBH$_4$, 9-12 h		205

R^1	R^2	Yield (%)
i-Pr	*i*-Pr	(92)
c-C$_6$H$_{11}$	*c*-C$_6$H$_{11}$	(96)
Bn	Bn	(90)
—(CH$_2$)$_5$—		(88)
—(CH$_2$)$_2$NAc(CH$_2$)$_2$—		(90)
—(CH$_2$)$_2$NCO$_2$Et(CH$_2$)$_2$—		(90)
—(CH$_2$)$_2$C(OH)Ph(CH$_2$)$_2$—		(90)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Ti(OPr-*i*)$_4$, diglyme, 60°, 30 min 2. rt, 30 min 3. NaBH$_4$, 2-3 h 4. 60°, 2-3 h		188

R^1	R^2	Yield (%)
i-Pr	*i*-Pr	(95)
c-C$_6$H$_{11}$	*c*-C$_6$H$_{11}$	(95)
Bn	Bn	(94)
—(CH$_2$)$_5$—		(82)
—(CH$_2$)$_2$NAc(CH$_2$)$_2$—		(92)
—(CH$_2$)$_2$NCO$_2$Et(CH$_2$)$_2$—		(95)
—(CH$_2$)$_2$C(OH)Ph(CH$_2$)$_2$—		(90)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, MeOH, –20° to rt, 20 h	 (49)	209
		1. ZnCl$_2$, THF (anhyd), 1 h 2. Zn(BH$_4$)$_2$, THF, 10 min 3. 8 h		207

R^1	R^2	Yield (%)
i-Pr	*i*-Pr	(92)
c-C$_6$H$_{11}$	*c*-C$_6$H$_{11}$	(90)
Bn	Bn	(94)
—(CH$_2$)$_2$C(OH)Ph(CH$_2$)$_2$—		(92)

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

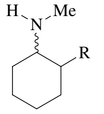
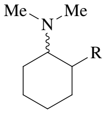
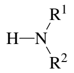
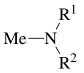
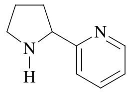
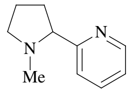
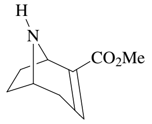
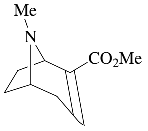
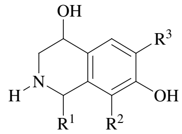
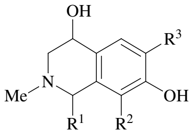
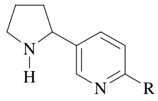
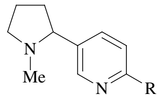
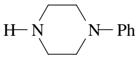
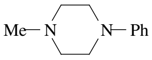
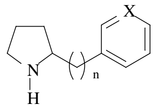
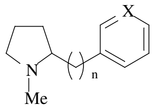
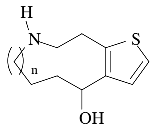
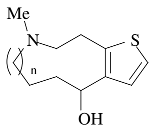
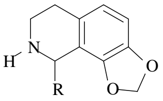
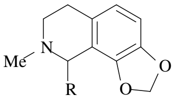
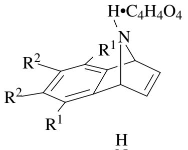
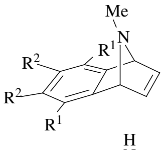
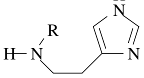
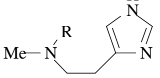
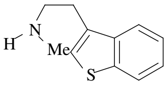
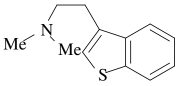
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, reflux 2. $NaBH_4$, rt	 R SMe (83) SO_2Me (88)	34
		1. $Na(CN)BH_3$, MeCN, 5 min 2. Glacial HOAc, pH 7, 0.75-1.5 h	 R^1 R^2 *i*-Pr *c*-C_6H_{11} (87) Et Bn (85) *n*-Pr Ph (83)	793
		1. MeCN, 30 min 2. $Na(CN)BH_3$, 0° 3. 35°, 30 min 4. Glacial HOAc, 1 h	 (85)	1212
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 30 min	 (95)	1213, 1214
		1. HOAc, MeCN, 5° 2. $NaBH_4$, 0°, 5 min 3. 0°, 20 min	 R^1 R^2 R^3 H OH H (99) Me H OH (91) Me OH H (53)	1215
		$Na(CN)BH_3$, HCl, NaOAc, pH 6, 15-30 min	 R Me (57) OMe (82)	1122
		$Na(CN)BH_3$, HOAc, pH 7, MeCN, 45 min	 (58)	1216
	"	$NaBH(OAc)_3$, DCE	" (98)	21
		1. MeCN, 30 min 2. $Na(CN)BH_3$, 0° 3. 35°, 30 min 4. Glacial HOAc, 1 h	 X n N 1 (83) N 2 (84) CH 2 (80)	1217
		1. MeOH, 20°, 1.5 h 2. $NaBH_4$, 0-5°, 20 min 3. 20°, 2 h	 n 1 (75) 2 (—)	1218
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 45 min	 R H (53) Me (48)	1219
		$Na(CN)BH_3$, MeCN, overnight	 R^1 R^2 H H (54) Me Me (22) OMe H (71)	1220
		$Na(CN)BH_3$, MeCN, 2 h	 R $CH_2C_4H_3O$ (13) $(CH_2)_2CH{=}CHPh$ (9)	1221
		1. $Na(CN)BH_3$, MeCN, 15 min 2. Glacial HOAc, 75 min	 (84)	1165

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

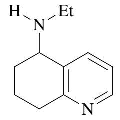
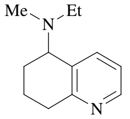
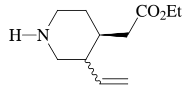
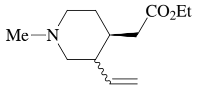
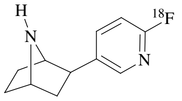
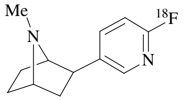
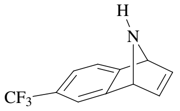
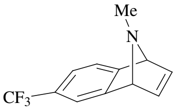
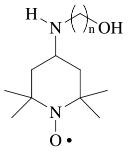
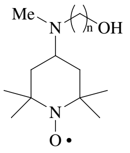
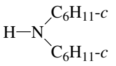
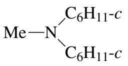
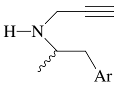
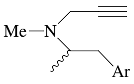
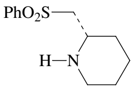
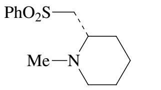
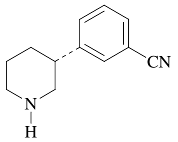
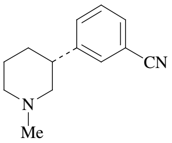
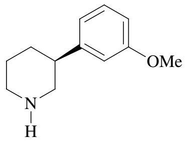
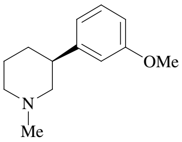
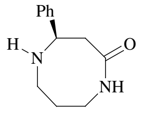
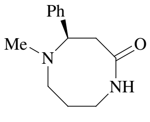
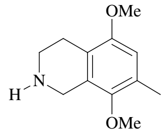
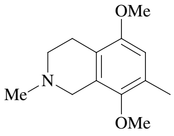
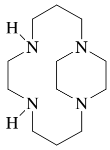
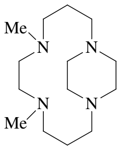
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Na(CN)BH_3, MeCN, 0°, 20 min 2. HOAc, 6 h	 (24)	1122
		1. Na(CN)BH_3, HOAc, pH 7, MeCN, 15°, 30 min 2. Na(CN)BH_3, 2 h	 α (—) β (51)	1222
		Na(CN)BH_3, MeCN, 45 min	 (95)	1223
		Na(CN)BH_3, MeCN, 12 h	 (70)	1224
	 n = 2-6	1. Na(CN)BH_3, MeCN, 15 min 2. Glacial HOAc, pH 7, 1 h	 (—)	1225
		Na(CN)BH_3, $ZnCl_2$, MeOH	 (95)	105
	 Ar = 4-FC_6H_4	Na(CN)BH_3, MeCN, 15 min	 (±) (93) (–) (—) (+) (—)	1226
		Na(CN)BH_3, HOAc, MeCN, 2 h	 (—)	1227
		$NaBH(OAc)_3$, HOAc, DCE, 5 h	 (89)	1229
		Na(CN)BH_3, MS 3 Å, MeOH, 30 min	 (57)	1230
		1. Na(CN)BH_3, MeCN, 1 h 2. HOAc, 1 h 3. HOAc, 30 min	 (98)	1231
		1. Na(CN)BH_3, MeCN, 15 min 2. HOAc, pH 7, 45 min	 (77)	1232
		Na(CN)BH_3, HOAc, MeCN, 5 h	 (98)	1233

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

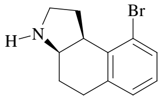
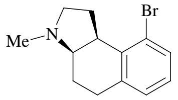
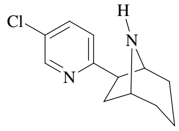
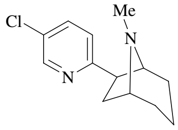
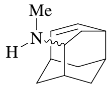
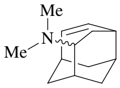
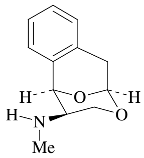
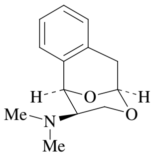
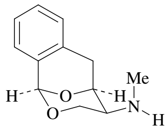
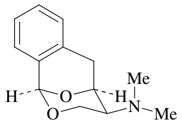
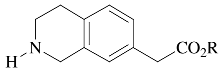
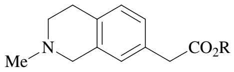
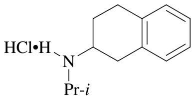
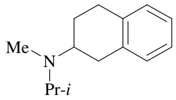
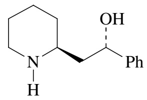
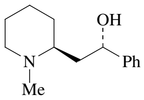
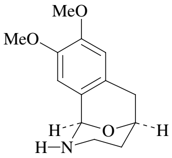
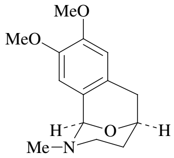
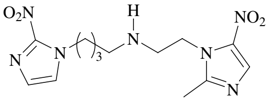
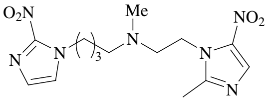
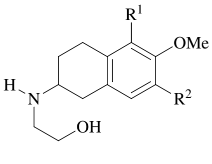
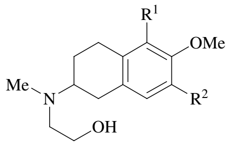
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, HOAc, pH <5, THF:MeCN (3:1), 24 h	 (90)	854
		Na(CN)BH_3, MeCN, 5 h	 (87)	1234
		Na(CN)BH_3, MeCN, overnight	 *endo* (61) *exo* (73)	1169
		Na(CN)BH_3, MeOH, 0°, 1.5 h	 2*S*, 5*S*, 6*R* (75) 2*R*, 5*R*, 6*S* (88)	1235
		Na(CN)BH_3, MeOH, 0°, 1.5 h	 2*R*, 5*S*, 6*S* (80) 2*S*, 5*R*, 6*R* (—)	1235
	 R = Me, Et	1. MeOH, reflux, 6 h 2. $NaBH_4$, rt, 4 h	 (55)	1236
		1. Na(CN)BH_3, HCl (5 M) in MeOH, pH 5-6, MeOH, 36 h 2. CH_2O, Na(CN)BH_3, HCl (5 M) in MeOH, pH 5-6, 3 h	 (50)	655
		1. Na(CN)BH_3, MeCN, 30 min 2. Glacial HOAc, pH 5, 20 min	 (88)	1237
		Na(CN)BH_3, HOAc, pH 5-6, MeOH, 4 h	 (98)	1238, 1239
		1. Na(CN)BH_3, MeCN, 30 min 2. HOAc, pH 7, 1.5 h	 (52)	1240
		Na(CN)BH_3, MS 4 Å, MeOH, 15-18 h	 R^1 / R^2: H, H (76) H, OMe (69) OMe, H (75)	856

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

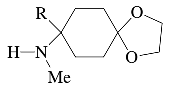
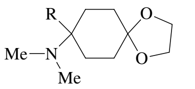
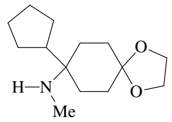
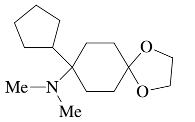
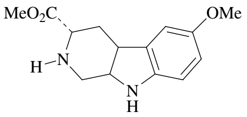
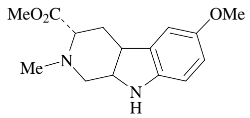
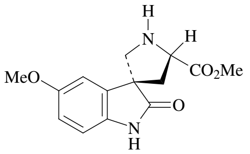
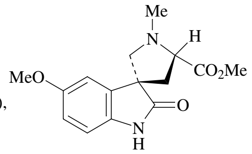
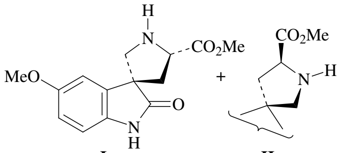
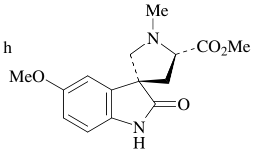
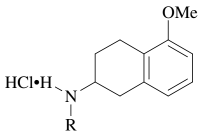
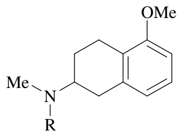
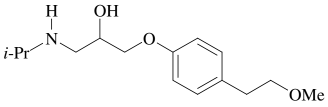
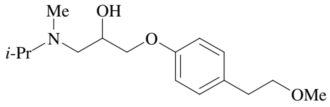
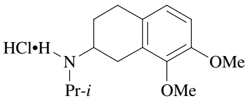
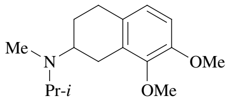
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, reflux, 4 h 2. $NaBH_4$, 0°, 10-15 min 3. rt, 2 h 4. Repeat steps 1-3	 R 2-thienyl (18) Ph (68) 4-FC_6H_4 (85) 2-ClC_6H_4 (13) 3-ClC_6H_4 (52) 4-ClC_6H_4 (59) 4-BrC_6H_4 (51) 2,4-$Cl_2C_6H_3$ (40) 3,4-$Cl_2C_6H_3$ (51) 2-MeC_6H_4 (37) 3-MeC_6H_4 (85) 4-MeC_6H_4 (76) 3-$MeOC_6H_4$ (68) 4-$MeOC_6H_4$ (78) 3,4-$(MeO)_2C_6H_3$ (72) 4-*t*-BuC_6H_4 (90) naphthyl (40)	463
		1. MeOH, reflux, 4 h 2. $NaBH_4$, 0°, 10-15 min 3. Repeat steps 1-3	 (24)	463
		1. MeCN, 15 min 2. $Na(CN)BH_3$, HOAc, 1 h 3. NEt_3, THF, reflux, 2 h	 (80)	778
		1. $Na(CN)BH_3$, HOAc, MeCN, 2 h 2. HCl (2 N):MeOH (1:5), reflux, 3 h	 (51)	778
	 I + II **I**:**II** = 4:1	1. $Na(CN)BH_3$, HOAc, 1 h 2. HCl (2 N):MeOH (10:1), 80°, 12 h	 (61)	778
		$Na(CN)BH_3$, MS 3 Å, MeOH, 5 d	 R *n*-Pr (89) *n*-pentyl (78)	654
		1. $Na(CN)BH_3$, HOAc, pH 6, MeCN, 15 min 2. 4 h	 (99)	1241
		$Na(CN)BH_3$, HCl (5 M) in MeOH, pH 5-6, MeOH, 12 h	 (44)	1242

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

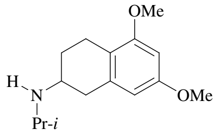
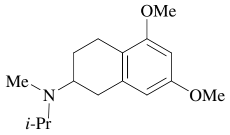
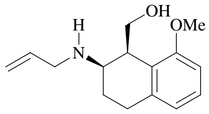
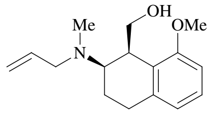
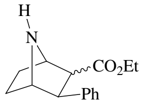
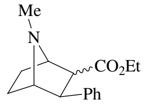
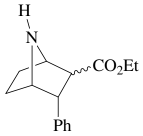
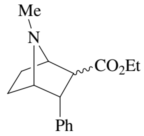
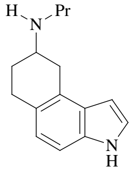
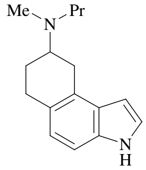
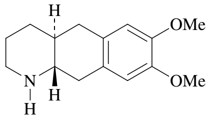
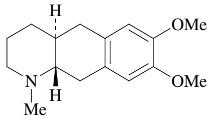
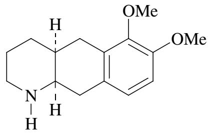
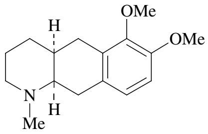
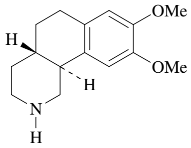
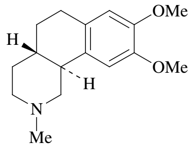
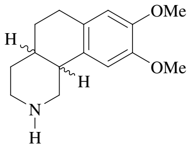
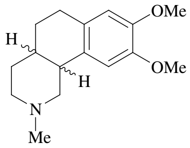
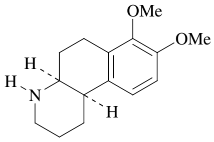
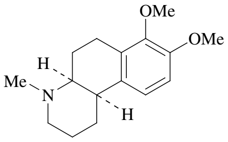
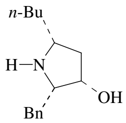
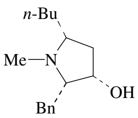
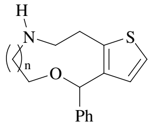
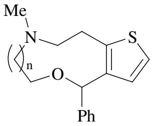
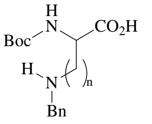
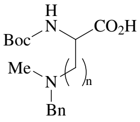
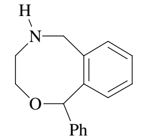
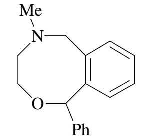
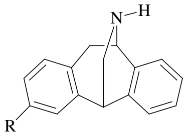
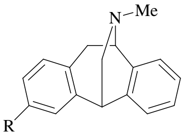
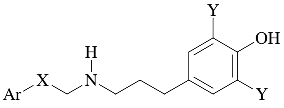
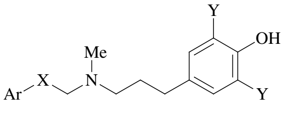
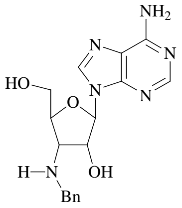
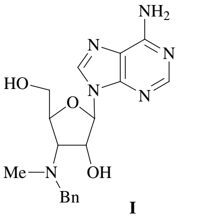
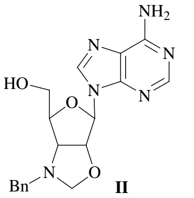
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, HOAc, pH 5-6, 30 min 2. Repeat twice 3. Overnight	 (85)	656
		1. THF:MeOH (3:1), 0-5° 2. HOAc, 30 min 3. $Na(CN)BH_3$, 0-5° to rt, 24 h	 (83)	754
		$Na(CN)BH_3$, MeCN, 45 min	 α (—) β (—)	1243
		$Na(CN)BH_3$, MeCN, 45 min	 α (—) β (—)	1243
		$NaBH(OAc)_3$, HOAc, THF, 10 min	 (57)	1055
		$Na(CN)BH_3$, HOAc, pH 7, MeCN:MeOH (5:2), overnight	 (86)	1244
		$Na(CN)BH_3$, HOAc, pH 6-7, MeOH, overnight	 (88)	1245
		$Na(CN)BH_3$, HOAc, pH 7, MeOH, overnight	 (83)	1246
		$Na(CN)BH_3$, HOAc, pH 7, MeCN:MeOH (5:2), overnight	 *trans* (86) *cis* (78)	1244
		$Na(CN)BH_3$, MS 3 Å, MeOH, overnight	 (73)	1247
		$Na(CN)BH_3$, MeCN, HOAc, 20°, 4 h	 (78)	1248
		1. MeOH, 20°, 1.5 h 2. $NaBH_4$, 0-5° to 20°, 1 h	 n 1 (—) 2 (79)	1249

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeCN:H_2O (2:1), 30 min	 n: 1 (81); 2 (76); 3 (82); 4 (85)	1089
		1. MeOH, rt, 1 h 2. $NaBH_4$, 0° to rt, 2 h	 (96)	1250
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 20 h	 R: H (+) (56); Br (±) (69)	844
	 Ar = 4-HOC_6H_4	1. Reflux, 45 min 2. $NaBH_4$, rt, 1 h	 X, Y: $^{12}CH_2$, H (78); $^{12}CH_2$, ^3H (—); $^{14}CH_2$, ^3H (—)	1252
		1. NaOAc•$3H_2O$, EtOH:H_2O (1:1) 2. $NaBH_4$	 **I** +  **II** (—) **I**:**II** = 1:2.7	453

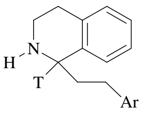
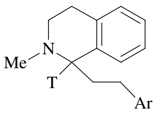
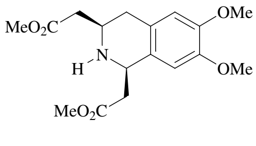
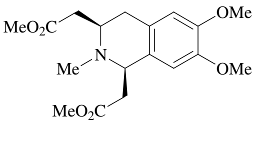
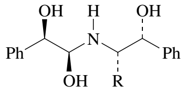
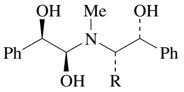
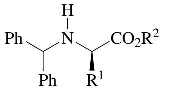
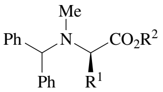
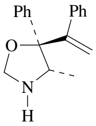
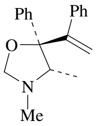
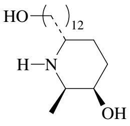
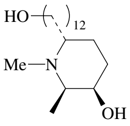
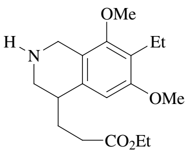
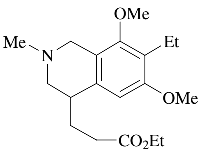
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 Ar = 4-HOC_6H_4	1. MeOH, reflux, 45 min 2. $NaBH_4$, rt, 1.5 h	 (54)	1253
		1. $Na(CN)BH_3$, MeCN, 1 min 2. 30 min 3. HOAc, pH 6, 30 min	 (—)	1254
		1. Dry MeOH, rt, 1 h 2. $NaBH_4$, 0° to rt, 18 h	 R: Me (86); Et (89)	422
		$Na(CN)BH_3$, HOAc, pH 5-7, MeCN, 3-6 h	 R^1, R^2: Me, Me (90); *i*-Bu, Et (63)	1255
		$Na(CN)BH_3$, HCl (0.1 N), pH 6, MeCN, 48 h	 (84)	1256
		$Na(CN)BH_3$, HOAc, MeCN, 2 h	 (78)	1257
		$Na(CN)BH_3$, MeOH, 15 h	 (53)	1183

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

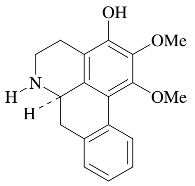
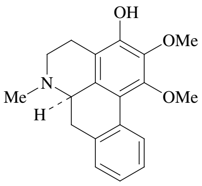
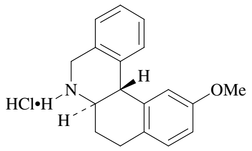
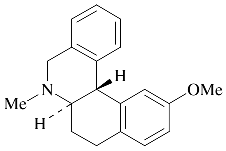
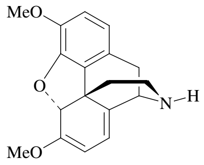
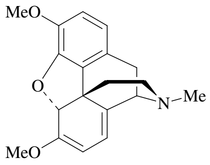
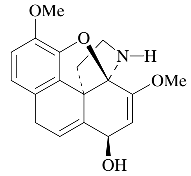
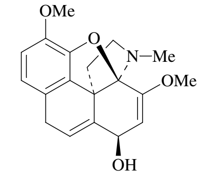
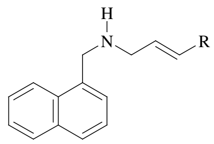
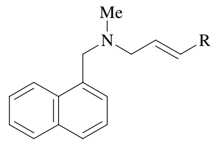
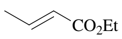
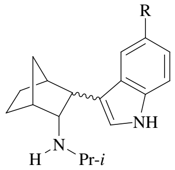
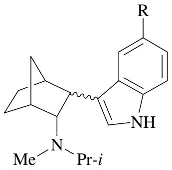
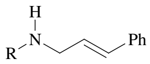
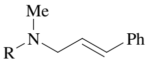
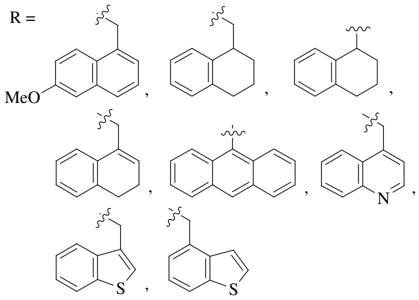
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeCN, 2 h	 (89)	1258
		$Na(CN)BH_3$, MeOH, overnight	 (40)	1259
		1. $Na(CN)BH_3$, MeCN, 0° to rt, 30 min 2. HOAc, pH 6-7, 45 min	 (86)	1260
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc (10% aq), pH 6, 5 min	 (—)	1261
		1. Reflux, 30 min 2. $NaBH_4$, 0° to rt, 3 h	 R 2-thienyl (—) 3-thienyl (—) 2-furyl (—) 2-*N*-methylpyrrolyl (—) 2-pyridyl (—) 4-pyridyl (—)  (—)	1262
		1. $Na(CN)BH_3$, HOAc, pH 6, 1 h 2. HOAc, pH 3.9, 2 h	 R H *cis* (92) OMe *trans* (92) H *cis* (90) OMe *trans* (93)	690
		1. Reflux, 30 min 2. $NaBH_4$, 0° to rt, 3 h	 (—) R = 	1262

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

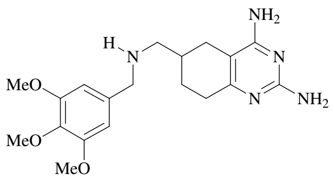
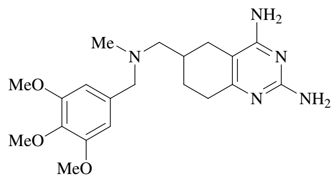
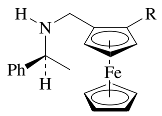
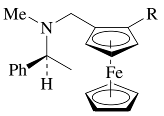
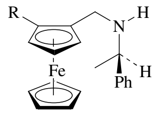
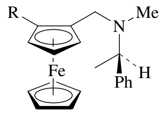
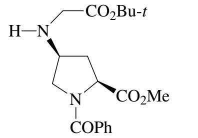
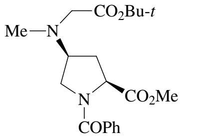
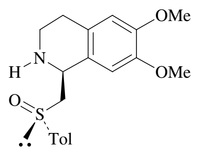
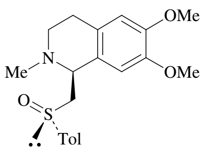
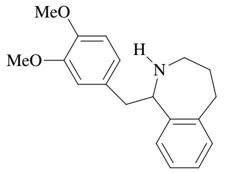
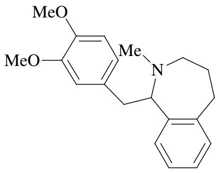
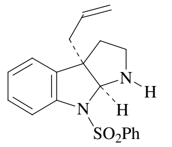
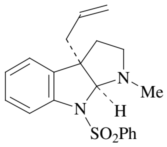
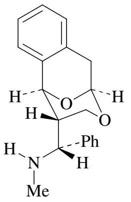
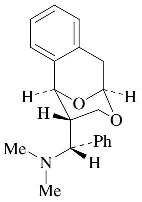
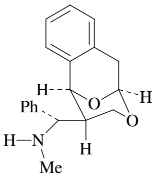
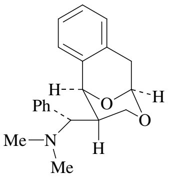
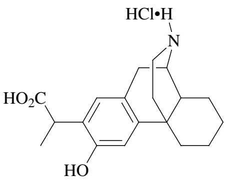
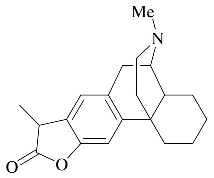
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, HOAc, pH 6-7, MeCN, 24 h	 (11)	102
		1. MeOH, 10 min 2. $NaBH_4$, 75°, 3 d	 R: Br (99); I (98)	1263
		1. MeOH, 10 min 2. $NaBH_4$, 75°, 3 d	 R: Br (97); I (93)	1263
		1. $Na(CN)BH_3$, MeCN, 5 min 2. Glacial HOAc, pH 7, 0.75-1.5 h	 R: *n*-Pr (96); Ph (75)	1264
		1. MeOH, 1 h 2. $NaBH_4$, 18 h	 (92)	1265
		1. EtOH, reflux, 1 h 2. $NaBH_4$, 0-5° to rt	 (44)	1266
		1. MeCN, 30 min 2. $Na(CN)BH_3$, HOAc, 1 h 3. HOAc, 1 h	 (99)	787
		$Na(CN)BH_3$, MeOH, 0°, 1.5 h	 (—)	1267
		$Na(CN)BH_3$, MeOH, 0°, 1.5 h	 (—)	1267
		1. MeCN, 15 min 2. $Na(CN)BH_3$, HOAc, pH 6-7, 45 min	 (84)	1268

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

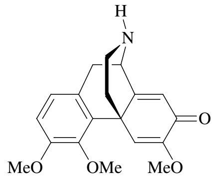
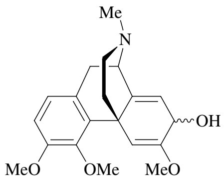
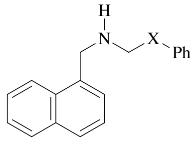
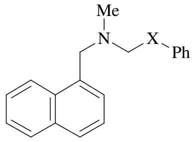
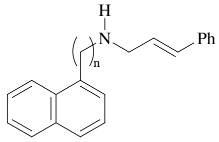
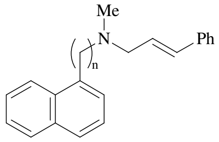
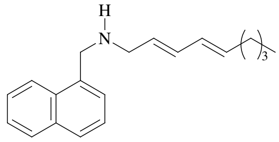
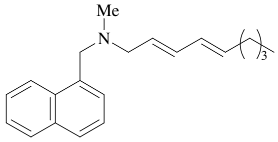
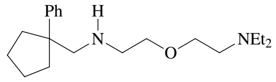
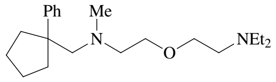
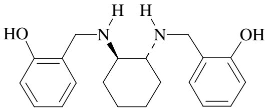
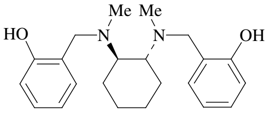
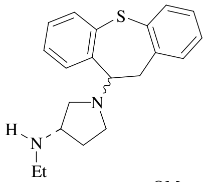
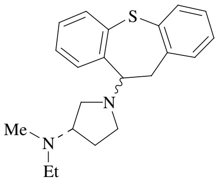
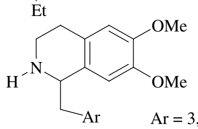
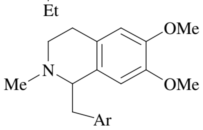
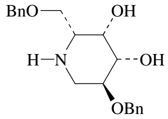
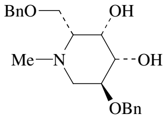
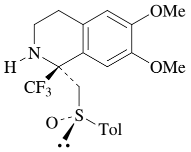
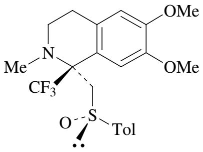
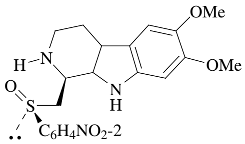
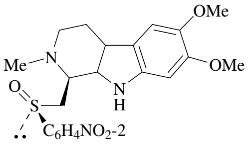
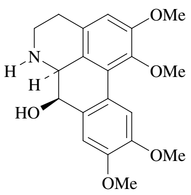
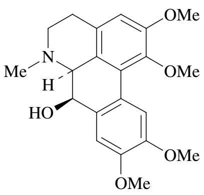
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, 3 h 2. $NaBH_4$, 0°, 1 h 3. rt, 1 h	 (68) α:β = 1:1	761
		1. Reflux, 30 min 2. $NaBH_4$, 0° to rt, 3 h	 X: (—); —CH_2— (—)	1262
		1. Reflux, 30 min 2. $NaBH_4$, 0° to rt, 3 h	 n: 1 (100); 2 (—)	1262
		1. Reflux, 1 h 2. $NaBH_4$, 0° to rt, overnight	 (67)	1269
		1. Na(CN)BH_3, MeCN, 0° to rt 2. Glacial HOAc, pH 6-7, 45 min	 (92)	1270
		1. HOAc, MeCN, 20 min 2. Na(CN)BH_3, 12 h	 (—)	1271
		1. MeCN, 25 min 2. Na(CN)BH_3, 16 h	 (50)	1272
	 Ar = 3,4-$(MeO)_2C_6H_3$	1. MeOH, 1 h 2. $NaBH_4$, 18 h	 (89)	1273
		Na(CN)BH_3, HOAc, MeCN, 2 h	 (77)	452
		Na(CN)BH_3, MeCN	 (83)	1274
		1. Na(CN)BH_3, MeCN, 30 min 2. HOAc, 6 h	 (90)	1275
		Na(CN)BH_3, MeCN, 1.5 h	 (71)	1276

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

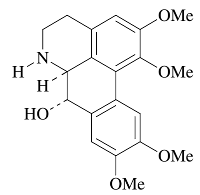
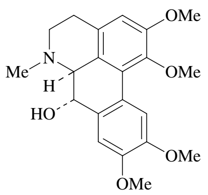
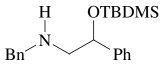
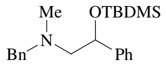
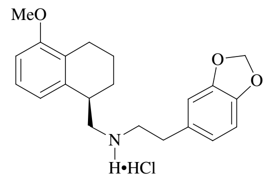
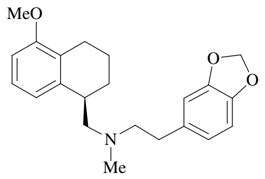
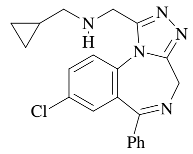
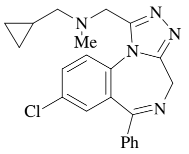
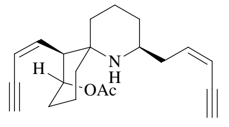
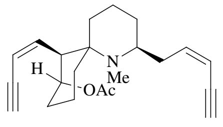
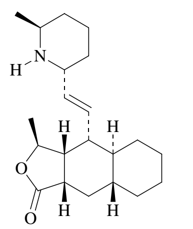
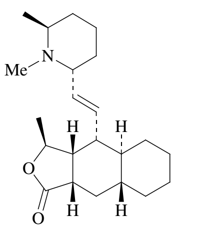
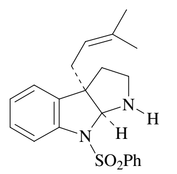
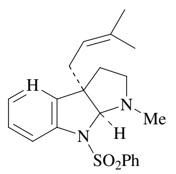
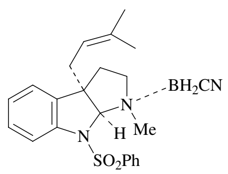
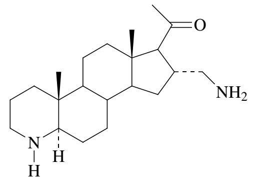
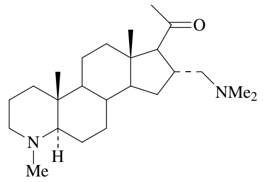
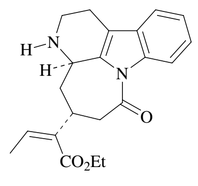
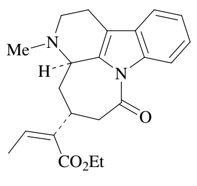
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeCN:H_2O (4:1), 2 h	 (70)	1276
		1. MeOH, 1 h 2. $Na(CN)BH_3$, HOAc, pH 6, 18 h	 (96)	424
		$Na(CN)BH_3$, MeOH, 2 h	 (—)	1277
		1. $Na(CN)BH_3$, HOAc in MeCN, 1 h 2. Glacial HOAc, pH 6-7, 45 min	 (62)	1148
		$Na(CN)BH_3$, HOAc, MeCN, 5 h	 (—)	1278
		1. $Na(CN)BH_3$, MeCN, 20 min 2. HOAc, pH 7, 1 h	 (70)	1227
		1. MeCN, 30 min 2. $Na(CN)BH_3$, HOAc, 1h 3. HOAc, 1 h	 (27) +  (59)	784
		1. $NaBH_4$, MeOH, 15 min 2. 2 h	 (46)	1202
		1. HOAc, 10 min 2. $Na(CN)BH_3$, 0°, 1 h	 (86)	1279

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

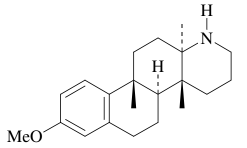
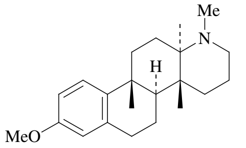
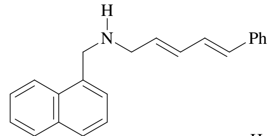
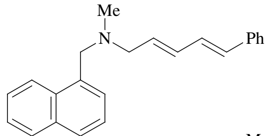
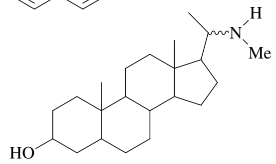
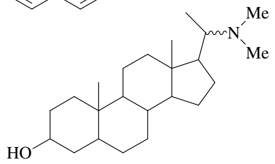
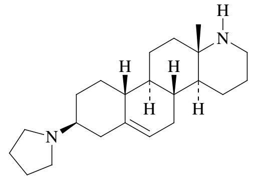
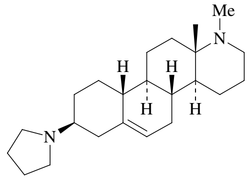
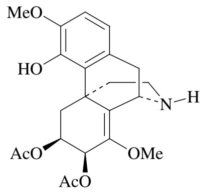
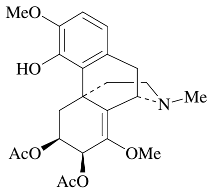
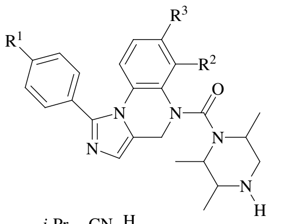
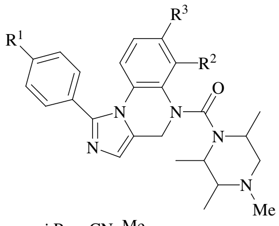
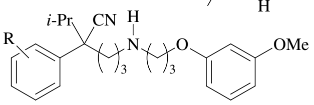
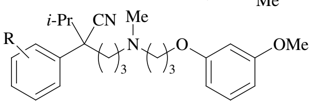
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 30 min	 (87)	1280
		1. Reflux, 30 min 2. $NaBH_4$, 0° to rt, 3 h	 (—)	1262
		1. MeOH, reflux, 0.5 h 2. $NaBH_4$, cooling, 1 h	 α (—) β (—)	1198
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 30 min	 (72)	1280
		1. MeOH, 60°, 30 min 2. $NaBH_4$, 0°, 30 min	 (90)	1281
		$Na(CN)BH_3$, MeOH, overnight	 R^1 R^2 R^3 F H H (57) F H F (78) F Cl H (81) OMe H H (49)	1282
		1. MeOH, reflux, 1.5 h 2. $NaBH_4$, 10° to rt, 0.5 h	 R H (71) 2-F (88) 3-F (90) 4-F (86) 2-Cl (83) 3-Cl (84) 4-Cl (85) 2-Br (83) 4-Br (64) 4-NO_2 (75) 2-Me (87) 3-Me (82) 4-Me (83) 2-OMe (85) 3-OMe (86) 4-OMe (79) 2-OEt (86) 3-OEt (74) 4-OEt (89) 4-Pr-*i* (86) 3,4-$(OMe)_2$ (60) 3,4-$(OMe)_2$ (90) 3-$CONMe_2$ (86)	1283

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

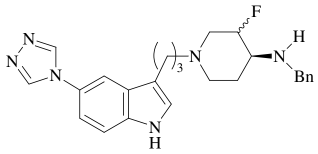
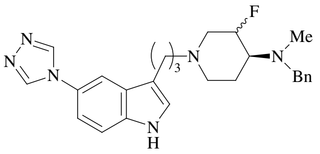
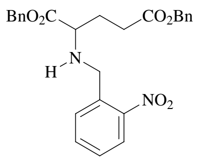
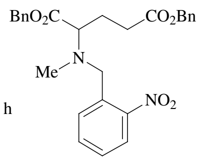
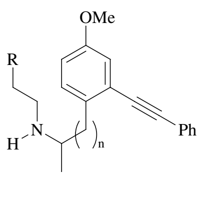
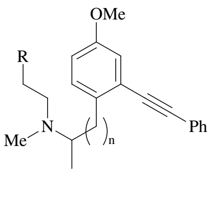
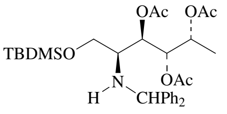
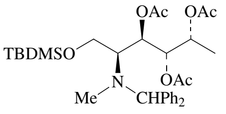
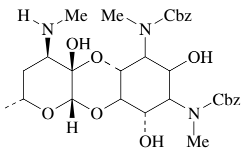
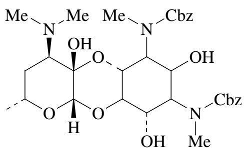
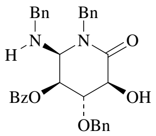
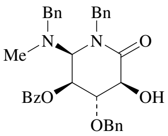
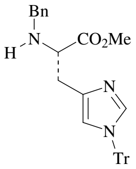
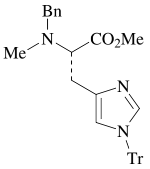
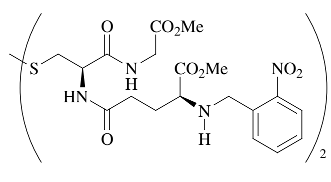
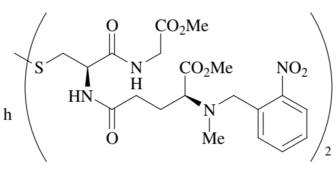
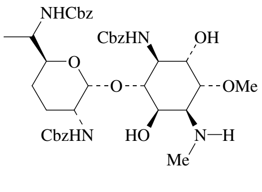
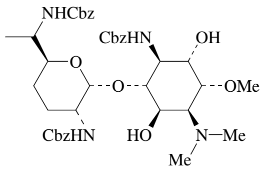
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, 3 h	 *cis* (93) *trans* (57)	1284
		1. MeCN, 10 min 2. $Na(CN)BH_3$, HOAc, 3 h	 (80)	1076
		$NaBH_4$ pellet, MeOH, 20 min	 R / n: 3-ClC_6H_4 / 1 (64) 3,4-$Cl_2C_6H_3$ / 1 (90) 3,4-$(MeO)_2C_6H_3$ / 1 (62) 3,5-$(MeO)_2C_6H_3$ / 1 (51) $C_{10}H_7$ / 1 (60) 3,4-$(MeO)_2C_6H_3$ / 2 (88)	1285
		1. $Na(CN)BH_3$, MeCN 2. Glacial HOAc, pH 7, 2 h	 (89)	1017
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 45 min	 (40)	1286
		$Na(CN)BH_3$, HOAc, MeCN, 1 h	 (86)	1287
		$Na(CN)BH_3$, HOAc, MeCN, 0° to rt, 20 min	 (61)	1240
		1. MeCN:DMSO (4:1), 5 min 2. $Na(CN)BH_3$, HOAc, 3 h	 (85)	1076
		1. Sorensen's pH 6 buffer, MeOH, 50 min 2. $Na(CN)BH_3$, 20 h	 (—)	1117

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

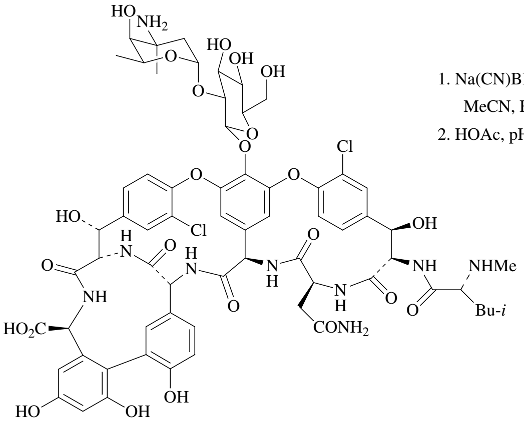
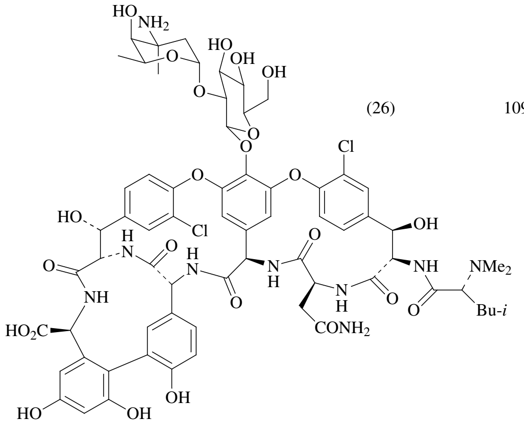
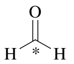
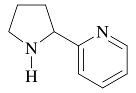
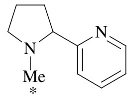
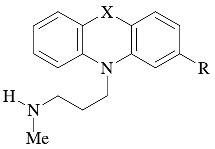
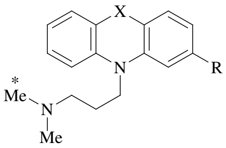
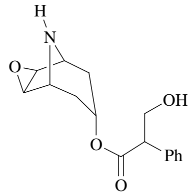
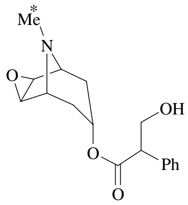
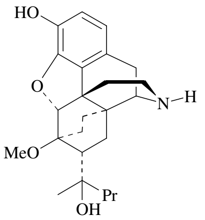
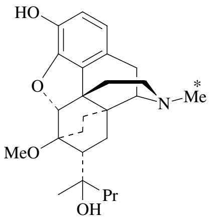
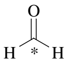
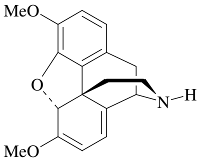
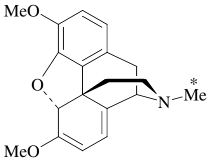
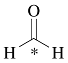
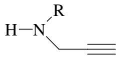
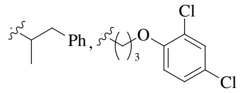
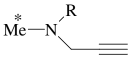
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, MeCN, H_2O, 3 h 2. HOAc, pH 7, 4 h	 (26)	1093
 * = ^{11}C		$Na(CN)BH_3$, HOAc, H_2O:MeCN (1:5)	 (—)	1288
		$Na(CN)BH_3$, HOAc, H_2O:MeCN (1:5)	 R, X: H, CH_2CH_2 (—); Cl, S (—)	1288
		$Na(CN)BH_3$, HOAc, MeCN, 10 min	 (—)	1289
		$Na(CN)BH_3$, HOAc, MeCN, –5° to –70°, 5 min	 (—)	1290
 * = ^{13}C		1. $Na(CN)BH_3$, MeCN, 0° to rt, 30 min 2. HOAc, pH 6-7, 45 min	 (84)	1260
 * = ^{14}C	 R = 	$Na(CN)BH_3$, HOAc, MeCN, 10 min	 (—)	1291

TABLE IA. FORMALDEHYDE (*Continued*)

B. Secondary Aliphatic Amines

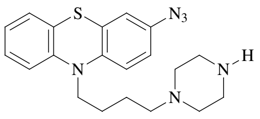
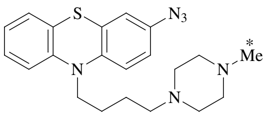
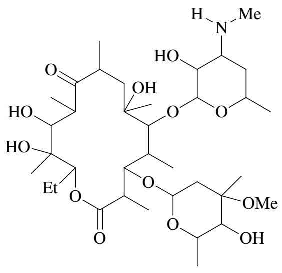
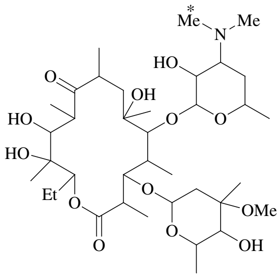
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. HOAc, MeOH, –78° to rt 2. Na(CN)BH$_3$ (1 M) in MeOH, rt, 1.5 h	 (49)	1210
		Na(CN)BH$_3$	 (—)	1292

TABLE IA. FORMALDEHYDE (*Continued*)

C. Primary Aromatic Amines

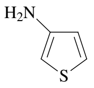
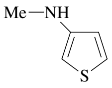
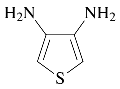
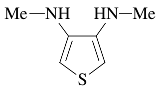
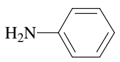
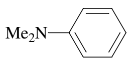
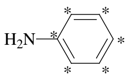
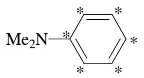
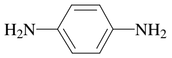
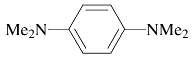
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. NaOMe in MeOH, MeOH, 20°, 5 h 2. NaBH$_4$, overnight 3. Reflux, 15 min	 (70)	339
		1. NaOMe in MeOH, MeOH, 20°, 5 h 2. NaBH$_4$, overnight 3. Reflux, 15 min	 (84)	339
		NaBH$_4$, H$_2$SO$_4$ (3 M), ethylene glycol monomethylether, 0-20°	 (100)	156
	"	Amberlyst-26$^+$BH$_3$CN$^-$	" (78)	65
	 * = ^{14}C	1. MeCN, 10 min 2. Na(CN)BH$_3$, HOAc, pH 7, 3 h 3. Na(CN)BH$_3$, overnight	 (80)	1293
	"	1. MeCN, 10 min 2. Na(CN)BH$_3$, HOAc, pH 5, 3 min 3. Na(CN)BH$_3$, 85 min	" (82)	1294
		NaBH$_4$, H$_2$SO$_4$ (3 M), THF, –10-20°	 (100)	154

TABLE IA. FORMALDEHYDE (*Continued*)

C. Primary Aromatic Amines

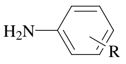
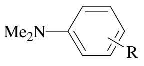
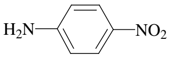
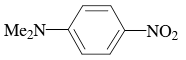
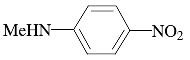
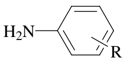
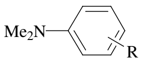
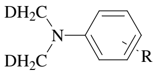
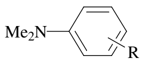
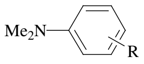
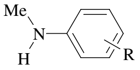
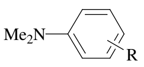
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, MeCN 2. Glacial HOAc, 10 min 3. 2 h 4. Glacial HOAc, 30 min	 R 3-Cl (86) 3-NO_2 (68)	793
		1. $Na(CN)BH_3$, MeCN 2. HOAc, 10 min 3. 2 h 4. HOAc, 3 h	 (46) +  (18)	793
		$Na(CN)BH_3$, HOAc, 25°, 18 h	 R H (59) 4-OMe (74)	152
	"	$Na(CN)BH_3$, $ZnCl_2$, MeOH, 2 h	" R H (86) 2-NO_2 (90) 4-Me (83) 4-OMe (98)	105
	"	$NaBD_4$, H_2SO_4 (3 M), THF	 R 2-NO_2 (97) 3-NO_2 (97) 4-NO_2 (98) 4-Me (97)	156
	"	1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 0.75-2.75 h	 R H (92) 4-Br (87) 4-OEt (85)	793
	"	1. $ZnCl_2$, THF, 1 h, rt 2. $Zn(BH_4)_2$, THF, 10 min 3. 10-12 h	" R 4-Cl (62) 3-NO_2 (60) 4-OMe (65) 4-NHAc (68)	207
	"	1. $ZnCl_2$, CH_2Cl_2, 1 h 2. $NaBH_4$, 12 h	 R 4-Cl (65) 4-NO_2 (60) 4-OMe (65) 4-NHAc (70)	205
	"	1. NaOMe, MeOH, 5 h 2. $NaBH_4$, KOH (1 M)	 R H (82) 2-Me (75) 3-Me (89) 4-Me (65) 2-OMe (65) 4-OMe (65) 2-OEt (65)	338
	"	1. $NaBH_4$, THF, 10-30° 2. H_2SO_4 (3 M) 3. $NaBH_4$, THF, 10-30°	 R H (77) 4-NO_2 (80) 4-OMe (66) 3,5-Me_2 (63) 2,4,6-Me_3 (79)	154
	"	$NaBH_4$, H_2SO_4 (3 M), THF	" R 2-NO_2 (97) 3-NO_2 (97) 4-NO_2 (98) 2-CN (95) 4-CN (97) 2-CO_2Me (98) 4-CO_2Me (97) 4-N=NPh (99)	156

TABLE IA. FORMALDEHYDE (*Continued*)

C. Primary Aromatic Amines

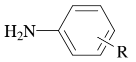
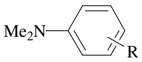
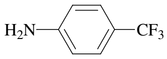
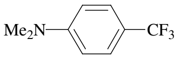
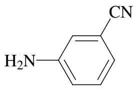
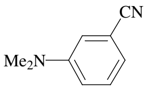
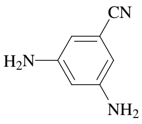
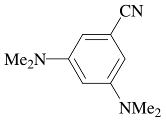
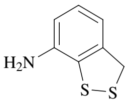
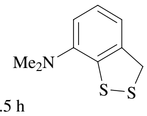
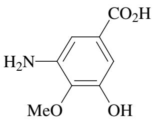
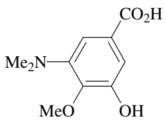
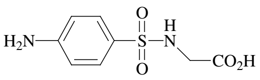
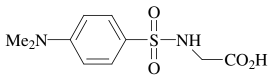
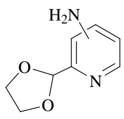
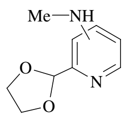
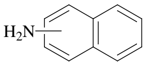
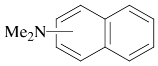
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, H_2SO_4 (3 M), THF, −10-20°	 R: H (98); 4-F (99); 4-Cl (98); 4-Br (95); 3-NO_2 (100); 4-NO_2 (93); 2-Me (98); 3-Me (98); 4-Me (94); 2-OMe (93); 3-OMe (98); 4-OMe (96); 2,3-Me_2 (100); 2,4-Me_2 (99); 2,5-Me_2 (96); 2,6-Me_2 (99); 3,4-Me_2 (98); 3,5-Me_2 (97); 2,4,6-Me_3 (95)	154, 155
		$NaBH_4$, H_2SO_4 (3 M), THF	 (—)	157
		$Na(CN)BH_3$, HOAc, MeCN, 22 h	 (94)	1295
		$Na(CN)BH_3$, HOAc, MeCN, 22 h	 (68)	1295
		1. $Na(CN)BH_3$, HOAc, MeCN, 15 min 2. 2 h 3. HOAc, 1 h 4. CH_2O, $Na(CN)BH_3$, 1.5 h 5. HOAc, 3 min 6. 30 min	 (29)	482
		1. EtOH, 15 min 2. $Na(CN)BH_3$, HOAc, pH 5-7, 30 min 3. Repeat steps 1 and 2 four times	 (96)	1296
		$Na(CN)BH_3$, MeCN, 4.5 h	 (14)	1297
		1. NaOMe, MeOH, 50°, 20 h 2. $NaBH_4$, reflux, 1 h	 3-NH_2 (37) 5-NH_2 (63)	341
		$NaBH_4$, H_2SO_4 (3 M), THF, −10-20°	 1-NH_2 (87) 2-NH_2 (85)	154

TABLE IA. FORMALDEHYDE (*Continued*)

C. Primary Aromatic Amines

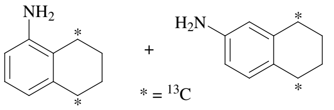
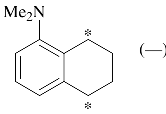
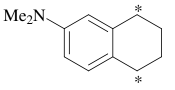
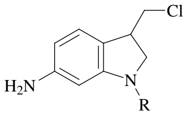
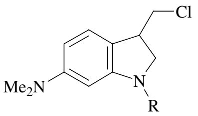
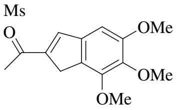
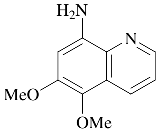
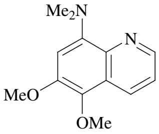
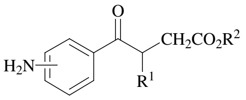
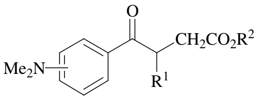
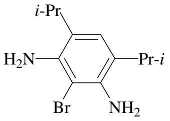
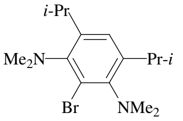
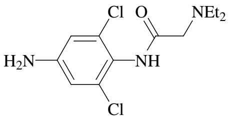
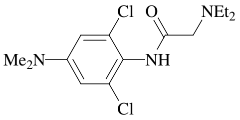
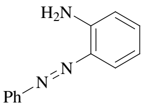
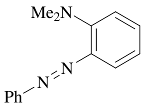
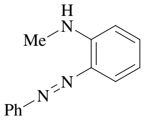
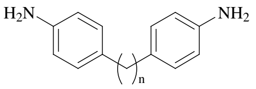
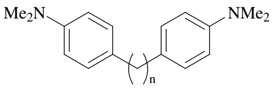
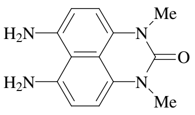
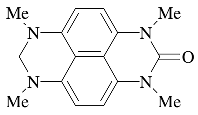
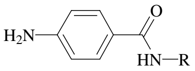
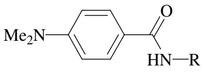
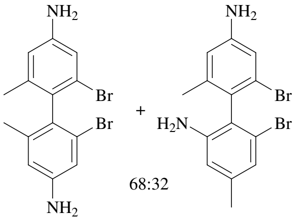
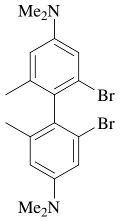
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, HOAc, pH 7	 (—) +  (—)	1298
		$Na(CN)BH_3$, MeOH	 R: Ms (84);  (64)	1299
		1. $Na(CN)BH_3$, HOAc, MeCN, 20°, 30 min 2. HOAc, 20°, 30 min	 (93)	1300
		1. $Na(CN)BH_3$, HOAc, MeCN, 7 min 2. 2 h 3. HOAc, 30 min	 3-NH_2, R^1 = Me, R^2 = Et (86) 4-NH_2, R^1 = H, R^2 = Me (87) 4-NH_2, R^1 = Me, R^2 = Et (66)	1301
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 5-6, 2 h	 (68)	1302
		$Na(CN)BH_3$, HOAc, MeCN, 48 h	 (55)	1303
		1. $Na(CN)BH_3$, HOAc, MeCN, 10 min 2. 30 min	 (—)	334
	"	1. $Na(CN)BH_3$, HOAc, acetone, 10 min 2. 30 min	 (—)	334
		$NaBH_4$, H_2SO_4 (3 M) THF, –10-20°	 n = 0 (98) n = 1 (86)	154
		$Na(CN)BH_3$, HOAc MeCN, 12 h	 (2)	780
		1. $Na(CN)BH_3$, HOAc, MeCN, 30 min 2. $Na(CN)BH_3$, HOAc, overnight	 R = Ph (80) R = Bn (76) R = CH(Me)Ph (82) R = $(CH_2)_2Ph$ (79)	1304
	 68:32	1. $Na(CN)BH_3$, HOAc, MeCN, 20-40°, 3 h 2. HOAc, 2 h	 (48)	1305

TABLE IA. FORMALDEHYDE (*Continued*)

C. Primary Aromatic Amines

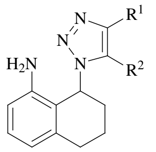
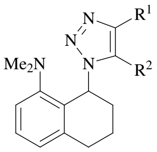
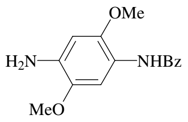
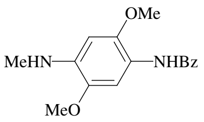
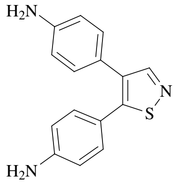
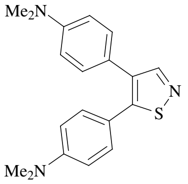
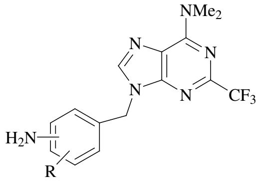
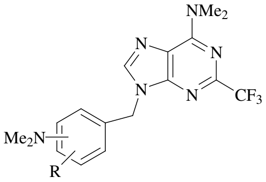
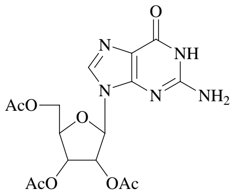
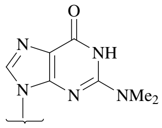
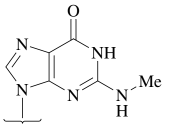
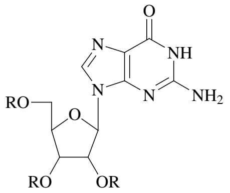
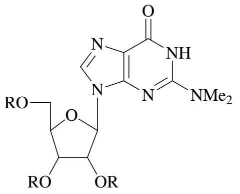
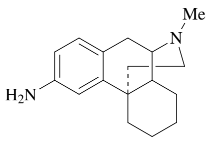
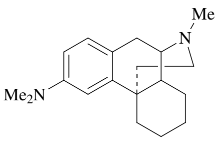
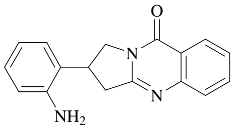
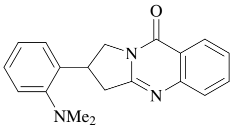
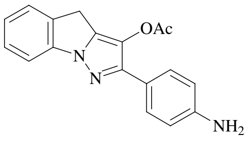
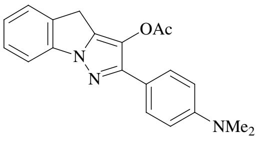
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 1 h	 R^1 / R^2 H / CO_2Me (86) CO_2Me / H (90) CO_2Me / CO_2Me (88)	1306
		$NaBH_4$, NaOMe, MeOH–THF	 (72)	340
		$NaBH_4$, H_2SO_4 (3 M), pH 4, 15°, 1 h	 (78)	1307
		1. $Na(CN)BH_3$, HOAc, MeCN, 2 h 2. HOAc, 3 h 3. CH_2O, $Na(CN)BH_3$, 15 h	 R 3-NMe_2 H (20) 3-NMe_2 4-Cl (45) 4-NMe_2 H (46) 4-NMe_2 4-Cl (48)	1308
		1. $Na(CN)BH_3$, HOAc, 40°, 8 h 2. CH_2O, $Na(CN)BH_3$, 8 h	 (36) +  (26)	337
		1. $Na(CN)BH_3$, HOAc, 40°, 8 h 2. CH_2O, $Na(CN)BH_3$, 8 h 3. Repeat step 2 three times	 R OAc (95) TBDMS (65)	337
		1. $Na(CN)BH_3$, MeCN, 0°, pH 12, 5 min 2. 0° to rt, 30 min 3. HOAc, pH 6, rt, 45 min	 (93)	1309
		$Na(CN)BH_3$, HOAc, pH 7, MeCN, 2.5 h	 (—)	1310
		$Na(CN)BH_3$, HOAc, MeCN	 (60)	1311

TABLE IA. FORMALDEHYDE (*Continued*)

C. Primary Aromatic Amines

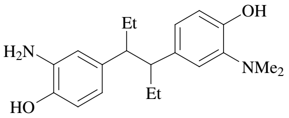
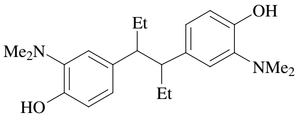
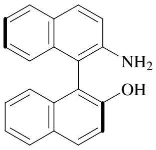
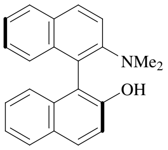
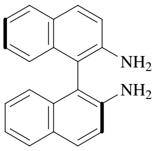
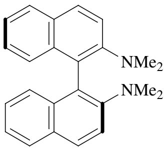
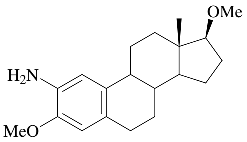
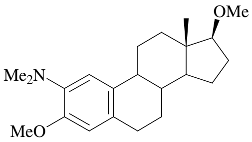
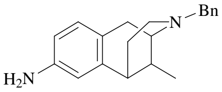
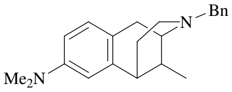
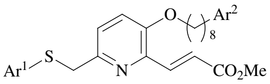
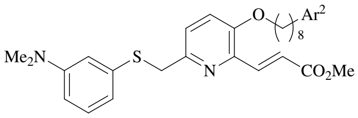
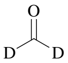
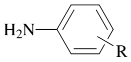
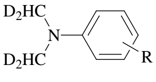
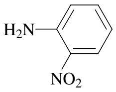
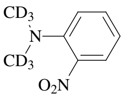
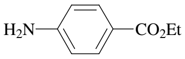
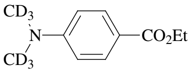
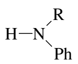
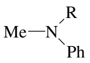
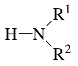
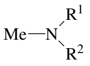
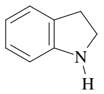
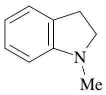
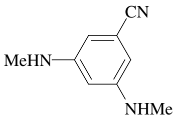
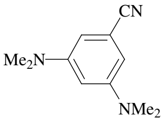
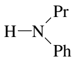
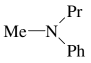
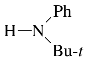
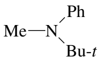
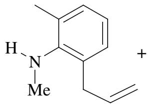
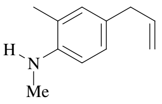
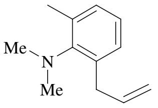
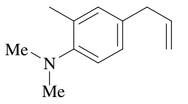
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Na(CN)BH_3, MeCN, 15 min 2. HOAc, pH 7, 45 min	 (—)	1312
		$NaBH_4$, H_2SO_4 (20%), THF, 5 min	 (84)	161
	 (*R*)	$NaBH_4$, H_2SO_4 (20%), THF, H_2O, 5 min	 (*R*) (88)	162
		1. H_2SO_4, rt, 1 h 2. $NaBH_4$, 0° to rt, 1 h	 (92)	1313
		1. Na(CN)BH_3, MeCN, 15 min 2. HOAc, 2 h	 (86)	763
	 Ar^1 = 3-$H_2NC_6H_4$ Ar^2 = 4-$MeOC_6H_4$	1. Na(CN)BH_3, MeCN, 15 min 2. HOAc, pH 7, 2 h	 (72)	1314
		$NaBH_4$, H_2SO_4 (3 M), THF	 R: 2-NO_2 (97); 3-NO_2 (97); 4-NO_2 (98)	156
		$NaBD_4$, H_2SO_4 (3 M), THF	 (97)	156
		1. Na(CN)BD_3, MeCN, 10 min 2. HOAc, 2 h 3. HOAc, 13 h	 (81)	137

TABLE IA. FORMALDEHYDE (*Continued*)

D. Mixed Aliphatic Aromatic Amines

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, H_2SO_4 (3 M), THF, −10-20°	 R: Me (98); Et (87)	143
		1. $NaBH_4$, TFA, THF, 1 h 2. 25°, 24 h	 R^1, R^2: Me, Ph (83); Me, CH_2CH_2Ph (87); Ph, Bn (78); Bn, Bn (80)	152
		Na(CN)BH_3, HOAc, 25°, 18 h	 (59)	152
		Na(CN)BH_3, HOAc MeCN, 3 h	 (44)	1295
		1. Na(CN)BH_3, MeCN, 15 min 2. HOAc, pH 7, 2.67 h	 (83)	793
		Na(CN)BH_3, MeCN, 1 h	 (58)	1315
	 + 	1. Na(CN)BH_3, HOAc, MeCN, 45 min 2. HOAc, 0.5 h	 (—) +  (—)	1316

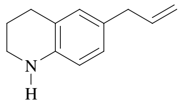
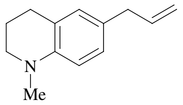
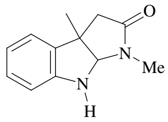
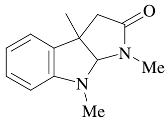
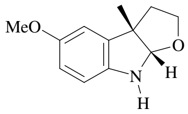
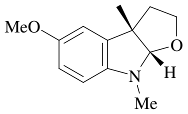
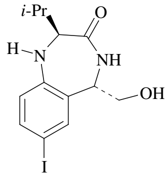
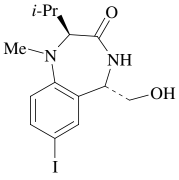
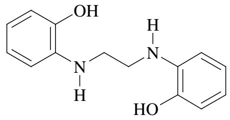
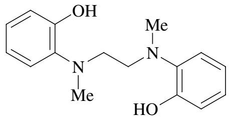
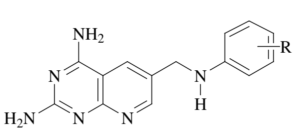
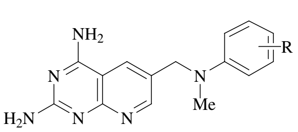
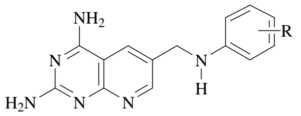
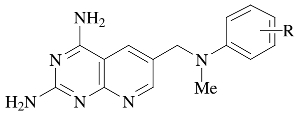
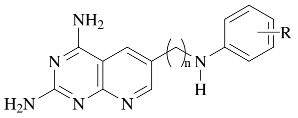
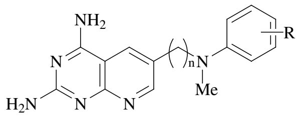
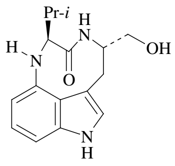
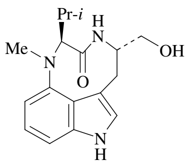
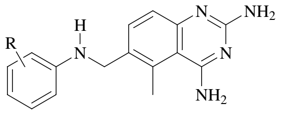
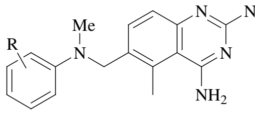
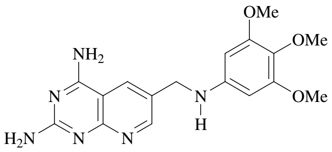
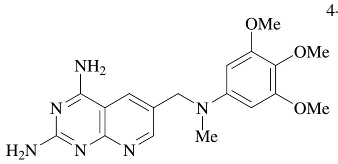
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, HOAc, MeCN, overnight	 (—)	1317
		1. MeCN, 30 min 2. Na(CN)BH_3, HOAc, 2 h 3. HOAc, 1.5 h	 (63)	1318
		Na(CN)BH_3, MeOH, HCl (1% aq), 0° to rt, 12 h	 (94)	1319
		Na(CN)BH_3, MeCN, 4 h	 (84)	1320
		1. Na(CN)BH_3, HOAc, MeCN, 10 min 2. 6 h 3. HOAc, 2 h	 (45)	681
		1. Na(CN)BH_3, MeCN, 5 min 2. HCl (2 N), pH 2, 2-3 min 3. rt, 3-24 h	 R: H (60); 2-OMe (99); 2-Cl (88); 2,5-Cl_2 (78); 2,6-Cl_2 (94); 3,4-Cl_2 (75); 3,4,5-Cl_3 (55); 2,4,6-Cl_3 (40)	1321

TABLE IA. FORMALDEHYDE (*Continued*)

D. Mixed Aliphatic Aromatic Amines

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, HCl (1 N), pH 2-3, overnight	 R 3,4,5-(OMe)$_3$ (75) 3,4-Cl$_2$ (56) 3,4,5-Cl$_3$ (43)	1322
		Na(CN)BH$_3$, HCl (12 N), MeCN, 3 h	 R n H 1 (72) 2,5-(OMe)$_2$ 1 (69) 3,5-(OMe)$_2$ 1 (79) 2,3,4-(OMe)$_3$ 1 (80) 3,4,5-(OMe)$_3$ 1 (75) H 2 (66)	322
		Na(CN)BH$_3$, HOAc, MeCN, 0°, 1 h	 (—)	1251
		Na(CN)BH$_3$, pH 3, MeCN	 R 2-Cl (—) 4-Cl (—) 4-Br (—) 3-OMe (—) 4-OMe (—)	1323
		1. Na(CN)BH$_3$, MeCN, HOAc, 2 h 2. HOAc	 (42)	1322

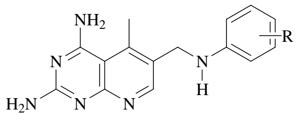
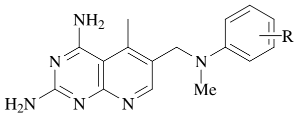
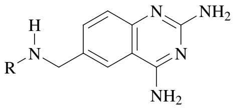
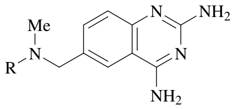
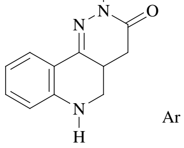
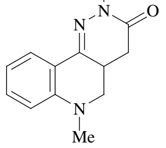
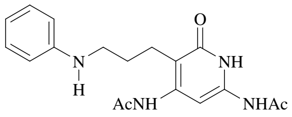
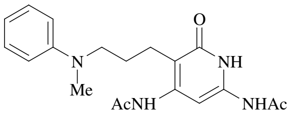
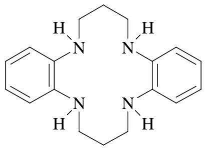
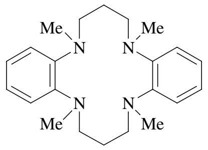
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Na(CN)BH$_3$, MeCN, 5-10 min 2. HCl (1 N), 3-4 h, pH 3-4	 R 2,4-(OMe)$_2$ (65) 2,5-(OMe)$_2$ (79) 3,4-(OMe)$_2$ (75) 3,5-(OMe)$_2$ (76) 2,5-(OEt)$_2$ (72)	95
		Na(CN)BH$_3$, pH 2-3, 5 min	 R 2,5-(MeO)$_2$C$_6$H$_3$ (81) 3,5-(MeO)$_2$C$_6$H$_3$ (86) 2,4-(MeO)$_2$C$_6$H$_3$ (86) 2,3,4-(MeO)$_2$C$_6$H$_2$ (91) 2-naphthyl (87)	1324
	 Ar = 4-MeOC$_6$H$_4$	Na(CN)BH$_3$, MeOH	 (75)	1325
		1. MeCN, 5 min 2. Na(CN)BH$_3$, HOAc, 1 h	 (60)	1039
		1. Na(CN)BH$_3$, HOAc, pH 6, MeCN, 1 h 2. Na(CN)BH$_3$, HOAc, pH 6, 2 h	 (58)	1326

TABLE IA. FORMALDEHYDE (*Continued*)

D. Mixed Aliphatic Aromatic Amines

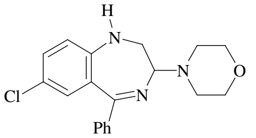
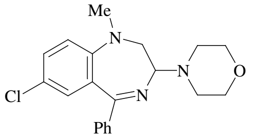
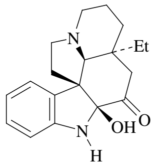
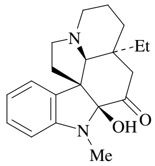
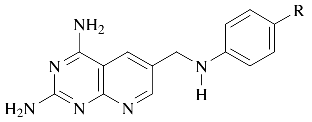
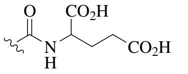
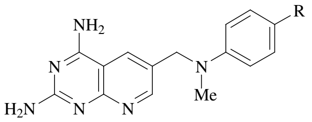
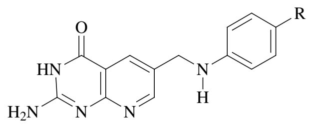
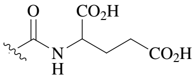
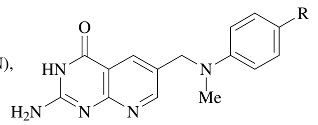
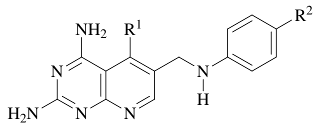
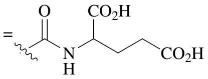
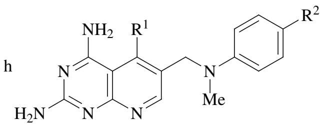
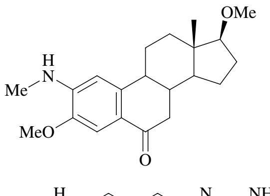
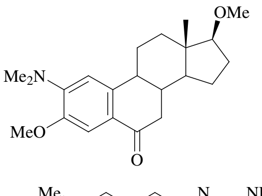
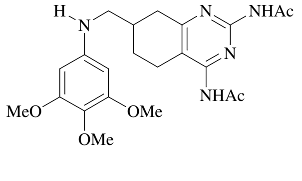
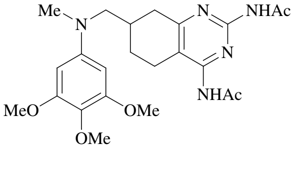
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, 3 h	 (73)	1327
		1. $Na(CN)BH_3$, MeCN 2. HOAc, 5 min 3. 2 h	 (87)	1328
	 R = 	$Na(CN)BH_3$, HCl (1 N), NaOH (0.1 N), pH 6.3, overnight	 (87)	1329
	"	1. NaOH (1 N), pH 6.4, H_2O 2. $Na(CN)BH_3$, HCl (1 N), pH 6.4, 45 min 3. rt, 23 h	" (94)	1330
	 R = 	1. NaOH (1 N), pH 6.4, H_2O 2. $Na(CN)BH_3$, HCl (1 N), pH 6.4, 45 min 3. rt, 23 h	 (84)	1330
	"	1. NaOH (0.2 N aq), HCl (1 N), pH 6.4 2. $Na(CN)BH_3$, HCl (1 N), pH 6.5, 90 min	" (56)	324
	 R^2 = 	1. $Na(CN)BH_3$, HCl (1 N), pH 6.4, 1 h 2. rt, 21 h	 R^1: H (64); Me (97)	1331
		$Na(CN)BH_3$, HOAc, THF:MeCN (2:5), 50°, 1 h	 (92)	1313
		$Na(CN)BH_3$, HOAc, pH 6-7, MeCN, 24 h	 (30)	102

TABLE IA. FORMALDEHYDE (*Continued*)

D. Mixed Aliphatic Aromatic Amines

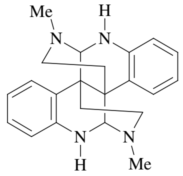
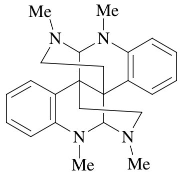
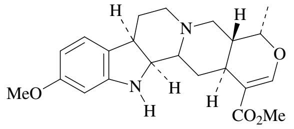
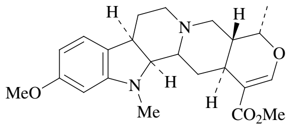
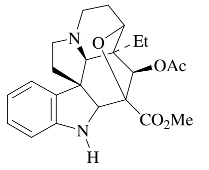
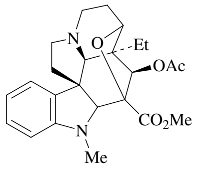
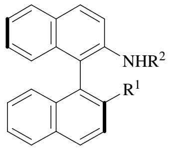
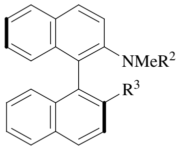
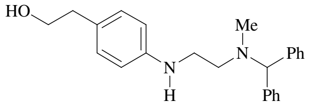
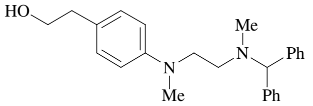
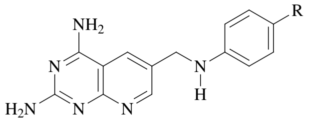
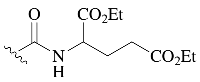
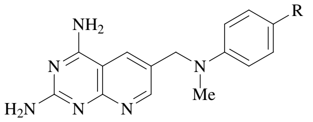
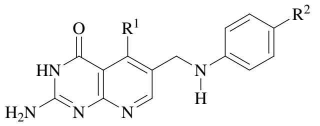
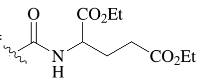
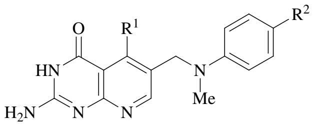
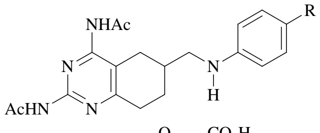
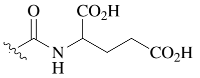
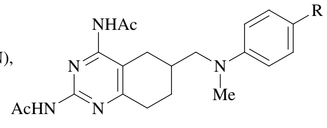
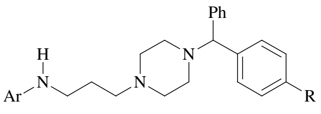
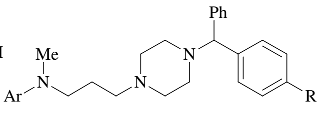
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, MeCN, 30 min 2. HOAc, pH 7	 (55)	1332
		1. $Na(CN)BH_3$, HOAc, 5 min 2. Repeat twice 3. 1 h	 (92)	1333
		$Na(CN)BH_3$, HOAc, 1.5 h	 (—)	1334
	 (*R*)	$NaBH_4$, H_2SO_4, H_2O, THF, 30 min	 (*R*) R^1 / R^2 / R^3 OH / *i*-Pr / OH (96) OH / *c*-C_6H_{11} / OH (95) OH / 2-adamantyl / OH (86) NH_2 / *i*-Pr / NMe_2 (15) NH_2 / *c*-C_6H_{11} / NMe_2 (28) NH_2 / 2-adamantyl / NMe_2 (71) NHR^2 / *i*-Pr / $NMeR^2$ (92) NHR^2 / *c*-C_6H_{11} / $NMeR^2$ (64) NHR^2 / 2-adamantyl / $NMeR^2$ (15) PPh_2 / *i*-Pr / PPh_2 (85) PPh_2 / *c*-C_6H_{11} / PPh_2 (44)	163 163 163 163 163 163 163 163 163 164 164
		$Na(CN)BH_3$, MeCN, 2 h	 (66)	1335
	 R = 	$Na(CN)BH_3$, HCl (12 N), MeCN, 3 h	 (—)	322
	 R^2 = 	1. $Na(CN)BH_3$, NaOH (0.1 N) 2. HCl (1 N), pH 6.5, 45 min 3. 20 h	 R^1 H (95) Me (70)	1336
	 R = 	1. Cold NaOH (4 N) 2. HCl (1 N), pH 6.3 3. $Na(CN)BH_3$, HCl (1 N), pH 6.3, 8 h 4. 16 h	 (—)	1337
	 Ar = 2-HOC_6H_3Me-5	$Na(CN)BH_3$, THF/MeOH	 R H (84) Cl (—)	467

TABLE IA. FORMALDEHYDE (*Continued*)

D. Mixed Aliphatic Aromatic Amines

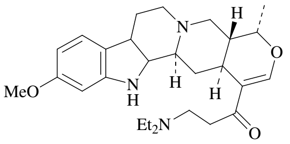
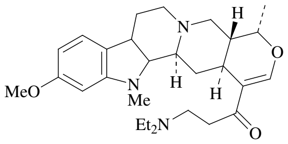
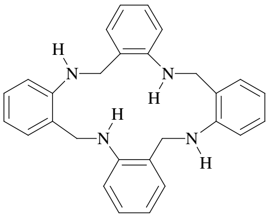
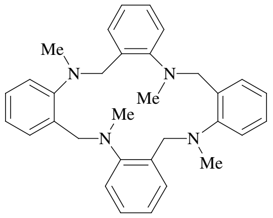
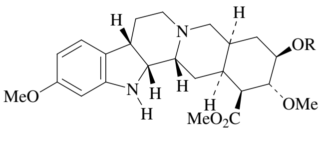
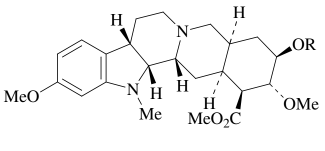
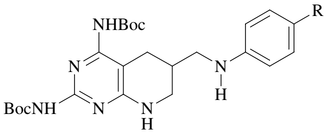
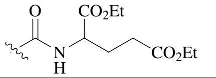
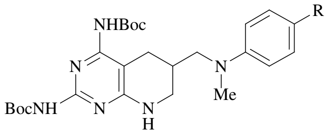
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. TFA, <20°, 30 min 2. $Na(CN)BH_3$	 (—)	1018
		1. $CHCl_3$:MeCN 2. $Na(CN)BH_3$, HOAc, pH 6, 1 h 3. Repeat step 2	 (—)	1338
	 R = $C(O)C_6H_2(OMe)_3$-3,4,5	1. $Na(CN)BH_3$, HOAc, 5 min 2. Repeat twice 3. 1 h	 (52)	1333
	 R = 	1. $Na(CN)BH_3$, HOAc, MeCN, 10 min 2. 2 h 3. HOAc, 18 h	 (50)	1339

TABLE IA. FORMALDEHYDE (*Continued*)

E. Bisaromatic Amines

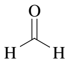
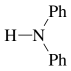
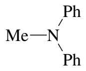
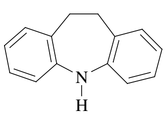
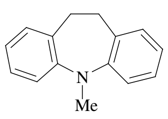
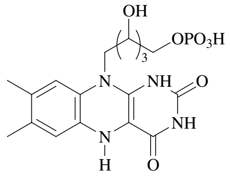
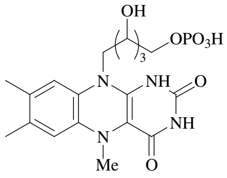
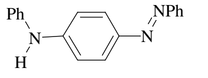
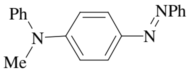
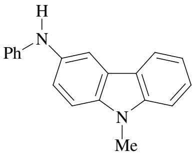
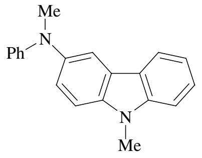
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, H_2SO_4 (3 M), THF, –10-20°	 (93)	154
	"	$NaBH_4$ pellets, TFA, 0-5° to 25°, 30 min	" (77)	152
		$Na(CN)BH_3$, HOAc, 25°, 18 h	 (82)	152
		$Na(CN)BH_3$, HOAc, 25°, 18 h	 (90)	1340
		$NaBH_4$, H_2SO_4 (3 M), THF	 (100)	156
		$NaBH_4$, HOAc, MeCN, 2.5 h	 (91)	1341

TABLE IA. FORMALDEHYDE (*Continued*)

E. Bisaromatic Amines

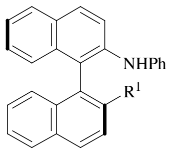
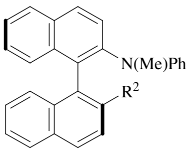
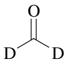
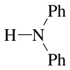
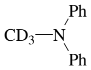
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 (*R*)	$NaBH_4$, H_2SO_4, H_2O, THF, 30 min	 (*R*) R^1 / R^2 OH / OH (81) NH_2 / NMe_2 (61) NHPh / NMePh (85)	163
		$NaBD_4$, H_2SO_4 (3 M), THF	 (100)	156

TABLE IA. FORMALDEHYDE (*Continued*)

F. Hydrazines and Hydroxylamines

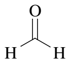
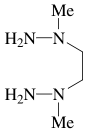
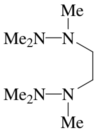
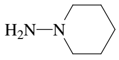
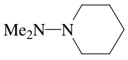
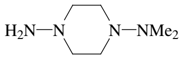
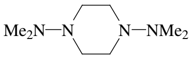
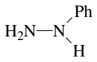
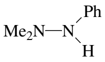
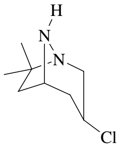
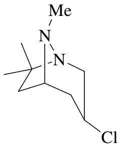
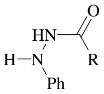
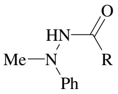
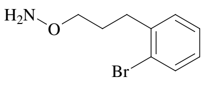
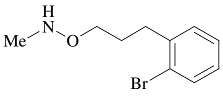
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, HOAc 2. pH 8, 4 h	 (52)	477
		1. $Na(CN)BH_3$, HOAc 2. pH 8, 2-4 h	 (59)	477
		1. $Na(CN)BH_3$, HOAc 2. pH 8, 4 h	 (40)	477
		$NaBH_4$, H_2SO_4 (3 M), THF, –10-20°	 (96)	154
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 45 min	 (82)	485
		$NaBH_4$, MeOH, THF	 R Me (15) Et (20)	489
		1. EtOH, 0°, 20 min 2. BH_3•pyridine, 0° to rt, 3 h	 (43)	303

TABLE IA. FORMALDEHYDE (*Continued*)

F. Hydrazines and Hydroxylamines

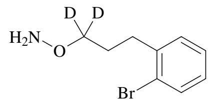
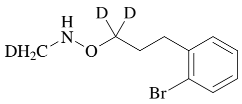
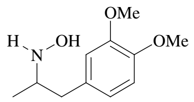
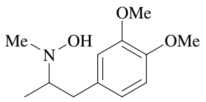
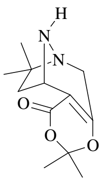
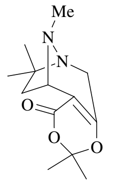
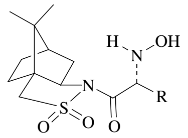
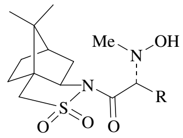
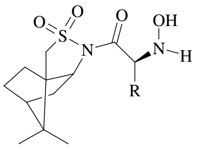
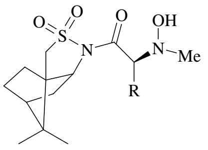
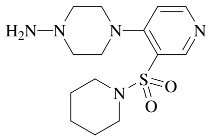
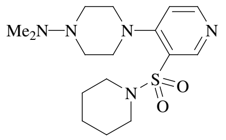
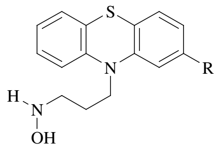
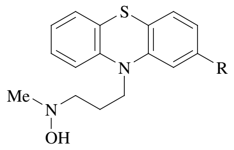
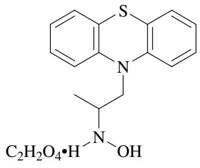
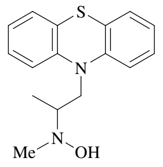
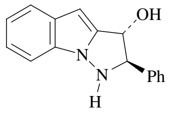
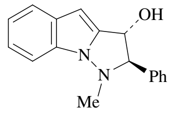
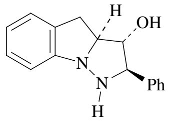
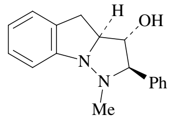
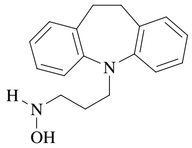
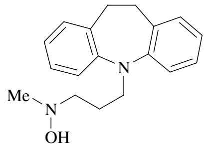
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. EtOH, 0° 2. BD_3•pyridine, 0° to rt, 1.5 h	 (27)	303
		1. Dilute HCl, pH 6, MeOH 2. Na(CN)BH_3, dilute HCl, pH 6, 3 h	 (51)	491
		1. Na(CN)BH_3, MeCN, 15 min 2. HOAc, pH 7, 45 min	 (90)	485
		Na(CN)BH_3, HCl, pH 4-6, MeOH, 0° to rt	 R: Me (64); CH_2CO_2Me (78)	507
		Na(CN)BH_3, HCl, pH 4-6, MeOH, 0° to rt	 R: Me (67); *i*-Pr (80); *i*-Bu (86); CH_2CO_2Me (78); Bn (82)	507
		1. Na(CN)BH_3, MeCN, 15 min 2. HOAc, pH 7, 45 min	 (60)	479
		1. KOH (10%) in MeOH, pH 6, MeOH 2. Na(CN)BH_3, MeOH	 R: H (59); Cl (73)	503
		1. KOH (10%) in MeOH, pH 6, MeOH 2. Na(CN)BH_3, HCl (5%) in MeOH, MeOH, pH 6, 3 h	 (63)	497
		1. Na(CN)BH_3, MeCN, 0°, 0.5 h 2. HOAc, 25°, pH 7	 (30)	486
		Na(CN)BH_3, HOAc, pH 7, MeCN, 0° to rt, 1.5 h	 (99)	484
		1. KOH (10%), pH 6, MeOH 2. Na(CN)BH_3, pH 6	 (92)	500

TABLE IA. FORMALDEHYDE (*Continued*)

F. Hydrazines and Hydroxylamines

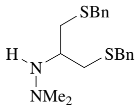
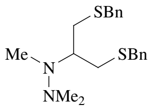
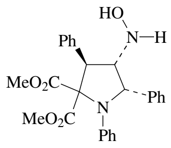
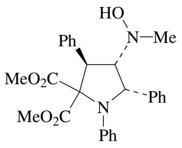
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, MeCN, 1 h 2. HOAc, pH 7, 30 min	 (89)	482
		1. MeOH, reflux, 30 min 2. $NaBH_4$, rt	 (76)	502

TABLE IB. ALIPHATIC ALDEHYDES

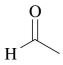
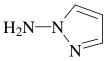
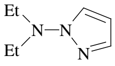
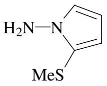
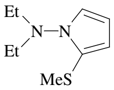
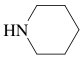
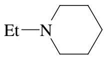
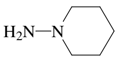
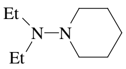
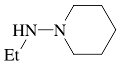
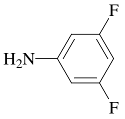
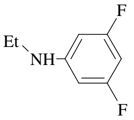
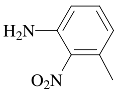
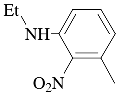
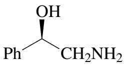
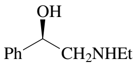
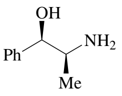
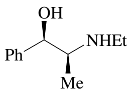
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_2 		1. MeOH, rt 2. $NaBH_4$, <45° 3. Reflux, 0.5 h 4. rt, overnight	 (84)	488
		1. THF:MeOH (1:4), reflux, 30 min 2. $NaBH_4$, <20° 3. rt, 2 h	 (84)	488
		1. HOAc, NaOAc•$3H_2O$, H_2O, 0° 2. $NaBH_4$, 15 min 3. MeCHO, 15 min	 (53)	20
		$Na(CN)BH_3$, MeCN, pH 8, 2-4 h	 (30) +  (30)	477
		$Na(CN)BH_3$, $ZnCl_2$	 (88)	1342
		1. $Na(CN)BH_3$, HOAc, MeOH, 8 h 2. 15 h	 (65)	1343
		$NaBH_4$, EtOH, 1 h	 (64)	1344
		$NaBH_4$, EtOH, 1 h	 (76)	1344

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

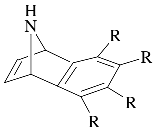
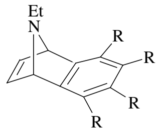
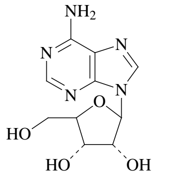
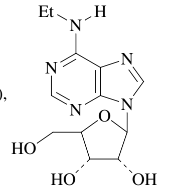
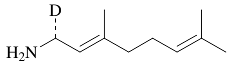
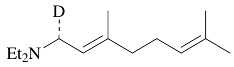
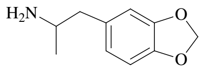
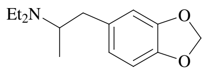
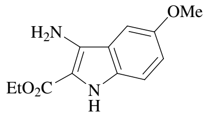
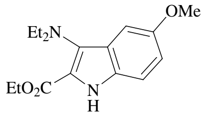
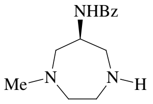
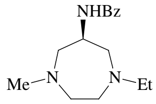
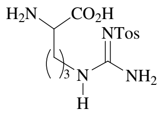
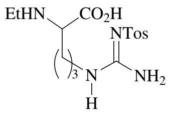
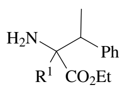
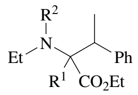
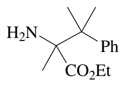
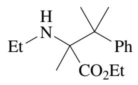
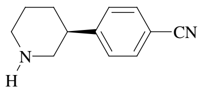
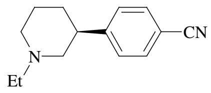
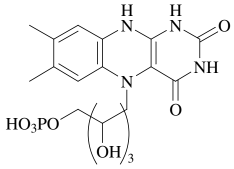
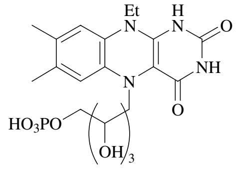
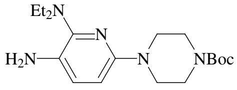
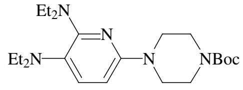
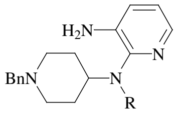
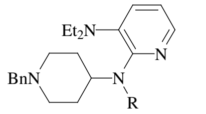
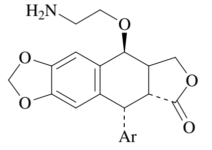
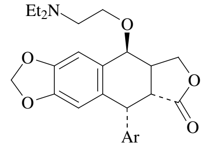
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, MeOH, 24 h	 R: H (23); F (31)	1220
		$Na(CN)BH_3$, HCl (0.2 M), H_2O, pH 4.4, 7 h	 (80)	475
		$Na(CN)BH_3$, MeCN, 0-25°, 15 min	 (66)	1345
		$Na(CN)BH_3$, MeOH, HCl (12 N), 48 h	 (68)	480
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, 2 h	 (76)	1346
		1. MeOH 2. $NaBH_4$	 (—)	1002
		1. MeOH, HOAc, 15 min 2. $Na(CN)BH_3$, 1 h 3. MeCHO, $Na(CN)BH_3$, 15 min	 (83)	1347
		$Na(CN)BH_3$ in MeCN, HOAc, MeCN	 R^1 R^2: Me Et (40); Et H (92)	1179
		1. $Na(CN)BH_3$ in MeCN, 30 min 2. HOAc, 2 h 3. MeCHO, $Na(CN)BH_3$, 3 h	 (42)	1179
		$NaBH(OAc)_3$, HOAc, DCE, 5 h	 (91)	1228
		$Na(CN)BH_3$, $Na_2S_2O_4$, NaOAc (0.5 M), H_2O, 3 h	 (90)	1340
		1. $Na(CN)BH_3$, MeCN, 24 h 2. $Na(CN)BH_3$, MeCHO, 24 h	 (52)	1348
		$Na(CN)BH_3$, MeOH, 0° to rt, overnight	 R: Et (43); *i*-Pr (83)	476
	 Ar = 3,5-$(MeO)_2C_6H_2OH$-4	$Na(CN)BH_3$	 (—)	1200

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

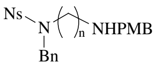
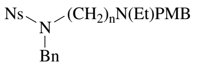
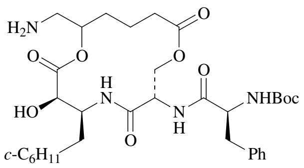
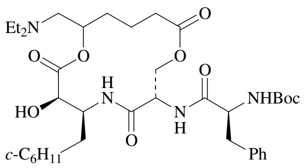
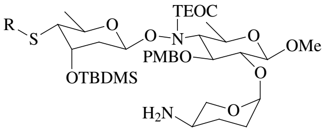
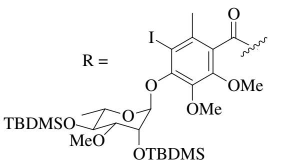
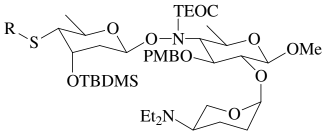
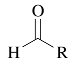
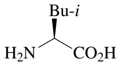
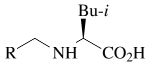
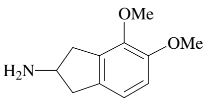
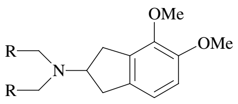
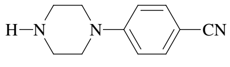
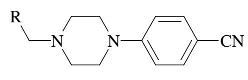
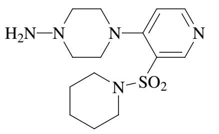
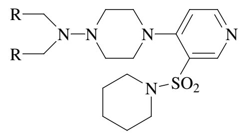
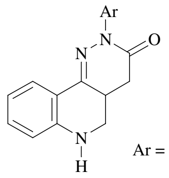
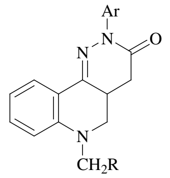
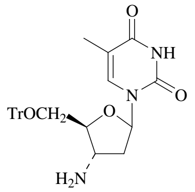
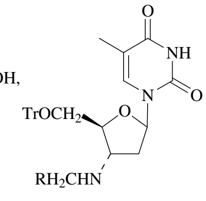
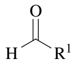
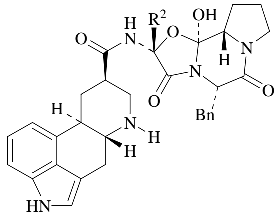
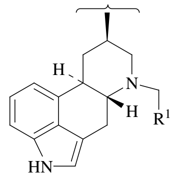
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, TFA, 23°, 30 min	 n: 3 (94); 5 (93)	1099
		$Na(CN)BH_3$, pyridine:HOAc (1:1), overnight	 (24)	1074
	 R = 	1. MeOH (abs), 5 min 2. $Na(CN)BH_3$, $MgSO_4$, 1 h	 (95-98)	78, 80
C_{2-3} 		$Na(CN)BH_3$	 (—) R = Me, Et	1349
		1. MeOH, 0°, 10 min 2. $Na(CN)BH_3$, 12 h	 R: Me (73); Et (79)	660
		1. $NaBH(OAc)_3$, HOAc, CH_2Cl_2, 8 h 2. HOAc, pH 7, 45 min	 R: Me (—); Et (—)	648
		1. $Na(CN)BH_3$, MeCN, 15 min 2. HOAc, pH 7, 45 min	 R: Me (80); Et (60)	479
	 Ar = 4-ClC_6H_4	$Na(CN)BH_3$, MeOH	 R: Me (87); Et (34)	1325
		1. NaOAc, HOAc, EtOH, H_2O, 0-5°, 5 min 2. $NaBH_4$, 30 min	 R: Me (88); Et (90)	336
		$Na(CN)BH_3$, HOAc, pH 5.2, MeOH:$CHCl_3$ (10:3), 4 h	 R^1 / R^2: Me, Me (50); Et, Me (71); Et, *i*-Pr (55)	1059

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

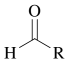
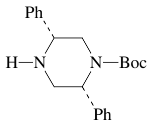
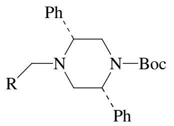
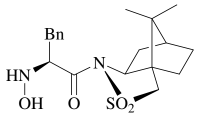
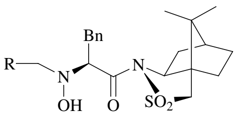
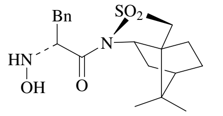
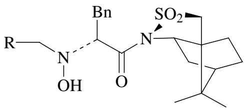
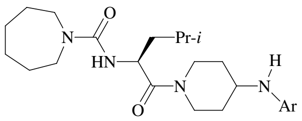
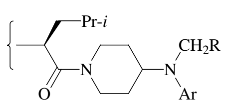
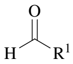
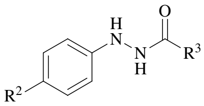
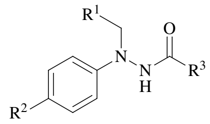
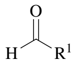
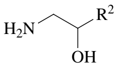
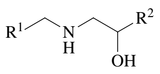
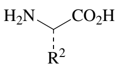
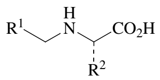
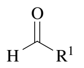
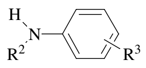
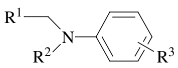
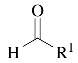
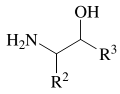
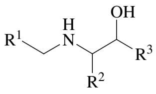
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{2-4} 		$Na(CN)BH_3$, HOAc, MeOH, 0° to rt, 2 h	 R: Me (68); *n*-Pr (78)	1350
		$Na(CN)BH_3$, MeOH, HCl in MeOH, pH 4-6, 0-25°	 R: Me (78); *i*-Pr (77)	507
		$Na(CN)BH_3$, MeOH, HCl in MeOH, pH 4-6, 0-25°	 R: Me (90); *i*-Pr (71)	507
	 Ar = 4-$BnOC_6H_4$	$NaBH(OAc)_3$, CH_2Cl_2, 0° to rt, overnight	 R: Me (61); $HO(CH_2)_3$ (—)	470
		$NaBH_4$, MeOH, THF	 R^1 R^2 R^3: Me H Me (76) Me NO_2 Me (67) Me Me Me (71) Et Me Me (77) Et Et Et (76) Pr Me Me (80) Pr Pr Pr (70)	489
C_{2-5} 		1. EtOH (abs) 2. $NaBH_4$, 0°	 R^1 R^2: Me H (73) *i*-Bu Me (79)	454
		$Na(CN)BH_3$, MeOH, 16-20 h	 R^1 R^2: Me CH_2OH (51) Me *i*-Pr (67) Me CH_2CH_2SMe (75) Me Bn (80) Et CH_2OH (60) Et *i*-Pr (69) Et CH_2CH_2SMe (84) Et $CH_2CH_2CO_2H$ (75) Et Bn (80) *i*-Bu CH_2OH (66) *i*-Bu *i*-Pr (79) *i*-Bu CH_2CH_2SMe (77) *i*-Bu $CH_2CH_2CO_2H$ (77) *i*-Bu Bn (82)	676

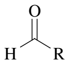
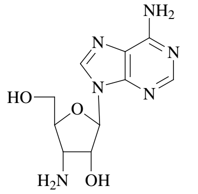
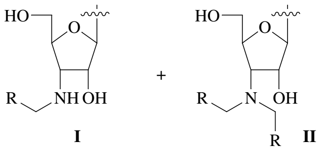
TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{2-6} 		$NaBH_4$, H_2SO_4 (3 M), THF, 0-5°, 100-200 min		159, 160

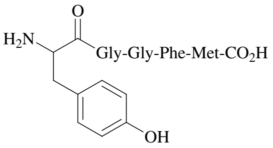
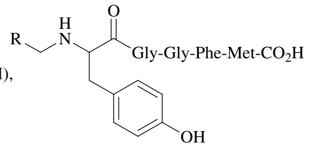
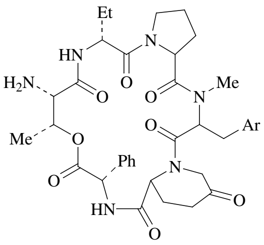
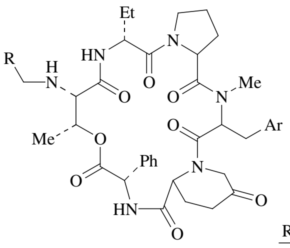
R^1	R^2	R^3	
Me	H	2-NO_2	(77)
Me	H	4-NO_2	(82)
Me	Bn	4-Me	(92)
Et	H	4-Br	(91)
Et	H	2-NO_2	(16)
Et	H	3-NO_2	(90)
Et	H	4-NO_2	(80)
Et	H	2-CO_2Me	(87)
Et	H	2,6-(Pr-*i*)$_2$	(89)
Et	Me	H	(92)
Pr	H	4-NO_2	(87)
Pr	H	3,4,5-F_3	(90)
Pr	Me	H	(91)
Pr	*i*-Pr	4-NO_2	(63)
Pr	CH_2CH=CHMe	4-CO_2Me	(92)
Bu	H	4-NO_2	(87)
n-C_5H_{11}	Me	H	(91)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{2-7} 		1. EtOH, 10-15 min 2. $NaBH_4$, 0° or 25°, 5-15 min		454

R^1	R^2	R^3	
Me	H	H	(76)
i-Pr	Me	Ph	(76)
i-Bu	H	Me	(81)
C_6H_{13}	Me	Ph	(84)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{2-8} 		1. NaOAc•3H_2O, HOAc, H_2O, 0°, 30 min 2. $NaBH_4$, 30 min		453

R	I	II
Me	(0)	(—)
Et	(—)	(—)
n-heptyl	(—)	(—)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, phosphate buffer (0.1 M), 30 min	 R = Me, Et, *n*-Pr, *n*-Bu, pentyl, hexyl, heptyl, Bn (—)	1351
	 Ar = 4-$Me_2NC_6H_4$	Na(CN)BH_3, MeOH, NaOAc		803

R	
Me	(45)
Pr-*i*	(50)
Bn	(40)

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

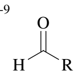
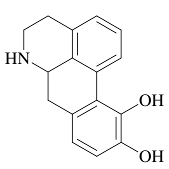
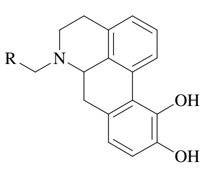
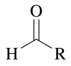
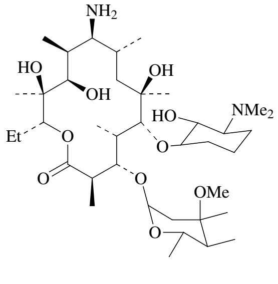
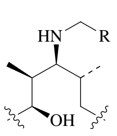
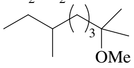
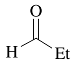
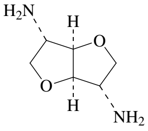
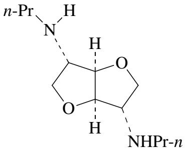
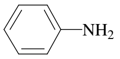
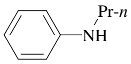
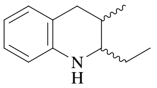
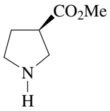
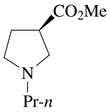
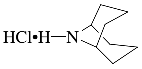
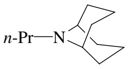
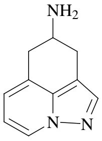
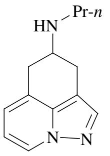
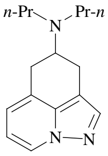
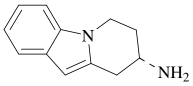
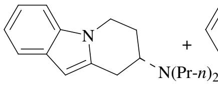
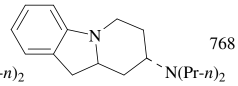
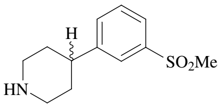
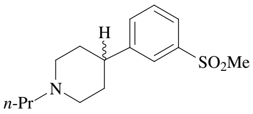
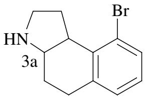
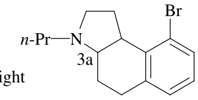
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{2-9} 		1. NaOAc, HOAc, H_2O:acetone (2:5), –20° 2. $NaBH_4$, –3°, 20 min 3. Aldehyde, $NaBH_4$, –3-25°	 R: Me (20); Et (47); Pr (47); CH_2CH_2Ph (16)	414
C_{2-11} 		1. Sodium phosphate buffer (0.5 M), HCl (6 N), pH 5 2. Na(CN)BH_3	 R, Time: Me 19 h (7); Et 3 h (56); Pr 24 h (17); CH_2CH_2OMe 1 h (22); CH_2CH_2SMe 5 h (13); $C(Me)_2CH_2OH$ 11 h (16); 4-cyclohexyl 1 h (14); CH_2CH_2Ph 10 min (43);  1 h (16)	335
C_3 		1. MS 3 Å, reflux, 6 h 2. $NaBH_4$, HOAc, 26 h 3. $NaBH_4$, 18 h	 (58)	167
		Na(CN)BH_3, HCl (5 eq)	 (53)	698
	"	1. HCl (5 eq), 20 min 2. Na(CN)BH_3	 *cis* (48) *trans* (48)	698
		1. MeOH, rt, 5 h 2. $Zn(BH_4)_2$, 0° to rt, 4 h	 (62)	209
		1. Na(CN)BH_3, KOH, MeOH, 10 min 2. 15 min 3. HOAc, 72 h	 (58)	1354
		Na(CN)BH_3, MeOH	 (36) +  (17)	691
		Na(CN)BH_4, AcOH, MeOH, 0° to rt, 5 h	 (74) +  (10)	768
		NaBH$(OAc)_3$, HOAc, DCE	 *R* (89) *S* (90)	1352
		HOAc, pH 4-5, THF-MeOH (1:1), Na(CN)BH_3, overnight	 *cis*-(3a*R*)-(–) (83); *trans*-(3a*R*)-(–) (73); *trans*-(3a*S*)-(+) (63)	1054, 1353

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

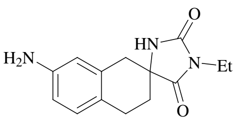
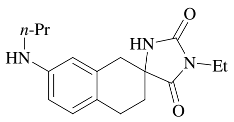
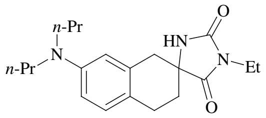
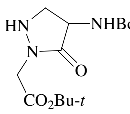
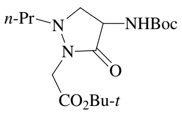
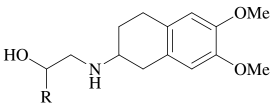
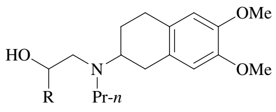
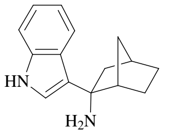
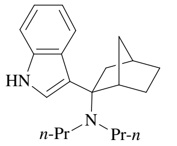
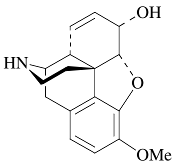
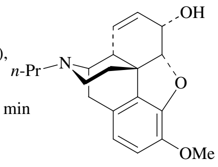
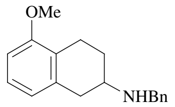
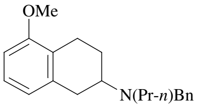
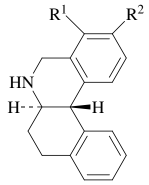
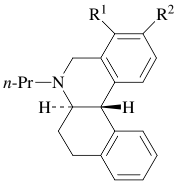
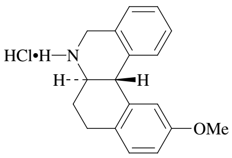
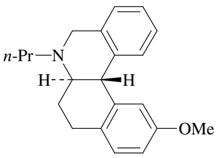
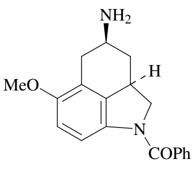
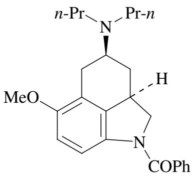
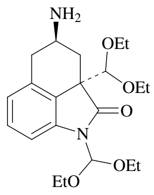
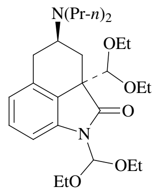
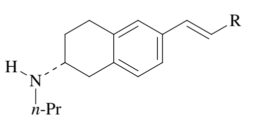
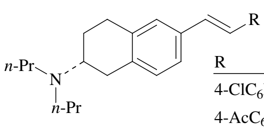
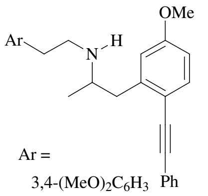
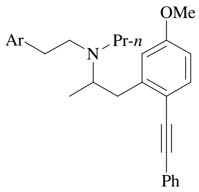
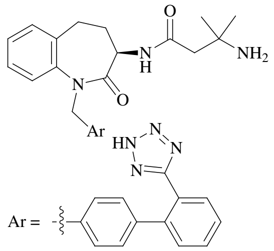
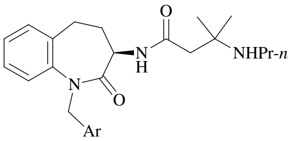
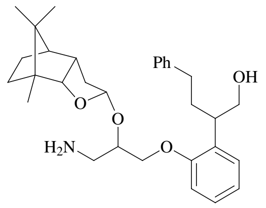
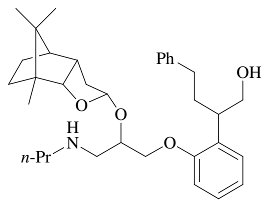
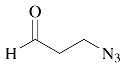
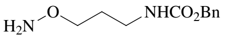
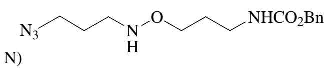
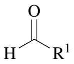
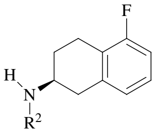
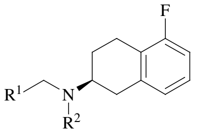
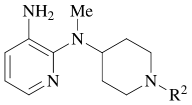
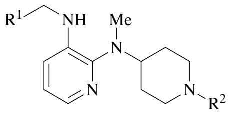
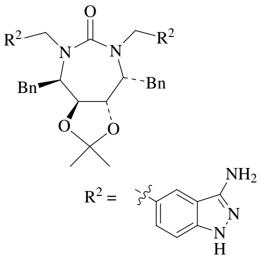
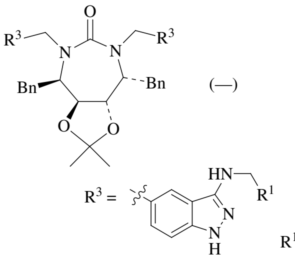
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeCN	 (—) +  (—)	686
		$Na(CN)BH_3$, bromothymol blue, methanolic HCl, 18 h	 (39)	490
		$Na(CN)BH_3$, MS 4 Å, MeOH, 15-18 h	 R: H (70); Me (67)	856
		$Na(CN)BH_3$, MeOH, methanolic HCl, pH 6, 30 min	 (90)	690
		1. $NaBH_4$, NaOAc, HOAc, EtOH (abs), 0°, 20 min 2. EtCHO, $NaBH_4$, 30 min	 (88)	1135
		$Na(CN)BH_4$, AcOH, MeOH, 0° to rt, 5 h	 (—)	1355
		$Na(CN)BH_3$, MeOH, overnight	 R^1 R^2: H Me (78); Me H (95)	1356
		$Na(CN)BH_3$, HOAc, MeOH, overnight	 (46)	1259
		$Na(CN)BH_3$, HOAc, pH 7, MeCN, 3 h	 (63)	1357
		$Na(CN)BH_3$, MeOH, 14 h	 (—)	1358

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		NaBH(OAc)$_3$, HOAc, CH_2Cl_2	 R: 4-ClC$_6$H$_4$ (55); 4-AcC$_6$H$_4$ (55); 4-MeC(=NOH)C$_6$H$_4$ (55)	1359
	 Ar = 3,4-(MeO)$_2$C$_6$H$_3$	Na(CN)BH$_3$	 (43)	1285
		1. MeOH, rt, 5 h 2. Zn(BH$_4$)$_2$, 0° to rt, 4 h	 (—)	1360
		1. EtOH, 45 min 2. NaBH$_4$, 30 min	 (48)	1361
		1. NaOH (10 N aq), methyl orange, pH 3, MeOH 2. Na(CN)BH$_3$, HCl (2 N) in MeOH, pH 3, 18 h	 (50)	509
C$_{3-4}$ 		1. MeOH, HOAc, pH 5, 2 h 2. Na(CN)BH$_3$, 0° 3. rt, 44 h - 9 d	 R^1 / R^2: Et / H (—); Et / Pr (—); Pr / H (—)	1362
		Na(CN)BH$_3$, MeOH, 0° to rt, overnight	 R^1 / R^2: Et / Bn (90); c-Pr / Boc (75)	476
		Na(CN)BH$_3$, MeOH, HOAc	 (—) R^1 = Et, Pr	699

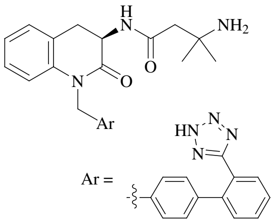
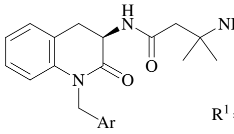
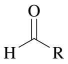
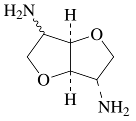
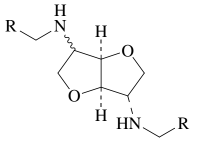
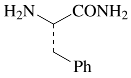
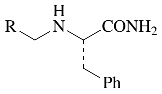
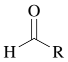
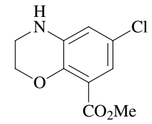
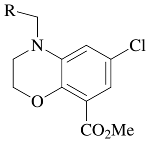
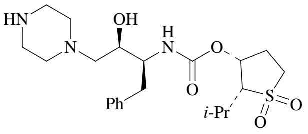
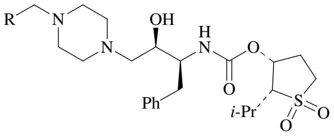
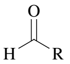
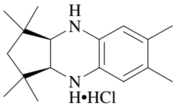
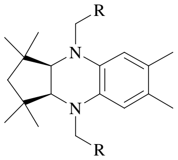
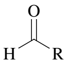
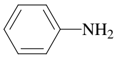
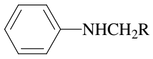
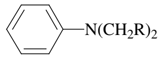
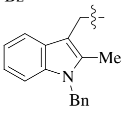
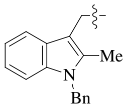
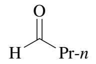
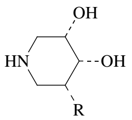
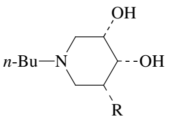
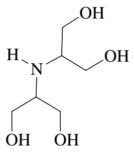
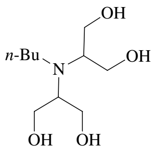
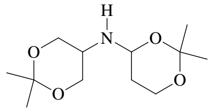
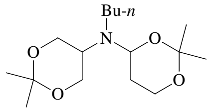
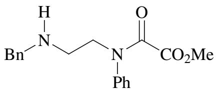
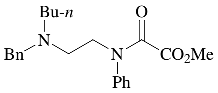
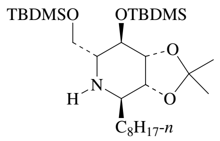
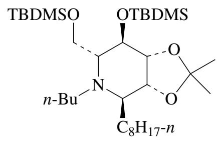
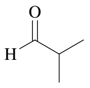
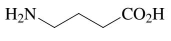
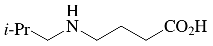
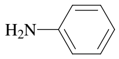
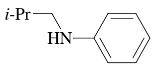
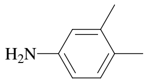
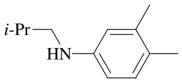
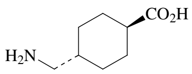
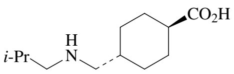
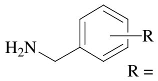
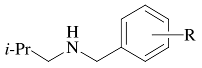
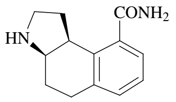
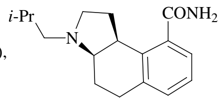
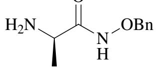
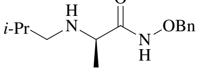
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, 0° to rt, overnight	 (—) R^1 = Et, *i*-Pr	70
C_{3-5} 		1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, rt, 4 h	 R: α Et (86); β Et (83); α *i*-Bu (69); β *i*-Bu (51)	167
		$Na(CN)BH_3$, TMOF, 1 h	 R: Et (77); *i*-Pr (69); *t*-Bu (80)	344
C_{3-8} 		1. $Me(CN)BH_3$, 15% EtOH-HCl, MeOH, 5° 2. rt, 8 h	 R: Me (51); Et (45); *n*-Pr (32); *i*-Pr (47); Bn (40)	468
		$NaBH(OAc)_3$, HOAc DCE, rt	 (—) R = *n*-Pr, *i*-Pr, *t*-Bu, *n*-C_8H_{17}	1363
C_{3-9} 		$NaBH(OAc)_3$,TEA, DCE, 3 d	 R: C_2H_5 (63); *n*-C_6H_{13} (52); *n*-C_8H_{17} (40)	270
C_{3-18} 		$Me(CN)BH_3$, MeOH, HCl (5 eq), 16 h	 **I** +  **II** R / I / II: Et (53) (0); Bz (57) (0);  (49) (3)	698
		$Me(CN)BH_3$, MeOH, HCl (5 eq), 16 h	**I** + **II** R / I / II: Bz (49) (17);  (35) (22)	698

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_4 		BH_3•pyridine phosphate buffer, pH 7.2, 12 h	 R: OH (80); Me (80)	54
		$Na(CN)BH_3$, DCE	 (—)	714
		$Na(CN)BH_3$, DCE	 (79)	714
		$NaBH(OAc)_3$, DCE, MS 4 Å, 0°, 30 min	 (75)	280
		$NaBH(OAc)_3$, DCE, MS 4 Å, 0°, 30 min	 (—)	1364
		$Na(CN)BH_3$, pH 6, MeOH:H_2O (1:1)	 (—)	1045
		1. MeOH, 15 min 2. $Na(CN)BH_3$ in MeOH, 30 min 3. 30 min	 (78)	1365
		1. Ti(OPr-*i*)$_4$, 30 min 2. Na(CN)BH, EtOH, 12 h	 (68)	98
		$Na(CN)BH_3$, pH 6, MeOH:H_2O (1:1)	 (—)	1045
	 R = 3-CO_2H, 4-CO_2H	$Na(CN)BH_3$, pH 6, MeOH:H_2O (1:1)	 (—)	1045
		$Na(CN)BH_3$, HOAc, pH <5, THF:MeCN (3:1), 24 h	 (90)	854
		$Na(CN)BH_3$, DMF, HOAc, THF	 (80)	694

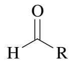
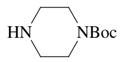
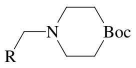
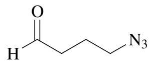
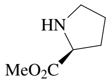
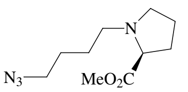
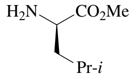
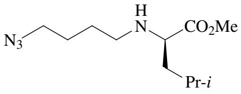
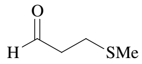
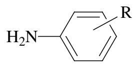
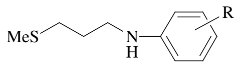
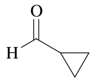
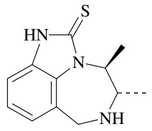
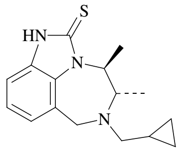
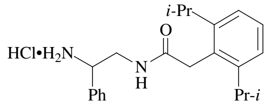
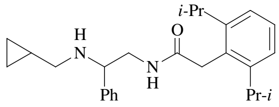
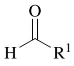
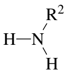
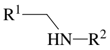
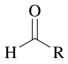
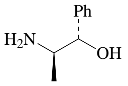
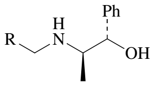
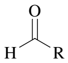
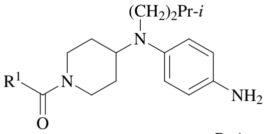
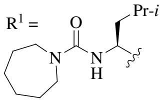
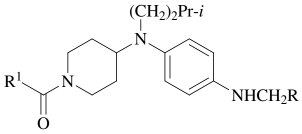
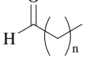
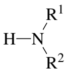
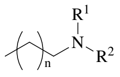
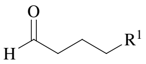
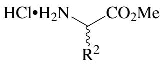
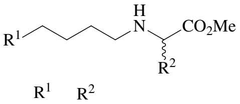
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
 R = *n*-Pr, *i*-Pr		1. $Na(CN)BH_3$, HOAc, MeOH, 0°, 4 h 2. rt, 48 h	 (—)	1366
		1. DCE, 15 min 2. HOAc 3. $NaBH(OAc)_3$	 (69)	1367
		$NaBH(OAc)_3$, HOAc, DCE, 12 h	 (83)	1368
	 R = H, 3-Me, 4-Me, 3-OMe, 4-OMe	$Na(CN)BH_3$, HCO_2H, pH 6-8, 2-4 h	 (—)	1369
		$Na(CN)BH_3$, HOAc, THF:MeOH (1:2), 2 d	 (18)	992
		1. Na_2SO_4 (anhyd), TEA, MeOH, overnight 2. $NaBH_4$, 20 min	 (—)	171
C_{4-6} 		1. K10 Clay, 10 min, microwaves 2. $NaBH_4$/clay, H_2O, 30 sec, 65°, microwaves	 R^1 / R^2 *i*-Pr / Ph (78) *i*-Pr / Ph (87) Et_2CH / *n*-$C_{10}H_{21}$ (86)	215
C_{4-7} 		1. EtOH (abs), 15 min 2. $NaBH_4$, 15 min	 R *i*-Pr (76) *n*-C_6H_{13} (84)	454
C_{4-8} 	 R^1 = 	$NaBH(OAc)_3$, CH_2Cl_2	 R $MeCH(OH)CH_2$ (—) *t*-Bu (—) *c*-$C_6H_{11}CH_2$ (—)	1370
C_{4-9} 		$Na(CN)BH_3$, $ZnCl_2$, MeOH, 0.5 h, 2 h	 n / R^1 / R^2 2 / H / Ph (78) 7 / —$(CH_2)_5$— (80)	105
C_{4-11} 		1. DCE, 15 min 2. HOAc 3. $NaBH(OAc)_3$	 R^1 / R^2 N_3 / CH(OH)Me / D (75) N_3 / *i*-Pr / L (83) N_3 / Bn / L (76) Me / *i*-Pr / D (87) Me / Bn / L (79) OBn / *i*-Pr / D (81)	694

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

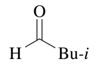
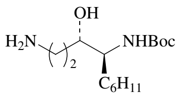
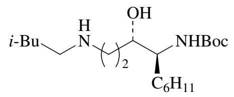
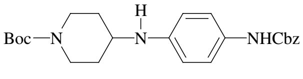
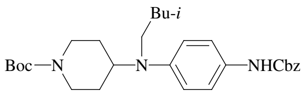
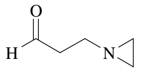
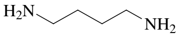
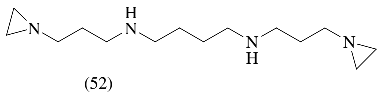
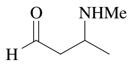
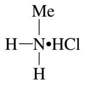
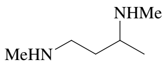
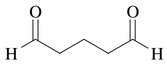
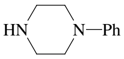
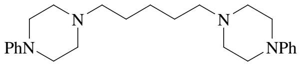
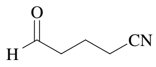
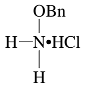
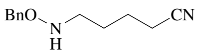
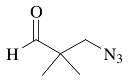
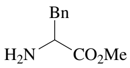
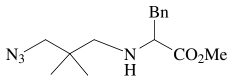
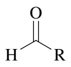
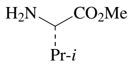
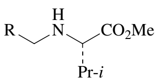
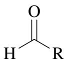
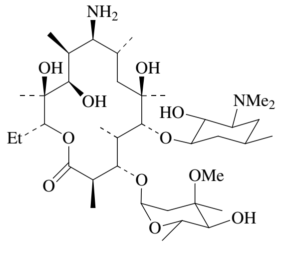
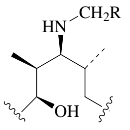
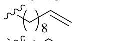
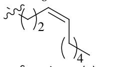
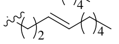
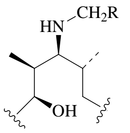
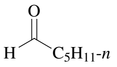
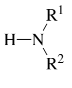
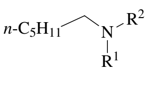
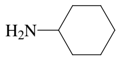
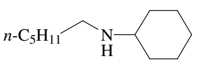
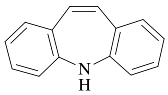
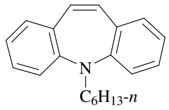
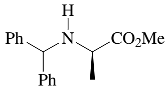
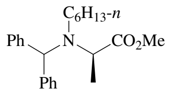
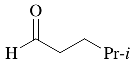
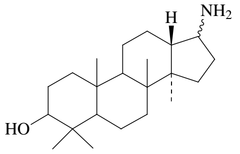
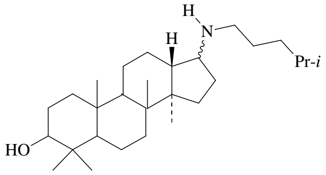
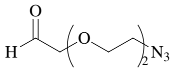
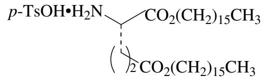
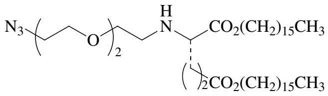
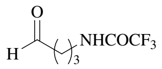
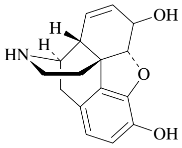
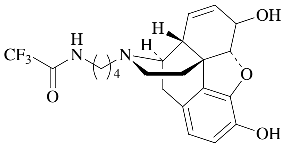
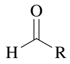
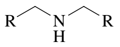
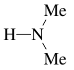
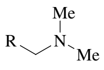
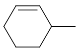
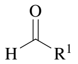
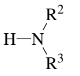
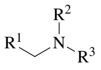
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_5 		1. MS 5 Å, THF, 24 h 2. $Na(CN)BH_3$, 0°, 30 min	 (47)	69
		$NaBH(OAc)_3$, CH_2Cl_2	 (—)	1370
		1. MeOH, 10 min 2. $NaBH_4$, 6 h	 (52)	1371
		$Na(CN)BH_3$, KOH, MeOH	 (40)	717
		$NaBH(OAc)_3$, DCE	 (85)	21
		1. KOH (6 N), pH 4.7, MeOH, H_2O, 0° to rt, 1 h 2. $Na(CN)BH_3$, HCl in MeOH, pH 3, 0°	 (84)	1372
		$Na(CN)BH_3$, LiCl, MeOH	 (—)	1373
C_{5-7} 		$Na(CN)BH_3$, HOAc, dry MeOH, pH 6, 2-3 h	 R *t*-Bu (67) C_6H_{11} (82)	343
C_{5-12} 		1. Sodium phosphate buffer (0.5 M), HCl (6 N), pH 5 2. $Na(CN)BH_3$ (1.5 eq), HCl (6 N), pH 5, 1.5-2 h	 R CH_2CH_2CN (19) *n*-C_4H_9 (21) *n*-C_6H_{13} (14) *n*-C_8H_{15} (24)  (27)  (23)  (19)	335
		1. Sodium phosphate buffer (0.5 M), HCl (6 N), pH 5 2. $Na(CN)BH_3$ (2.0 eq), HCl (6 N), pH 5, 0.75- 1.5 h	 R *i*-Bu (38) *n*-C_5H_{11} (24) $CHEt_2$ (50) *n*-C_7H_{15} (11) *n*-C_9H_{19} (22) *n*-$C_{11}H_{23}$ (34)	335
C_6 		BH_3•pyridine, MS 4Å, MeOH, 16 h	 R^1 R^2 H *i*-Bu (10) H Ph (84) H Bn (58) *n*-Bu *n*-Bu (89)	300
		BER, HCl•NEt_3, 95% EtOH, 1 h	 (98)	1374

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, HOAc, DCE	 (82)	21
		$Na(CN)BH_3$, MS, HOAc, MeCN, pH 5-7, 3-6 h	 (91)	1255
		$Na(CN)BH_3$	 (—)	1375
		$Na(CN)BH_3$, THF, MeOH	 (65)	1376
		$Na(CN)BH_3$, EtOH	 (69)	1377
C_{6-7} 	NH_4OAc	BER, MeOH, 0.5 h	 R n-C_5H_{11} (90) c-C_6H_{11} (80)	222
		BER, EtOH, Et_3N•HCl, 1 h	 R n-C_5H_{11} (95) c-C_6H_{11} (93)  (92)	223
		BER, Et_3N•HCl, 1 h	 R^1 / R^2 / R^3 n-C_5H_{11} / H / c-C_6H_{11} (98) n-C_5H_{11} / H / Ph (53) n-C_5H_{11} / Et / Et (92) n-C_5H_{11} / —$(CH_2)_5$— (98) c-C_6H_{11} / H / c-C_6H_{11} (94) c-C_6H_{11} / —$(CH_2)_5$— (94)	222

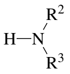
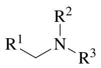
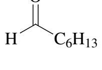
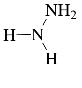
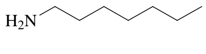
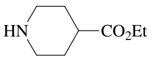
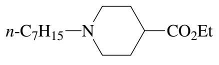
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, HOAc, DCE, 0.5-3 h	 R^1 / R^2 / R^3 n-C_5H_{11} / —$(CH_2)_2NPh(CH_2)_2$— (95) c-C_6H_{11} / H / 4-$O_2NC_6H_4$ (95) c-C_6H_{11} / H / 4-$HO_2CC_6H_4$ (85) c-C_6H_{11} / i-Pr / i-Pr (41)	21
C_7 		1. BER, sonication, MeOH, 1 h 2. $Ni(OAc)_2$•$4H_2O$, reflux, 3 h 3. BER, $Ni(OAc)_2$•$4H_2O$, reflux, 1 h	 (70)	229
		1. BH_3•pyridine, EtOH, 4 h 2. Aldehyde, BH_3•pyridine	 (51)	225

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

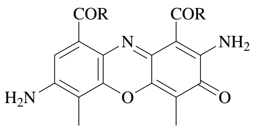
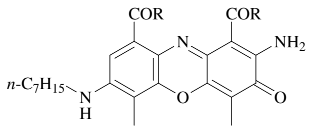
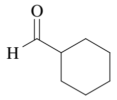
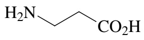
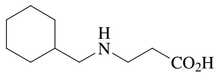
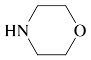
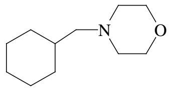
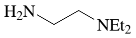
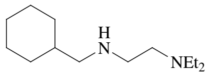
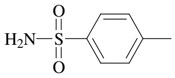
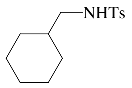
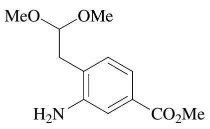
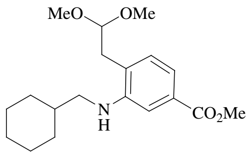
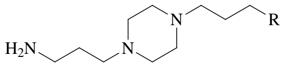
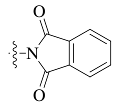
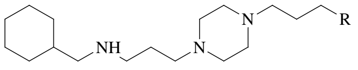
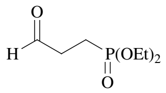
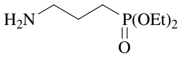
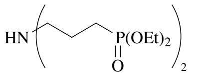
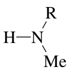
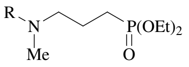
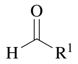
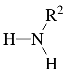
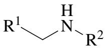
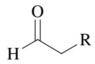
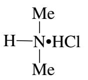
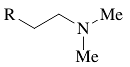
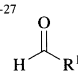
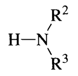
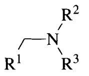
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 4 Å, C_6H_6, reflux, 1 h 2. BH_3•$HNMe_2$, rt, 30 min	 R NEt_2 (78) Thr-D-Val-Pro-Sar-MeVal–O (cyclic) (82)	308
		$Na(CN)BH_3$, pH 6, $MeOH:H_2O$ (1:1)	 (—)	1045
		$NaBH(OAc)_3$, THF, 2 h	 (74)	21
		$NaBH(OAc)_3$, 18 h, HOAc, CH_2Cl_2	 (41)	1378
		$NaBH(OAc)_3$, 28 h	 (85)	15
		1. Na_2SO_4 (anhyd), HOAc, 10-15 min 2. $NaBH(OAc)_3$, 2 min 3. 15 min - 1 h	 (—)	278
	 R = 	1. EtOH, MS, 12 h 2. $NaBH_4$, 12 h	 (55)	919
	NH_4OAc	$Na(CN)BH_3$, MeOH	 (—) +  (68)	674
		$Na(CN)BH_3$, MeOH, 4-6 h	 R: H (86); Me (76)	674
C_{7-9} 		$NaBH(OAc)_3$, HOAc, DCE, 0.6-72 h	 R^1 / R^2: C_6H_{11} / 2-thiazolyl (60) C_6H_{11} / 4-$NO_2C_6H_4$ (95) C_6H_{11} / 2,4-$Cl_2C_6H_3$ (96) $(CH_2)_2Ph$ / 2,4-$Cl_2C_6H_3$ (70)	21
		1. $Ti(OPr\text{-}i)_4$, NEt_3, EtOH, 9-10 h 2. $NaBH_4$, 10 h	 R: n-C_5H_{11} (88); Ph (90); Bn (90)	192

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{7-27} 		$NaBH(OAc)_3$, DCE		21

R^1	R^2	R^3	Temp	
c-C_6H_{11}	H	Bn	1 h	(98)
c-C_6H_{11}	H	Ph	24 h	(95)
c-C_6H_{11}	Et	Et	30 min	(95)
c-C_6H_{11}	—$(CH_2)_2O(CH_2)_2$—		1 h	(86)
c-C_6H_{11}	i-Pr	i-Pr	3 h	(68)
1,1',2-tris(norsqualenyl)	Et	Et	1.5 h	(98)
1,1',2-tris(norsqualenyl)	i-Pr	i-Pr	1.5 h	(91)

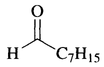
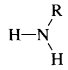
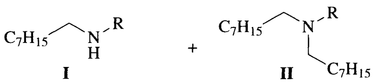
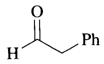
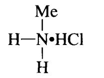
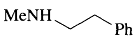
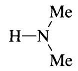
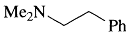
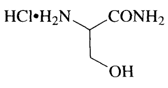
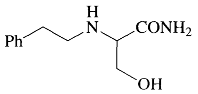
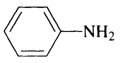
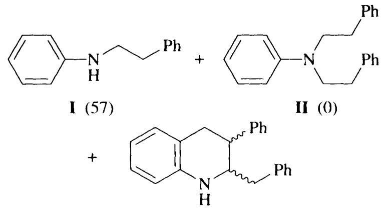
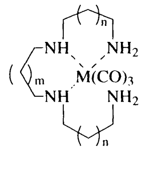
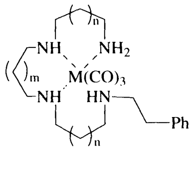
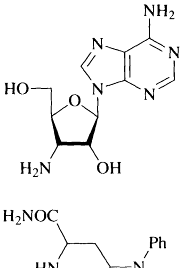
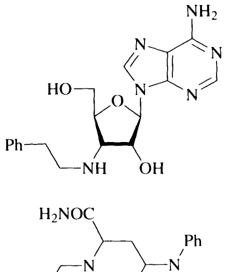
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_8 		1. HOAc:light petroleum (2:7), 2 h 2. BH_3•pyridine, 10 min 3. 2 h	 I + II R / I / II: c-C_6H_{11} (82) (18) C_8H_{17} (54) (46)	22
		1. $Ti(OPr\text{-}i)_4$, Et_3N, EtOH, 8 h 2. $NaBH_4$, 7 h	 (81)	194
		$Na(CN)BH_3$	 (—)	498
		$Na(CN)BH_3$, MS 3Å, MeOH, 3 h	 (67)	1379
		$Na(CN)BH_3$, HCl (5 eq)	 I (57) + II (0) + III (trace)	698
		1. HCl (5 eq), 20 min 2. $Na(CN)BH_3$	I (12) + *cis*-III (8.5) + *trans*-III (34)	698
		$Na(CN)BH_3$, HCl (0.5 eq)	I (44) + II (17)	698
		1. HCl (0.5 eq) 2. $Na(CN)BH_3$	I (44) + II (17)	698
		1. $NaBH_4$, DMF, 100°, 2 h 2. $NaBH_4$, overnight	 M / m / n: Cr 0 0 (—) Mo 0 0 (—) Cr 1 0 (—) Mo 1 0 (—) W 1 1 (—)	175
		1. $NaOAc•3H_2O$, HOAc, MeOH, H_2O, 0°, 3 min 2. $NaBH_4$	 (—)	453
		1. $Na(CN)BH_3$, MS 3 Å, MeOH, 0° 2. rt, 8 h	(71)	1380

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

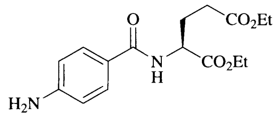
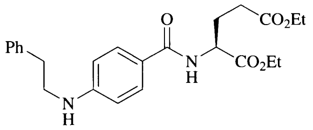
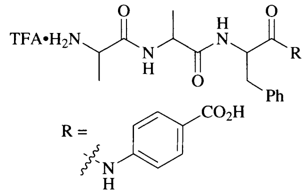
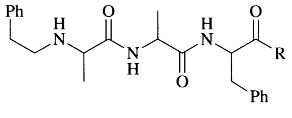
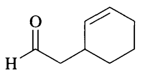
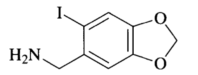
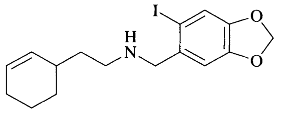
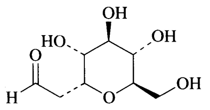
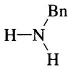
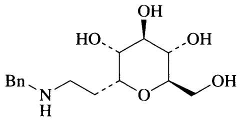
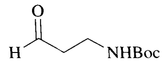
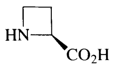
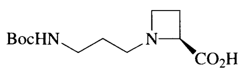
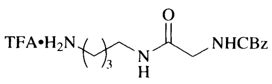
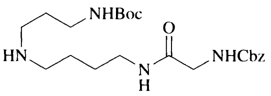
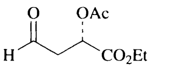
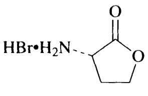
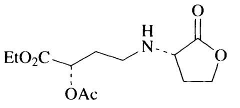
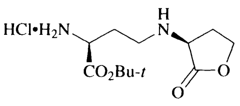
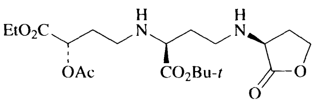
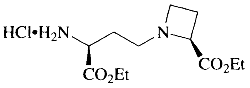
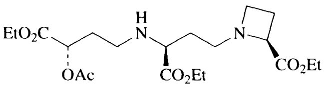
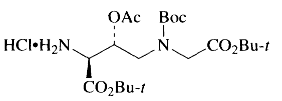
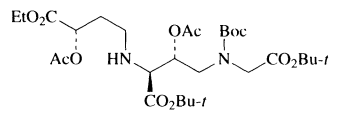
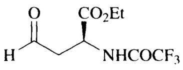
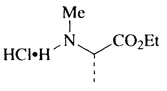
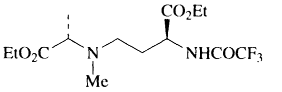
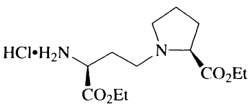
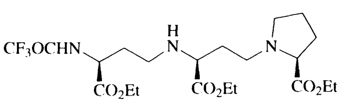
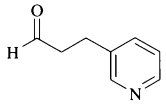
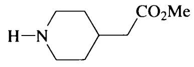
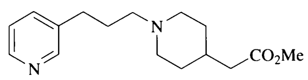
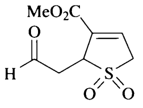
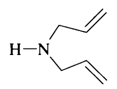
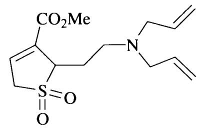
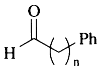
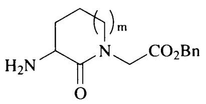
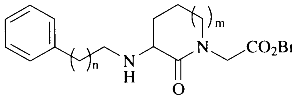
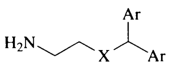
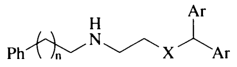
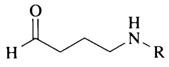
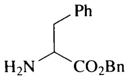
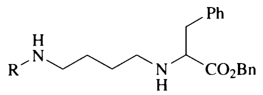
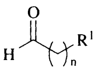
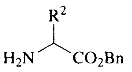
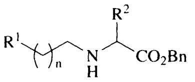
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)		Refs.
		1. $Na(CN)BH_3$, MS 3 Å, HCl (0.5 N)/MeOH, 30 min 2. 25°, 22 h		(44)	1381
		1. NaOH (1 M), pH 7, $MeOH:H_2O$ (1:1) 2. $Na(CN)BH_3$, 3 h 3. 24 h		(—)	1382
		1. MeOH, 4 h 2. $NaBH_4$, 4 h		(75)	1383
		BH_3•pyridine, HOAc, $MeOH:CH_2Cl_2$ (1:1), reflux, 3 h		(—)	1146
		$Na(CN)BH_3$, MeOH		(—)	1384
		1. TEA, pH 8, MeOH 2. $Na(CN)BH_3$/MeOH, 13 h		(—)	1385
		$Na(CN)BH_3$, pH 6-7		(60)	808, 1386
		$Na(CN)BH_3$, pH 6		(50)	1387
		$Na(CN)BH_3$, pH 6		(58)	808, 1387
		$Na(CN)BH_3$, MeOH, 16 h		(58)	1388
		1. KOH, pH 6, MeOH 2. $Na(CN)BH_3$, MeOH, 48 h		(—)	1389
		1. KOH, HCl/MeOH, pH 6, MeOH, 15 min 2. $Na(CN)BH_3$, 4 h		(—)	808, 1390

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 4 Å, MeOH, Et_3N, 1.5 h 2. $Na(CN)BH_3$, MeOH, 48 h	 (48)	1391
		$Na(CN)BH_3$, pH 6.7, MeOH	 (56)	802
C_{8-9}				
		$NaBH(OAc)_3$, Et_3N, $ClCH_2CH_2Cl$, rt	 n m 1 1 (66) 1 2 (69) 2 1 (70)	1392
	 Ar = 4-RC_6H_4	$Na(CN)BH_3$, MS 3 Å, MeOH, overnight	 n X R 1 CH_2 H (50) 1 S H (40) 2 S H (55) 2 O F (35)	794
		1. MS, TEA, rt, 1 h 2. $Na(CN)BH_3$, 0° to rt, 12 h	 R Alloc (42) Boc (79)	1393
C_{8-10}				
		$Na(CN)BH_3$, MeOH, Vortex or Labotron shaker		697

n	R^1	R^2	
2	NHBoc	*i*-Pr	(26)
2	NHBoc	$(CH_2)_2SMe$	(25)
3	CO_2Bu-*t*	$(CH_2)_2SMe$	(19)
3	CO_2Bu-*t*	*s*-Bu	(33)
2	SBn	Me	(—)
2	SBn	*n*-Pr	(7)
2	SBn	*i*-Pr	(25)
2	SBn	$(CH_2)_2SMe$	(34)
2	SBn	*s*-Bu	(23)
2	SBn	CH_2CONH_2	(35)
2	SBn	Ph	(25)
2	SBn	$(CH_2)_2Ph$	(29)

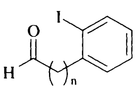
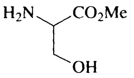
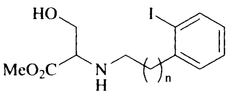
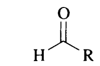
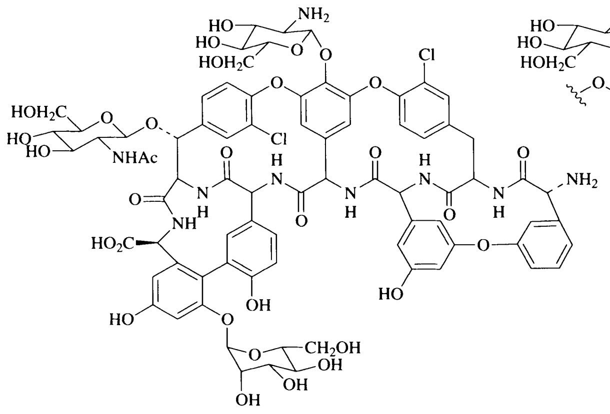
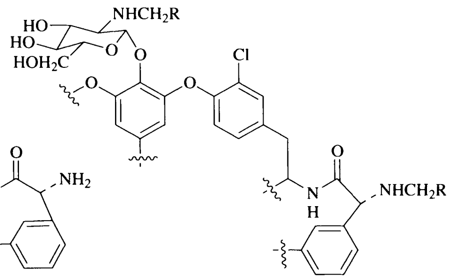
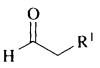
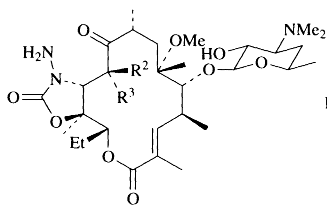
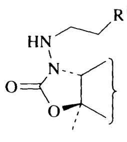
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. KOAc, MS 3 Å, *i*-PrOH, 40 min 2. $Na(CN)BH_3$, 14-15 h	 n 1 (53) 2 (61) 3 (60)	1037, 1394, 1395

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{8-11} 		1. DMF, 70°, 2 h 2. $Na(CN)BH_3$, 70°, 2 h	 R: $CH(Me)CH_2Bu$-*t* (53); $(CH_2)_8CH{=}CH_2$ (10)	1396
C_{8-12} 		$Na(CN)BH_3$, MeOH, HOAc, 3 h	 (see below)	514

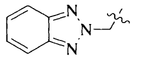
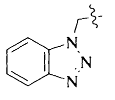
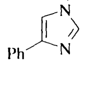
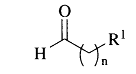
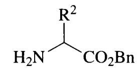
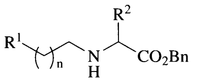
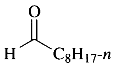
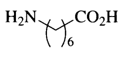
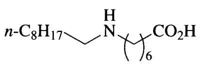
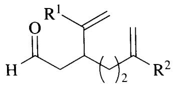
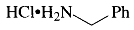
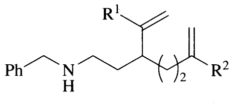
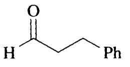
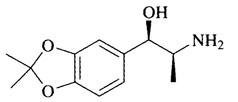
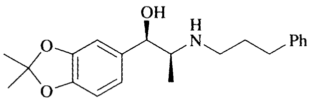
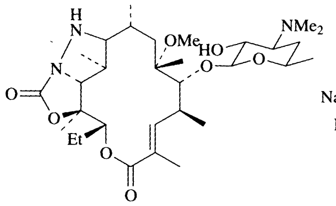
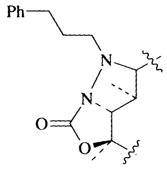
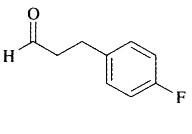
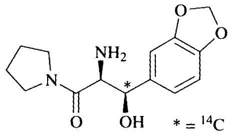
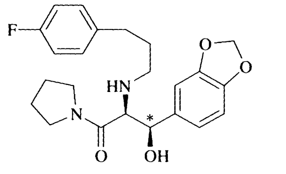
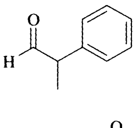
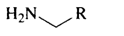
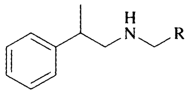
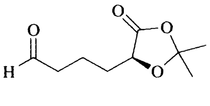
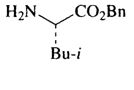
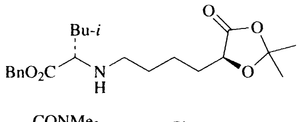
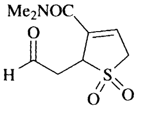
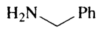
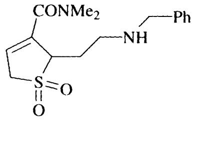
R^1	R^2	R^3	Yield (%)
Ph	Me	H	(37)
2-ClC_6H_4	Me	H	(51)
3-ClC_6H_4	Me	H	(50)
4-ClC_6H_4	Me	H	(57)
2,4-$Cl_2C_6H_3$	Me	H	(36)
4-FC_6H_4	Me	H	(61)
2-HOC_6H_4	Me	H	(54)
3-HOC_6H_4	Me	H	(40)
4-HOC_6H_4	Me	H	(57)
2-$O_2NC_6H_4$	Me	H	(84)
3-$O_2NC_6H_4$	Me	H	(92)
4-$O_2NC_6H_4$	Me	H	(77)
	Me	H	(90)
	Me	H	(76)
Bn	H	Me	(47)
4-$MeOCH_2C_6H_4$	Me	H	(62)
4-$AcHNCH_2C_6H_4$	Me	H	(18)
(4-quinolinyl)CH_2	Me	H	(53)
	Me	H	(74)

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{8-13} 		$Na(CN)BH_3$		697
C_9 		$Na(CN)BH_3$, MeOH, 2 h	 (18)	1397
		$Na(CN)BH_3$, MS 4 Å, MeOH, 8-20 h		1398
C_9 		$NaBH_4$, EtOH	 (15)	1344
		$Na(CN)BH_3$, MeOH, HOAc, 15 h	 (43)	514
	 * = ^{14}C	1. NaOH (20% aq), HOAc, pH 5.4, MeOH, 0°, 1 h 2. 25°, 1 h 3. $Na(CN)BH_3$, 0° 4. rt, overnight	 (96)	1399
		1. MeOH 2. $NaBH_4$		982
		$Na(CN)BH_3$, HOAc	 (74)	1400
		$Na(CN)BH_3$, pH 6.7, MeOH	 (63)	801

Ref. 697 product table:

n	R^1	R^2	
2	NHBoc	*i*-Pr	(59)
2	NHBoc	$(CH_2)_2SMe$	(54)
2	NHBoc	*i*-Bu	(46)
2	NHBoc	*s*-Bu	(50)
2	NHBoc	Bn	(69)
3	CO_2Bu-*t*	*s*-Bu	(19)
2	SBn	*i*-Bu	(59)
2	SBn	*s*-Bu	(56)
2	SBn	Bn	(58)
3	SBn	$(CH_2)_2SMe$	(43)
3	SBn	(1-formylindolyl)CH_2	(19)
5	SBn	$(CH_2)_4NHBoc$	(30)
5	SBn	$(CH_2)_2CO_2Bn$	(56)

Ref. 1398 product table:

R^1	R^2	
Br	H	(69)
H	Br	(50)

Ref. 982 product table:

R	
4-FC_6H_4	(—)
CH_2CHPh_2	(—)

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

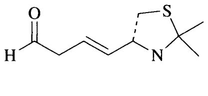
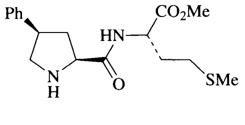
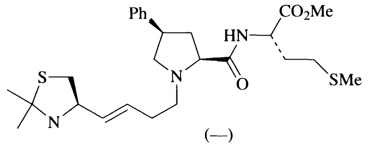
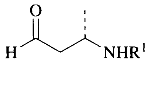
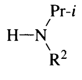
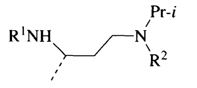
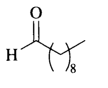
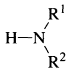
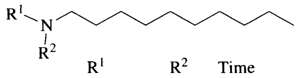
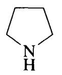
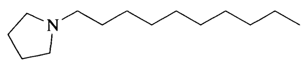
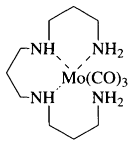
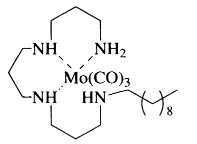
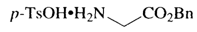
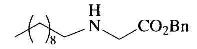
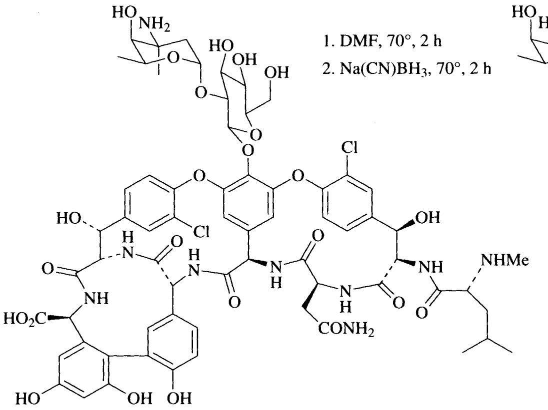
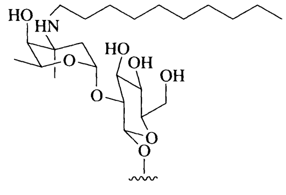
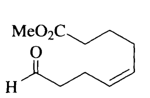
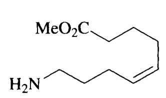
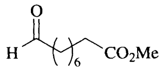
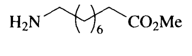
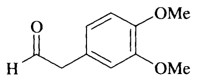
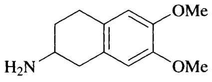
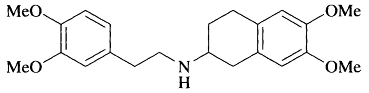
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, THF	 (—)	1401
C_{9-19}				
		$NaBH(OAc)_3$, DCE, 3 h	 R[1] Boc, R[2] allyl (60-80); R[1] Boc, R[2] Bn (60-80); R[1] Fmoc, R[2] allyl (60-80)	701
C_{10}				
		$Bu_4N(CN)BH_3$, CH_2Cl_2	 R[1] H, R[2] *i*-Pr, Time 42 h (52); R[1]–R[2] —$(CH_2)_4$—, Time 2.5 h (64)	42
		$Na(CN)BH_3$, MeOH, 46 h	 (67)	42
		1. $MgSO_4$, DMF, 100°, 2 h 2. $NaBH_4$, overnight	 (—)	175
		$Na(CN)BH_3$, MeOH, 1 h	 (53)	1402
		1. DMF, 70°, 2 h 2. $Na(CN)BH_3$, 70°, 2 h	 (—)	1403
	NH_4OAc	$Na(CN)BH_3$	 (—)	1404
	NH_4OAc	$Na(CN)BH_3$	 (—)	1404
		$Na(CN)BH_3$, MeOH, 38 h	 (37)	1405

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

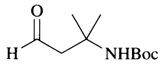
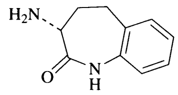
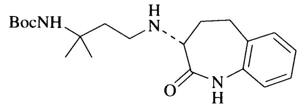
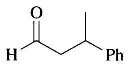
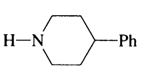
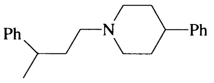
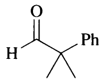
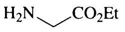
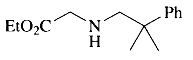
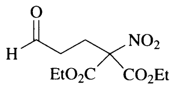
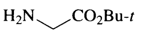
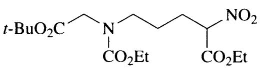
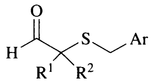
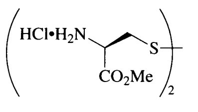
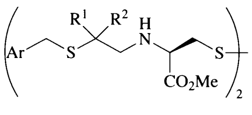
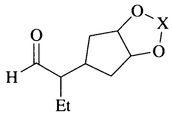
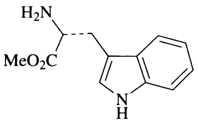
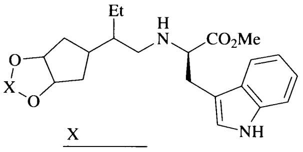
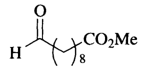
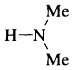
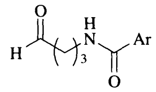
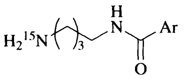
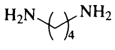
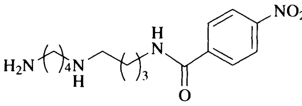
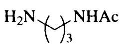
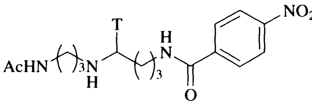
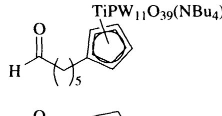
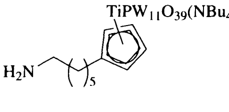
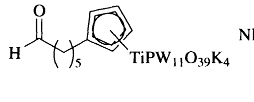
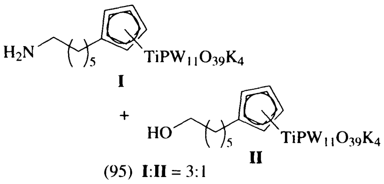
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 3 Å, dry MeOH 2. Na(CN)BH$_3$, THF	 (—)	1406
		Na(CN)BH$_3$, MeOH, 5% HOAc	 (83)	982
		Na(CN)BH$_3$, MeOH	 (86)	345
		NaBH(OAc)$_3$, DCE, NEt$_3$, HOAc	 (61)	789
	"	Na(CN)BH$_3$, NEt$_3$, MeOH, 16-50°	" (85)	789
C_{10-12}				
 Ar = 4-MeOC$_6$H$_4$		1 MS 3 Å, MeOH, 30 min 2. Na(CN)BH$_3$, overnight	 R^1 R^2: H H (—); H Me (—); Me Me (—)	1407
		Na(CN)BH$_3$, HOAc, MeCN, overnight	 X: C=O (—); CMe$_2$ (55)	1408, 1409
C_{11}				
		Na(CN)BH$_3$	(—)	1410
 Ar = 4-O$_2$NC$_6$H$_4$	^{15}NH$_4$Cl	Na(CN)BH$_3$, MeOH (abs), 72 h	 (30)	1411
		Na(CN)BH$_3$, MeOH, HCl in MeOH, pH 6, 18 h	 (65)	509
		Na(CN)BT$_3$, HOAc MeOH	 (—)	1411
	NH$_4$Cl	Na(CN)BH$_3$, MS 3 Å, MeCN, 136 h	 (95)	730
	NH$_4$OAc	Na(CN)BH$_3$, pH 6.5, 25°, 119 h	 (95) I:II = 3:1	730

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

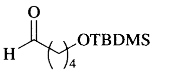
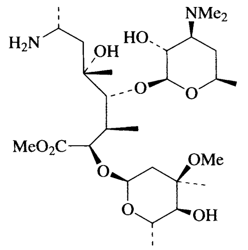
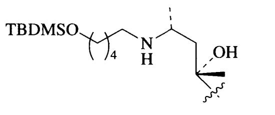
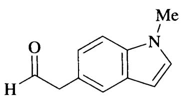
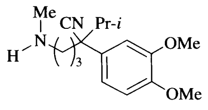
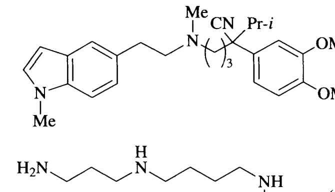
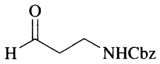
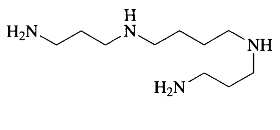
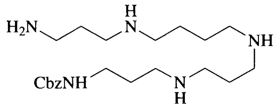
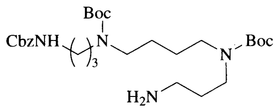
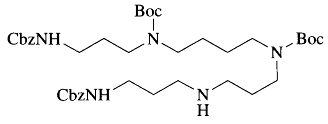
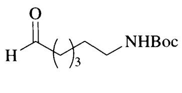
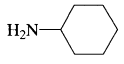
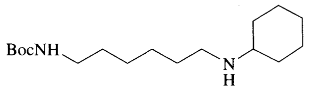
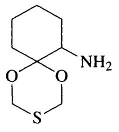
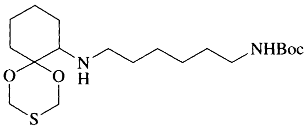
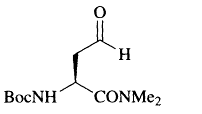
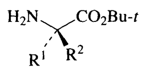
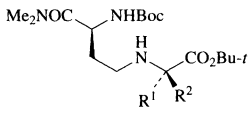
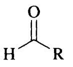
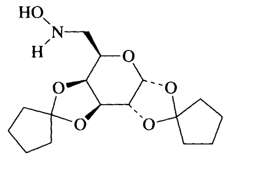
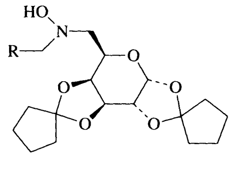
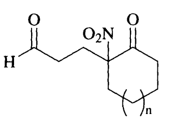
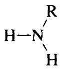
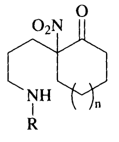
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$	 (—)	1412
		$Na(CN)BH_3$, NaOAc, MeOH, overnight	 (74)	1112
		1. Na_2SO_4, dry EtOH, 24 h 2. $Na(CN)BH_3$, overnight	 (—)	74
		$Na(CN)BH_3$, TFA, MeOH, 25°, 24 h	 (—)	1413
		1. DCE, 10 min 2. $NaBH(OAc)_3$, 3 h	 (25)	1414
		1. DCE, 10 min 2. $NaBH(OAc)_3$, 3 h	 (50)	1414
		1. MS 3 Å, 5 min, HOAc (1%), MeOH 2. $Na(CN)BH_3$, 3 h	 R^1 R^2 Me H (47) H Me (43) Bn H (54) H Bn (50)	505
C_{11-12} 		$NaBH_4$, EtOH	 R $(CH_2)_8CH{=}CH_2$ (55) $(CH_2)_{10}CH_3$ (60)	1415
		$Na(CN)BH_3$, THF, 15-20 min	 n R 3 *n*-Pr (48) 3 Bn (50) 7 *n*-Pr (53) 7 *n*-pentyl (60) 7 $(CH_2)_3OH$ (60) 7 *t*-Bu (52) 7 Bn (58) 7 $(CH_2)_2NHBoc$ (48) 7 $(CH_2)_6NHCBz$ (12)	462, 994

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

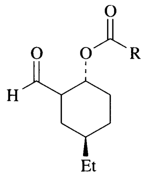
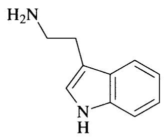
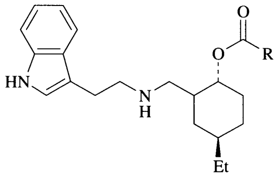
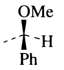
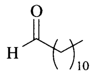
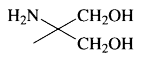
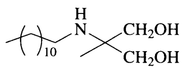
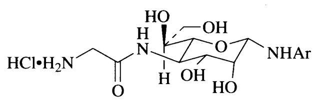
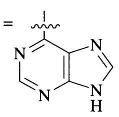
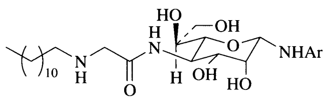
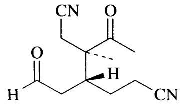
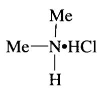
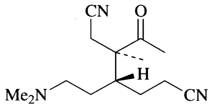
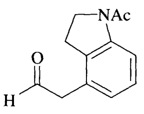
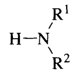
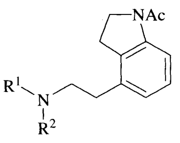
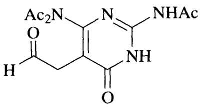
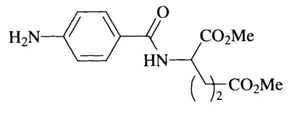
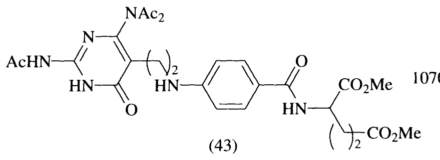
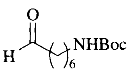
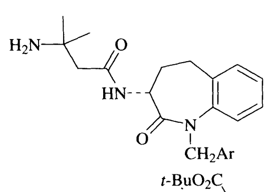
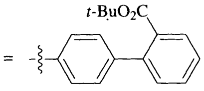
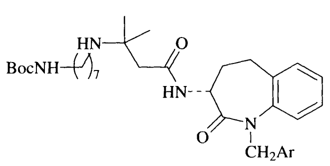
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{11-18}				
		1. $MgSO_4$, toluene, −10-15° 2. $NaBH_4$, MeOH, 0°	 R Me (93)  (93)	173
C_{12}				
		1. *p*-TsOH•H_2O, toluene, reflux 2. $Na(CN)BH_3$, EtOH, bromocresol green, HCl (1 M) in EtOH, pH 6-7, 2-3 d	 (67)	1120
	 Ar = 	$Na(CN)BH_3$, TEA, EtOH, 12 h	 (23)	1416
		$Na(CN)BH_3$, NaOAc, MeOH, HOAc, pH 7-8 24 h	 (97)	384
		$Na(CN)BH_3$, HOAc, pH 6, EtOH	 (—) R^1 / R^2 H / *n*-Pr H / $(CH_2)_2Ph$ Me / Me Me / *n*-Pr *n*-Pr / allyl *n*-Pr / *n*-Pr *n*-Pr / *n*-Bu *n*-Pr / $(CH_2)_2Ph$ *n*-Bu / *n*-Bu —$(CH_2)_4$— —$(CH_2)_5$— —$(CH_2)_2O(CH_2)_2$—	1417
		1. HOAc, 10 min 2. $Na(CN)BH_3$, 1 h 3. 30 min	 (43)	1070
	 Ar = 	1. MS 4 Å, HOAc, TEA, pH 6.5, MeOH, 3 h 2. $Na(CN)BH_3$	 (84)	1025

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

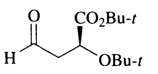
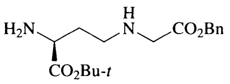
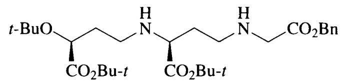
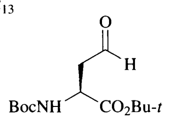
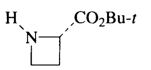
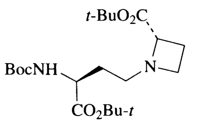
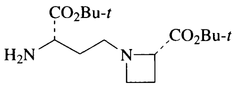
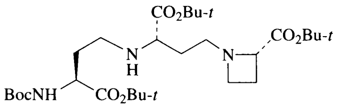
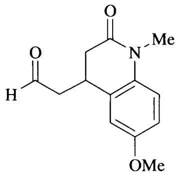
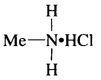
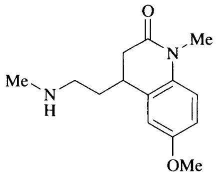
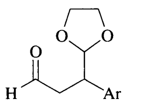
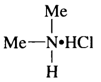
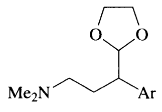
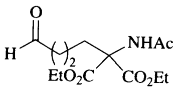
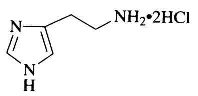
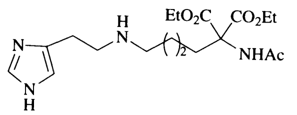
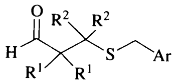
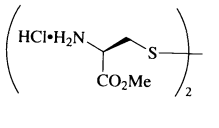
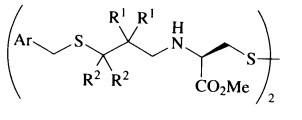
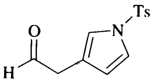
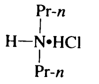
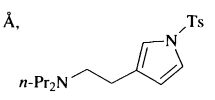
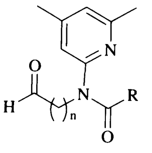
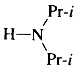
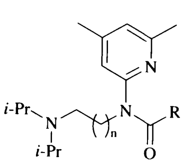
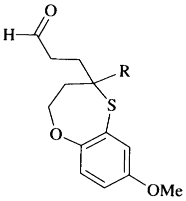
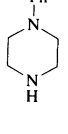
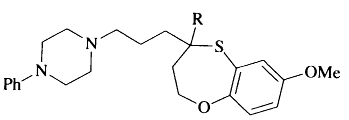
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$	 (—)	1418
C_{13} 		Na(CN)BH$_3$, THF, MeOH, 0°, 20 h	 (87)	1082
		Na(CN)BH$_3$, THF, MeOH, 0°, 16 h	 (70)	1082
		Na(CN)BH$_3$, MeOH	 (55)	1419
 Ar = 4-MeOC$_6$H$_4$		Na(CN)BH$_3$, dry MeOH, 40 min	 (75)	1420
		Na(CN)BH$_3$, MeOH, overnight	 (78)	1421, 1422
 Ar = 4-MeOC$_6$H$_4$ R^1 = H, R^2 = Me R^1 = Me, R^2 = H		1. MS 3 Å, MeOH, 30 min 2. Na(CN)BH$_3$, overnight	 (—)	1407
		1. Glacial HOAc, MS 3 Å, pH 6-7, MeOH, 3 h 2. Na(CN)BH$_3$, glacial HOAc, pH 6-7, 12 h	 (46)	1423
		Na(CN)BH$_3$, HCl (6 N) in EtOH, MS 3 Å, MeOH, 48 h	 n = 2, R = NMe$_2$ (34); n = 3, R = Me (92)	1424
 R = H, OH		1. MeCN, 10 h 2. Na(CN)BH$_3$, MeOH, 3-4 h	 (—)	1425

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

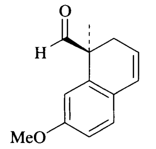
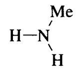
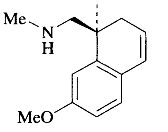
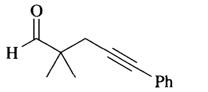
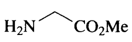
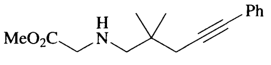
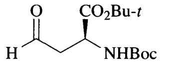
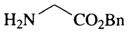
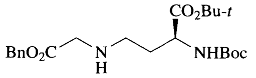
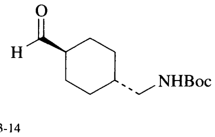
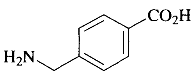
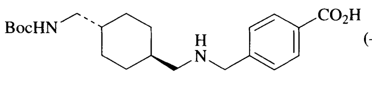
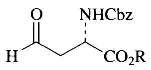
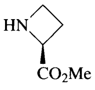
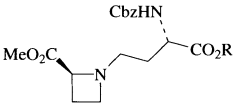
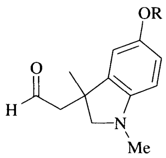
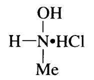
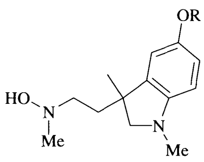
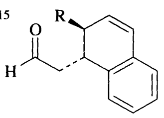
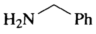
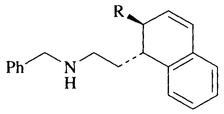
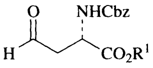
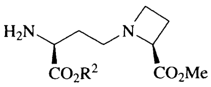
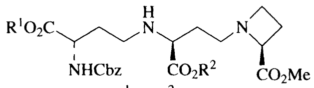
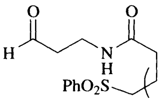
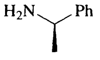
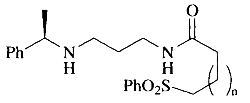
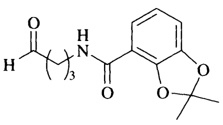
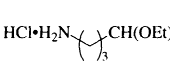
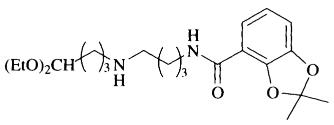
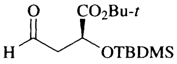
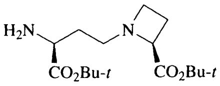
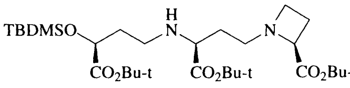
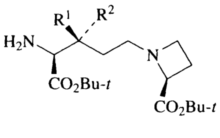
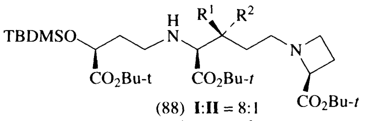
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$	 (±) (71) (*S*) (72)	1426
		1. TEA, CH_2Cl_2 or DCE, 15 min 2. $NaBH(OAc)_3$, 12 h	 (80)	1427, 1428
		$Na(CN)BH_3$	 (—)	1418
		$Na(CN)BH_3$, pH 6, MeOH:H_2O (1:1)	 (—)	1045
C_{13-14}				
		$Na(CN)BH_3$, pH 6	 R: Me (62); Et (68)	808, 1429
		1. KOH (5%) in MeOH, pH 6, MeOH 2. $Na(CN)BH_3$, HCl (5%) in MeOH, pH 5-6, 50 min	 R: Me (65); CO_2Me (56)	287, 288, 289
C_{13-15}				
		$Na(CN)BH_3$, MeOH, overnight	 R: Me (1*S*, 2*R*) (80); Me (1*R*, 2*S*) (76); Et (1*S*, 2*R*) (89); Et (1*R*, 2*S*) (78); *i*-Pr (1*S*, 2*R*) (84); *i*-Pr (1*R*, 2*S*) (73)	1430
C_{13-16}				
		$Na(CN)BH_3$, pH 6	 R^1 R^2: Me Me (56); *t*-Bu Et (75)	808, 1429
 n = 1-4		$Na(CN)BH_3$, MeOH	 (—)	1431
C_{14}				
		$Na(CN)BH_3$, THF	 (—)	1432
		$Na(CN)BH_3$ (1 M) in THF, HOAc, MeOH, 0°, 19.5 h	 (63)	1082
		1. $Na(CN)BH_3$, HOAc, MeOH, 0°, 3 h 2. rt, 8 h	 (88) **I**:**II** = 8:1 R^1 R^2 **I**: OMOM H **II**: H OMOM	721, 732, 807, 808

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

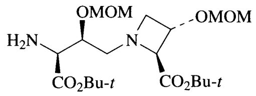
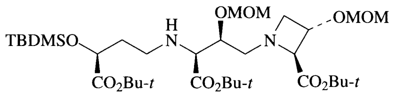
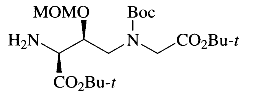
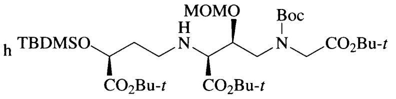
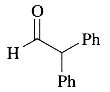
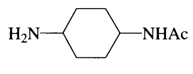
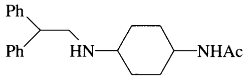
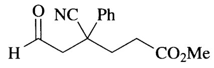
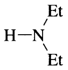
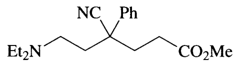
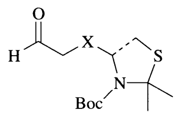
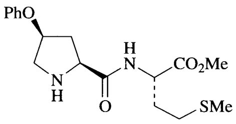
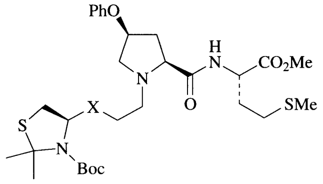
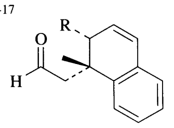
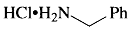
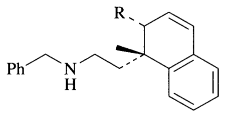
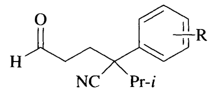
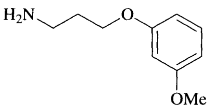
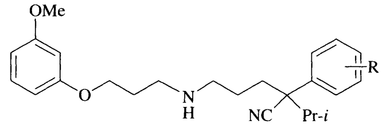
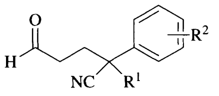
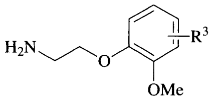
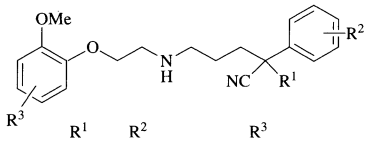
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$ (1 M) in THF, HOAc, MeOH, 0°, 14 h	 (85)	798, 806, 807, 808
		1. $Na(CN)BH_3$, THF, HOAc, MeOH, 0°, 3 h 2. rt, 11 h	 (62)	798, 807
		$Na(CN)BH_3$, MS 3 Å, HCl (6 N) in MeOH, pH 6, MeOH, 16 h	 (70)	483
		1. MS 4 Å, MeOH 2. $Na(CN)BH_3$, 15 min	 (88)	72
 X = CH=CH, $(CH_2)_2$		$NaBH(OAc)_3$, THF	 (—)	1401
C_{14-17} 		$Na(CN)BH_3$, MeOH	 R Me (92) Et (—) *i*-Pr (—) *n*-Bu (—)	1433
		1. MeOH, reflux, 2.5 h 2. $NaBH_4$, 0° 3. rt, 1 h	 R H (51) 2-F (75) 3-F (70) 4-F (59) 2-Cl (88) 3-Cl (60) 4-Cl (46) 2-Br (72) 4-Br (42) 2-Me (70) 3-Me (49) 4-Me (73) 2-OMe (64) 3-OMe (62) 4-OMe (66) 2-OEt (34) 3-OEt (54) 4-OEt (78) 3,4-$(OMe)_2$ (69) 4-Pr-*i* (79)	1283

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{14-18}				
		1. EtOH 2. $NaBH_4$, 0° 3. rt, 2.5 h		1434

R^1	R^2	R^3	
H	3,4,5-$(OMe)_3$	2-OMe	(60)
i-Pr	H	2-OMe	(87)
i-Pr	2-F	2-OMe	(63)
i-Pr	3-F	2-OMe	(68)
i-Pr	4-F	2-OMe	(72)
i-Pr	2-Cl	2-OMe	(58)
i-Pr	3-Cl	2-OMe	(70)
i-Pr	4-Cl	2-OMe	(57)
i-Pr	2-Br	2-OMe	(51)
i-Pr	4-Br	2-OMe	(60)
i-Pr	4-NO_2	2-OMe	(73)
i-Pr	4-NH_2	2-OMe	(93)
Me	3,4,5-$(OMe)_3$	2-OMe	(70)
i-Pr	2-Me	2-OMe	(70)
i-Pr	3-Me	2-OMe	(48)
i-Pr	4-Me	2-OMe	(34)
i-Pr	2-OMe	2-OMe	(54)
i-Pr	3-OMe	2-OMe	(86)
i-Pr	4-OMe	2-OMe	(72)
Et	3,4,5-$(OMe)_3$	2-OMe	(60)
i-Pr	4-NHAc	2-OMe	(74)
i-Pr	3,4-$(OMe)_2$	2-OMe	(88)
n-Pr	3,4,5-$(OMe)_3$	2-OMe	(60)
i-Pr	4-Pr-*i*	2-OMe	(30)
i-Pr	3-$CONMe_2$	2-OMe	(51)
i-Pr	4-$CONMe_2$	2-OMe	(65)
i-Pr	3,4,5-$(OMe)_3$	H	(61)
i-Pr	3,4,5-$(OMe)_3$	2-F	(53)
i-Pr	3,4,5-$(OMe)_3$	3-F	(77)
i-Pr	3,4,5-$(OMe)_3$	4-F	(56)
i-Pr	3,4,5-$(OMe)_3$	2-Cl	(69)
i-Pr	3,4,5-$(OMe)_3$	3-Cl	(50)
i-Pr	3,4,5-$(OMe)_3$	4-Cl	(70)
i-Pr	3,4,5-$(OMe)_3$	2-NO_2	(51)
i-Pr	3,4,5-$(OMe)_3$	3-NO_2	(87)
i-Pr	3,4,5-$(OMe)_3$	4-NO_2	(64)
i-Pr	3,4,5-$(OMe)_3$	2-Me	(39)
i-Pr	3,4,5-$(OMe)_3$	3-Me	(46)
i-Pr	3,4,5-$(OMe)_3$	4-Me	(73)
i-Pr	3,4,5-$(OMe)_3$	2-CN	(36)
i-Pr	3,4,5-$(OMe)_3$	3-CN	(52)
i-Pr	3,4,5-$(OMe)_3$	4-CN	(65)
i-Pr	3,4,5-$(OMe)_3$	3-OMe	(33)
i-Pr	3,4,5-$(OMe)_3$	4-OMe	(67)
i-Pr	3,4,5-$(OMe)_3$	3-CF_3	(81)
i-Pr	3,4,5-$(OMe)_3$	2-OMe, 5-F	(86)
i-Pr	3,4,5-$(OMe)_3$	2-OEt	(60)
i-Pr	3,4,5-$(OMe)_3$	2-OMe, 5-Me	(98)
i-Pr	3,4,5-$(OMe)_3$	2,3-$(OMe)_2$	(79)
i-Pr	3,4,5-$(OMe)_3$	2,4-$(OMe)_2$	(76)
i-Pr	3,4,5-$(OMe)_3$	2,5-$(OMe)_2$	(77)
i-Pr	3,4,5-$(OMe)_3$	2,6-$(OMe)_2$	(64)
i-Pr	3,4,5-$(OMe)_3$	2-Pr-*n*	(65)
i-Pr	3,4,5-$(OMe)_3$	4-Pr-*n*	(63)
i-Pr	3,4,5-$(OMe)_3$	2-OPr-*i*	(76)
i-Pr	3,4,5-$(OMe)_3$	2-Bu-*t*	(60)

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

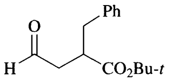
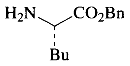
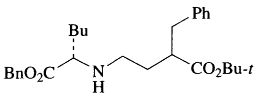
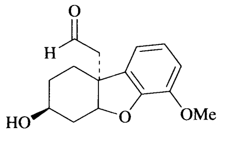
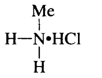
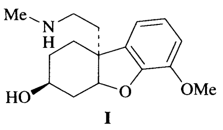
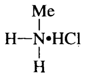
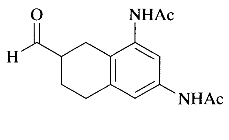
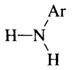
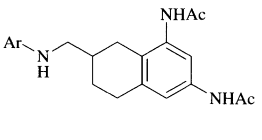
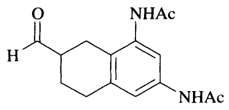
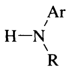
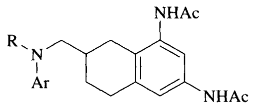
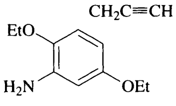
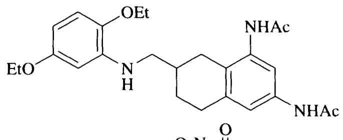
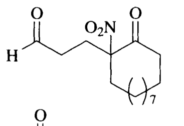
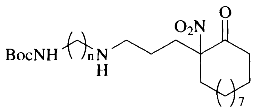
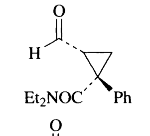
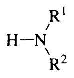
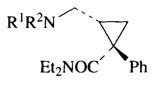
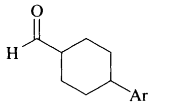
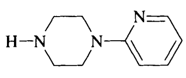
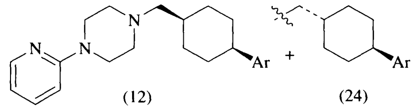
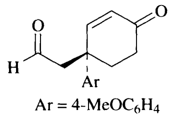
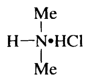
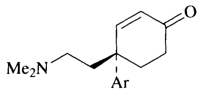
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
			i-Pr 3,4,5-(OMe)$_3$ 4-Bu-*t* (69)	
			i-Pr 3,4,5-(OMe)$_3$ 2-OBu-*n* (65)	
			i-Pr 3,4,5-(OMe)$_3$ 2-OBn (52)	
			(CH$_2$)$_2$OMe 3,4,5-(OMe)$_3$ 2-OMe (41)	
			n-Bu 3,4,5-(OMe)$_3$ 2-OMe (46)	
			i-Bu 3,4,5-(OMe)$_3$ 2-OMe (87)	
			(CH$_2$)$_2$OEt 3,4,5-(OMe)$_3$ 2-OMe (41)	
C$_{15}$				
		1. MS 4 Å, THF, 30 min 2. Na(CN)BH$_3$, HOAc, 2 h	 (72)	1079
		Na(CN)BH$_3$, MeOH (anhyd), 72 h	 I (—)	1435
		Na(CN)BH$_3$, MS 4 Å, MeOH, 48 h	I (80)	1436
	 Ar 4-ClC$_6$H$_4$ 3-BrC$_6$H$_4$ 3,4-Cl$_2$C$_6$H$_3$ 2,5-(MeO)$_2$C$_6$H$_3$ 3,4,5-(MeO)$_3$C$_6$H$_2$CH$_2$	1. Ti(OPr-*i*)$_4$, EtOH, 3 h 2. Na(CN)BH$_3$, 24 h	 (—)	102
	 R Ar H 3-BrC$_6$H$_4$ H 2,5-Cl$_2$C$_6$H$_3$ H 2,6-Cl$_2$C$_6$H$_3$ H 1-naphthyl Me 4-ClC$_6$H$_4$ Me 3,4-Cl$_2$C$_6$H$_3$ Me 2,5-(MeO)$_2$C$_6$H$_3$ Me 2,5-(EtO)$_2$C$_6$H$_3$ Me 1-naphthyl Et 2,5-(EtO)$_2$C$_6$H$_3$ CH$_2$C≡CH 3,4,5-(MeO)$_3$C$_6$H$_2$	Na(CN)BH$_3$, MS 4 Å, HCl (5 N) in MeOH, 72 h	 (—)	102
		1. Ti(OEt)$_4$, EtOH, 3 h 2. Na(CN)BH$_3$, 24 h	 (—)	102
		Na(CN)BH$_3$, THF, MeOH, 2.5 h	 n 2 (48) 3 (50)	994
		Na(CN)BH$_3$, THF:MeOH (1:1)	 R^1 R^2 H Me (27) Me Me (51)	1056
 Ar = 2-indolyl		1. HOAc, MeCN, 0°, 15 min 2. Na(CN)BH$_3$, 3 h, 0° to rt	 (12) (24)	1437
 Ar = 4-MeOC$_6$H$_4$		Na(CN)BH$_3$, *t*-BuOH, 20 h	 (—)	388, 389

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

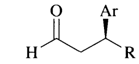
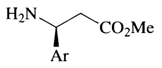
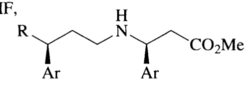
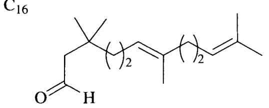
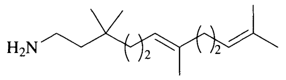
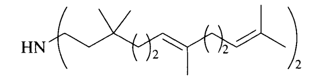
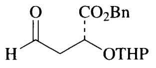
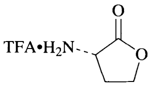
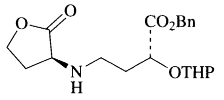
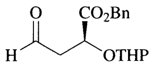
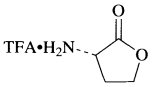
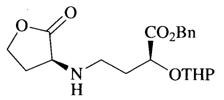
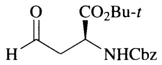
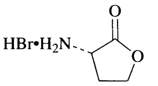
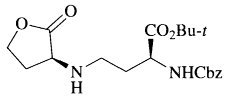
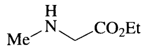
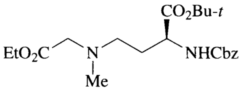
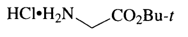
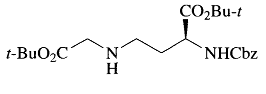
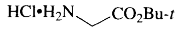
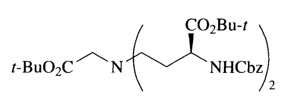
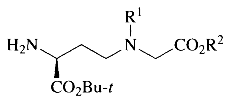
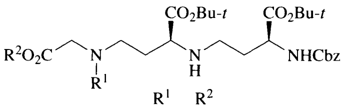
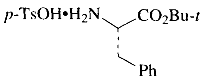
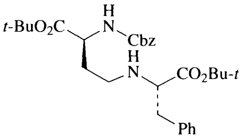
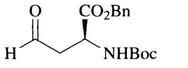
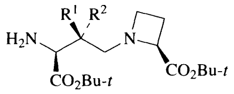
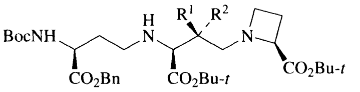
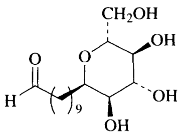
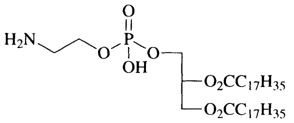
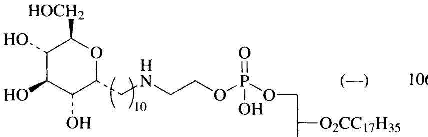
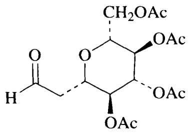
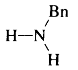
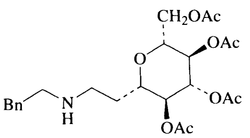
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{15-16}  Ar = 4-MeOC$_6$H$_4$		1. Na(CN)BH$_3$ (1 M)/THF, HOAc, MeOH, 0-14°, 15 h 2. 0-10°, 10 h	 R: NHBoc (86); OTBDMS (74)	808, 1057
C_{16} 	NH$_4$OAc	Na(CN)BH$_3$, MS 4 Å, MeOH, 16 h	 (27) +  (45)	692
		Na(CN)BH$_3$	 (—)	808, 1438
		1. Na(CN)BH$_3$, 0°, 1 h 2. rt, 16 h	 (—)	1439
		Na(CN)BH$_3$, pH 6	 (62)	808, 1387
		Na(CN)BH$_3$, KOH, pH 6, dry MeOH, 24 h	 (74)	680
(1 eq)		Na(CN)BH$_3$, KOH, pH 6, dry MeOH, 24 h	 (73)	680
(10 eq)		Na(CN)BH$_3$, KOH, pH 6, dry MeOH, 24 h	 (53)	680
		Na(CN)BH$_3$, KOH, pH 6, dry MeOH, 24 h	 R^1 R^2: Me Et (80); Boc *t*-Bu (66)	680
		1. MS 4 Å, 2% HOAc in MeOH, 5 min 2. Na(CN)BH$_3$, 1.5 h	 (67)	1415
	 R^1 = OMOM, R^2 = H R^1 = H, R^2 = OMOM	1. Na(CN)BH$_3$, THF HOAc, *i*-PrOH, 0° 2. 0-17°, 12 h	 **I**: R^1 = OMOM, R^2 = H **I**+**II** (66) **II**: R^1 = H, R^2 = OMOM **I**:**II** = 8:1	798, 807, 808
		Na(CN)BH$_3$	 (—)	1060
		BH$_3$•pyridine, MeOH, CH$_2$Cl$_2$, AcOH	 (—)	1146

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

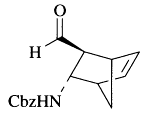
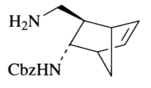
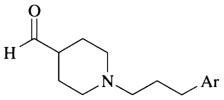
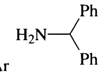
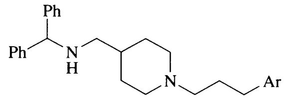
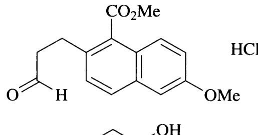
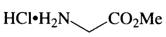
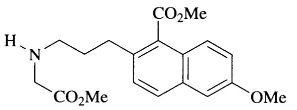
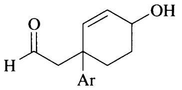
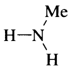
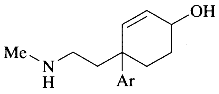
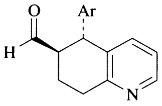
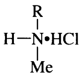
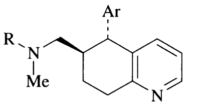
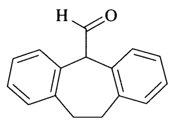
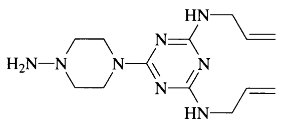
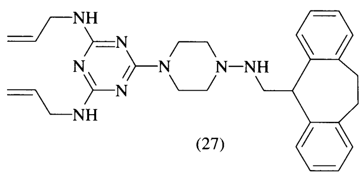
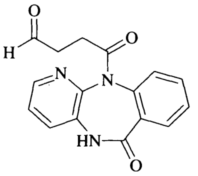
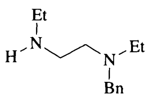
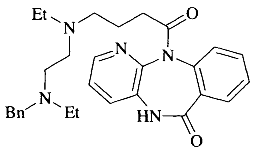
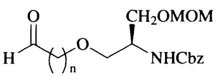
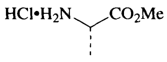
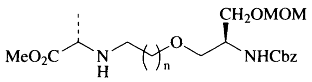
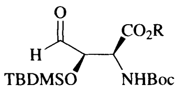
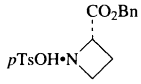
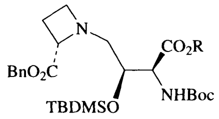
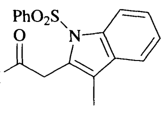
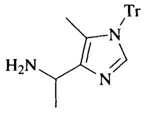
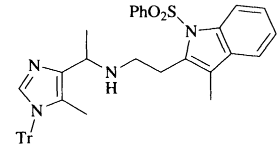
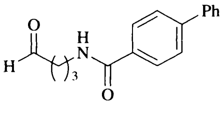
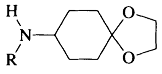
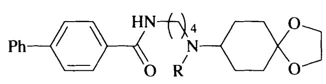
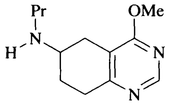
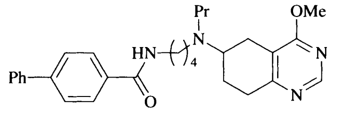
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4OAc	BH_3•pyridine, MeOH, 2.5 h	 (12)	1440
 Ar = 4-$MeOC_6H_4$		$NaBH(OAc)_3$, HOAc	 (—)	1441
		$Na(CN)BH_3$	 (40-50)	1442
 Ar = 3,4-$(MeO)_2C_6H_3$		1. $MgSO_4$ (anhyd), dry C_6H_6, 47 h 2. $NaBH_4$, MeOH, 5 h	 (61)	174
 Ar = 4-BrC_6H_4		$Na(CN)BH_3$	 R: H (57); Me (33)	1443
		1. HCl in MeOH, pH 6, MeOH 2. $Na(CN)BH_3$, MS 3 Å, pH 6, 24 h	 (27)	483
		$NaBH(OAc)_3$, CH_2Cl_2, HOAc, 1 h	 (76)	1378
C_{16}-C_{20}				
		1. NaOAc, *i*-PrOH, 0°, 30 min 2. $Na(CN)BH_3$, –20° to rt, overnight	 n: 2 (88); 4 (—); 6 (—)	1033
C_{16}-C_{22}				
		$Na(CN)BH_3$, MeOH, 0° to rt, 12-19 h	 R: Me (81); Bn (43)	860, 1444
C_{17} 		1. MS 3 Å, MeOH, 30 min 2. $Na(CN)BH_3$, HOAc, 2 h	 (71)	1445
	 R = Me, *n*-Pr	$NaBH(OAc)_3$, DCE	 (—)	1446
		$NaBH(OAc)_3$, DCE	 (—)	1446

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

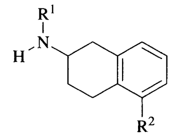
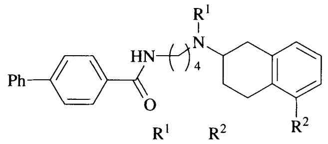
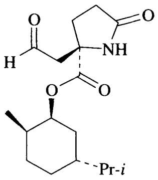
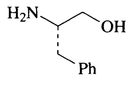
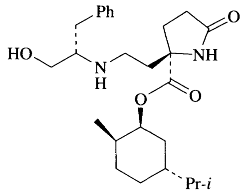
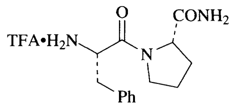
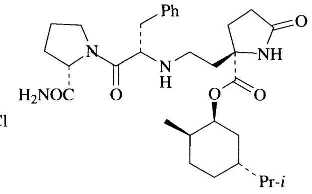
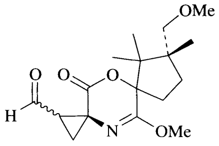
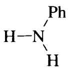
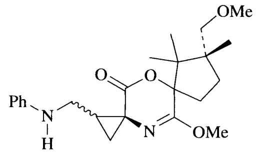
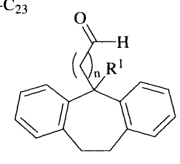
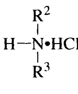
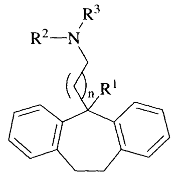
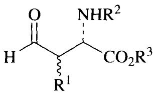
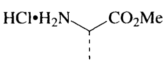
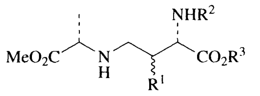
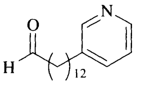
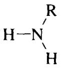
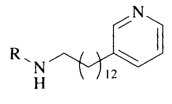
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, DCE	 (—) R^1 / R^2: Me / OMe; *n*-Pr / H; *n*-Pr / Cl; *n*-Pr / Br; *n*-Pr / OMe	1447
		$Na(CN)BH_3$, MeOH	 (60)	1448
		$Na(CN)BH_3$, *N*-ethylmorpholine, *N*-ethylmorpholine•HCl	 (57)	1448
		$Na(CN)BH_3$	 *R* (86) *S* (88)	1084
C_{17}-C_{23} 		$Na(CN)BH_3$, MS 3 Å, THF, NaOH	 (—) R^1 / R^2 / R^3 / n: OH / Me / Me / 1; OEt / —$(CH_2)_4$— / 1; *n*-Pr / Me / Me / 0; *n*-Pr / Me / Me / 1; *n*-Pr / Me / Me / 2; CH_2OEt / H / Me / 1; CH_2OEt / Me / Me / 1; *n*-Hex / Me / Me / 1	1449
C_{17}-C_{28} 		$Na(CN)BH_3$, MeOH	 R^1 / R^2 / R^3 / Time: (*R*)-Me / Cbz / *t*-Bu / 45 min (89) + trace of epimer; (*R*)-Me / PhFl / *t*-Bu / 45 min (76) + trace of epimer; (*S*)-Me / PhFl / Me / 1 h (95) + trace of epimer; (*S*)-Et / PhFl / Me / 3 h (64); (*S*)-Pr-*i* / Cbz / *t*-Bu / 2 h (52)	1450
C_{18} 		1. MeOH, 0°, 40 min 2. $NaBH_4$, 40 min	 R: H (—); Me (76)	1451

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

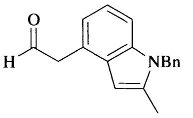
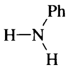
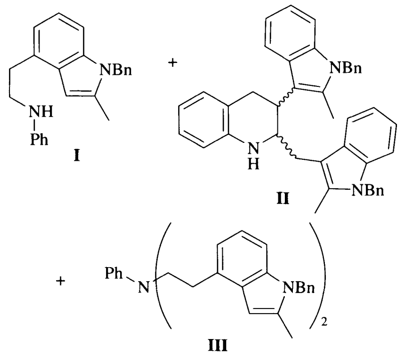
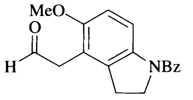
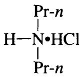
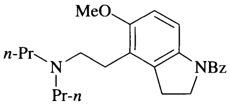
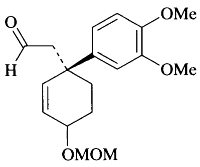
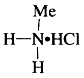
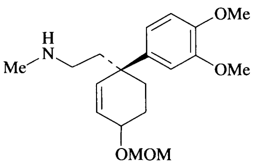
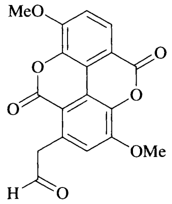
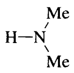
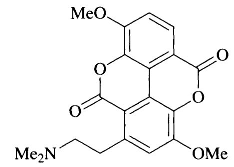
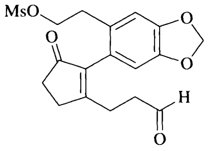
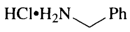
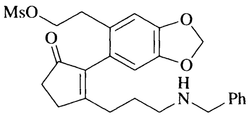
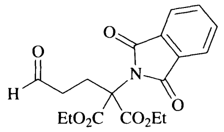
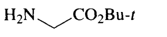
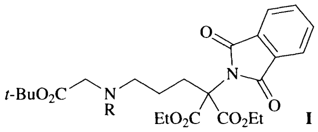
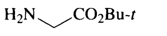
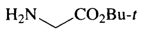
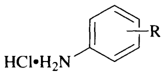
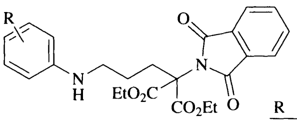
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
				
		$Na(CN)BH_3$, HCl (5 eq)	**I** (49) + **II** (7) + **III** (3)	698
		1. HCl (5 eq), 20 min 2. $Na(CN)BH_3$	**I** (trace) + **II** (58) + **III** (0)	698
		$Na(CN)BH_3$	**I** (35) + **II** (0) + **III** (22)	698
		1. MS 3 Å, MeOH, 3 h 2. $Na(CN)BH_3$, overnight	 (66)	1452
		$Na(CN)BH_3$, MeOH, 24 h	 (61)	1453
		$Na(CN)BH_3$, DCE	 (75)	1454
		1. THF:alcohol (2.5:1), 1 h 2. $Na(CN)BH_3$, NaOAc, 13 h	 (100)	392
		$Na(CN)BH_3$, EtOH, rt	 **I** R = H (79)	789, 1453
		$Na(OAc)_3BH$, rt, DCE, TEA	**I** R = H (65-68)	789, 1453
		1. $NaBH(OAc)_3$, DCE 2. NEt_3, rt-reflux, 7 d	**I** R = Ac (44)	789
		$Na(CN)BH_3$, MeOH, 1-2 d	 R: 3-OBn (64); 4-OBn (58)	1456

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

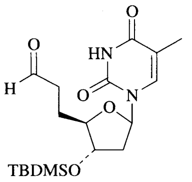
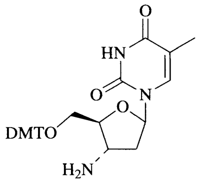
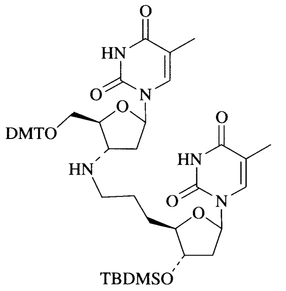
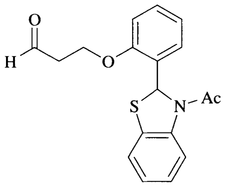
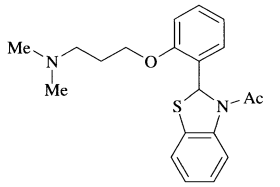
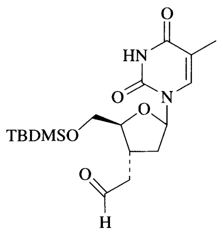
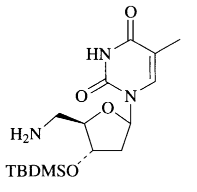
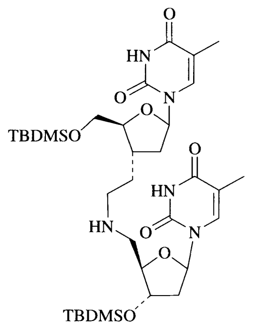
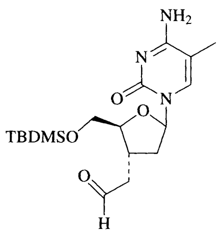
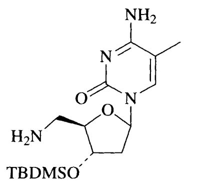
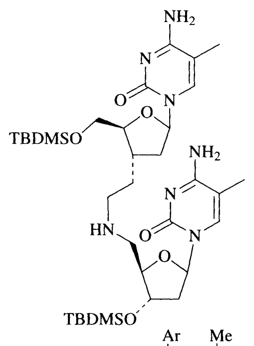
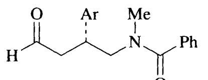
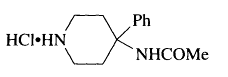
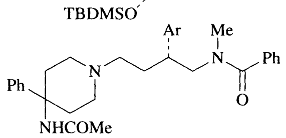
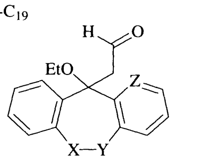
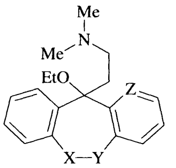
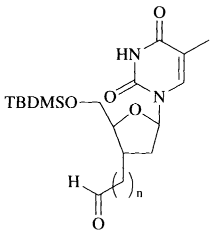
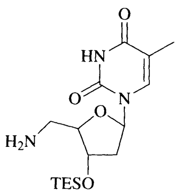
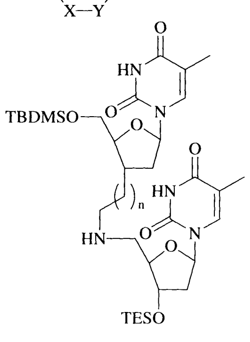
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, DCE	 (82)	723
	$H{-}N(Me)_2{\bullet}HCl$	$Na(CN)BH_3$, MS 3 Å, 1 h	 (—)	1457
		$NaBH(OAc)_3$, DCE	 (85)	723
		$Na(CN)BH_3$, THF, 2 h	 (95)	1083
 Ar = 3,4-$Cl_2C_6H_3$		$Na(CN)BH_3$, MeOH:THF (1:1)	 (93)	1458
C_{18}-C_{19} 	$H{-}N(Me)_2{\bullet}HCl$	$Na(CN)BH_3$, MS 3 Å, THF, MeOH	 (—) X—Y / Z: CH_2CH_2 / N; CH=CH / CH	1449
		$Na(CN)BH_3$, MS 3 Å, bromocresol green, MeOH	 n: 1 (65); 2 (—)	1121

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

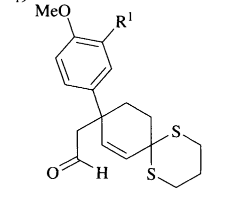
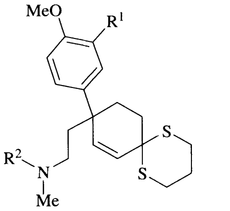
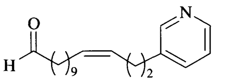
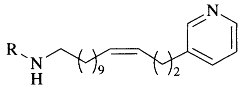
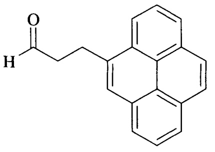
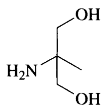
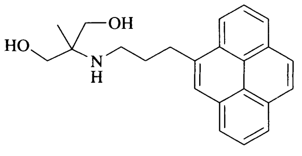
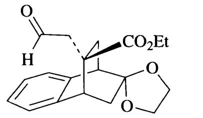
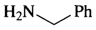
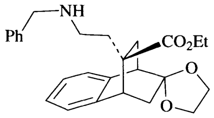
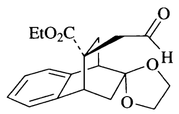
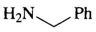
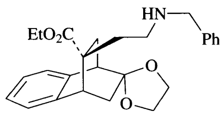
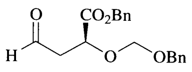
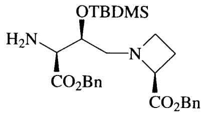
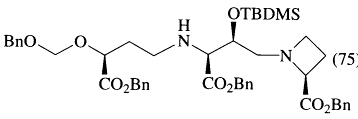
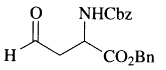
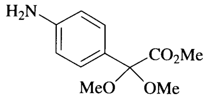
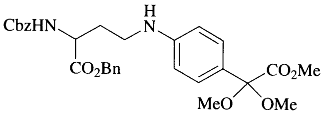
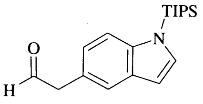
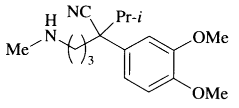
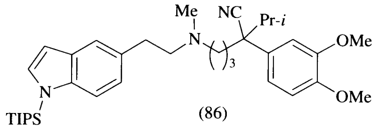
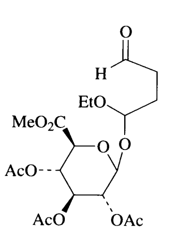
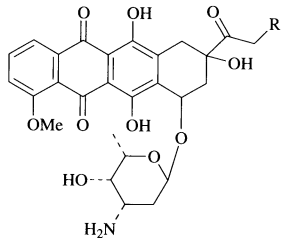
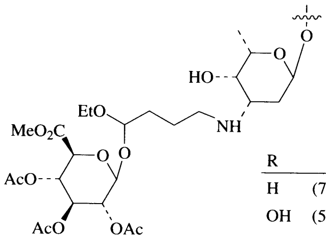
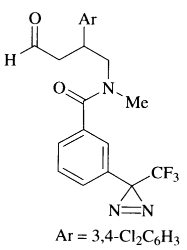
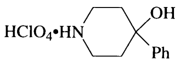
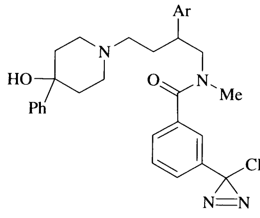
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{19} 	$H-N(R^2)(Me)\cdot HCl$	$Na(CN)BH_3$, *t*-BuOH (dry)	 R^1 / R^2: H / Me (53); OMe / H (—)	1459
C_{19} 	$H-N(R)-H$ R = H, Me	1. MeOH, 0°, 40 min 2. $NaBH_4$, 40 min	 (—)	1451
		1. *p*-TsOH, PhMe, reflux 2. $Na(CN)BH_3$, bromocresol green, HCl (1 M) in EtOH, pH 6-7, 6-7 d	 (51)	1119
		$Na(CN)BH_3$, MS 3 Å, HCl in MeOH, pH 6, 24 h	 (57)	1460
		$Na(CN)BH_3$, MS 3 Å, HCl in MeOH, pH 6, 24 h	 (53)	1460
		$Na(CN)BH_3$, TFA, *i*-PrOH:THF (5.6:3), 17 h	 (75)	808, 1065
		1. HOAc, EtOH, 15 min 2. $Na(CN)BH_3$, 30 min	 (72)	1027
		1. NaOAc, MeOH, 30 min 2. $Na(CN)BH_3$, overnight	 (86)	1112
		$Na(CN)BH_3$ (1 M) in THF, dark, MeCN:THF (2:1)	 R: H (76); OH (56)	1091
C_{20}  Ar = 3,4-$Cl_2C_6H_3$		$Na(CN)BH_3$, MeOH:THF (1:1), dark	 (58)	1461

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

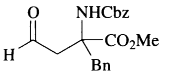
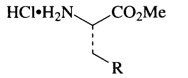
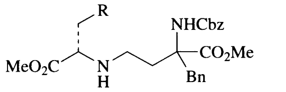
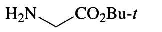
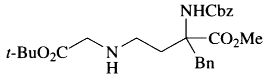
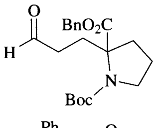
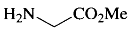
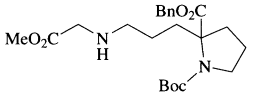
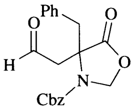
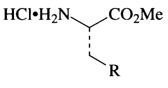
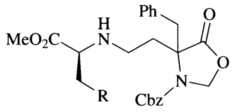
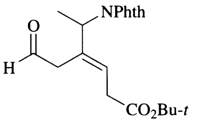
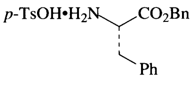
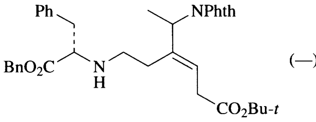
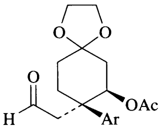
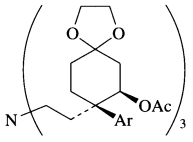
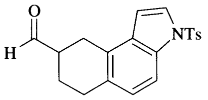
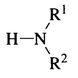
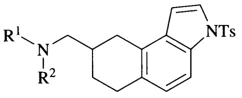
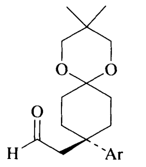
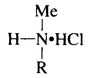
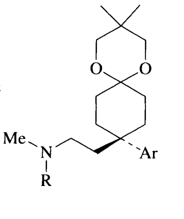
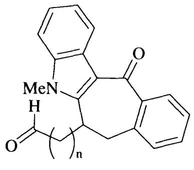
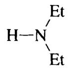
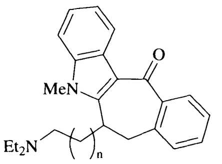
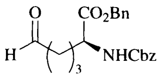
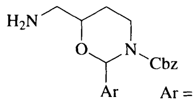
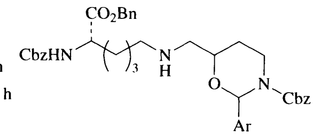
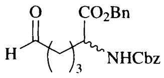
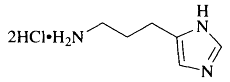
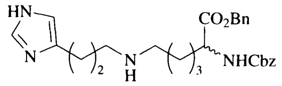
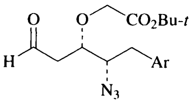
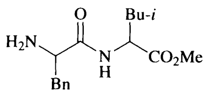
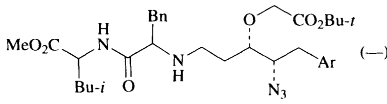
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 R = H, 4-imidazolyl, Ph	Na(CN)BH_3, NaOAc, MS 4 Å, MeOH, 1-3 h	 (—)	1110
		NaBH$(OAc)_3$, DCE, TEA, 0° to rt, 8 h	 (—)	1462
		1. MeOH, DIPEA 2. $NaBH_4$	 (78)	700
	 R = H, 4-imidazolyl, Ph	Na(CN)BH_3, NaOAc, MS 4 Å, MeOH, 1-3 h	 (—)	1110
		Na(CN)BH_3, TEA, MeOH, 16 h	 (—)	1463
 Ar = 3,4-$(MeO)_2C_6H_3$	NH_4OAc	1. Na(CN)BH_3, MeOH, rt, 90 h 2. Reflux, 0.5 h	 (21)	707
		1. HOAc, THF:MeOH (1:1), 0°, 30 min 2. Na(CN)BH_3, 0° to rt, 2-16 h	 R^1 R^2: H $(CH_2)_3$Ph (77); *n*-Pr *n*-Pr (77)	1055
 Ar = 4-$MeOC_6H_4$	 R = H, Me	Na(CN)BH_3, MeOH, 24 h	 (—)	388, 389
C_{20-21}				
		Na(CN)BH_3, $ZnCl_2$, CH_2Cl_2:MeOH (5:1), 1 h	 n: 1 (81); 2 (75)	119
C_{21}				
	 Ar = 4-$O_2NC_6H_4$	1. MS 3 Å, THF, 15 min 2. $NaBH_4$, MeOH, 5°, 1 h	 (46)	1464
		Na(CN)BH_3, MS 3 Å, MeOH, rt, overnight	 (*R*) (71) (*S*) (69)	1421
 Ar = 4-*t*-$BuOC_6H_4$		NaBH$(OAc)_3$, TEA, DCE, 30 min	 (—)	1465

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

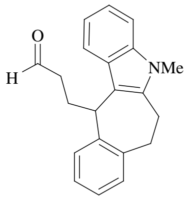
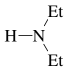
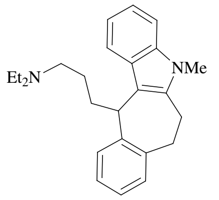
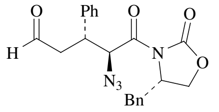
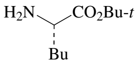
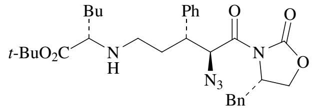
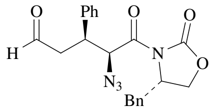
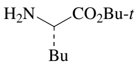
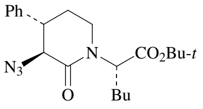
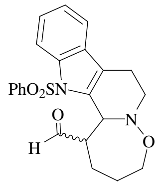
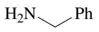
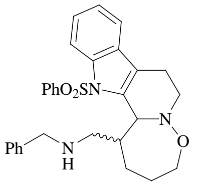
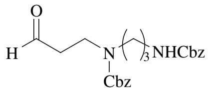
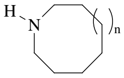
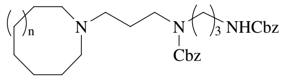
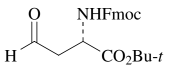
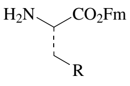
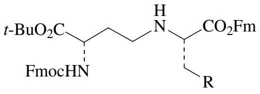
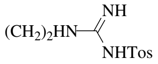
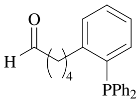
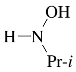
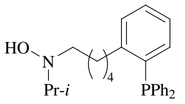
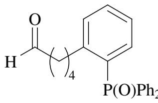
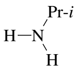
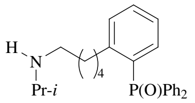
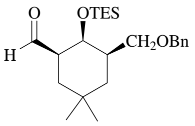
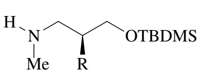
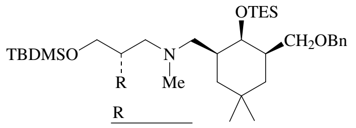
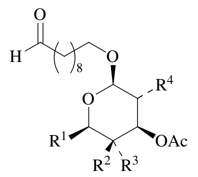
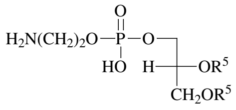
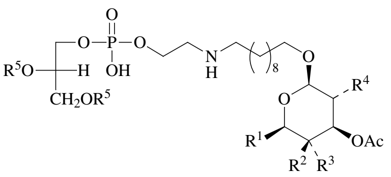
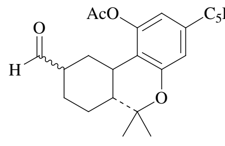
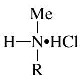
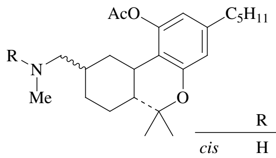
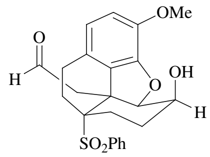
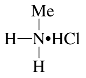
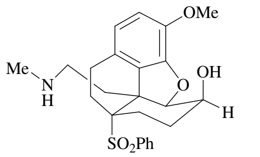
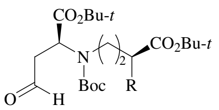
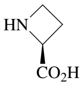
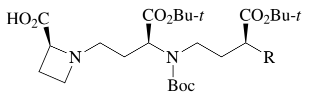
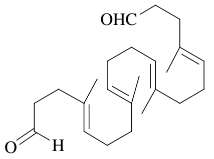
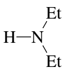
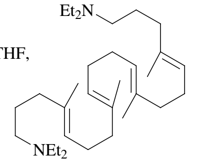
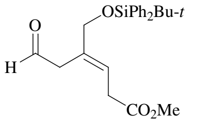
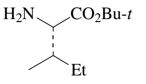
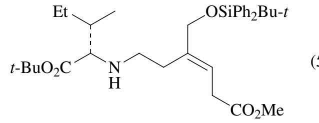
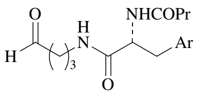
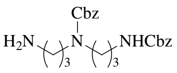
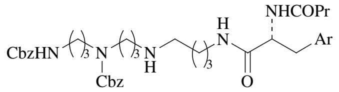
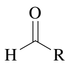
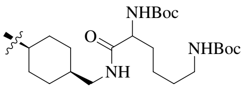
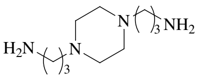
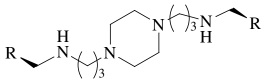
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, $ZnCl_2$, MeOH, 50°, overnight	 (88)	118
		1. MS 3Å, MeOH, 0.5 h 2. $Na(CN)BH_3$ (1.0 M) in THF, 3 h	 (55)	991
		1. MS 3Å, MeOH, 1 h 2. $Na(CN)BH_3$ (1.0 M) in THF, 2 h	 (38)	991
C_{22} 		1. MS 4 Å, EtOH:PhH (1:1), 24 h 2. $NaBH_4$, 24 h	 (*R*) (85) (*S*) (—)	170
		$NaBH(OAc)_3$, DCE	 n: 6 (100); 7 (60)	1466
C_{23} 		$NaBH(OAc)_3$, DMF, 30 min	 R: Ph (94); $CO_2C_6H_{11}$-*c* (92);  (95)	411
		1. EtOH, 4 h 2. BH_3•pyridine, 0°, 1 h 3. rt, 4 h	 (88)	506
		1. EtOH, 20 h 2. $NaBH_4$, 0-25°, 70 h	 (59)	506
		1. $Ti(OPi\text{-}i)_4$, 4 h 2. $Na(CN)BH_3$, EtOH, 24 h	 R: H (54); Bu-*t* (80)	99

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, 58°, MeOH:MeCN (1:1), 30 min		1467
		1. MeOH (abs), 30 min 2. $Na(CN)BH_3$		1468
		1. MeOH, 1.5 h 2. $Na(CN)BH_3$, 15 h	 (93)	1469, 1470
C_{23-26}				
		$Na(CN)BH_3$ (1 M) in THF, MeOH, 0 to 4-7°, 10-19 h		808, 1057
C_{24}				
		1. $Na(CN)BH_3$, HCl in THF, pH 3, 0° 2. $Na(CN)BH_3$, 30 min	 (41)	1078
		$Na(CN)BH_3$, MeOH, NaOAc, MS 3 Å, 2 h	 (55)	989
 Ar = 4-$BnOC_6H_4$		$Na(CN)BH_3$, HOAc, pH 6-7.5, MeOH, 25°	 (45)	1471
 R = 		1. MS, EtOH, 12 h 2. $NaBH_4$, 12 h	 (40)	919

Product subtable for ref. 1467:

R^1	R^2	R^3	R^4	R^5	
CO_2Me	H	AcO	AcO	stearoyl	(62)
$AcOCH_2$	H	AcO	AcNH	oleoyl	(67)
$AcOCH_2$	AcO	H	AcO	palmitoyl	(40)
$AcOCH_2$	AcO	H	AcO	oleoyl	(46)

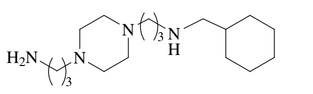
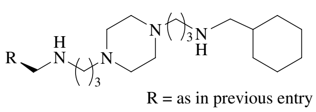
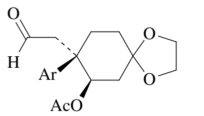
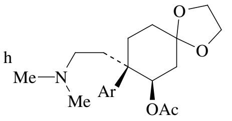
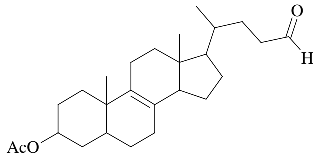
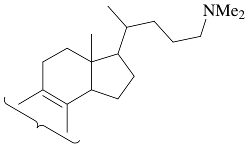
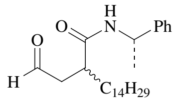
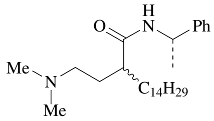
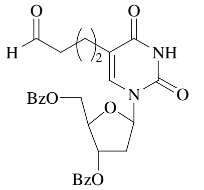
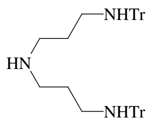
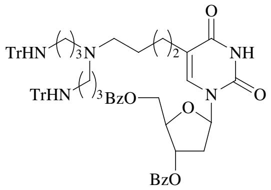
Product subtable for ref. 1468:

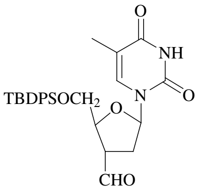
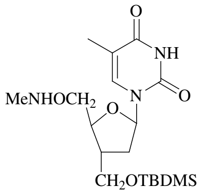
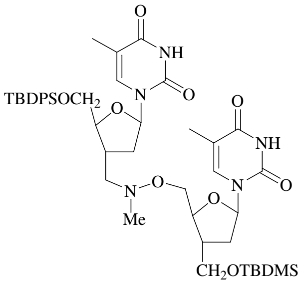
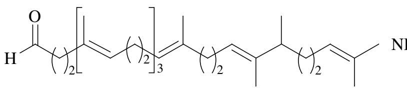
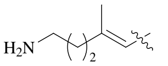
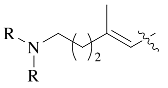
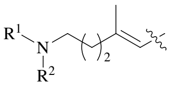
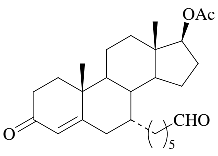
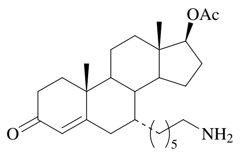
	R	Time	
cis	H	1.5 h	(56)
trans	H	1.5 h	(70)
cis	Me	72 h	(66)
trans	Me	24 h	(55)

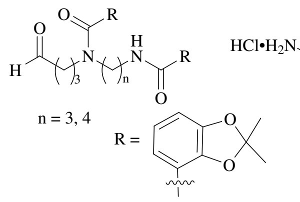
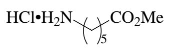
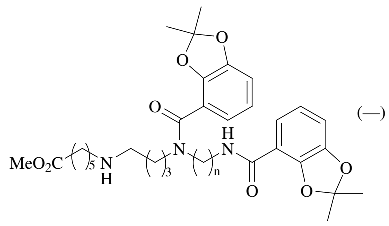
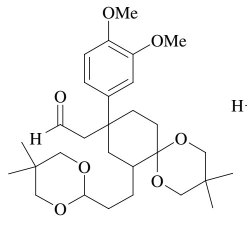
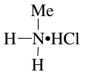
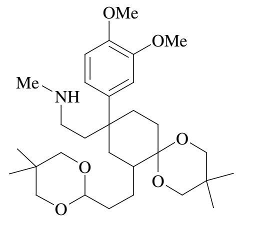
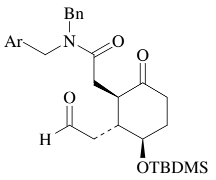
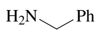
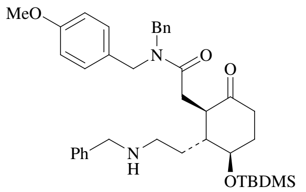
Product subtable for refs. 808, 1057:

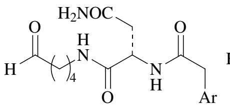
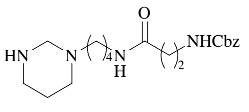
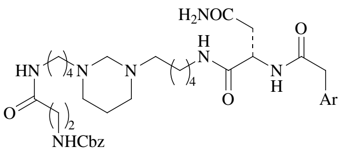
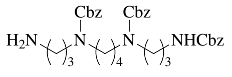
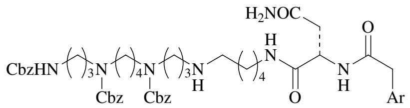
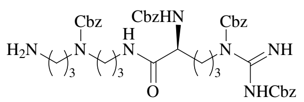
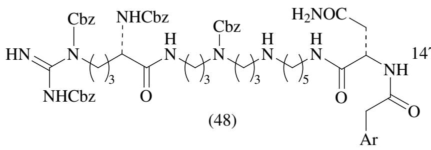
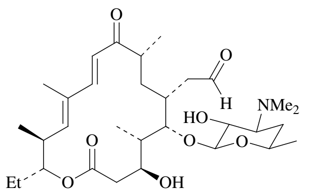
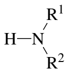
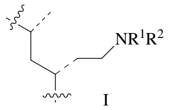
R	
OAc	(88)
NHBoc	(93)

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS, EtOH, 12 h 2. $NaBH_4$, 12 h	 (50) R = as in previous entry	919
C_{25}				
 Ar = 4-$BnOC_6H_4$	Me H—N•HCl Me	$Na(CN)BH_3$, MeOH, 41 h	 (84)	1472
C_{26}				
	"	$Na(CN)BH_3$, HCl (3 N) in MeOH, THF, MeOH, 24 h	 (—)	1046
	Me H—N Me	$Na(CN)BH_3$	 *R*, *S* (—) *S*, *S* (—)	1473
C_{27}				
		$Na(CN)BH_3$, HOAc, THF:MeOH (4:1), 1.5 h	 (63)	1474

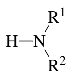
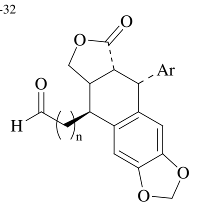
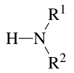
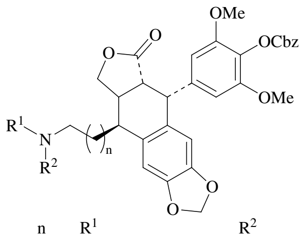
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		BH_3•pyridine, PPTS, MeOH:THF (3:1)	 (81)	309
	NH_4OAc	$Na(CN)BH_3$, HCl (5 N) in MeOH, pH 6, MeOH, 16 h	 (15)	1475
	R H—N R	1. $Na(CN)BH_3$, HCl in THF, pH 3, THF, 0° 2. $Na(CN)BH_3$, 30 min	 R Me (45) Et (46) *i*-Pr (42)	1078
	R^1 H—N R^2	$Na(CN)BH_3$, HCl (5 N) in MeOH, pH 6, MeOH, 16 h	 R^1 R^2 H Me (20) H Et (20) H *i*-Pr (20) Me Me (20) Et Et (5) *i*-Pr *i*-Pr (4)	1475, 1476
	NH_4OAc	$Na(CN)BH_3$, MeOH, 2 h	 (100)	393

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{27-28}				
		Na(CN)BH$_3$, THF	 (—)	1432
C_{29}				
		Na(CN)BH$_3$, MeOH, 1 h	 (51)	1477
C_{31}				
 Ar = 4-MeOC$_6$H$_4$		NaBH(OAc)$_3$	 (—)	1478

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
 Ar = 2,4-(BnO)$_2$C$_6$H$_3$		Na(CN)BH$_3$, MeOH:CH$_2$Cl$_2$ (1:1), 20°, 2 h	 (43)	1063
		Na(CN)BH$_3$, HOAc, MeOH, 20°, 3 h	 (93)	1063
		Na(CN)BH$_3$, HOAc, MeOH, 20°, 2 h	 (48)	1479
		Na(CN)BH$_3$, MeOH	 I	394

R^1	R^2	
H	Et	(18)
H	CH$_2$CH$_2$OH	(—)
H	CH(CH$_2$OH)$_2$	(—)
H	CH$_2$CH(OH)CH$_2$OH	(—)
H	CH$_2$CMe$_2$CH$_2$OH	(—)
H	*t*-Bu	(—)
—CH$_2$CH(OH)CH$_2$—		(—)
H	CH$_2$CH$_2$NMe$_2$	(—)
Me	(CH$_2$)$_3$NHMe	(—)
H	2,6-(dihydroxy)cyclohexylamino	(—)

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, rt, 30 min 2. HOAc 3. Na(CN)BH$_3$ in MeOH	I, R^1 R^2 H (CH$_2$)$_3$NMe$_2$ (38) Me CH$_2$CH$_2$NHMe (—)	394
C$_{31-32}$  Ar = 3,5-(MeO)$_2$C$_6$H$_2$OCbz-4		Na(CN)BH$_3$, HOAc, MeOH, 0-75°, 1 h	 (—)	1480

n	R^1	R^2
1	Me	Me
1	Me	Me
1	Me	CH$_2$OH
1	Me	CH(CH$_2$OH)$_2$
1	Me	(CH$_2$)$_2$OMe
1	Me	C$_6$H$_{13}$
1	—CH(CH$_2$OH)(CH$_2$)$_3$—*	
1	Me	C$_6$H$_{11}$
1	—(CH$_2$)$_5$—	
1	Me	Bn
1	—(CH$_2$)$_2$O(CH$_2$)$_2$—	
1	Me	NMe$_2$
1	Me	N(Me)Ph
1	H	(CH$_2$)$_2$NMe$_2$
1	Me	(CH$_2$)$_2$NMe$_2$
1	Me	(CH$_2$)$_3$NMe$_2$
1	Me	(CH$_2$)$_6$NMe$_2$

*R configuration

n	R^1	R^2
1	Me	(CH$_2$)$_3$NEt$_2$
1	Me	(1-piperidinyl)ethyl
1	—(CH$_2$)$_2$CH(1-piperidinyl)(CH$_2$)$_2$—	
1	—(CH$_2$)$_2$NMe(CH$_2$)$_2$—	
1	Me	2-(N-morpholino)ethyl
1	Me	CH$_2$(4-pyridinyl)
1	Me	CH$_2$(2-pyridinyl)
1	Me	4-methyl-1-piperazinyl
2	Me	Me

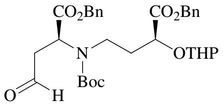
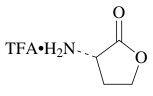
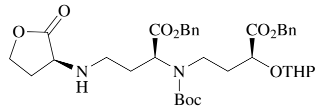
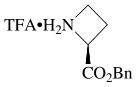
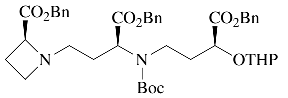
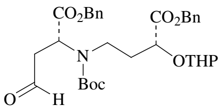
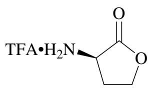
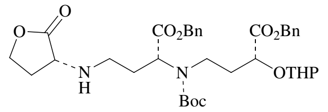
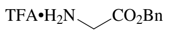
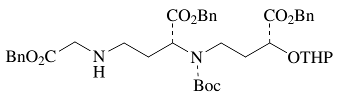
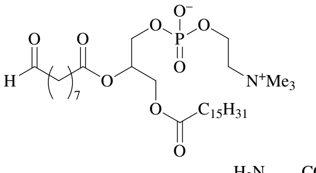
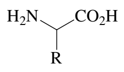
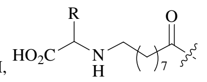
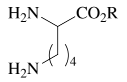
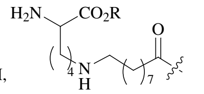
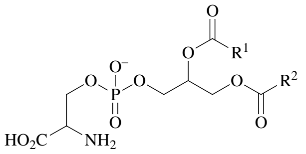
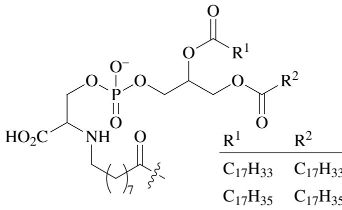
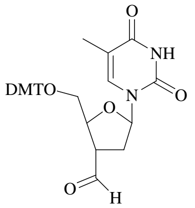
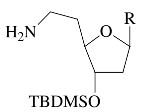
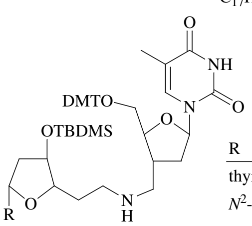
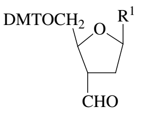
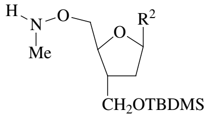
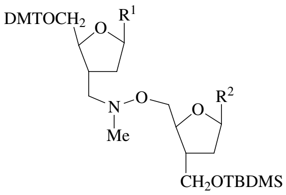
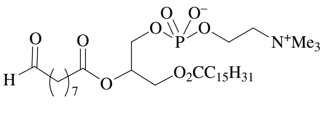
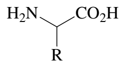
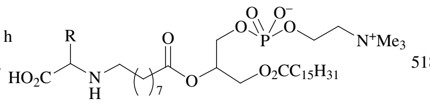
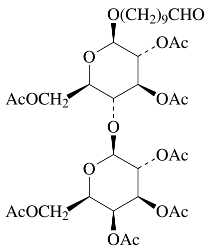
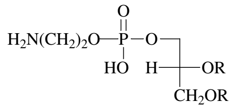
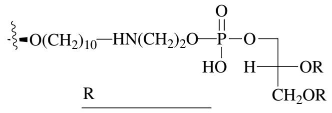
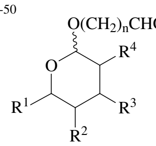
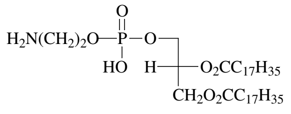
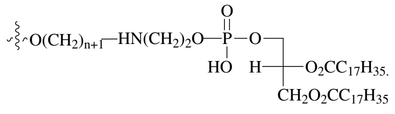
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C$_{32}$ 		Na(CN)BH$_3$, MeOH, rt, 14 h	 (69)	808, 1481
		1. Na(CN)BH$_3$, MeOH, 0°, 1 h 2. rt, 10 h	 (59)	808, 1439
		Na(CN)BH$_3$	 (—)	1428
		Na(CN)BH$_3$	 (—)	808, 1438
		1. MeOH:H$_2$O (1:1), rt, 1 h 2. Na(CN)BH$_3$ in MeOH, 4°, 30 min	 R: i-Pr (47); s-Bu (30)	518
		1. MeOH:H$_2$O (1:1), rt, 1 h 2. Na(CN)BH$_3$ in MeOH, 4°, 30 min	 R: H (15); Me (57)	518

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

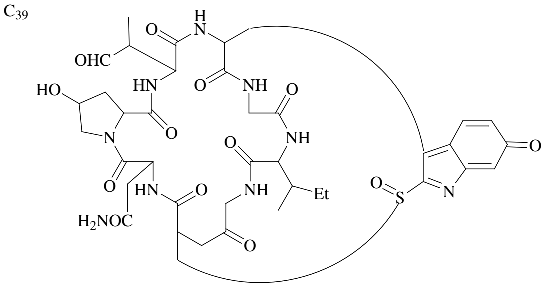
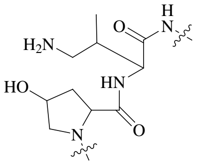
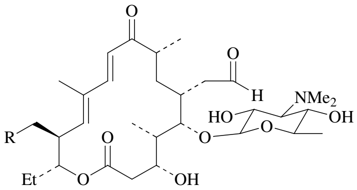
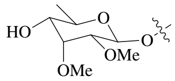
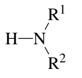
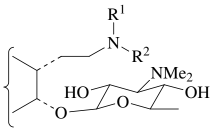
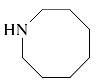
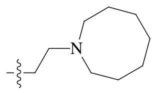
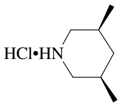
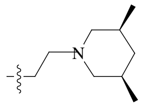
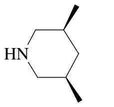
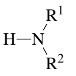
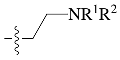
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $CHCl_3$:MeOH (2:1), rt, 1 h 2. $Na(CN)BH_3$ in MeOH, 4°, 30 min	 R^1 / R^2 $C_{17}H_{33}$ / $C_{17}H_{33}$ (60) $C_{17}H_{35}$ / $C_{17}H_{35}$ (30)	518
		$NaBH(OAc)_3$, DCE	 R thyminyl (81) N^2-*i*-Bu-guanyl (—)	1482
C_{32-41} 		BH_3•pyridine, PPTS, MeOH:THF (3:1)		309

R^1	R^2	
1-[(5-Me)cytosyl]	1-thymyl	(84)
1-[(5-Me)cytosyl]	7-adenyl	(70-85)
1-thymyl	1-[(5-Me)cytosyl]	(70-85)
7-adenyl	1-thymyl	(—)
7-adenyl	1-[(5-Me)cytosyl]	(70-85)
9-[(4-*N*-*i*-Bu)guanyl]	1-thymyl	(—)
7-[(6-Bz)adenyl]	1-thymyl	(45)

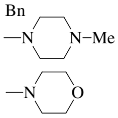
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{33} 		1. MeOH:H_2O (1:1), rt, 1 h 2. $Na(CN)BH_3$ in MeOH, 4°, 30 min	 R *i*-Pr (47) *s*-Bu (30)	518
C_{36} 		$NaCN(BH_3)$, 58°, MeOH:$CHCl_3$, (1:1), 30 min	 R palmitoyl (55) oleoyl (72)	1467
C_{37-50} 		$Na(CN)BH_3$, MS 3 Å, MeOH:$CHCl_3$ (1:1), 5°, 2 h		1060

R^1	R^2	R^3	R^4	n	
β-$BnOCH_2$	β-BnO	β-BnO	α-BnO	2	(83)
α-Me	α-BnO	α-BnO	β-BnO	9	(82)
β-$BnOCH_2$	β-BnO	β-BnO	α-BnO	4	(82)
β-$BnOCH_2$	α-BnO	β-BnO	β-NHAc	9	(85)
β-$BnOCH_2$	β-BnO	β-BnO	α-BnO	6	(84)
β-$BnOCH_2$	α-BnO	β-BnO	α-BnO	6	(95)
β-$BnOCH_2$	α-BnO	β-BnO	β-BnO	6	(89)
β-$BnOCH_2$	β-BnO	β-BnO	α-BnO	9	(92)
β-$BnOCH_2$	α-BnO	β-BnO	α-BnO	9	(85)
β-$BnOCH_2$	α-BnO	β-BnO	β-BnO	9	(89)
β-$BnOCH_2$	β-BnO	β-BnO	α-BnO	15	(95)

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{39} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 24 h	 (80)	1483, 1484
 R = 		$Na(CN)BH_3$, MeOH	 (see below)	481
		1. MS 3 Å, MeOH, 1 h 2. $NaBH_4$, MeOH, 1.5 h 3. $NaBH_4$, 1.25 h	 (79)	747, 1485
		1. Na_2CO_3, *i*-BuOAc, EtOH, 1 h 2. $NaBH_4$ in EtOH	 (82)	747
		1. Dry MeOH, 30 min 2. $Na(CN)BH_3$, overnight	" (—)	747, 1486
	"	$NaCN(BH_3)$, MeOH, 5 h	" (34)	1487
	 (see below)	$Na(CN)BH_3$, MeOH, 3 h	 (—)	747

Products for ref. 481:

R^1	R^2	
Me	Me	(74)
H	$c\text{-}C_6H_{11}$	(41)
H	Bn	(61)
Me	Ph	(43)
Bn	Bn	(62)
H		(70)
H	(morpholino, see image above)	(44)

Amines for ref. 747 (R^1, R^2):

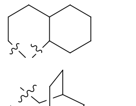
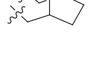
R^1, R^2
—$(CH_2)_4$—
—$(CH_2)_5$—
—$(CH_2)_6$—
—$(CH_2)_{12}$—
—$(CH_2)_2CH(OH)(CH_2)_2$—



TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

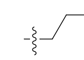
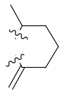
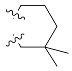
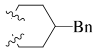
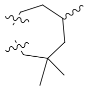
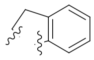
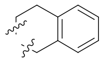
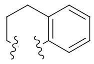
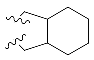
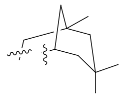
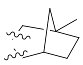
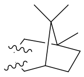
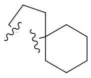
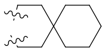
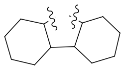
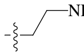
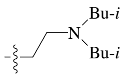
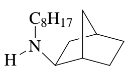
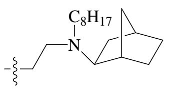
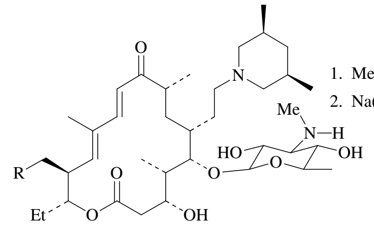
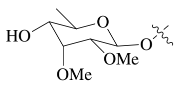
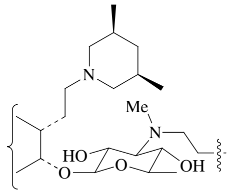
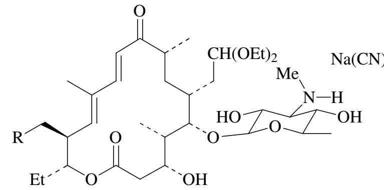
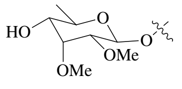
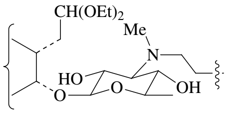
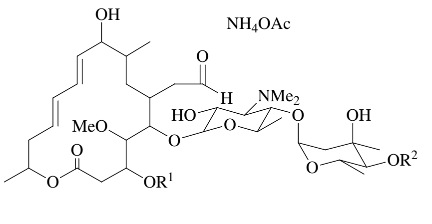
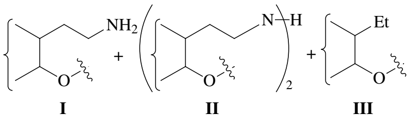
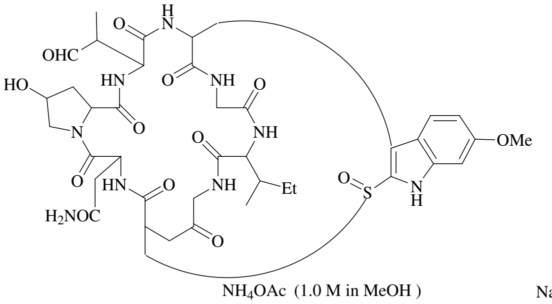
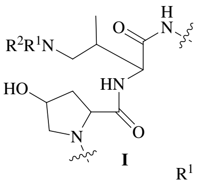
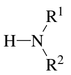
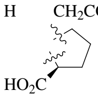
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)			Refs.
	H—N(R^1)(R^2)	1. MS 3 Å, MeOH 10 min 2. Na(CN)BH_3, 18 h	 —NR^1R^2	R^1, R^2		
				—$(CH_2)_8$—	(—)	747
					(—)	
					(—)	
					(—)	
					(—)	
					(—)	
					(—)	
					(—)	
					(—)	
					(—)	
					(68)	
					(—)	
					(—)	
					(—)	
					(—)	
					(—)	
	H—N(R^1)(R^2)	1. MeOH, 30 min 2. Na(CN)BH_3, overnight	 —NR^1R^2	R^1 R^2		
				H CH_2Bu-*t*	(70)	390
				—$(CH_2)_2O(CH_2)_2$—	(61)	
	H—N(Bu-*i*)(Bu-*i*)	1. MS 3 Å, MeOH, 15 min 2. Na(CN)BH_3, 17 h		(39)		390
		1. Na(CN)BH_3, MeOH, 5 min 2. overnight		(45)		390

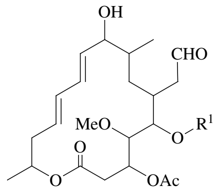
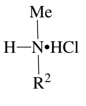
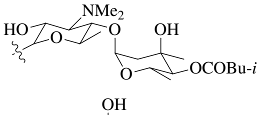
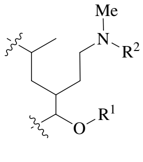
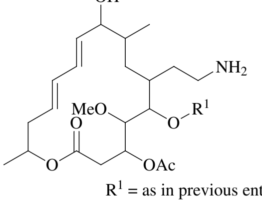
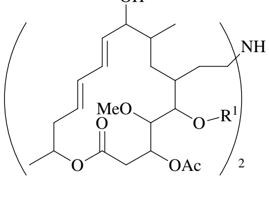
TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
 R = 		1. MeOH, 20 min 2. $Na(CN)BH_3$, 3 h	 (43)	1488
 R = 		$Na(CN)BH_3$, MeOH	 (—)	1488
C_{39-43} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 3 h	 I + II + III (see below)	675

R^1	R^2	I	II	III
H	COPr-*n*	(26)	(30)	(—)
n-Pr	COBu-*i*	(28)	(33)	(0.5)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{40} 	NH_4OAc (1.0 M in MeOH)	$Na(CN)BH_3$, dark, MeOH, 18 d	 I R^1, R^2 = H (28)	769
		$Na(CN)BH_3$, dark, MeOH, 96-170 h	I	769

R^1	R^2	
H	CH_2CO_2H	(84)
		(73)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{42} 	 R^1 = 	$Na(CN)BH_3$, MeOH, 3 h		675
	 R^1 = as in previous entry	$Na(CN)BH_3$, MeOH, 3 h	 (42)	675

R^2	
H	(81)
Me	(61)

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

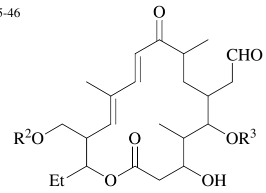
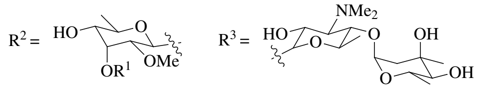
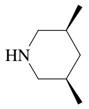
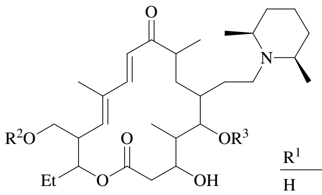
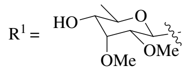
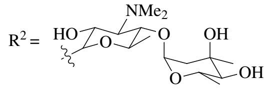
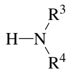
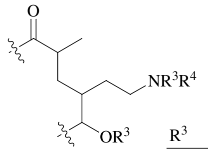
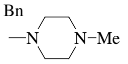
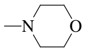
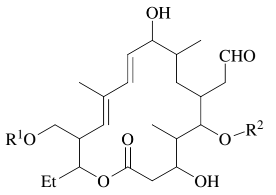
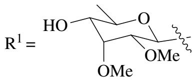
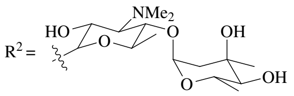
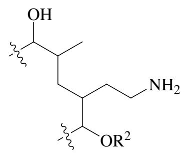
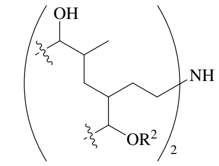
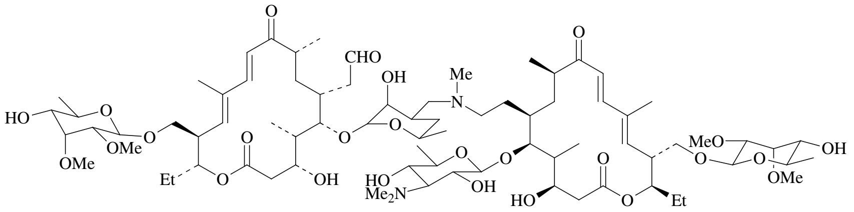
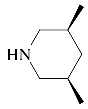
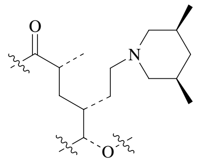
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{45-46}  		1. MS 3 Å, MeOH, 5 min 2. Na(CN)BH$_3$, 4-18 h	 R^1 H (65) Me (27)	747
C_{46}  		Na(CN)BH$_3$, MeOH	 R^3 R^4 H Me (47) H Et (41) Me Me (82) H OMe (74) H *c*-C$_6$H$_{11}$ (50) H Bn (70) H Ph (49) Me Ph (34) Bn Bn (70) H  (69) H  (79)	481
  	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 3 h	 (21) +  (22)	418
C_{77} 		Na(CN)BH$_3$, MeOH	 (—)	1488

TABLE IB. ALIPHATIC ALDEHYDES (*Continued*)

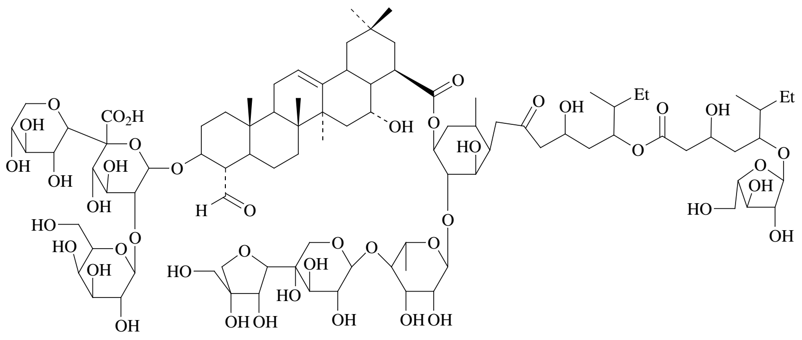
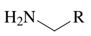
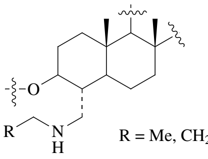
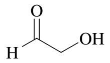
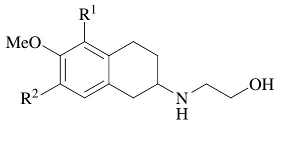
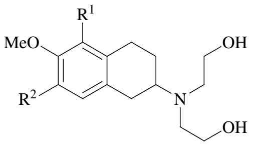
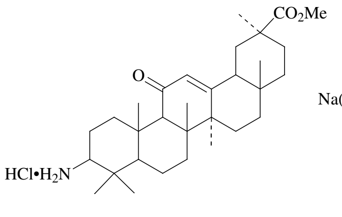
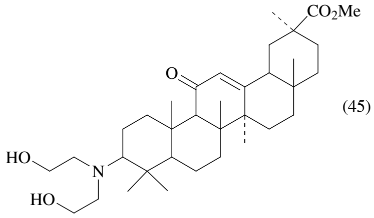
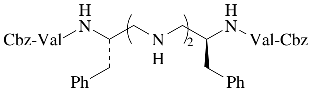
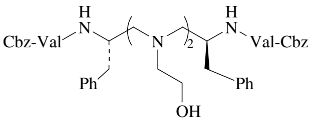
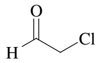
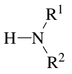
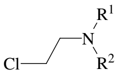
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{94} 				
		$Na(CN)BH_3$, Na_2HPO_4 (0.1 M), MeOH, overnight	 (—) R = Me, CH_2NH_2, CO_2H	387

TABLE IC. α-HETEROATOM ALDEHYDES

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_2 		$Na(CN)BH_3$, MS 4 Å, MeOH, 15-18 h	 R^1 R^2: H, OMe (65); OMe, H (76)	856
		$Na(CN)BH_3$	 (45)	405
		$Na(CN)BH_3$, MeOH	 (97)	1489
		$NaBH(OAc)_3$, HOAc CH_2Cl_2, 2 h		1378

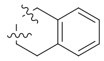
R^1	R^2	
Me	Bn	(75)
n-Pr	Bn	(—)
i-Pr	Bn	(—)
c-Pr	Bn	(—)
Bn	Bn	(—)
—$(CH_2)_5$—		(—)
—$(CH_2)_2O(CH_2)_2$—		(—)
—$(CH_2)_2NMe(CH_2)_2$—		(—)
		(—)

TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

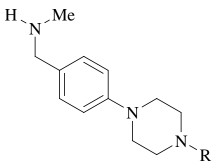
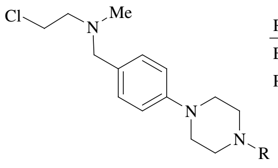
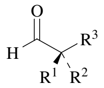
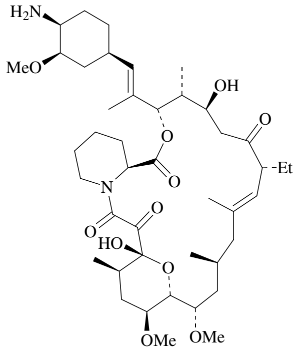
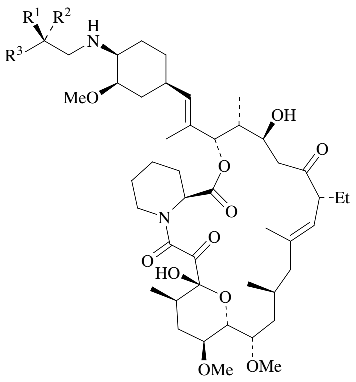
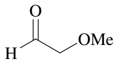
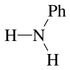
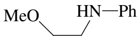
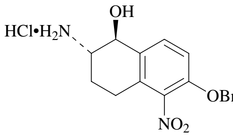
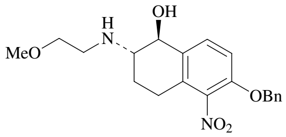
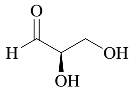
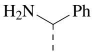
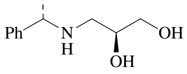
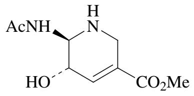
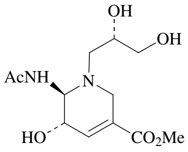
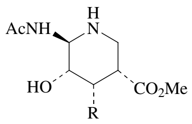
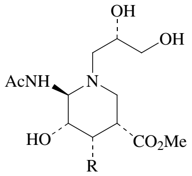
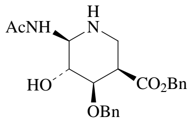
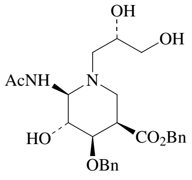
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		NaBH(OAc)$_3$, HOAc, CH_2Cl_2	 R: Et (—); Pr-*i* (61)	648
C_{2-9}  R^1 R^2 R^3: H H OH; H Me OH; Me H OH; Ph H OH; H H OBn		1. MS 3 Å, THF, 1 h 2. Na(CN)BH$_3$ in THF	 (—)	1081
C_3 		NaBH(OAc)$_3$, HOAc, DCE, 0° to rt, overnight	 (—)	1490
		Na(CN)BH$_3$, MeOH, 5 h	 (71)	54
		Na(CN)BH$_3$, MeOH, H_2O, overnight	 (—)	354
		Na(CN)BH$_3$, MeOH	 (—)	1491
		Na(CN)BH$_3$, MeOH	 R: OH (61); H (—)	1491
		Na(CN)BH$_3$, MeOH	 (—)	1491

TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

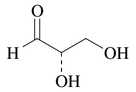
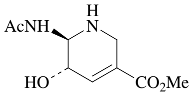
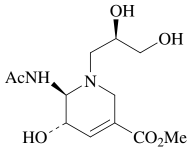
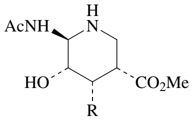
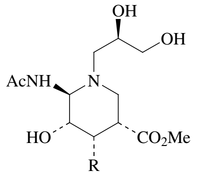
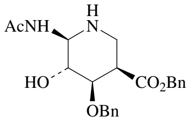
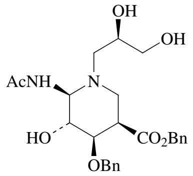
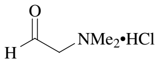
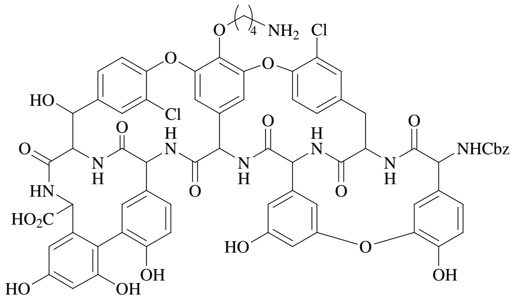
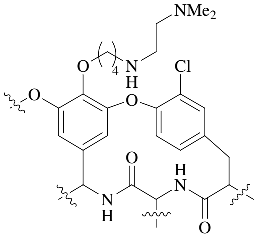
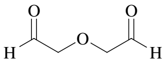
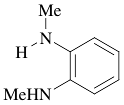
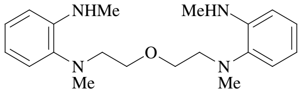
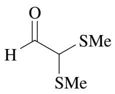
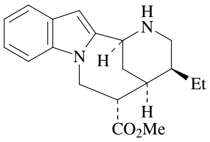
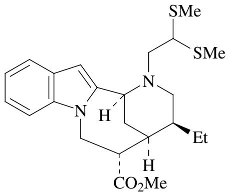
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH	 (—)	1491
		$Na(CN)BH_3$, MeOH	 R: OH (61); H (—)	1491
		$Na(CN)BH_3$, MeOH	 (—)	1491
C_4 		$Na(CN)BH_3$, MeOH, MeCN, 4 h	 (—)	1492
		1. $Na(CN)BH_3$, MeOH, –50°, 10 min 2. 0°, 2 h	 (52)	1493
		$Na(CN)BH_3$, HCl (4 N) in MeOH, MeOH, 48 h	 (32)	1494

TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

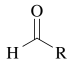
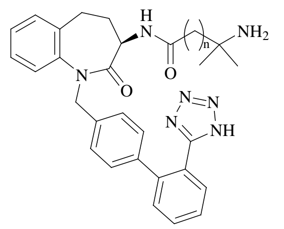
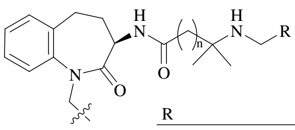
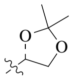
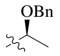
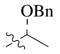
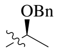
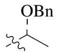
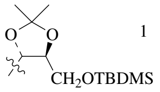
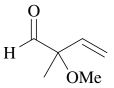
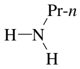
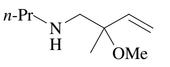
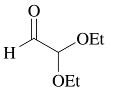
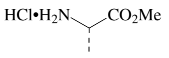
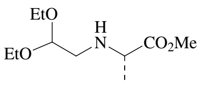
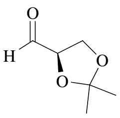
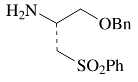
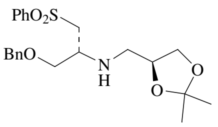
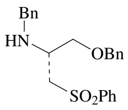
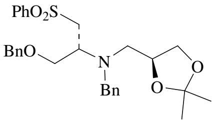
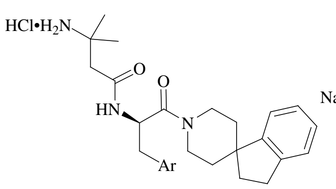
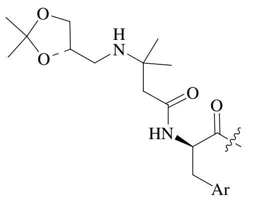
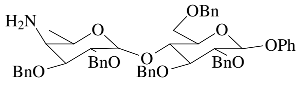
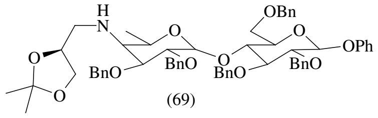
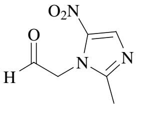
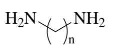
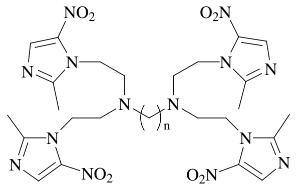
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{4-13} 		1. MeOH, MS 3 Å, 30 min 2. $Na(CN)BH_3$, THF, 3 h	 (—) R — n CH(OMe)Me — 1  — 1 CH_2OBn — 1  — 1  — 1  — 0  — 0  — 1	1360
C_6 		$Na(CN)BH_3$, MeOH	 (—)	1495
		$Na(CN)BH_3$, MeOH	 (85)	1496
		1. Ti(OPr-*i*)$_4$, EtOH, 1 h 2. $Na(CN)BH_3$, overnight	 (68)	100
		$NaBH(OAc)_3$, DCE	 (97)	100, 1497
	 Ar = 2-indolyl	$Na(CN)BH_3$, NaOAc	 (—)	1498
		$Na(CN)BH_3$, MS 3 Å, MeOH	 (69)	61
		1. DCE, 30 min 2. $NaBH(OAc)_3$, HOAc, 48 h	 n — yield: 2 (75); 3 (82); 4 (86); 5 (80); 6 (90); 7 (89); 8 (84)	695

TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

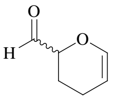
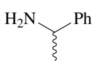
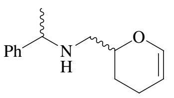
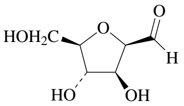
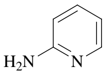
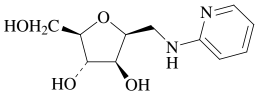
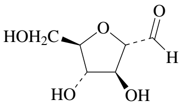
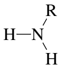
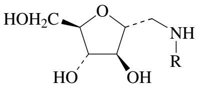
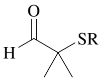
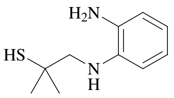
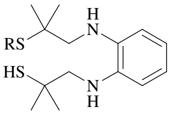
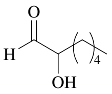
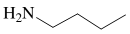
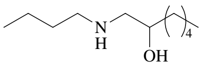
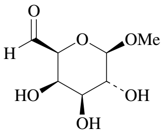
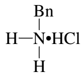
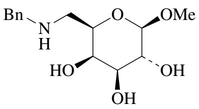
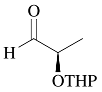
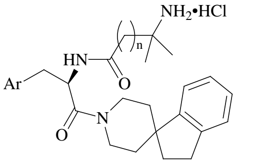
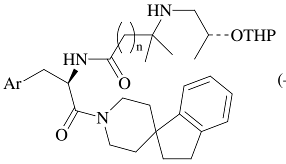
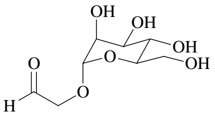
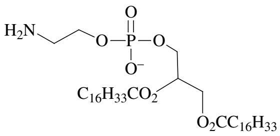
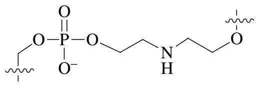
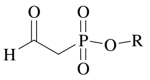
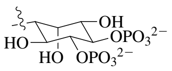
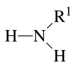
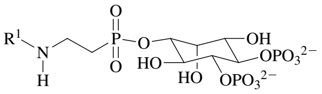
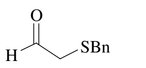
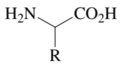
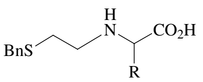
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Dry EtOH, 0°, 2.5 h 2. rt, 15 h 3. $NaBH_4$, 3 h	 (76)	1499
		$Na(CN)BH_3$, DMF, HOAc, 95°, 3 h	 (64)	471
		$Na(CN)BH_3$, MeOH	 R Ph (78) 3-ClC_6H_4 (72) 3-$O_2NC_6H_4$ (88) Bn (74) 1-naphthyl (40) 1-(4-O_2N)-naphthyl (47)	1500
C_{6-9} 		$Na(CN)BH_3$, HOAc, MeOH, 17 h	 R Et (43) 1-propenyl (69) 1-propynyl (75) *n*-Pr (36) *i*-Pr (28) 2-butenyl (88) 3-butenyl (83) $CH_2C_3H_5$-*c* (85) 4-pentenyl (97)	1501
C_7 		1. Phosphate buffer, pH 7, 35°, 20 h 2. $NaBH_4$, 1 h	 (—)	1138
		$Na(CN)BH_3$, phosphate buffer, pH 7, overnight	 (47)	1103
C_8 	 Ar = 3-indolyl; n = 0, 1	$Na(CN)BH_3$, NaOAc	 (—)	1498
		$Na(CN)BH_3$, HOAc, $CHCl_3$, MeOH, DMSO, 30 h	 (—)	1061
 R = 	 $R^1 = CH_2CH_2OH, C_8H_{17}$	1. NaOAc, HOAc, pH 6 2. $Na(CN)BH_3$, 10 min 3. Repeat 5 times	 (—)	1502, 1503
C_9 		$Na(CN)BH_3$, MeOH, 18 h	 R *i*-Bu (55) *s*-Bu (63) Bn (61) CH_2OBn (27) $CH_2C_6H_4OBu$-*t*-4 (34)	697

TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

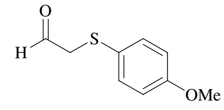
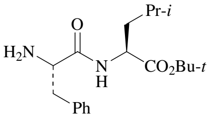
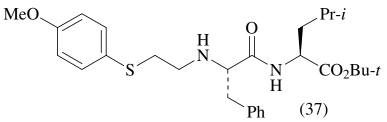
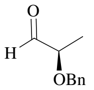
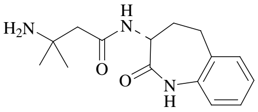
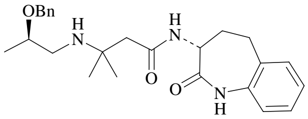
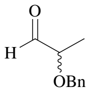
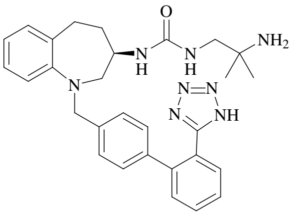
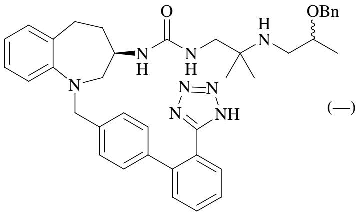
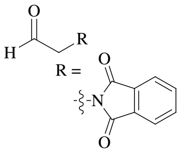
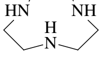
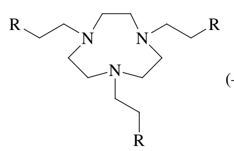
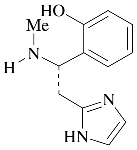
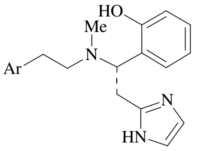
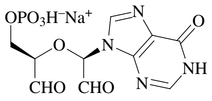
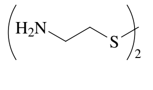
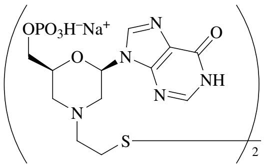
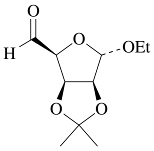
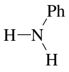
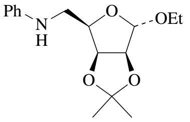
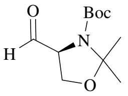
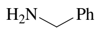
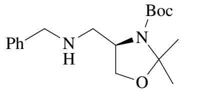
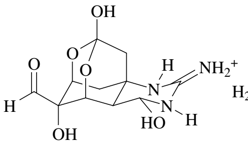
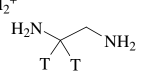
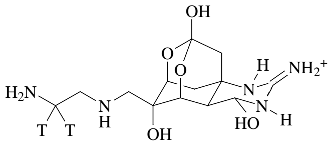
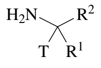
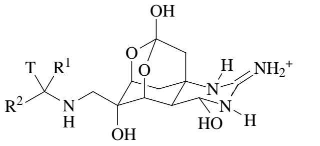
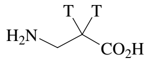
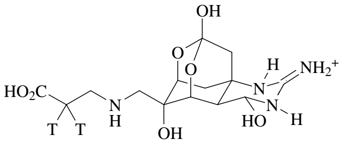
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 3 Å, THF:MeOH (1:1), 2 h 2. Na(CN)BH_3, 16 h	 (37)	1505
C_{10} 		1. MS 3 Å, TFA, Et_3N, pH 6, MeOH, 2h 2. Na(CN)BH_3, THF, 72 h	 (92)	1025
		Na(CN)BH_3, MS 4 Å	 (—)	1406
	"	Na(CN)BH_3, MS 5 Å, MeOH	" *R* or *S* (—)	70
	 • 3 HBr	Na(CN)BH_3, NMe_4OAc, MS 3 Å, dry MeCN, 15 h	 (—)	1506
		Na(CN)BH_3	 (76)	1508
		1. H_2O, NaOH (1 M), pH 8.5-9.0, 0°, 30 min 2. Na(CN)BH_3, HOAc (10%), pH 7, 0°, 1 h		1507
		Na(CN)BH_3, MeOH, MS 3 Å, 2 h	 (60)	729
C_{11} 		Na(CN)BH_3, HCl, MeOH, 16 h	 (87)	1509
		Na(CN)BH_3	 (—)	231
	 R^1 R^2 H CO_2H T CT_2NH_2 H $(CH_2)_4NH_2$	Na(CN)BH_3	 (—)	231
		Na(CN)BH_3	 (—)	231

TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

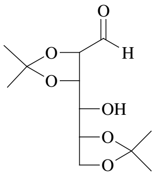
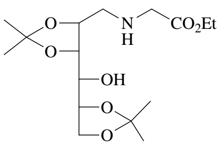
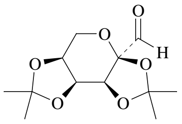
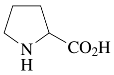
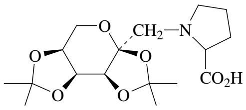
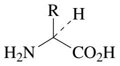
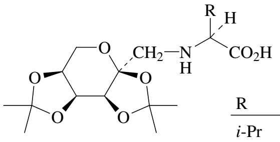
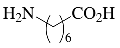
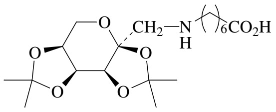
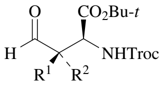
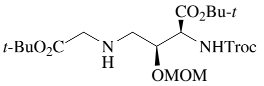
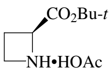
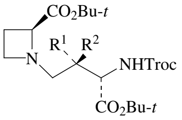
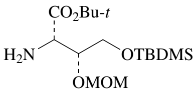
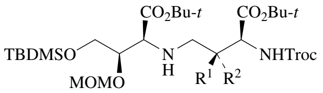
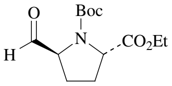
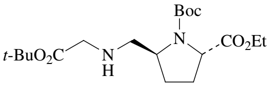
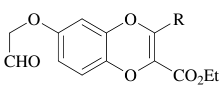
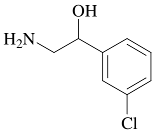
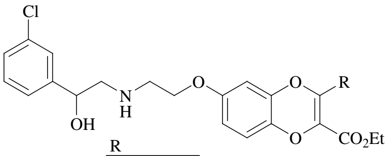
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{12}				
	H_2N~CO_2Et	$Na(CN)BH_3$, MeOH	 (56)	1510
		$Na(CN)BH_3$, MeOH, 2 h	 (71)	1511
		$Na(CN)BH_3$, MeOH:H_2O (1:1) 70°, 6 h	 R: *i*-Pr (70-80); *i*-Bu (—); $(CH_2)_2SMe$ (—); Bn (70-80)	396
	"	$Na(CN)BH_3$, MeOH, 2 h	" R: $CH_2CH_2CH_2NH_2$ (52); CH_2SBu-*t* (83); CH_2CO_2Bu-*t* (99); Bn (93); $CH_2C_6H_4OH$-4 (45); CH(Me)OTBDMS (71); $CH_2C_6H_4OBn$-4 (61)	1511
		$Na(CN)BH_3$, MeOH:H_2O (1:1), 70°, 6 h	 (70-80)	396
 **I**: R^1 = OMOM, R^2 = H; **II**: R^1 = H, R^2 = OMOM; **I**:**II** = 15:1	AcOH•H_2N~CO_2Bu-*t*	$Na(CN)BH_3$, MeOH, THF, 0°, 12 h	 (79)	721, 734, 798, 807, 808
		$Na(CN)BH_3$, THF, MeOH, 0°, 16 h	 (81) **I**: R^1 = MOM, R^2 = H; **II**: R^1 = H, R^2 = MOM; **I**:**II** = 8:1	721, 732, 807, 808
		$Na(CN)BH_3$, THF, MeOH, 0°, 16 h	 (77) **I**: R^1 = MOM, R^2 = H; **II**: R^1 = H, R^2 = MOM; **I**:**II** = 10:1	721, 734, 798, 807, 808
	H_2N~CO_2Bu-*t*	$Na(CN)BH_3$, MeOH, 0° to rt	 (90)	1512
C_{13-16}				
		$Na(CN)BH_3$, $ZnCl_2$, MeOH, 1 h	 R: H (71); CO_2Et (70)	115

TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

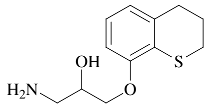
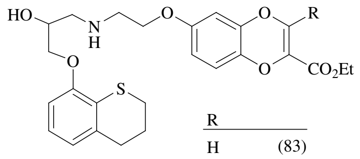
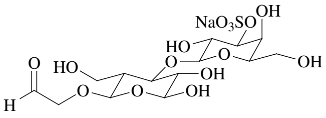
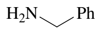
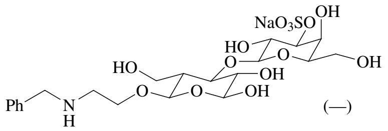
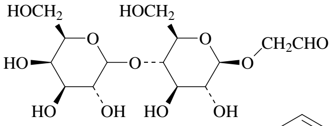
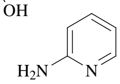
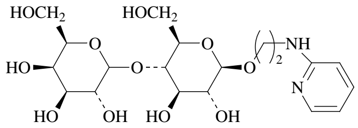
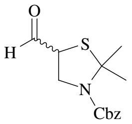
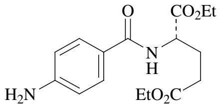
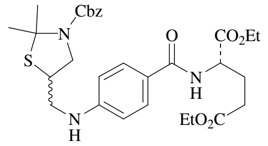
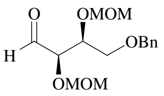
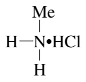
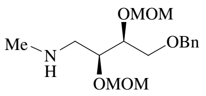
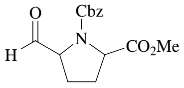
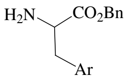
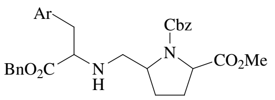
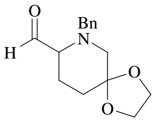
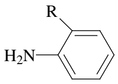
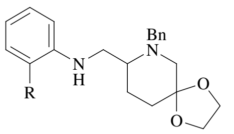
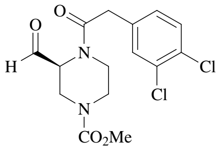
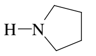
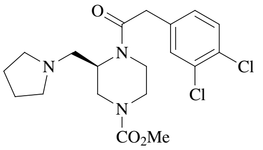
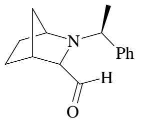
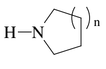
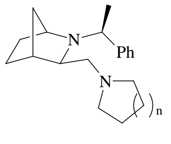
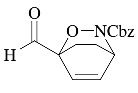
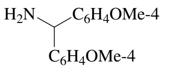
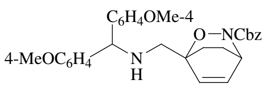
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH3, ZnCl2, MeOH, 1 h	 R: H (83); CO2Et (63)	115
C14 		BH3•pyridine, HOAc, MeOH:H2O (1:1), 65°, 19 h	 (—)	1147
		Na(CN)BH3, AcOH, H2O, 18 h	 (15)	1513
		1. HOAc, MS 3 Å, dry EtOH, 12 h 2. Na(CN)BH3, 6 h	 (57)	1514
C15 		Na(CN)BH3, MeOH, overnight	 (84)	1515
	 Ar = 3-indolyl	Na(CN)BH3, MeOH, HOAc	 (54-66)	1516
		1. Na(CN)BH3, HCl (2 M) in MeOH, pH 6, MeOH, rt, 15-30 min 2. Reflux, 30 min	 R: H (50); OMe (55)	1517
		1. HCl in MeOH, pH 6, MeOH, –10° 2. Na(CN)BH3, MS 3 Å, 18 h	 (37)	1518
		1. MS 3 Å, MeOH 2. Na(CN)BH3	 n: 1 (83); 2 (91)	1519
		1. HCl (1 M) in MeOH, NH4OAc, MS 3 Å, pH 6, 2 h 2. Na(CN)BH3, 24 h	 (59)	1520

TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

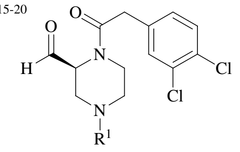
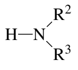
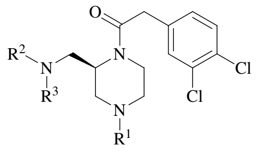
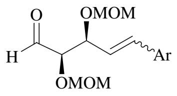
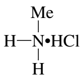
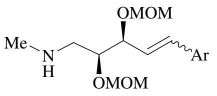
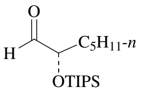
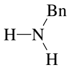
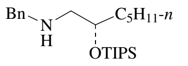
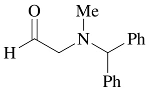
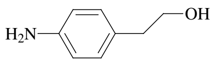
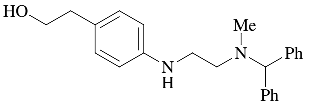
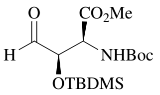
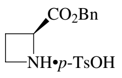
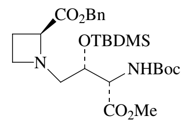
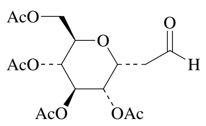
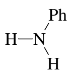
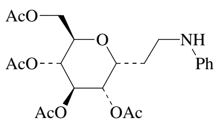
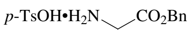
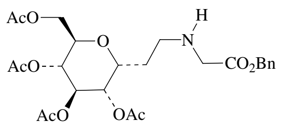
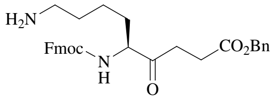
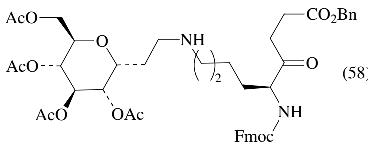
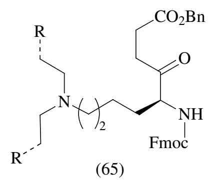
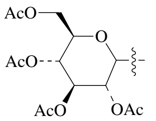
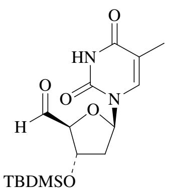
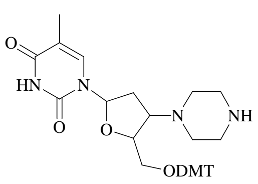
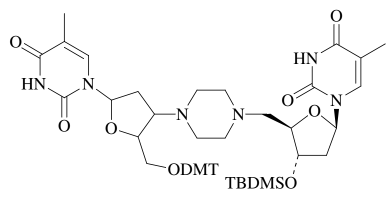
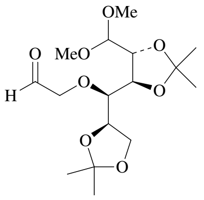
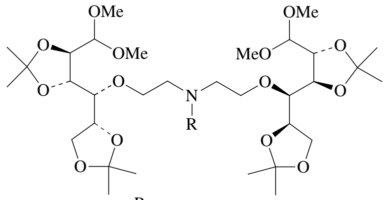
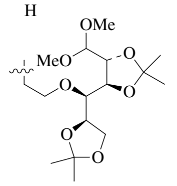
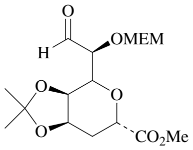
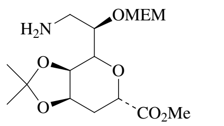
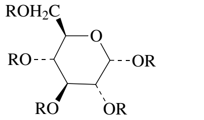
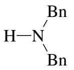
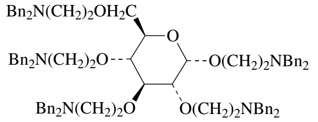
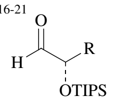
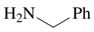
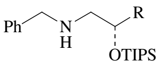
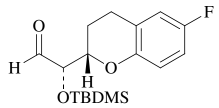
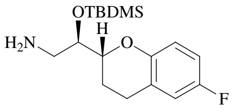
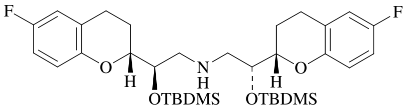
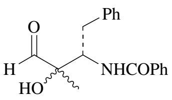
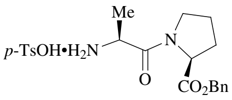
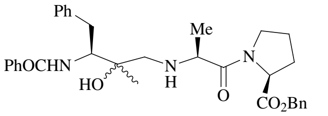
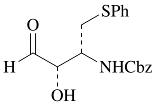
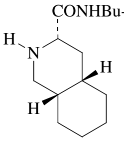
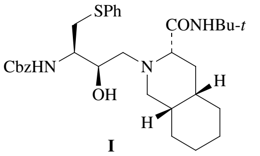
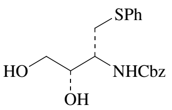
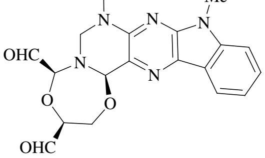
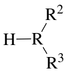
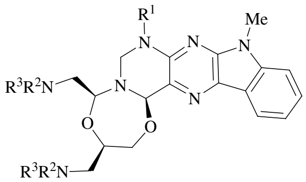
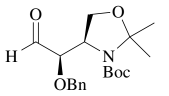
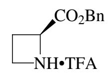
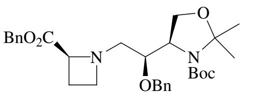
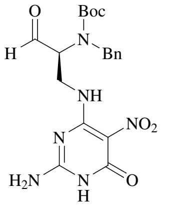
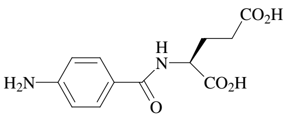
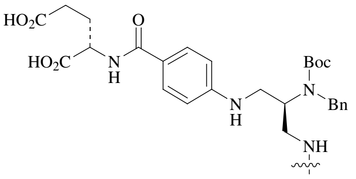
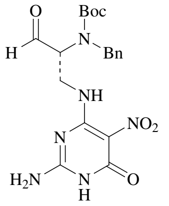
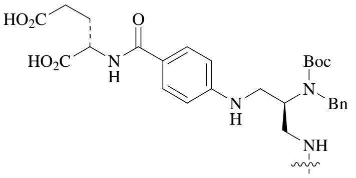
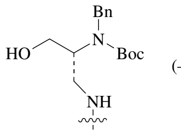
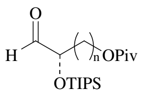
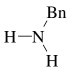
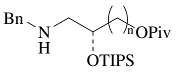
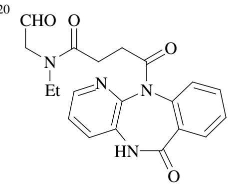
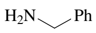
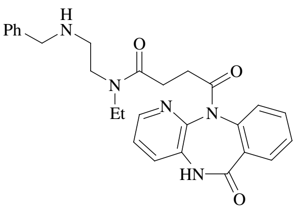
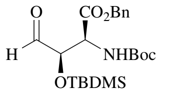
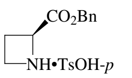
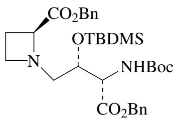
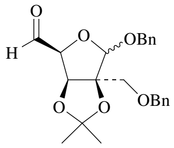
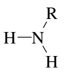
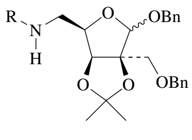
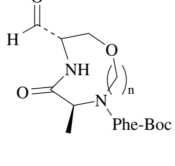
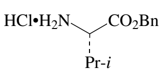
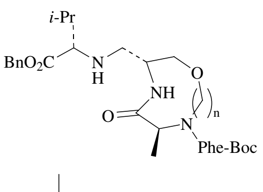
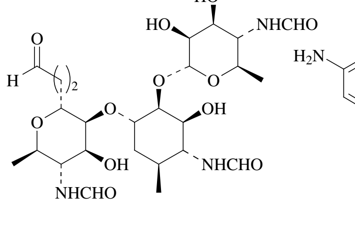
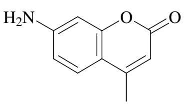
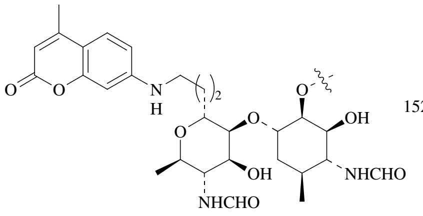
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
$C_{15\text{-}20}$ 		1. HCl in MeOH, MS 3 Å, pH 6, 15 min 2. Na(CN)BH_3, 18-36 h	 R^1 / R^2 / R^3 CO_2Me / Me / Me (40) CO_2Me / —$(CH_2)_2CH$=$CHCH_2$— (40) Bn / —$(CH_2)_4$— (46) Bn / —$CH_2CH(OH)(CH_2)_2$— (55)	1518
C_{16}  Ar = 4-MeOC_6H_4		1. MeOH, 1 h 2. Na(CN)BH_3, overnight	 *E* (—) *Z* (88)	1515
		1. Ti(OPr-*i*)$_4$ 2. Na(CN)BH_3, EtOH, 20 h	 (56) 89% ee	96
		Na(CN)BH_3, MeOH, 2.5 h	 (51)	1335
		Na(CN)BH_3, MeOH, 19 h	 (81)	808, 1444
		1. Na(CN)BH_3, MeOH 2. MS 3 Å, 20 h	 (61)	729
		NaBH$(OAc)_3$, $HNEt_2$, HOAc, pH 5, THF, 0°	 (—)	1521
(1.0 eq)		NaBH$(OAc)_3$, HOAc, pH 5, THF, 0°	 (58)	1521
(2.2 eq)	"	NaBH$(OAc)_3$, HOAc, pH 5, THF, 0°	 (65) R = 	1521
		1. Ti(OPr-*i*)$_4$, MS 3 Å, toluene, 2 h 2. Na(CN)BH_3 in EtOH, 48 h	 (33)	101

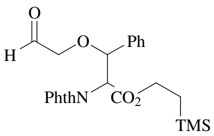
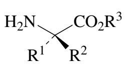
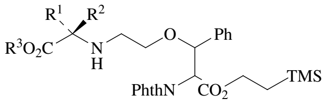
TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4OAc	$Na(CN)BH_3$	 R: H (21) +  (35)	395
	NH_4OAc	$Na(CN)BH_3$, MeOH, 16 h	 (40)	140
 R = CH_2CHO		$NaBH(OAc)_3$, THF, –10°, 3 h	 (74)	819, 1522
$C_{16\text{-}21}$ 		1. $Ti(OPr\text{-}i)_4$, 0.5 h 2. $Na(CN)BH_3$, 16 h	 R: n-C_5H_{11} (56); $(CH_2)_3OPiv$ (63); $(CH_2)_4OPiv$ (65); $(CH_2)_5OPiv$ (64)	97
C_{17} 		$NaBH(OAc)_3$, THF, DCE, 3 h	 (91)	1523
C_{18} 		$Na(CN)BH_3$, $NaHCO_3$, MS 3 Å, THF, i-PrOH	 (33)	1066
			 I +  II	214
		$Na(CN)BH_3$	I (—), II (13-26)	
		$NaBH_4$	I (—), II (13-26)	
		$NaBH_4$, $Ti(OPr\text{-}i)_4$	I (—), II (13-26)	
		BH_3•pyridine	I (—), II (13-26)	
		1. Benzotriazole, THF, MS 4 Å, 17 h 2. $NaBH_4$, 25 h	I (—), II (—)	
$C_{18\text{-}19}$ 		$Na(CN)BH_3$	 (21-57)	1524

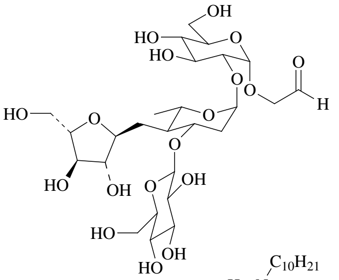
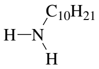
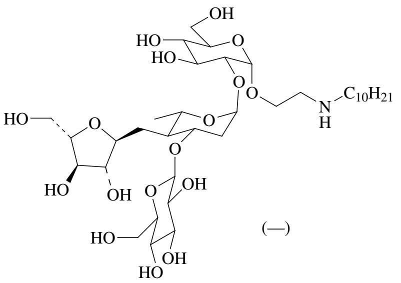
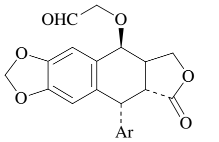
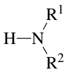
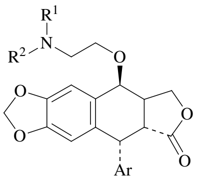
R^1	R^2	R^3
H	Et	Et
Me	Et	Et
Me	Me	$(CH_2)_3NMe_2$
Me	Me	4-*N*-methyl-1-piperidinyl
Me	Me	4-*N*-methyl-1-piperazinyl

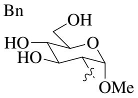
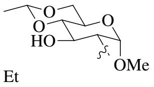
TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{19}				
		$Na(CN)BH_3$, MeOH, 20°, 20 h	 (65)	808, 1525
		1. DMF, 0° 2. $Na(CN)BH_3$ in DMF, 1 h	 (—)	722
	"	1. DMF, 0°, 5 min 2. $Na(CN)BH_3$ in DMF, 1 h	 (—) +  (—)	726
C_{19-21}				
		1. $Ti(OPr\text{-}i)_4$ 2. $Na(CN)BH_3$, EtOH, 20 h	 n 3 (63) 87% ee 4 (65) 89% ee 5 (64) 91% ee	96
C_{20}				
		$NaBH(OAc)_3$, HOAc, CH_2Cl_2, 0° to rt, 1 h	 (—)	1378
C_{22}				
		$Na(CN)BH_3$, MeOH, 0°, 12 h	 (43)	808, 860
C_{23}				
	 R = $n\text{-}C_4H_9$, $n\text{-}C_8H_{17}$	$Na(CN)BH_3$, HOAc, MeOH, overnight	 (62-75)	397
C_{23-27}				
		1. $Na(CN)BH_3$, NaOAc, *i*-PrOH, 0°, 2 h 2. rt, overnight	 n 3 (68) 5 (—) 7 (—)	1033
C_{24}				
		$Na(CN)BH_3$, HOAc, MeOH	 (40)	1526

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$		1527

R^1	R^2	R^3	
i-Bu	H	OBn	(73)
H	Ph	OEt	(69)
Ph	H	NHBu-*i*	(68)
CH_2CH_2SMe	H	NHBu-*i*	(83)
CH_2CH_2SMe	H	OMe	(76)
i-Bu	H	OBu-*t*	(60)
i-Bu	H	OBu-*t*	(56)
i-Bu	H	OBn	(41)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{25} 		$Na(CN)BH_3$	 (—)	1528
C_{31}  Ar = 3,5-$(MeO)_2C_6H_2OCbz$-4		$Na(CN)BH_3$, HOAc, pH 4-5, MeOH, 1 h	 (—)	1200

R^1	R^2
H	*c*-C_6H_{11}
H	Bn
H	
H	
Et	Et

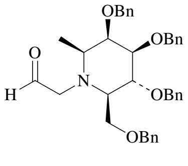
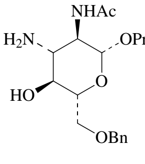
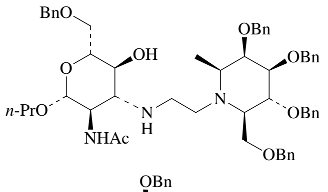
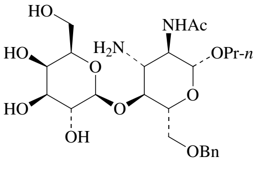
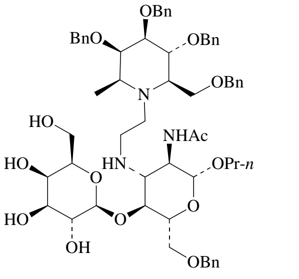
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{37} 		$Na(CN)BH_3$, MeOH	 (57)	1529
		$Na(CN)BH_3$, MeOH	 (52)	1529

TABLE IC. α-HETEROATOM ALDEHYDES (*Continued*)

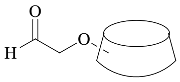
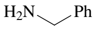
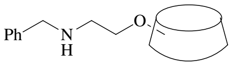
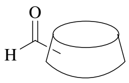
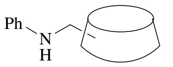
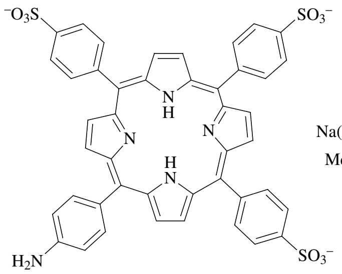
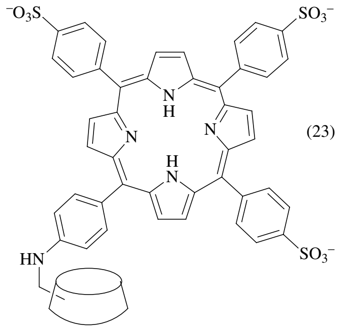
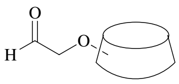
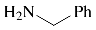
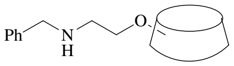
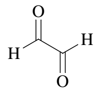
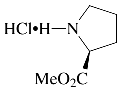
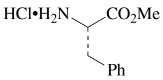
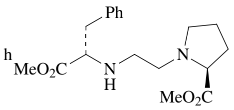
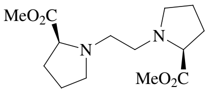
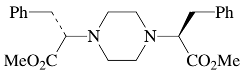
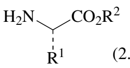
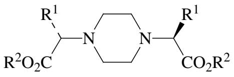
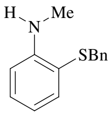
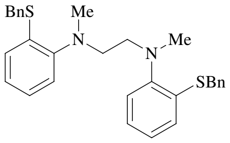
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{38}				
 α-cyclodextrin		1. HCl in MeOH, pH 6, MeOH 2. $Na(CN)BH_3$, 48 h	 (—)	1530
C_{42}				
 β-cyclodextrin	H_2N—Ph	$Na(CN)BH_3$ in THF, dilute HCl, pH 6, H_2O, 6 d	 (34)	1068
		$Na(CN)BH_3$, MeOH (aq)	 (23)	1531
C_{44}				
 β-cyclodextrin		1. HCl in MeOH, pH 6, MeOH 2. $Na(CN)BH_3$, 48 h	 (—)	1530

TABLE ID. α-CARBONYL ALDEHYDES

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_2 	 + 	$Na(CN)BH_3$, MeOH, 20 h	 (34) +  (23) +  (11)	87
	 (2.0 mole-eq)	1. MeOH, 10 min 2. $Na(CN)BH_3$		837
		$Na(CN)BH_3$, $ZnCl_2$, MeOH, 3 h	 (28)	107

R^1	R^2	
i-Pr	Et	(70-80)
s-Bu	Me	(70-80)
CH_2CH_2SMe	Me	(70-80)
Bn	Me	(75)
$CH_2C_6H_4OH$-4	Et	(70-80)

TABLE ID. α-CARBONYL ALDEHYDES (*Continued*)

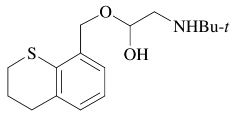
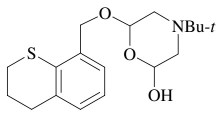
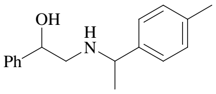
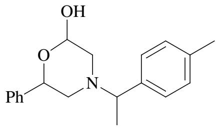
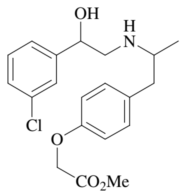
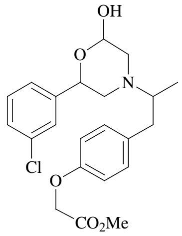
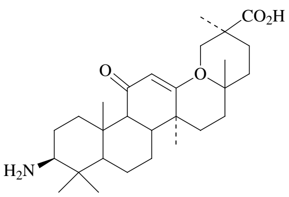
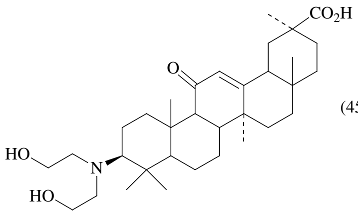
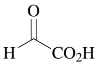
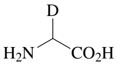
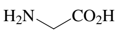
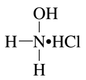
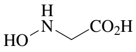
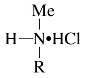
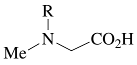
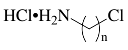
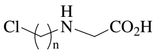
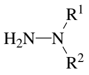
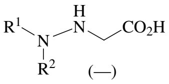
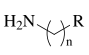
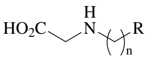
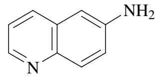
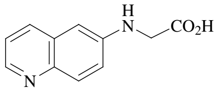
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Na(CN)BH$_3$, TEA, MeOH, 24 h 2. ZnI$_2$, 2 d	 (96)	126
		1. Na(CN)BH$_3$, TEA, MeOH, MS, ZnI$_2$, 24 h 2. ZnI$_2$, 3 d	 (89)	126
		1. Na(CN)BH$_3$, MeOH, TEA, MS, 24 h 2. ZnI$_2$, 6 d	 (17)	126
		Na(CN)BH$_3$, MeOH, 24 h	 (45)	405
	NH$_3$ (15 M aq)	1. H$_2$O, 55° 2. NaBD$_4$, 2 h	 (79)	229
	NH$_4$Br	Na(CN)BH$_3$, MeOH, pH 6-8, 48 h	 (52)	19
		1. MeOH (50% aq), bromocresol green, KOH 2. Na(CN)BH$_3$, HCl, 4 h 3. HCl, 10 h	 (—)	496
	"	1. NaOH, pH 5, H$_2$O 2. Li(CN)BH$_3$, 48 h	" (53)	49
		1. KOH, MeOH 2. Na(CN)BH$_3$, 72 h	 R | H (35) Me (38)	719
	 n = 2-4	Na(CN)BH$_3$, MeOH	 (—)	1532
		1. H$_2$O, NaOH (2 N), MeCN, 30 min 2. Na(CN)BH$_3$, 15 min 3. HOAc, 2 h	 (—) R^1 R^2 Me Me —(CH$_2$)$_5$— —(CH$_2$)$_2$O(CH$_2$)$_2$—	1126
		Na(CN)BH$_3$, MeOH, overnight	 n R 3 NHBoc (57) 4 NHBoc (—) 2 SBn (30) 3 SBn (42) 4 SBn (41)	697
		Na(CN)BH$_3$, MeCN, H$_2$O, 3-25°, 48 h	 (—)	1092

TABLE ID. α-CARBONYL ALDEHYDES (*Continued*)

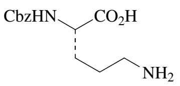
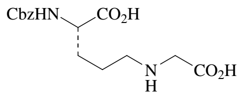
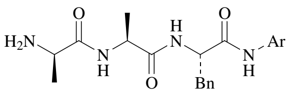
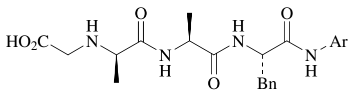
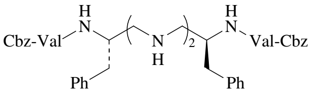
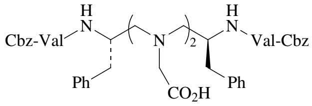
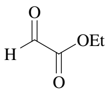
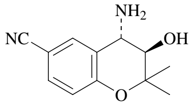
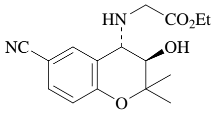
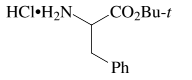
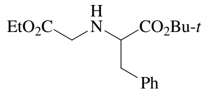
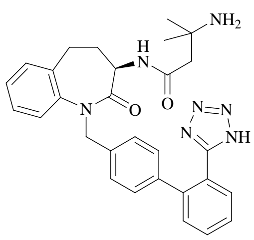
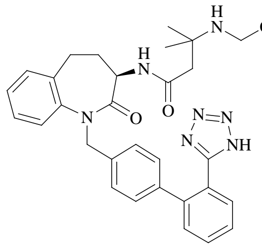
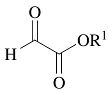
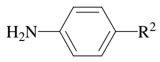
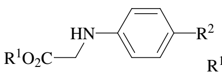
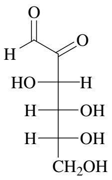
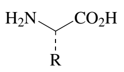
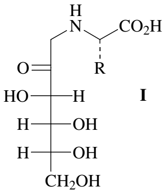
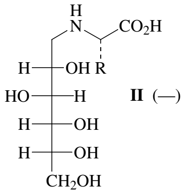
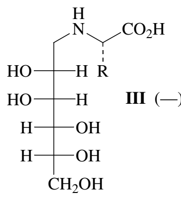
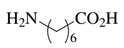
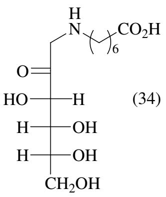
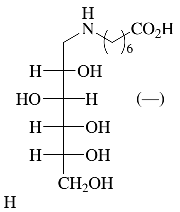
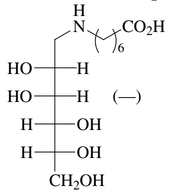
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. NaOH (1 N), MeOH 2. Na(CN)BH_3, HOAc, 51 h	 (48)	312
	 Ar = 4-$H_2OCC_6H_4$	1. H_2O, NaOH (1 M) 2. Na(CN)BH_3 (0.8 M), 3 h 3. 24 h 4. HCl (1 M)	 (—)	1382
		Na(CN)BH_3, MeOH	 (97)	1489
C_4 		Na(CN)BH_3, HOAc, 0°, 30 min	 (48)	1490
		Na(CN)BH_3, $ZnCl_2$, MeOH, overnight	 (62)	117
	"	NaBH(OAc)$_3$, $ZnCl_2$, CH_2Cl_2, overnight	" (40)	117
		1. MS 3 Å, MeOH, 30 min 2. Na(CN)BH_3, THF, 3 h	 (—)	1360
$C_{4\text{-}6}$ 		NaBH(OAc)$_3$, HOAc, DCE, 0° to rt, overnight	 (—) R^1, R^2 = Et, H; Et, F; Et, Cl; *n*-Bu, H	1490
C_6 		Na(CN)BH_3, MeOH:H_2O (1:1) 70°, 4 h	 **I** +  **II** (—) +  **III** (—) R / **I**: *i*-Pr (35); *i*-Bu (32); $(CH_2)_2SMe$ (25); Bn (32)	396
		Na(CN)BH_3, MeOH:H_2O (1:1) 70°, 4 h	 (34) +  (—) +  (—)	396

TABLE ID. α-CARBONYL ALDEHYDES (*Continued*)

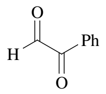
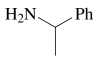
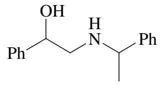
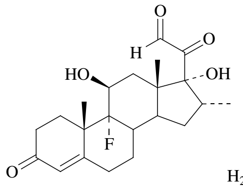
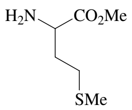
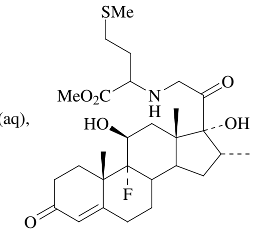
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)		Refs.
C_8					
		1. MeCN, 2 h 2. $Na(CN)BH_3$, HOAc, pH 4, bromocresol green, 3 h		(—)	745
C_{22}					
		$Na(CN)BH_3$, KH_2PO_4 (aq), pH 7.4, EtOH, 48 h		(32)	391

TABLE IE. β-CARBONYL ALDEHYDES

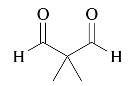
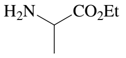
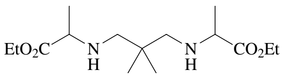
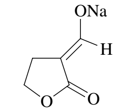
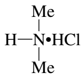
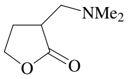
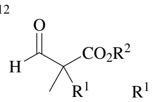
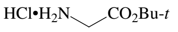
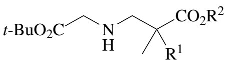
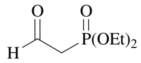
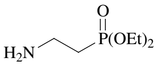
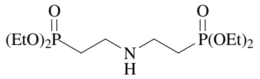
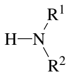
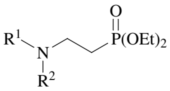
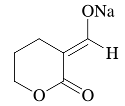
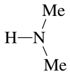
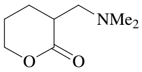
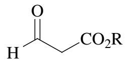
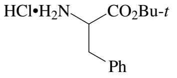
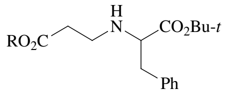
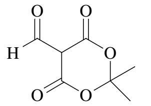
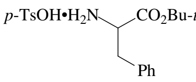
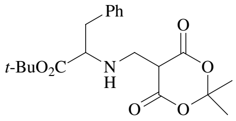
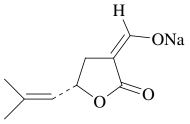
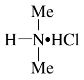
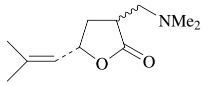
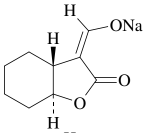
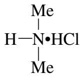
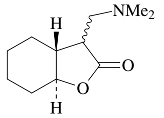
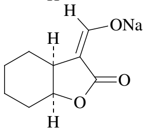
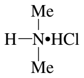
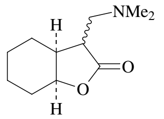
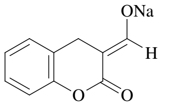
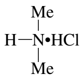
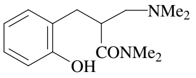
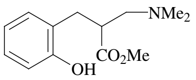
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_5				
		1. EtOH 2. $NaBH_4$	 (—) D and L	1533
		$Na(CN)BH_3$, MS 3 Å, DME, 24 h	 (81)	800, 1087
$C_{5\text{-}12}$				
 R¹ R² H Me Me Bn		$Na(CN)BH_3$, $ZnCl_2$, MeOH, overnight	 (—)	117
C_6				
	NH_4OAc	$Na(CN)BH_3$, pH 7	 (—)	1534
	"	$Na(CN)BH_3$, MeOH, 6 h	 (80)	674
		$Na(CN)BH_3$, MeOH, 3.5-5 h	 R¹ R² H Me (80) Me Me (86) H Ph (91)	674
		1. MeOH, HCl (3 N) in MeOH 2. $Na(CN)BH_3$, MS 3 Å, 3 d	 (64)	800

TABLE IE. β-CARBONYL ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{6-12} 		$NaBH(OAc)_3$, HOAc, CH_2Cl_2, overnight	 R: Me (48); Bn (—)	117
C_7 		1. MS, NEt_3, MeOH, 0° to rt, 1 h 2. $Na(CN)BH_3$, MeOH, 0° to rt, 1 h	 (43)	1393
C_9 		$Na(CN)BH_3$	 (59)	1535
		$Na(CN)BH_3$, MS 3 Å, DME, 90 h	 (57)	800
		$Na(CN)BH_3$, MeOH, MS 3 Å, 18 h	 (50)	800
C_{10} 		1. HCl (3 N) in MeOH, bromothymol blue 2. $Na(CN)BH_3$, MS 3 Å	 (72) +  (—)	800

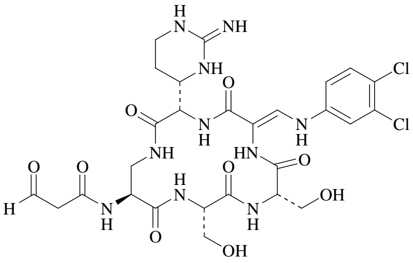
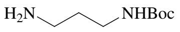
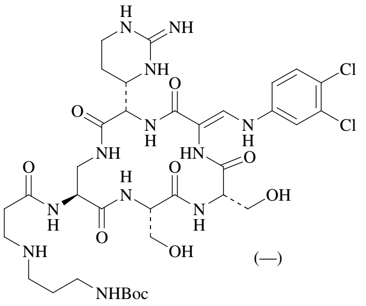
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{27} 		$Na(CN)BH_3$, 15 h	 (—)	1536

TABLE IF. AROMATIC ALDEHYDES

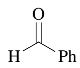
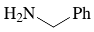
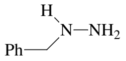
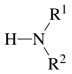
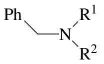
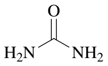
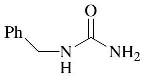
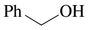
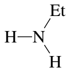
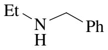
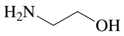
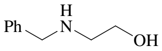
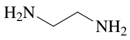
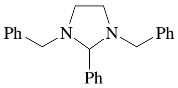
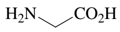
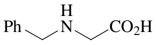
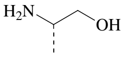
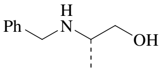
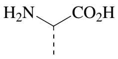
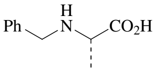
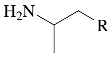
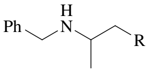
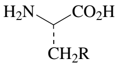
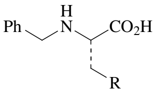
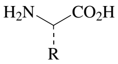
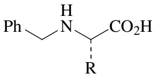
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_7 	NH_4OAc	BER, EtOH, 5 h	 (53)	222
	$H_2N—NH_2$	1. BER, sonication, MeOH, 1 h 2. $Ni(OAc)_2$•4 H_2O, reflux, 3 h 3. BER, $Ni(OAc)_2$•4 H_2O, reflux, 3 h	 (70)	225
		$Na(CN)BH_3$, $ZnCl_2$, MeOH	 R^1 / R^2 H / H (93) —$(CH_2)_5$— (88) —$(CH_2)_2O(CH_2)_2$— (83)	105
		$NaBH(OAc)_3$	 **I** +  **II** (—) **I**:**II** = 3:7	211
		$Li(CN)BH_3$, pH 5-6, MeOH (abs)	 (80)	48
		1. MeOH, 30 min 2. $NaBH_4$, 0°, 1 h	 (65)	457
		1. THF, 0° 2. BH_3 (2.4 M, THF), 25°, 24 h	 (80)	331
		1. NEt_3, MeOH, 1.5 h 2. $NaBH_4$, 5°, 2.5 h	 (—)	1144
		$NaBH_4$, MeOH, 1.3 h	 (—)	799
		1. HCl (1 N), pH 6.5 2. $Na(CN)BH_3$, 2 h 3. PhCHO, $Na(CN)BH_3$, 24 h	 (90)	810
		1. EtOH (abs), 2 h 2. $NaBH_4$ (1 M) in EtOH, 1 min	 R OH D (—) OH L (—) Ph D (—) Ph L (—)	1537
		$Na(CN)BH_3$, 16-20 h	 R OH (73) CH_2SCH_3 (96) CH_2CO_2H (55) *i*-Pr (82) Ph (83)	676
		1. NaOH (2 M aq), 15-20 min 2. $NaBH_4$, <15°, 30 min 3. PhCHO, $NaBH_4$, 2 h	 R Me (71) *i*-Pr (86) CH_2Ph (90) CH_2Pr-*i* (84) CH_2OH (75) $(CH_2)_3NHC(NH)$=NNO_2 (74) $(CH_2)_4NHTos$ (94)	1538

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

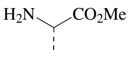
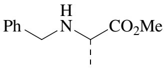
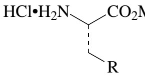
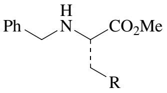
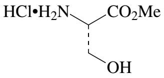
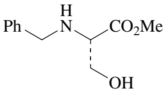
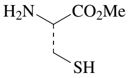
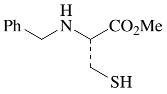
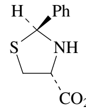
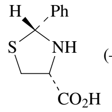
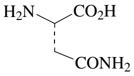
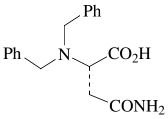
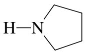
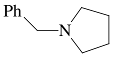
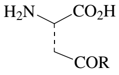
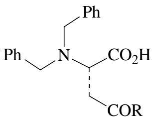
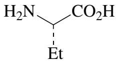
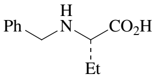
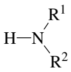
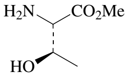
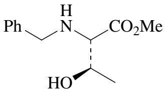
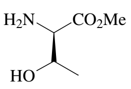
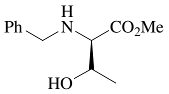
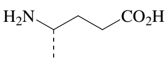
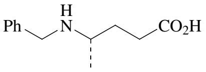
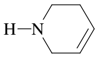
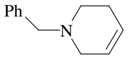
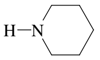
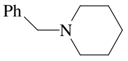
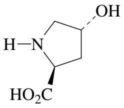
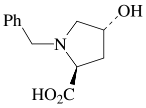
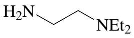
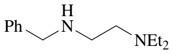
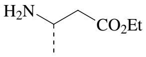
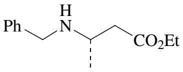
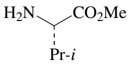
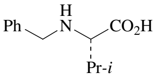
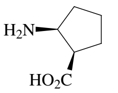
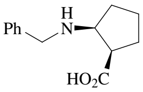
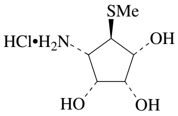
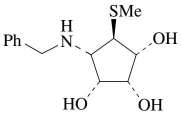
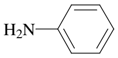
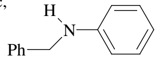
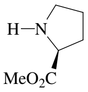
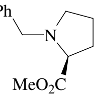
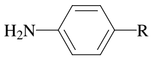
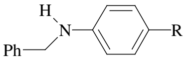
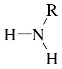
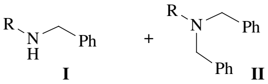
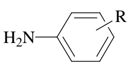
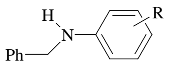
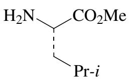
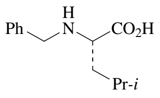
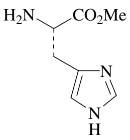
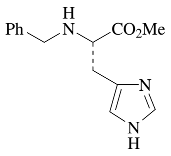
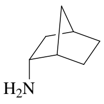
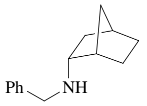
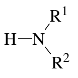
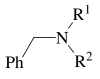
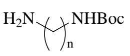
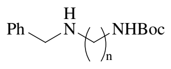
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$	 (80)	1539
	 R = H, OH	1. NEt_3, MeOH, 0° to rt, 2 h 2. $NaBH_4$, 0°, 30 min	 (—)	1540
		1. NEt_3, MeOH, 0°, 10 min 2. PhCHO, 2 h 3. $NaBH_4$, 0.5 h	 (66-70)	1541, 1542
	"	1. NEt_3, MeOH, 0° to rt, 2 h 2. $NaBH_4$, 30 min	" (68)	1543
	"	1. NaOH (10 M), pH 8, MeOH, 30 min 2. $NaBH_4$, 4°, 1 h	" (71)	722, 726
		1. NaOH (aq) 2. $NaBH_4$	 (8) +  (—) +  (—)	781
		1. NEt_3, MeOH, 0° to rt, 2 h 2. $NaBH_4$, 30 min	 (83)	684, 685
		$Na(CN)BH_3$, MeOH, 72 h	 (70)	42
	"	$Bu_4N(CN)BH_3$, 2.5 h CH_2Cl_2 THF hexane C_6H_6 MeCN	" (76) (75) (66) (64) (58)	42
		1. NaH_2PO_4, Na_2HPO_4, pH 7, H_2O 2. $Na(CN)BH_3$, 36 h	 R: NH_2 (83); OMe (31)	704
		$NaBH(OAc)_3$, HOAc, DCE	 (78)	1544
		BER, EtOH, 5 h	" R^1 / R^2: H / Ph (88) Et / Et (58) H / c-C_6H_{11} (94) —$(CH_2)_5$— (90)	222
	"	1. MS 4 Å, MeOH 2. BH_3•pyridine, 16 h	" R^1 / R^2: H / Bn (87) H / Ph (55) H / *i*-Bu (87) *n*-Bu / *n*-Bu (50)	300
		1. NEt_3, MeOH, 0°, 2 h 2. PhCHO, 2 h	 (—)	1542

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 4 Å, $HOAc:CH_2Cl_2$ (3:5), 2. $Na(CN)BH_3$, 0°	 (57)	1073
		1. NaOH (2 N), 15 min 2. $NaBH_4$, 10°, 1 h 3. PhCHO, $NaBH_4$, 10°, 1 h	 (100)	1545
		1. BH_3•pyridine, EtOH, 4 h 3. PhCHO, BH_3•pyridine	 (51)	299
		1. Ti(OPr-*i*)$_4$, 25°, 1 h 2. $Na(CN)BH_3$, EtOH (abs), 20 h	 (71)	86
		$Na(CN)BH_3$, MeOH, 60°, 2 d	 (—)	1546
		$NaBH(OAc)_3$, DCE, 12 h	 (—)	1547
		$NaBH_4$, MeOH, 1 h	 (—)	799
		$Na(CN)BH_3$, MeOH, HOAc, pH 6, 2-3 h	 (90)	343
		$Na(CN)BH_3$, pH 6, $MeOH:H_2O$ (1:1)	 (—)	1045
		1. NaOH, MS 3 Å, pH 7, MeOH 2. $Na(CN)BH_3$, 20 h	 (89)	1548
		1. Glacial HOAc, NaOAc, H_2O:EtOH (2:1) 2. $NaBH_4$, 0°, 15 min 3. EtOH 4. $NaBH_4$, 15 min	 (55)	20
		$Na(CN)BH_3$, MeOH	 (90)	1549
		1. BH_3•pyridine, 15 min 2. 2 h		22
	R			
	H	HOAc	(93)	
	OMe	$HOAc:CH_2Cl_2$ (1:1)	(94)	
	NO_2	HOAc:THF (1:1)	(76)	
		1. HOAc:light petroleum (2:7), 2 h 2. BH_3•pyridine, 10 min 3. 2 h		22

R	I	II
c-C_6H_{11}	(93)	(7)
C_8H_{17}	(74)	(26)

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, 64°, 3 h 2. $NaBH_4$, 15 min, 64°, 1-2 h	 R: H (—); 3,4-Cl_2 (—); 4-Pr-*i* (84)	1550
		$Na(CN)BH_3$, HOAc, MeOH, pH 6, 17 h	 (93)	1551
		1. 0°, 1.5 h 2. $NaBH_4$, 5-10°, 30 min	 (96)	1240
		$NaBH(OAc)_3$, TEA, DCE, 0°, 5 h	 (85)	21
		1. H_2SO_4 (1.7 M), THF 2. $NaBH_4$, 2 h	 R^1 = H, R^2 = 4-$MeOC_6H_4$ (54) R^1 = Me, R^2 = Ph (87)	609
		1. NEt_3, $MgSO_4$, MeOH, rt, 1.5 h 2. $NaBH_4$, –5°, 30 min 3. –5°, 1.5 h 4. 0°, 1 h	 n = 2 (65); 3 (75); 4 (63); 6 (70)	172

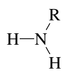
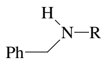
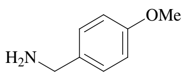
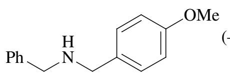
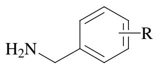
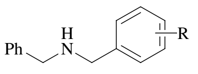
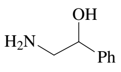
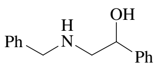
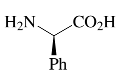
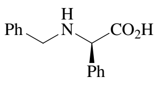
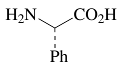
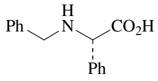
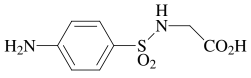
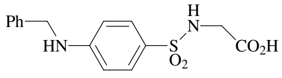
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. H_2SO_4 (4 M), THF 2. $NaBH_4$, 0° 3. 25°, 40 min	 R = 4-MeC_6H_4 (92); 2,6-(*i*-Pr)$_2C_6H_3$ (78)	159
		$NaBH(OAc)_3$, HOAc	 (—)	1478
	 R = 2-,3-, or 4-CO_2H	$Na(CN)BH_3$, pH 6, MeOH:H_2O (1:1)	 (—)	1045
		1. MeOH, reflux, 1 h 2. $NaBH_4$, 45 min	 (82)	1552
	"	1. HCl (2.4 N) in MeOH, dry MeOH 2. $Na(CN)BH_3$, 0-25°, 24 h	" (62)	1137
		1. 10-camphorsulfonic acid, KOH (2 N) aq, 1 h 2. $NaBH_4$, 5-10°	 (—)	1553
		$NaBH_4$, NaOMe, MeOH	 (—)	1554
		$Na(CN)BH_3$, MeCN, 4.5 h	 (22)	1297

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

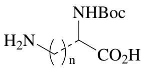
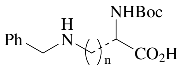
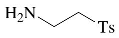
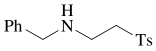
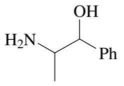
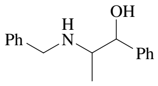
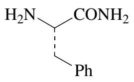
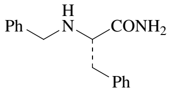
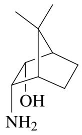
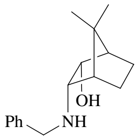
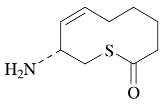
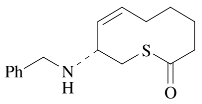
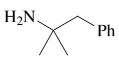
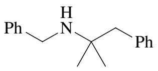
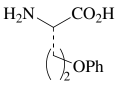
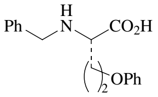
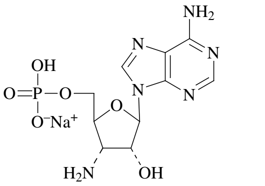
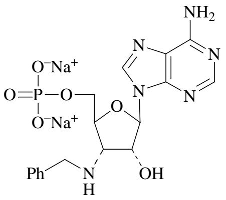
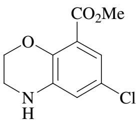
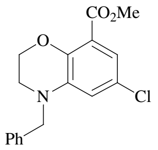
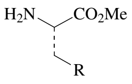
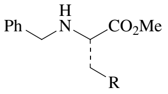
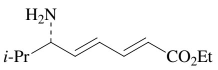
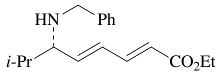
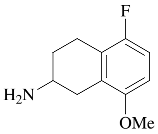
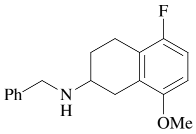
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. NaOH (0.1 M aq), 15 min 2. $NaBH_4$ 3. PhCHO, 15 min 4. $NaBH_4$ 5. PhCHO, 15 min 6. $NaBH_4$, 30 min	 n: 1 (83); 2 (76); 3 (84); 4 (86)	1089
		$NaBH_4$, MeOH	 (—)	1555
		$NaBH_4$	 (62)	1556
		1. HOAc, TMOF, 30 min 2. $Na(CN)BH_3$, TMOF, 1 h	 (74)	344
	"	$NaBH_4$	" (—)	1349
		$NaBH_4$	 (—)	1557
		$Na(CN)BH_3$, pH 4, THF-H_2O, rt, 3 h	 (55)	1558
		1. EtOH (abs), 2 h 2. $NaBH_4$ (1 M) in EtOH, 1 min	 (—)	1537
		1. NaOH, H_2O, 30 min 2. $NaBH_4$, 0°, 30 min 3. 10-20°, 30 min 4. PhCHO 5. $NaBH_4$, 0°, 2 h	 (79)	1559
		1. NaOH, H_2O 2. PhCHO, 6 h 3. $NaBH_4$, 0° 4. Repeat twice	 (70)	1560
		1. $Na(CN)BH_3$, 15% EtOH-HCl, MeOH, 5° 2. rt, 8 h	 (61)	468
		$NaBH(OAc)_3$, DCE	 R: Ph (—); 4-TBDMSOC_6H_4 (—); 3-indolyl (67)	1561
		$Na(CN)BH_3$, HOAc	 (—)	1562
		1. MeOH, 2 h 2. HOAc, pH 5 3. $Na(CN)BH_3$, 0° 4. 25°, 4 h	 (—)	1362

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

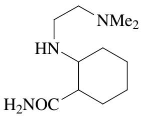
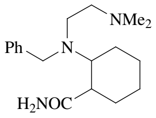
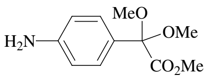
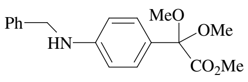
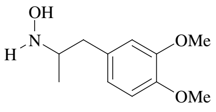
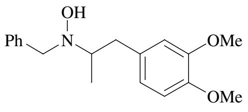
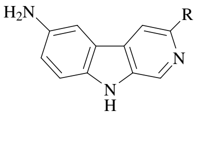
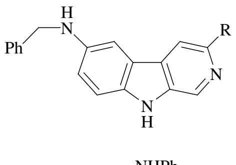
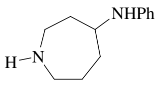
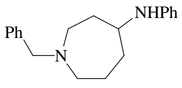
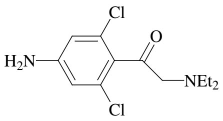
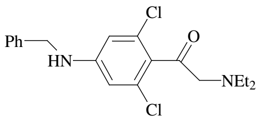
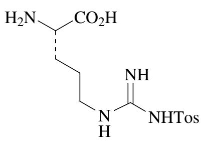
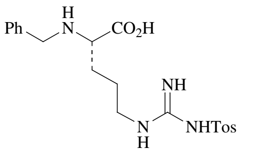
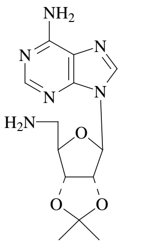
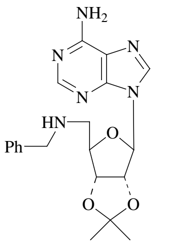
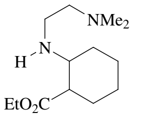
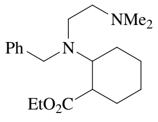
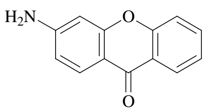
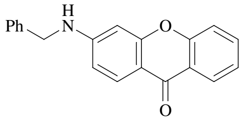
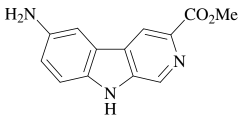
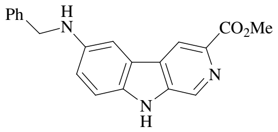
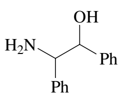
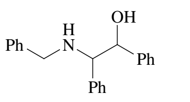
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. HCl (5 N) in MeOH, pH 6-7 2. Na(CN)BH_3, MeOH, MS 3 Å, 24 h	 (40)	1563
		1. HOAc, EtOH, 15 min 2. Na(CN)BH_3, 30 min	 (72)	1027
		1. Dilute HCl, pH 6, MeOH 2. Na(CN)BH_3, dilute HCl, pH 6, 5 h	 (84)	491
		1. KOH, dry MeOH, 30 min 2. Na(CN)BH_3, 18 h	 R: H (—); CO_2Me (82)	1564
		1. Na(CN)BH_3, MeCN 2. HOAc, 6 h 3. HOAc, 30 h	 (—)	1565
		1. HCl-EtOH, MeOH 2. Na(CN)BH_3, 48 h	 (40)	1303
		1. Na(CN)BH_3, MeOH, HCl (1 N), pH 6.5-7.0 2. PhCHO, Na(CN)BH_3, 10 h	 (—)	1347
		1. MeOH, HOAc, 1 h 2. $NaBH_4$, HOAc, pH 7, 0° 3. 25°, 1 h	 (—)	670
		1. HCl (5 N) in MeOH, heat, 24 h 2. Na(CN)BH_3, MeOH, 72 h	 (13)	1563
		1. HOAc:THF (1:1), 30 min 2. Na(CN)BH_3, 2 h	 (92)	1566
		1. MeOH, 30 min 2. Na(CN)BH_3, HOAc	 (77)	1567
		1. EtOH (abs), 10 h 2. $NaBH_4$, 0°, 2.5 h	 (92)	456

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

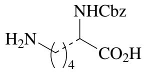
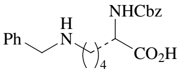
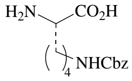
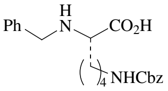
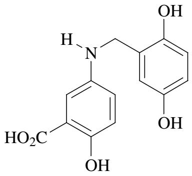
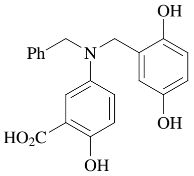
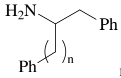
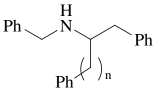
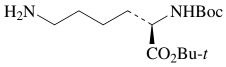
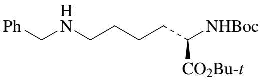
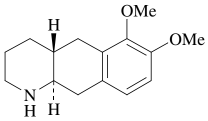
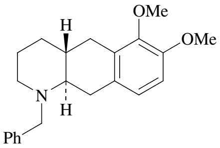
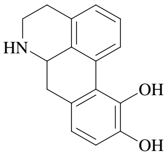
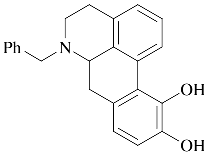
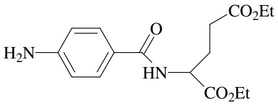
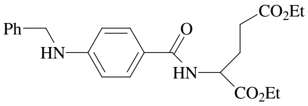
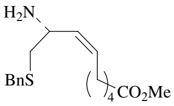
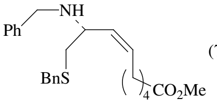
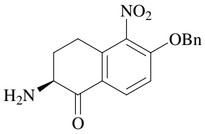
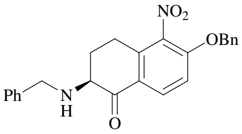
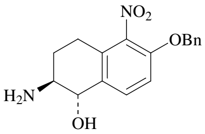
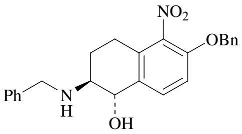
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. NaOH (2 N aq), 20 min 2. $NaBH_4$, <15°, 15 min 3. PhCHO, $NaBH_4$, 2 h	 (64)	1142
		$NaBH(OAc)_3$, DCE, 50°	 (82)	15
		1. MeOH, 15 h 2. $Na(CN)BH_3$	 (—)	1568
	 n = 0, 1, 2	1. EtOH (abs), 2 h 2. $NaBH_4$ (1 M) in EtOH, 1 min	 (—)	1537
		1. MS 3 Å, EtOH, 6 h 2. $Na(CN)BH_3$, overnight	 (54)	1569
		$Na(CN)BH_3$, HOAc, pH 7, MeOH, 3 d	 (—)	1245
		1. HOAc, NaOAc, acetone 2. $NaBH_4$, –20°, 20 min 3. PhCHO, $NaBH_4$, 25°	 (16)	414
		1. EtOH, HCl 2. $Na(CN)BH_3$, 6 d	 (70)	1026
	"	1. $Na(CN)BH_3$, MS 3 Å, HCl (0.8 N), pH 6, MeOH, 30 min 2. 25°, 22 h	" (43)	1381
		$Na(CN)BH_3$, citric acid, THF, H_2O, 3 h	 (76)	804
		$Na(CN)BH_3$, MeOH, 5 h	 (70)	54
		$Na(CN)BH_3$, MeOH, 5 h	 (84)	54

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

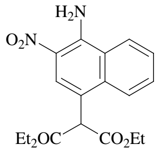
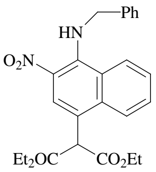
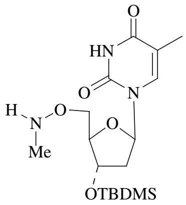
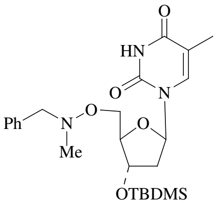
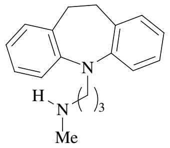
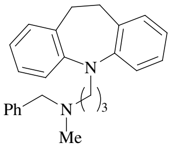
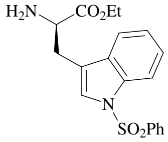
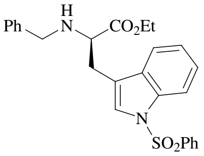
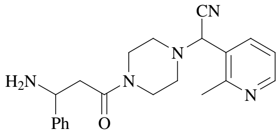
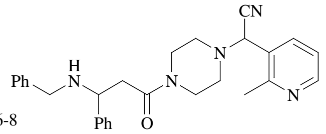
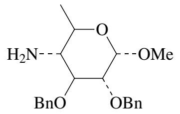
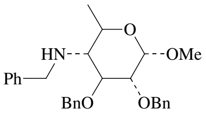
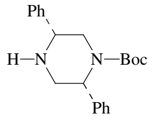
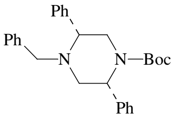
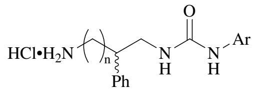
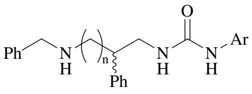
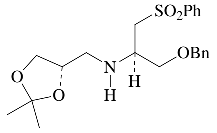
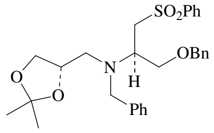
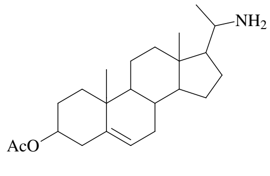
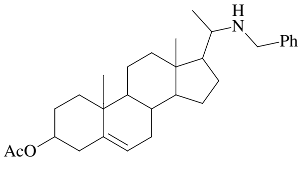
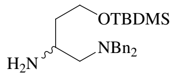
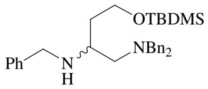
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$	 (—)	1570
		BH_3•pyridine, PPTS, MeOH:THF (3:1)	 (88)	301
		1. KOH, MeOH 2. Aldehyde, 15 min 3. $Na(CN)BH_3$, 15 min 4. KOH, 45 min	 (48)	1571
		1. MeOH, rt, 3 h 2. $NaBH_4$, 0°, 3.5 h	 (12)	1572
		1. HCl (2 N)/MeOH, pH 6-8, MeOH 2. $Na(CN)BH_3$, 24 h 3. HCl (2 N)/MeOH, pH 6-8 4. $Na(CN)BH_3$, 48 h	 (44)	1573
		1. MeOH, MS 3 Å 2. $Na(CN)BH_3$, HOAc, pH 6	 (84)	61
		$Na(CN)BH_3$, HOAc, MeOH, 0° to rt, 2 h	 (73)	1350
	 Ar = 2,6-(i-Pr)$_2C_6H_3$	1. Na_2SO_4 (anhyd), NEt_3, MeOH, overnight 2. $NaBH_4$, 20 min	 n 0 (+) (—) 0 (–) (—) 1 (±) (—)	171
		$NaBH(OAc)_3$, DCE, 6 h	 (90)	100
		1. MeOH, 2 h 2. $NaBH_4$, 5-10°, 20 min 3. 5-10°, 2 h	 (77)	788
		$Na(CN)BH_3$, MeOH, 16 h	 *R* (25) or *S* (35)	1574

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

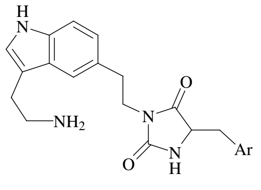
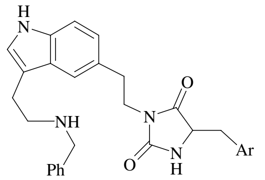
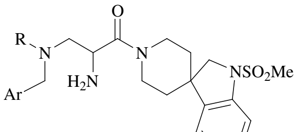
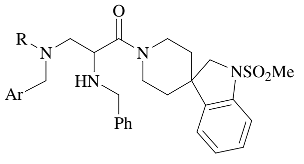
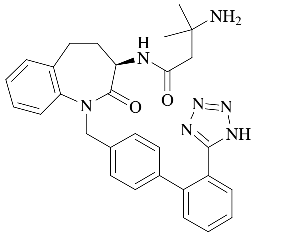
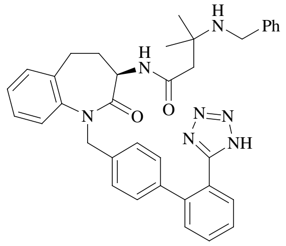
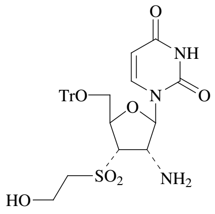
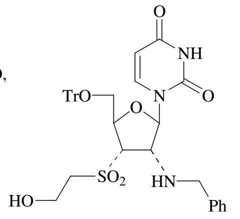
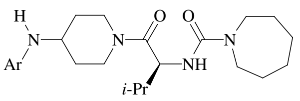
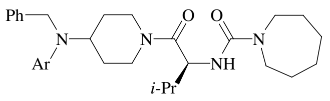
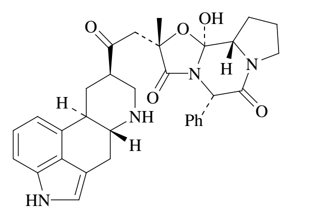
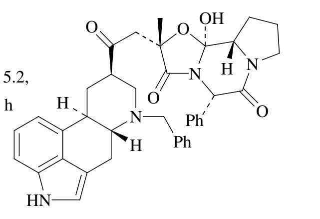
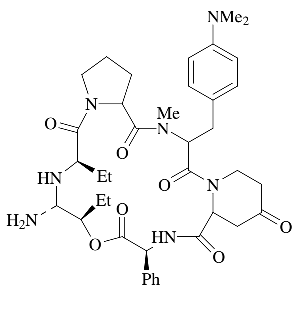
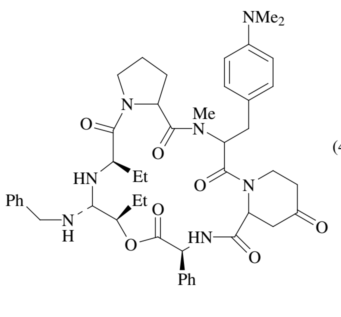
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)		Refs.
	 Ar = 3-AcHNC$_6$H$_4$	1. EtOH, 30 h 2. NaBH$_4$, 10 min 3. 1 h		(99)	1182
	 Ar = 3,4-Cl$_2$C$_6$H$_3$ R = Me, Cbz	1. MgSO$_4$, NEt$_3$, CH$_2$Cl$_2$ 2. NaBH$_4$, MeOH		(—)	178
		Na(CN)BH$_3$, MS 5 Å, MeOH		(—)	40
		1. HOAc, NaOAc•3 H$_2$O, EtOH, H$_2$O, 0-5°, 0.5 h 2. NaBH$_4$, MeOH, 0-5°, 0. 5 h		(40)	1575
	 Ar = 4-BnOC$_6$H$_4$	NaBH(OAc)$_3$, CH$_2$Cl$_2$, 0° to rt, overnight		(—)	470
		Na(CN)BH$_3$, HOAc, pH 5.2, MeOH:CHCl$_3$ (10:3), 4 h		(23)	1059
		Na(CN)BH$_3$, NaOAc, MeOH		(4)	803

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

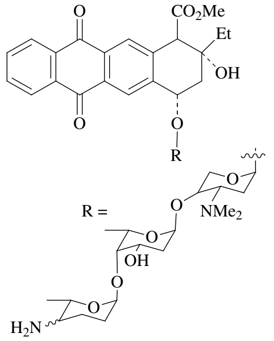
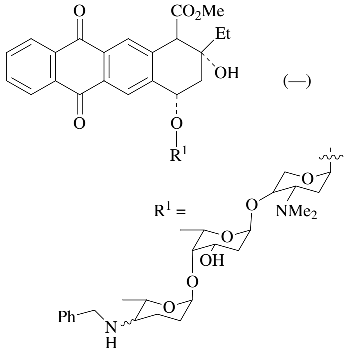
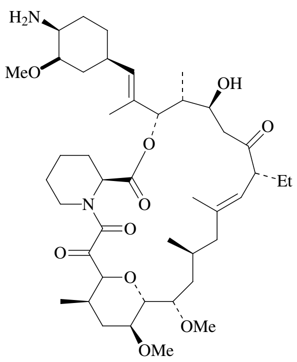
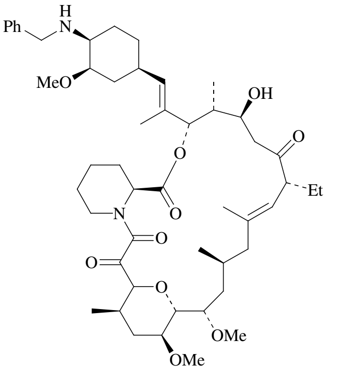
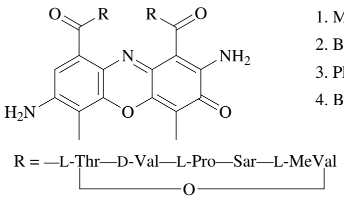
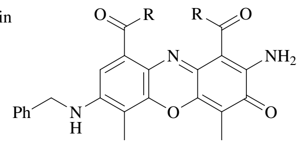
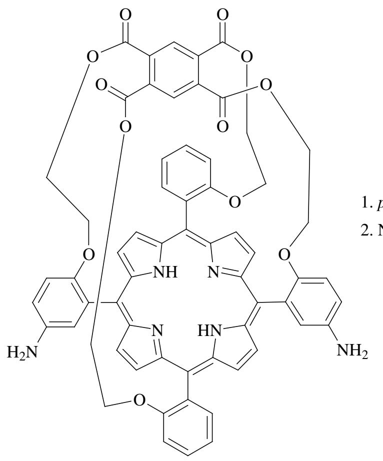
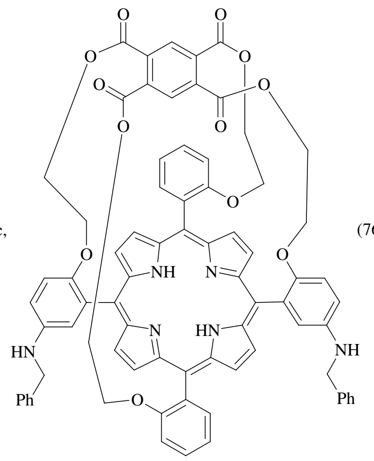
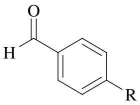
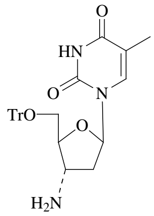
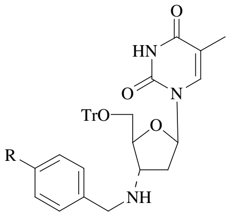
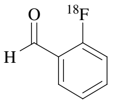
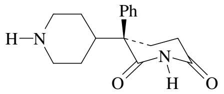
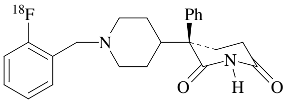
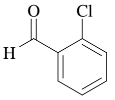
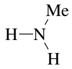
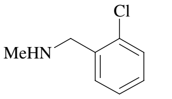
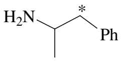
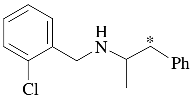
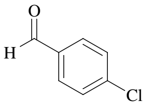
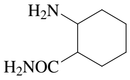
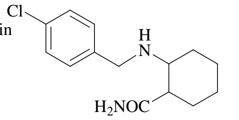
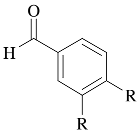
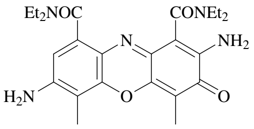
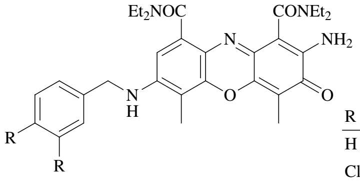
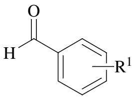
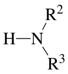
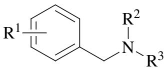
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, HOAc, 3 h	 (—)	737
		1. MS 3 Å, THF, 1 h 2. $Na(CN)BH_3$, THF, 1 h	 (—)	1081
		1. MS 4 Å, HOAc, 30 min 2. BH_3•$HNMe_2$, 15 min 3. PhCHO, 15 min 4. BH_3•$HNMe_2$, 30 min	 (72)	307, 308
		1. *p*-TsOH, THF 2. $Na(CN)BH_3$, NH_4OAc, MeOH, HOAc	 (76)	1049

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. NaOAc•3 H_2O, HOAc, EtOH, H_2O, 0-5°, 5 min 2. $NaBH_4$, 30 min	 R: H (83); F (85)	336
		Na(CN)BH_3, HOAc, DMSO, 120°, 10 min	 (—)	1576
	 30% in MeOH	Na(CN)BH_3, HCl (5 N) in MeOH, 72 h	 (34)	1577
	 * = ^{14}C	1. MeOH, 16 h 2. $NaBH_4$, 1 h 3. Reflux, 2 h	 (61)	1578
		1. EtOH, reflux, 10-13 min 2. $NaBH_4$, 25°, 20 min 3. Reflux, 20-40 min	 *cis* (80) *trans* (77)	1579
		1. HOAc, 1 h 2. BH_3•$HNMe_2$, 30 min	 R: H (76); Cl (73)	307
		Na(CN)BH_3, Aliquat 336, CH_2Cl_2, 42-48 h		42

R^1	R^2	R^3	Yield
H	—$(CH_2)_4$—		(84)
H	—$(CH_2)_2O(CH_2)_2$—		(41)
H	Et	Et	(53)
H	H	*n*-Pr	(60)
2,6-Cl_2	—$(CH_2)_2$—		(79)

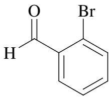
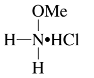
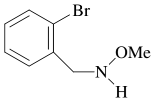
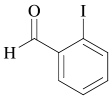
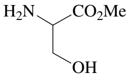
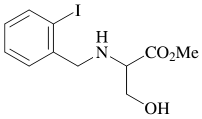
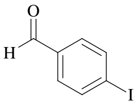
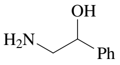
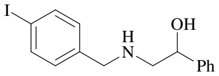
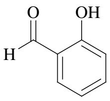
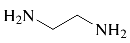
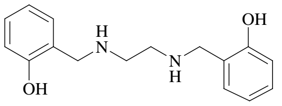
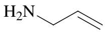
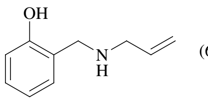
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Pyridine, MeOH, reflux, overnight 2. BH_3•pyridine, 0° 3. HCl (10%), rt, 20 min	 (78)	303
		Na(CN)BH_3 or $NaBH_4$	 (53-67)	1395
		Na(CN)BH_3, HCl (9 N) in MeOH, 9 d	 (64)	1580
		1. HCl in MeOH, 0-20° 2. Na(CN)BH_3, 20°, 2 d	 (85)	1581
		1. MeOH, 1 h 2. $NaBH_4$, 1 h	 (67)	1582

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

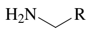
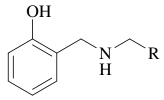
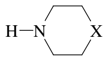
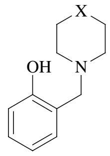
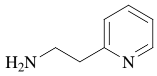
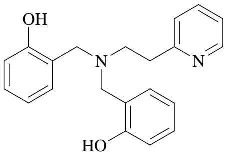
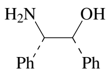
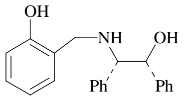
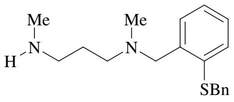
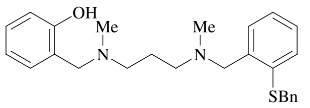
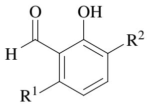
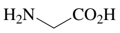
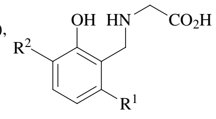
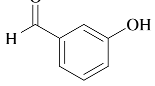
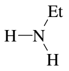
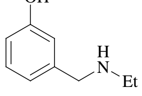
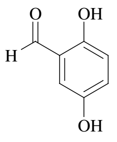
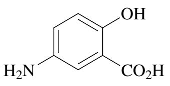
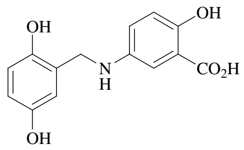
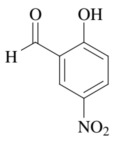
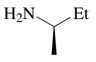
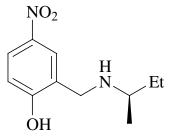
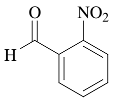
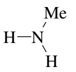
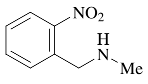
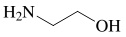
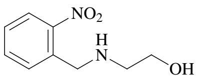
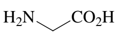
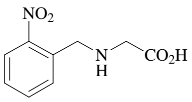
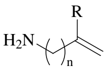
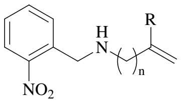
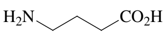
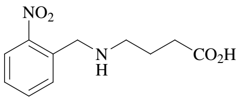
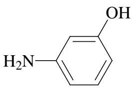
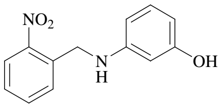
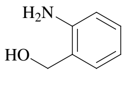
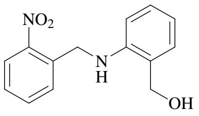
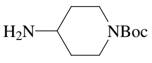
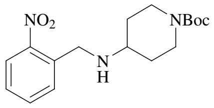
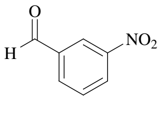
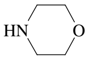
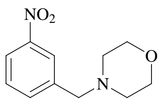
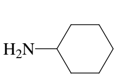
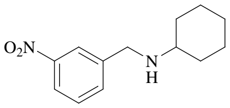
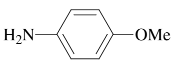
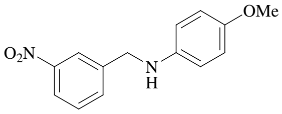
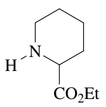
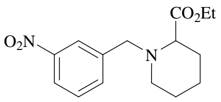
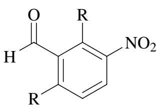
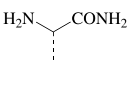
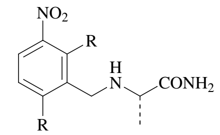
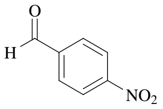
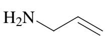
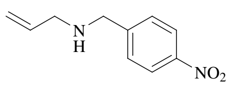
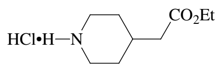
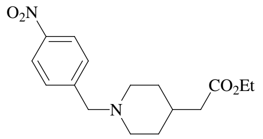
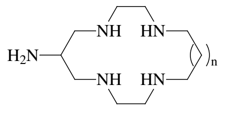
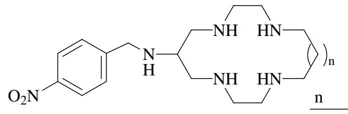
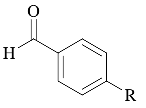
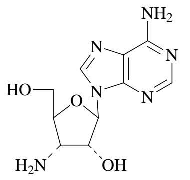
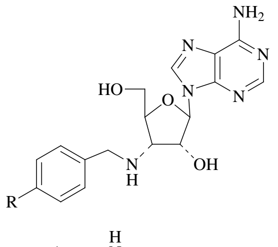
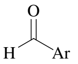
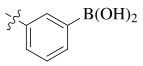
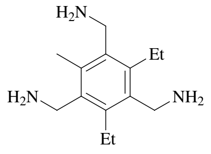
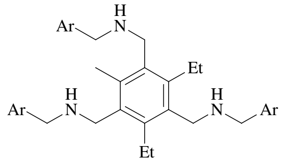
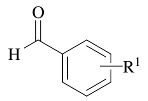
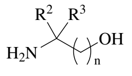
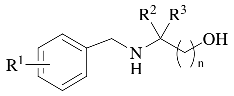
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, 1-4 h 2. Na(CN)BH_3, HCl, pH 5, MeOH, 20 h 3. MeOH, HCl, pH 5-7 4. Na(CN)BH_3 5. Isolate 6. Aldehyde, warming 7. Na(CN)BH_3, HCl, MeOH, pH 6	 R 2-pyridyl (38) $CH_2N(CH_2)_5$ (9) CH_2SEt (25)	681
		1. HCl (5 N) in MeOH, MeOH, 10 min 2. Na(CN)BH_3, overnight	 X CH_2 (62) NMe (62)	1583
		1. MeOH, 1 h 2. Na(CN)BH_3, MeOH, HCl, pH 6, 3 h 3. MeOH, HCl, pH 5 4. Aldehyde, Na(CN)BH_3, MeOH, NaOH, pH 6-7, 72 h	 (33)	681
		$NaBH_4$, EtOH	 (81)	1584
		1. MeOH, 1 h 2. 1:1 HCl:MeOH, pH 5 3. Na(CN)BH_3, 25°, 72 h	 (75)	681
		1. KOH, H_2O:EtOH (1:1), 30 min 2. $NaBH_4$, H_2O, KOH, 15 min 3. 10 min	 R^1 R^2 H H (69) H NO_2 (48) H Cl (40) H Br (81) H F (68) Cl Cl (52) Br Br (61)	1585
		$NaBH(OAc)_3$	 (—)	1586
		1. MeOH, 60°, 15 h 2. Na(CN)BH_3	 (—)	1568
		1. EtOH (95% aq) 2. $NaBH_4$, 0°, 10 min 3. 0° to rt, 2 h 4. $NaBH_4$, overnight	 (—)	1587
	 40% aq	1. MeOH, 10 min 2. $NaBH_4$, 1 h	 (—)	1588

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

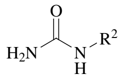
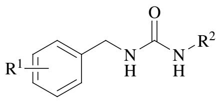
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, $ZnCl_2$	 (80)	116
		1. NaOH (2 N):MeOH (1:2), 30 min 2. $NaBH_4$, 0° 3. 25°, 2 h	 (84)	1589
		1. MeOH, 2 h 2. $NaBH_4$, 1 h	 R n H 1 (84) Me 1 (95) H 2 (96) Me 2 (95)	1590
		1. NaOH (2 M), MeOH, overnight 2. $NaBH_4$, 4 h 3. 12 h	 (65)	1591
		1. EtOH, reflux, 7 h 2. rt, overnight 3. $NaBH_4$, 2 h 4. overnight	 (72)	1592
		1. $ZnCl_2$, THF, 4 h 2. $Zn(BH_4)_2$, THF, 10 h	 (85)	208
	"	1. EtOH, reflux, 4 h 2. $NaBH_4$, rt, 2 h 3. overnight	" (68)	1592
		1. MeOH, 20° 2. $NaBH_4$, 1 h	 (80)	1593
		1. $ZnCl_2$:$Na(CN)BH_3$ (1:2) in MeOH, MeOH, 0° 2. 25°, overnight	 (55)	1342
		1. Silica gel, 30°, 4 h 2. $Zn(BH_4)_2$, DME, 60 min	 (89)	210
		1. EtOH, reflux, 4 h 2. $NaBH_4$, rt, 2 h 3. overnight	 (—)	1594
		$NaBH(OAc)_3$, DCE	 (96)	21
		1. NaOAc, MeOH, 0.5 h 2. $Na(CN)BH_3$, MeOH, 45 min	 R Cl (71) F (—)	1595
		1. MeOH, 4 h 2. $Na(CN)BH_3$, 0° 3. 25°, 24 h	 (42)	1596

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)		Refs.
		1. NEt_3, MS 4 Å, MeOH, 1.5 h 2. $Na(CN)BH_3$, 12 h		(33)	1597
		$Na(CN)BH_3$, HCl (1 M) in MeOH, 3 h			1598

n	
0	(79)
1	(75)

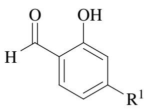
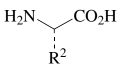
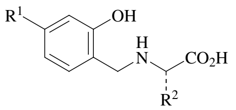
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)		Refs.
 R = H, NO_2		1. $NaOAc•3H_2O$, HOAc, H_2O, EtOH, 0° 2. $NaBH_4$, 30 min		(—)	453
 Ar = 		$NaBH_4$, MeOH		(—)	1599
C_{7-8} 		$Na(CN)BH_3$, MeOH (anhyd), glacial HOAc, pH 6			1600

R^1	R^2	R^3	n	
H	H	H	1	(89)
H	H	H	2	(83)
H	Me	Me	1	(82)
H	H	Me	1	(73)
H	H	Et	1	(76)
OMe	H	H	1	(75)
OMe	Me	Me	1	(84)
OMe	H	Et	1	(68)

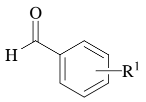
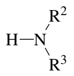
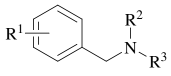
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)		Refs.
		1. $Ti(OPr\text{-}i)_4$, THF 2. $NaBH_4$, 2 h			202

R^1	R^2	
H	Me	(53)
H	Bn	(86)
2-Br	Me	(85)
2-Br	Bn	(92)
2-NO_2	Me	(78)
2-NO_2	Bn	(89)
3-NO_2	Me	(79)
3-NO_2	Bn	(94)
4-OMe	Me	(39)
4-OMe	Bn	(63)

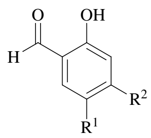
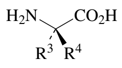
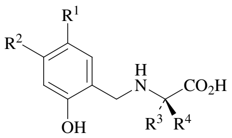
TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. EtOH, rt, 30 min 2. $NaBH_4$, 60°, 10 min		1601

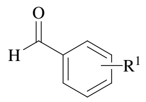
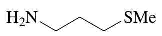
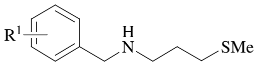
R^1	R^2	
H	Me	(74)
Me	CH(Me)Et	(59)
Me	CH_2CO_2Bu-*t*	(63)
Me	$(CH_2)_2CO_2$Bu-*t*	(40)
Me	$(CH_2)_4$NHBoc	(63)
Me	CH_2OBu-*t*	(60)
Me	CH(Me)OBu-*t*	(45)
Me	$CH_2C_6H_4$OBu-*t*-4	(71)
OMe	H	(60)
OMe	Me	(72)
OMe	*i*-Pr	(62)
OMe	Bn	(63)
OMe	CH_2Pr-*i*	(66)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Bu_4N(CN)BH_3$ CH_2Cl_2, 2-23 h		42

R^1	R^2	R^3	
H	H	*n*-Pr	(79)
H	H	*n*-Pr	(58)
3-Cl	—$(CH_2)_4$—		(89)
4-Br	—$(CH_2)_4$—		(83)
2,6-Cl_2	—$(CH_2)_4$—		(57)
4-CN	—$(CH_2)_4$—		(58)

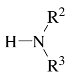
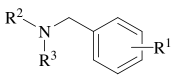
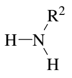
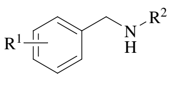
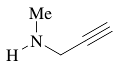
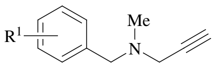
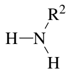
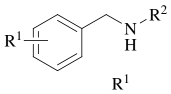
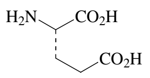
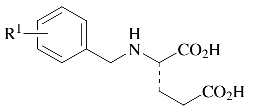
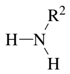
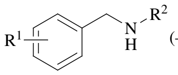
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, 0.5 h 2. $NaBH_4$, 0° to rt, 0.5 h		1602

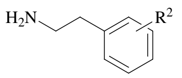
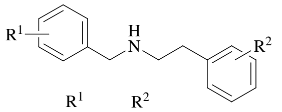
R^1	R^2	R^3	R^4	
H	H	Me	H	(80-90)
H	H	Ph	H	(80-90)
H	H	*i*-Pr	H	(80-90)
H	H	$(CH_2)_2$SMe	H	(80-90)
H	H	Bn	H	(80-90)
H	H	Me	Me	(80-90)
H	H	—$(CH_2)_5$—		(80-90)
Cl	H	Me	H	(80-90)
H	Me	Me	H	(45)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, 0° 2. $Na(CN)BH_3$, HCl (4 M) in MeOH, pH 6-8, 0-25°	 (30-50)	1603

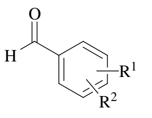
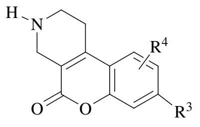
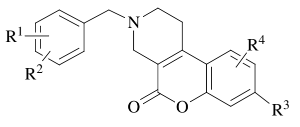
R^1
H
3-Cl
4-Cl
3-NO_2
4-NO_2
3-Me
4-Me
2-OMe
4-OMe

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

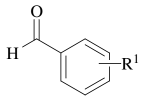
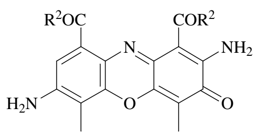
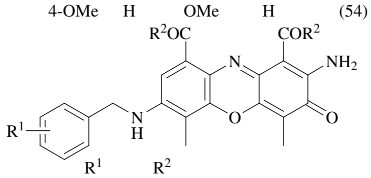
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Zn(BH_4)_2$, $ZnCl_2$, THF, 7 h		206
			R^1 | R^2 | R^3 2-F | Et | Et (80) 2-F | *n*-Pr | *n*-Pr (78) 2-F | —$(CH_2)_2O(CH_2)_2$— (85) 4-F | —$(CH_2)_4$— (77) 4-F | —$(CH_2)_5$— (75) 4-F | —$(CH_2)_2O(CH_2)_2$— (82) 4-CF_3 | Et | Et (82) 4-CF_3 | —$(CH_2)_2O(CH_2)_2$— (78) 4-CF_3 | —$(CH_2)_2NMe(CH_2)_2$— (75)	
	"	$NaBH_4$, $ZnCl_2$, THF, 10 h	" (—) R^1 | R^2 | R^3 2-F | Et | Et 2-F | *n*-Pr | *n*-Pr 2-F | —$(CH_2)_2O(CH_2)_2$— 4-F | —$(CH_2)_4$— 4-F | —$(CH_2)_5$— 4-F | —$(CH_2)_2O(CH_2)_2$— 4-CF_3 | Et | Et 4-CF_3 | —$(CH_2)_2O(CH_2)_2$— 4-CF_3 | —$(CH_2)_2NMe(CH_2)_2$—	206
		1. MeOH, 3 h 2. $NaBH_4$, 10 min	 R^1 | R^2 4-Cl | C_4H_7 (89) 3-OMe | Ph (84)	21
		1. HCl (5 M) in MeOH, 15 min 2. $Na(CN)BH_3$, 24 h	 (—) R^1 = H, 3-Cl, 3-Br, 3-NO_2, 3-Me, 3-CN, 3-OMe, 4-Cl, 4-Br, 4-NO_2, 4-Me, 4-CN, 4-OMe	795
		$NaBH(OAc)_3$, HOAc, DCE, 20 min-72 h	 R^1 | R^2 H | *t*-Bu (92) H | *exo*-2-norbornyl (84) H | 1-adamantyl (66) H | 4-$O_2NC_6H_4$ (85) H | 2-thiazolyl (60) H | $SO_2C_6H_4Me$-4 (80) 4-NO_2 | 3-$CF_3C_6H_3Cl$-4 (75) 3-OCH_3 | Ph (95)	21
		$NaBH_4$, EtOH	 (—) R^1 = 2-F, 3-F, 4-F, 2-OH, 2-Cl, 3-Cl, 2-Br, 3-Me, 4-Me, 2-OMe, 3-OMe, 4-OMe	986
		1. MeOH, reflux, 3 h 2. $NaBH_4$, 25°, 15 min 3. Reflux, 1-2 h	 (—) R^1 | R^2 H | 4-*i*-PrC_6H_4 H | 3,4-$Cl_2C_6H_3$ 2-OH | 4-*i*-PrC_6H_4 3-Me | 3,4-$Cl_2C_6H_3$ 4-Me | 4-*i*-PrC_6H_4 4-Me | 3,4-$Cl_2C_6H_3$ 4-OMe | 4-*i*-PrC_6H_4	550

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. EtOH (abs), 2 h 2. $NaBH_4$ (1.0 M) in EtOH, 1 min		1537

R^1	R^2	
H	H	(83)
H	2-Me	(—)
H	4-OH	(—)
2-NO_2	H	(—)
4-OH	H	(—)
4-OH	4-OH	(—)
2-Me	H	(—)
2-Me	2-Me	(—)
3-Me	H	(—)
4-Me	H	(—)
2-OMe	H	(—)

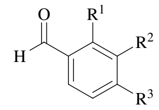
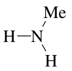
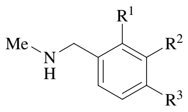
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. HOAc, 1,3-dimethyl-2-imidazolinone, 10 min 2. $NaBH(OAc)_3$, 30 min 3. 18 h		1604

R^1	R^2	R^3	R^4	
H	H	OMe	H	(47)
H	H	Me	H	(60)
H	H	OMe	7-OMe	(35)
H	H	Me	10-OH	(5)
4-Cl	H	OMe	H	(70)
4-Cl	H	OMe	9-OMe	(48)
4-Cl	H	Me	H	(65)
4-Cl	H	OH	H	(52)
4-F	H	OMe	H	(36)
4-F	H	Me	H	(57)
2-Cl	H	OMe	H	(65)
3-Cl	H	OMe	H	(65)
3-Cl	H	OMe	H	(65)
4-NO_2	4-Cl	OMe	H	(47)
4-Me	H	OMe	H	(72)
4-CF_3	H	OMe	H	(70)
4-OMe	H	OMe	H	(54)

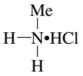
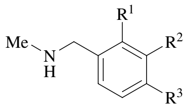
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 4 Å, PhH, reflux, 30-90 min 2. BH_3•$HNMe_2$, rt, 30 min		308

R^1	R^2	
H	NEt_2	(76)
3,4-Cl_2	Thr-D-Val-Pro-Ser-MeVal (ring closed through O)	(82)
3-OMe	Thr-D-Val-Pro-Ser-MeVal (ring closed through O)	(66)
4-CO_2H	NEt_2	(79)
4-CO_2H	Thr-D-Val-Pro-Ser-MeVal (ring closed through O)	(79)
4-CF_3	Thr-D-Val-Pro-Ser-MeVal (ring closed through O)	(79)

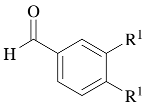
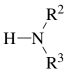
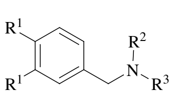
TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{7-9} 	 40% aq	1. MeOH, 10-15 min 2. $NaBH_4$, 1 h	 (—)	1588

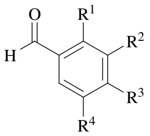
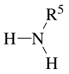
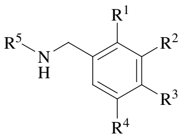
R^1	R^2	R^3
H	H	H
H	H	OMe
H	—OCH_2O—	
H	OMe	H
H	OMe	OH
H	OMe	OMe
OMe	OMe	H

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, 3 d	 (20-75)	1605

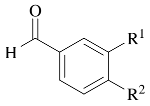
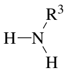
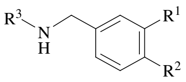
R^1	R^2	R^3
H	Br	H
H	H	Cl
Cl	H	H
H	Cl	Cl
H	NO_2	H
H	H	NO_2
NO_2	H	H
H	Me	H
Me	H	Me
Me	H	H
H	OMe	H
H	H	OMe
OMe	H	H
H	H	NMe_2

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. HCl (5 N) in MeOH 2 $Li(CN)BH_3$, 72 h		19, 48

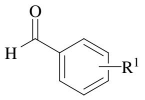
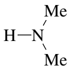
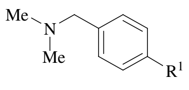
R^1	R^2	R^3	
H	H	Et	(82)
OMe	H	Me	(51)
OMe	Me	Me	(59)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, HCl (5 N) in MeOH, MeOH (abs), 72 h		1580

R^1	R^2	R^3	R^4	R^5	
I	H	H	H	Et	(61)
I	H	H	H	*n*-Bu	(54)
I	H	H	H	Bn	(73)
I	H	OMe	OMe	Me	(53)
I	OMe	OMe	H	Me	(27)
Br	H	OMe	OMe	Me	(43)
Br	OMe	OMe	H	Me	(59)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, 10-15 min 2. $NaBH_4$, 1 h	 (—)	1606

R^1	R^2	R^3
H	H	Me
H	H	Et
H	H	Bn
H	H	$(CH_2)_2C_6H_3(OMe)_2$-3,4
H	H	Me
OMe	OMe	Me

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		BER, $HCl•NEt_3$, EtOH, 1 h		223

R^1	
H	(94)
Cl	(95)
NO_2	(94)
CN	(95)
OMe	(98)
CO_2Me	(93)
NHAc	(96)

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

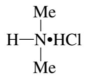
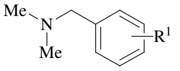
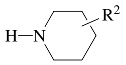
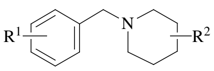
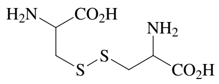
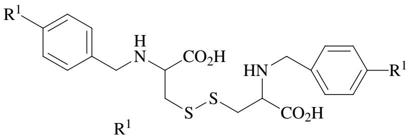
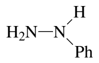
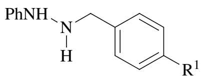
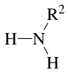
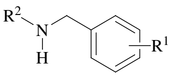
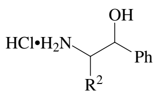
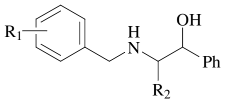
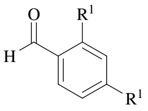
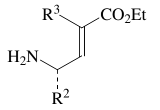
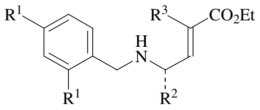
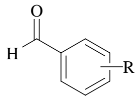
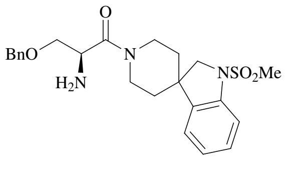
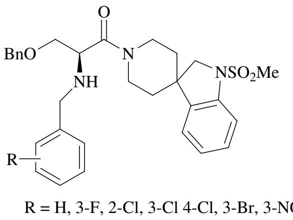
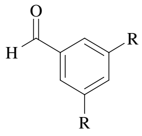
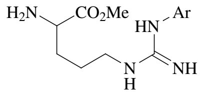
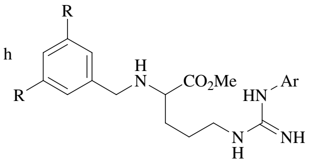
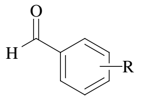
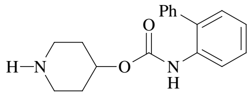
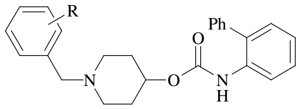
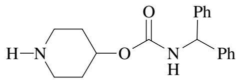
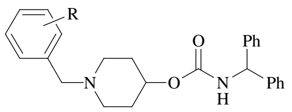
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Ti(OPr-*i*)$_4$, NEt$_3$, EtOH (abs), 9-10 h 2. NaBH$_4$, 10 h	 R H (95) 4-Cl (96) 3-NO$_2$ (95) 4-CN (95) 3-OMe (95) 4-NHAc (96)	192
		1. BH$_3$•pyridine, EtOH, 4 h 2. Aldehyde, BH$_3$•pyridine	 R^1 / R^2 2-F / 4-OH (44) 2-F / 2-CO$_2$Et (62) 4-Cl / 4-OH (70) 4-Br / 3-OH (6) 4-Br / 4-CO$_2$Et (74) 3-NO$_2$ / 4-OH (28) 3-NO$_2$ / 2-CO$_2$Et (13) 3-CF$_3$ / 3-OH (51) 2-Me / 3-OH (56) 4-OMe / 3-OH (63) 4-OMe / 4-OH (55) 4-OMe / 2-CO$_2$Et (52) 4-OMe / 3-CO$_2$Et (58) 4-OMe / 4-CO$_2$Et (81) 4-NMe$_2$ / 3-OH (59) 4-NMe$_2$ / 4-OH (84) 4-NMe$_2$ / 4-CO$_2$Et (60) 3,4-OMe$_2$ / 2-CO$_2$Et (25)	299
		1. NaOH (1 N), 3 h 2. HCl (20%), pH 9.5 3. NaBH$_4$, 3 h	 R^1 H (83) Cl (73) NO$_2$ (0) OMe (70) NMe$_2$ (0)	781
		1. Heating, 1 h 2. BER, MeOH, rt, 1 h 3. Pd(OAc)$_2$	 R^1 H (88) CN (82) NMe$_2$ (88) CO$_2$Me (89) NHAc (88)	226
		1. K10 clay, microwaves, 10 min 2. NaBH$_4$/clay, microwaves, H$_2$O, 65°, 30 sec	 R^1 / R^2 H / Ph (97) H / *n*-C$_7$H$_{15}$ (94) H / (CH$_2$)$_2$C$_6$H$_4$OH-4 (90) 2-OH / Ph (96) 2-OH / 4-O$_2$NC$_6$H$_4$ (88) 2-Cl / Ph (96) 2-Cl / 2-HOC$_6$H$_4$ (88) 4-NO$_2$ / Ph (78) 4-OMe / Ph (93) 4-OMe / 4-HOC$_6$H$_4$ (81) 3,4-(OMe)$_2$ / 4-ClC$_6$H$_4$ (91)	215

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. NEt_3, MeOH, rt, 30 min 2. $NaBH_4$, 20°, 1 h 3. rt, 1 h	 R^1 / R^2 H / H (74) H / Me (89) 2-Cl / Me (74) 4-Cl / Me (76) 2,4-Cl_2 / Me (76) 4-NO_2 / Me (92) 4-OMe / Me (65) 4-NMe_2 / Me (69) 2,3-$(OMe)_2$ / Me (64) 3,4-$(OMe)_2$ / Me (74)	1607
		$Na(CN)BH_3$, HOAc	 (—) R^1 / R^2 / R^3 H / *i*-Pr / Me H / Bn / H H / Bn / Me OMe / *i*-Pr / H OMe / *i*-Pr / Me	1562
		1. $MgSO_4$, NEt_3, CH_2Cl_2 2. $NaBH_4$, MeOH	 (—) R = H, 3-F, 2-Cl, 3-Cl 4-Cl, 3-Br, 3-NO_2, 2,3-Cl_2, 2,4-Cl_2, 2,6-Cl_2, 3,4-Cl_2, 3,5-Cl_2, 3-Me, 2-OMe, 3-OMe, 4-OMe, 3-CN, 4-NMe_2	178
	 Ar = $SO_2C_6H_2Me_3$-2,4,6	1. MS 3 Å, dry MeOH, 2 h 2. $Na(CN)BH_3$, 0° 3. 25°, 18 h	 R H (76) OMe (72)	1608
		$NaBH(OAc)_3$, DCE, 3 h	 R 3-OH (100) 4-OH (95) 3-OMe (100) 4-OMe (100) 4-NMe_2 (77)	1609
		$NaBH(OAc)_3$, DCE, overnight	 R 3-OH (68) 4-OH (57) 4-Et (60) 4-NMe_2 (24)	1610

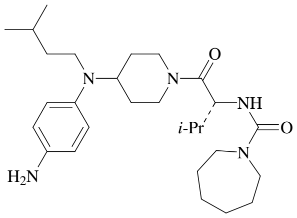
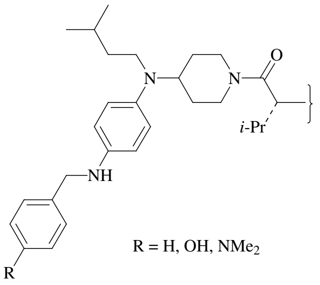
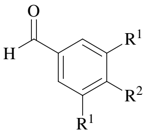
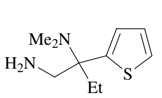
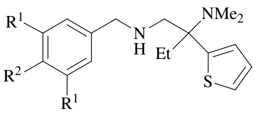
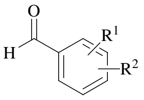
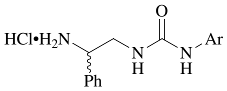
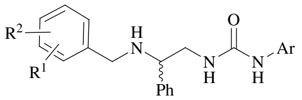
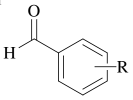
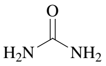
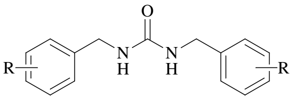
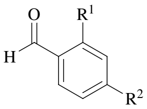
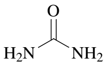
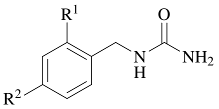
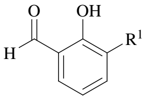
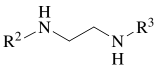
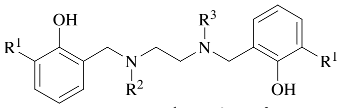
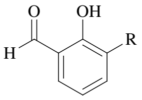
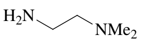
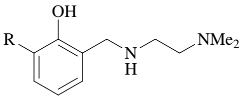
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, CH_2Cl_2	 R = H, OH, NMe_2 (—)	1370
C_{7-10} 		$Na(CN)BH_3$, HCl in MeOH	 R^1 / R^2 H / H (83) H / OMe (74) OMe / OMe (79)	1178
	 Ar = 2,6-(i-Pr)$_2$$C_6H_3$	1. Na_2SO_4 (anhyd), NEt_3, MeOH, overnight 2. $NaBH_4$, 20 min	 R^1 / R^2 2-OH / H (–) (—) 3-NO_2 / H (–) (—) 2-CF_3 / H (–) (—) 2-OMe / H (–) (—) 4-OMe / H (±) (—) 4-OMe / H (+) (83) 4-OMe / H (–) (—) 4-NMe_2 / H (+) (—) 4-NMe_2 / H (–) (—) 4-CH_2NMe_2 / H (–) (—) 3-CH_2NMe_2 / OH (−) (—)	171
C_{7-11} 	 0.5 eq	1. TMSCl, HOAc 2. $NaBH_4$	 R H (85) 2-CF_3 (75) 4-t-Bu (75)	211
	 20 eq	1. TMSCl, HOAc 2. $NaBH_4$	 R^1 / R^2 H / H (60) H / F (92) H / OMe (75) OMe / Br (87) H / t-Bu (75) H / NEt_2 (82)	211
		1. MeOH, 2 h 2. Methanolic HCl, pH 5-5.5 3. Aldehyde, $Na(CN)BH_3$, 72-108 h	 R^1 / R^2 / R^3 H / H / Me (80) t-Bu / H / Me (50) H / Me / Me (60) H / Et / Et (70) t-Bu / Me / Me (50)	681
		1. MeOH, 1.5-2 h 2. Methanolic HCl, pH 5-6 3. $Na(CN)BH_3$, 72 h 4. Aldehyde, $Na(CN)BH_3$, 72 h	 R H (37) t-Bu (20)	681

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

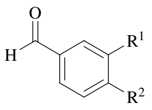
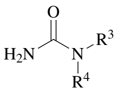
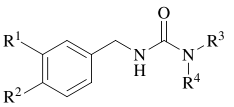
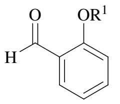
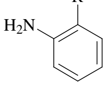
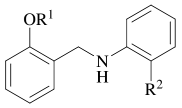
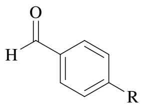
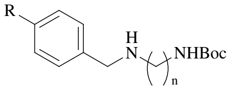
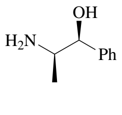
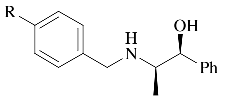
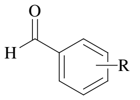
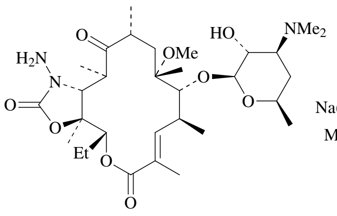
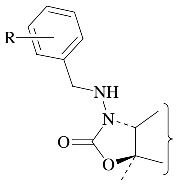
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 0.5 eq	1. TMSCl, HOAc 2. $NaBH_4$	 R^1 / R^2 / R^3 / R^4: OMe / OH / H / $CH_2C_6H_4Bu$-*t*-4 (85) H / *t*-Bu / H / *n*-Bu (86) H / *t*-Bu / H / Bn (78) H / *t*-Bu / H / $CH_2C_6H_4F$-4 (70) H / *t*-Bu / H / $CH_2C_6H_4Bu$-*t*-4 (89) H / *t*-Bu / Et / Et (65)	211
		$Na(CN)BH_3$, HOAc, MeOH, 36 h	 R^1 / R^2: H / H (74) Me / H (90) $CH_2OCH_2CH_2OMe$ / H (96) $CH_2OCH_2CH_2OMe$ / CO_2Bu-*t* (82)	1611
C_{7-13}				
 R = H, CH_2NHBoc	 n = 2,3	1. NEt_3, $MgSO_4$, MeOH, rt, 1.5 h 2. $NaBH_4$, –5°, 30 min 3. –5°, 1.5 h 4. 0°, 1 h	 (—)	1045
R = H, OMe, Ph		$NaBH_4$	 (75-85)	1612
		$Na(CN)BH_3$, HOAc, MeOH, 3 h	 R: H (57) 4-Cl (47) 2-OH (55) 3-OH (56) 4-OH (38) 2,5-$(OH)_2$ (23) 3,4-$(OH)_2$ (56) 4-NO_2 (61) 2-OH, 5-NO_2 (42) 4-CF_3 (42) 4-OMe (32) 4-SMe (43) 4-CN (42) 2-CH_2OH (54) 4-NMe_2 (64) 4-NHAc (44) 4-OPh (73)	514

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

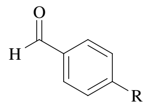
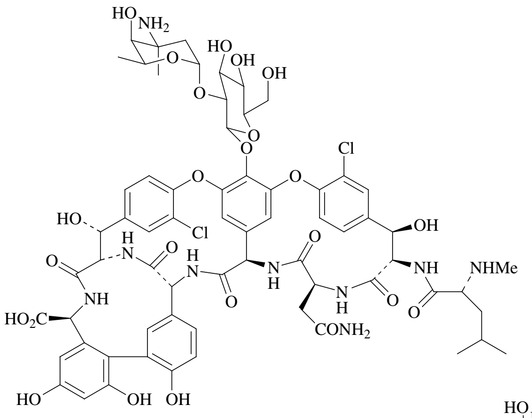
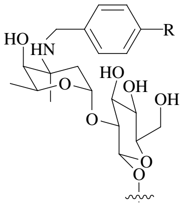
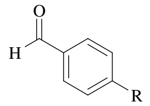
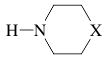
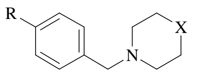
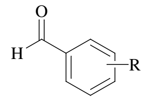
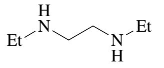
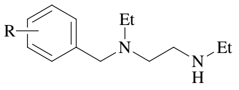
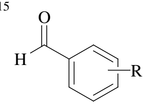
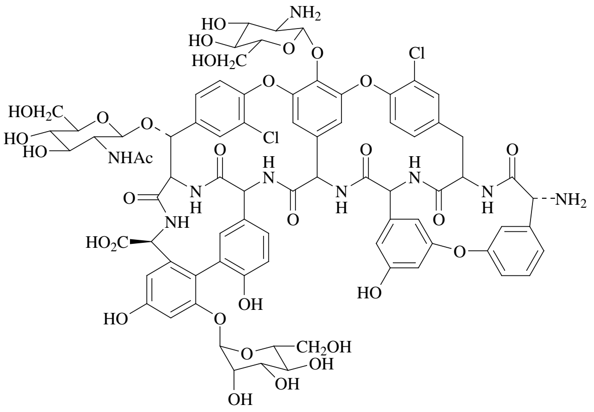
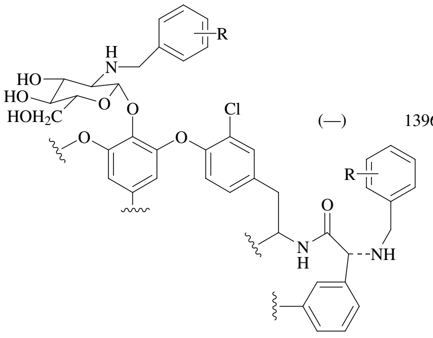
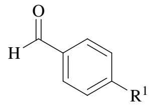
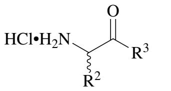
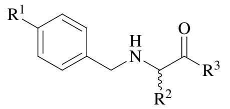
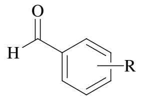
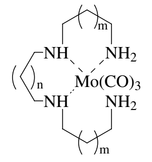
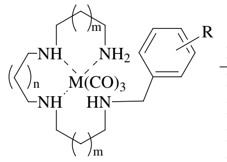
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. DMF:MeOH (1:1) or MeOH, 70°, 2 h 2. $Na(CN)BH_3$, 70°, 2 h	 R: Cl (40); Ph (41); C_6H_4Cl-4 (18)	519
C_{7-14} 		$NaBH(OAc)_3$, CH_2Cl_2	 (—) R^1 / X: H / CH_2; H / NBn; OMe / CH_2; OMe / NBn; NMe_2 / CH_2; NMe_2 / NBn; $NHCO_2Et$ / CH_2; NHCOPh / CH_2	1613
		$NaBH(OAc)_3$, HOAc, CH_2Cl_2, 8 h	 R: 4-OH (33); 4-OMe (64); 4-SMe (47); 4-NMe_2 (15); 4-*i*-Pr (62); 4-OPr-*n* (65); 4-(1-pyrrolidinyl) (58); 4-(1-morpholino) (37); 4-(1-piperidinyl) (79); 4-[1-(4-Me)piperidinyl] (33); 4-(1-azepinyl) (74); 4-$O(CH_2)_3NEt_2$ (12)	648

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{7-15}  R = 4-Cl, 4-OCF_3, 4-*n*-Bu, 4-(OC_5H_{11}-*n*), Ph, 3-OPh, 4-OPh, (*E*)-CH=CHPh		1. DMF, 70°, 2 h 2. $Na(CN)BH_3$, 70°, 2 h	 (—)	1396
C_{7-16} 		1. $Na(CN)BH_3$, MS 3 Å, dry MeOH, 15 min 2. 30-35° 3. rt, 3 h	 (45-76)	1379
C_{7-17} 		1. $MgSO_4$, DMF, 100°, 2 h 2. $NaBH_4$, overnight	 (—)	175

R^1	R^2	R^3	Config.
H	Me	NH_2	*S*
H	CH_2OH	NH_2	*S*
2-thienyl$(CH_2)_2O$	Me	NH_2	*S*
BnO	CH_2OH	OMe	*R*
BnO	*i*-Pr	NHMe	*S*
BnO	(*R*)-CH(OH)Me	NHMe	*S, R*
BnS	Me	NH_2	*S*
BnN(Me)	Me	NH_2	*S*
$Ph(CH_2)_3O$	Me	NH_2	*S*
$Ph(CH_2)_3O$	Me	NH_2	*R*

R	M	m	n
H	Cr	0	0
H	Mo	0	0
H	Cr	1	0
H	Mo	1	0
H	W	1	0
H	W	1	1
4-Me	Mo	1	0
2,4-$(OMe)_2$	Mo	1	0
ferrocenyl	Mo	1	0

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

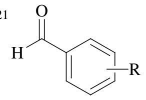
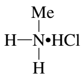
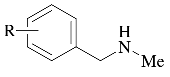
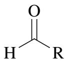
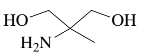
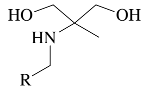
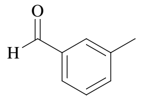
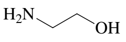
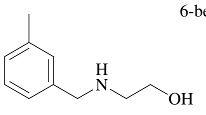
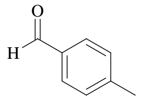
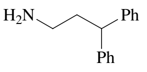
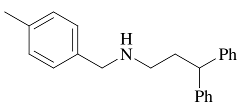
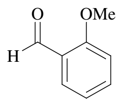
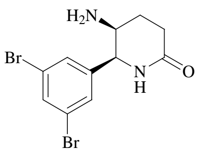
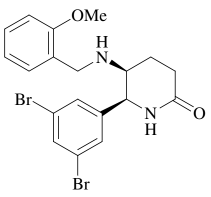
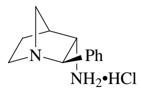
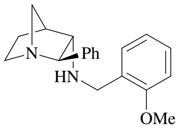
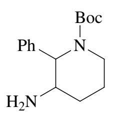
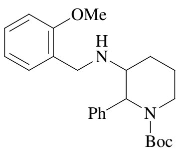
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
$C_{7\text{-}21}$ 		1. Ti(OPr-*i*)$_4$, NEt$_3$, EtOH, 8-10 h 2. NaBH$_4$, 7-8 h	 R	194
			2-F (75)	
			4-F (73)	
			3-Br (84)	
			2-NO$_2$ (71)	
			3-CN (81)	
			3-OMe (72)	
			4-OMe (91)	
			3,4-OCH$_2$O (88)	
			3,4-(OMe)$_2$ (81)	
			4-NHAc (79)	
			CH(OEt)$_2$ (76)	
			3-OBn (72)	
			3,4-(OBn)$_2$ (64)	
$C_{7\text{-}27}$ 		1. *p*-TsOH•H$_2$O, PhMe, reflux 2. Na(CN)BH$_3$, EtOH, bromocresol green, HCl (1 M) in EtOH, pH 6-7, 2-3 d	 R	
			Ph (60)	1120
			1-naphthyl (59)	
			2-naphthyl (48)	
			4-biphenyl (57)	
			2-fluorenyl (28)	
			9-anthracenyl (40)	
			2-phenanthrenyl (55)	
			3-phenanthrenyl (66)	
			4-phenanthrenyl (70)	
			7-fluoranthrenyl (30)	
			8-fluoranthrenyl (52)	
			1-pyrenyl (49)	
			4-pyrenyl (37)	
			1,2,3,6,7,8-hexahydro-4-pyrenyl (37)	
			2-triphenylenyl (43)	
			4-hexyl-1-naphthyl (28)	
			6-benzo[*a*]pyrenyl (31)	
C_8 		1. NaHCO$_3$, MeOH, reflux, 4 h 2. NaBH$_4$, 10° to rt	 (88)	603
		1. MeOH 2. NaBH$_4$	 (—)	982
		1. MS 3Å, MeOH, HCl, pH 5 2. Na(CN)BH$_3$	 (—)	1614
		NaBH(OAc)$_3$, DCE, 16 h	 (80)	1615
		Na(CN)BH$_3$, MeOH	 (—)	1616

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

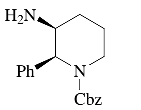
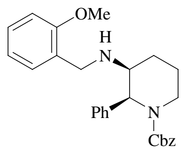
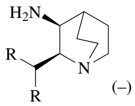
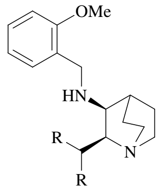
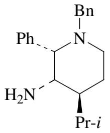
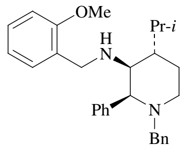
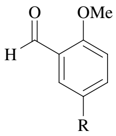
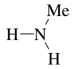
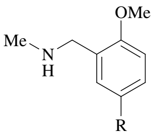
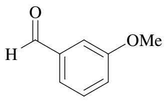
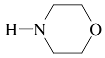
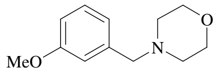
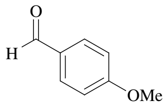
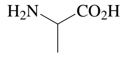
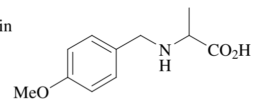
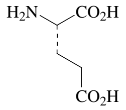
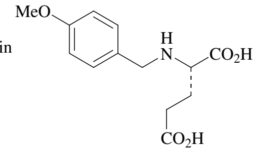
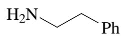
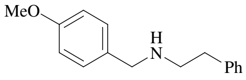
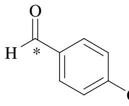
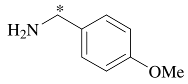
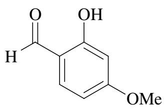
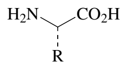
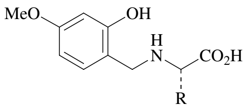
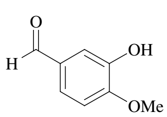
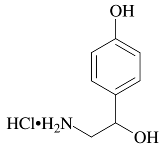
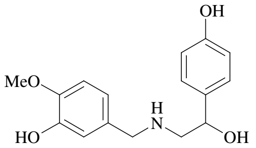
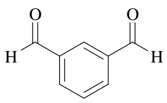
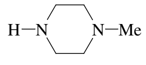
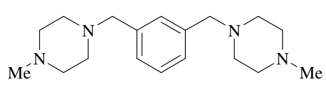
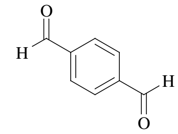
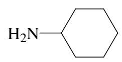
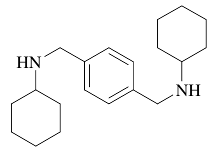
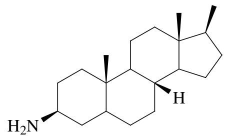
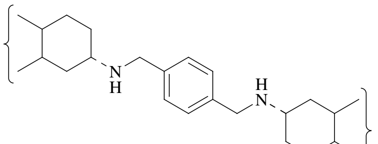
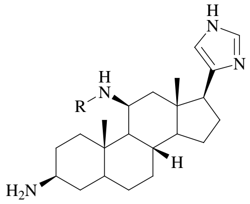
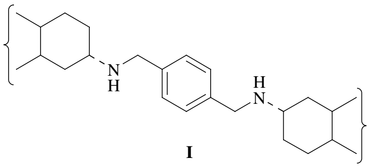
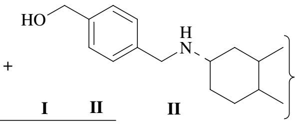
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$	 (—)	1617
	 (–) (2*S*, 3*S*) R = Ph, 4-BrC$_6$H$_4$	Na(CN)BH$_3$	 (—)	1618
		Na(CN)BH$_3$, HOAc, MeOH	 (66)	1619
	 33% in methylated spirits	Na(CN)BH$_3$, HCl in MeOH	 R: Br (65); NO$_2$ (72)	1088
		NaBH(OAc)$_3$, THF, 6 h	 (74)	21
		1. NaOH (2 M aq), 30 min 2. NaBH$_4$, 0°, 30 min 3. Aldehyde, 1 h 4. NaBH$_4$, 4 h	 (46)	1620
		1. NaOH (2 M aq), 20 min 2. NaBH$_4$, 0° to 25° 3. Aldehyde, 20 min 5. NaBH$_4$, 0° to 25°, 2 h	 (43)	990, 1621
		1. MeOH 2. NaBH$_4$	 (86)	982
 * = ^{14}C	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 13 h	 (40)	1622
	 R = Me, CH$_2$CO$_2$Bu-*t*, (*R*)-CH(OBu-*t*)Me	1. NaOH (2 M aq), pH 9-9.5, H$_2$O, 2 h 2. NaBH$_4$ (0.76 M aq), NaOH (2 M), 20 min 3. 5-10°, 1 h	 (60-80)	1143
		1. NaHCO$_3$, MeOH, 50°, 30 min 2. NaBH$_4$, 0° to rt, 30 min	 (66)	1623
		1. HCl (5 N) in MeOH, 10 min 2. Na(CN)BH$_3$, 16 h	 (46)	1583

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $MeOCH_2CH_2OH$, reflux, 30 min 2. $MeOCH_2CH_2OH$, MeCN, heat 3. $Na(CN)BH_3$ in MeOH, HOAc, 50° to rt 4. HOAc, MeCN, 5 min 5. 1 h	 (55)	731
		1. $MeOCH_2CH_2OH$, reflux 2. $Na(CN)BH_3$ in $MeOCH_2CH_2OH$, 50°, 5 min 3. HOAc, 60-70°, 2 h 4. 100°, 2 h	 (58)	731
		1. $MeOCH_2CH_2OH$, reflux 2. $Na(CN)BH_3$ in $MeOCH_2CH_2OH$, 50°, 5 min 3. HOAc, 60-70°, 2 h 4. 100°, 2 h	 I +  II R / I / II $COCF_3$ (—) (—) CHO (71) (0)	731

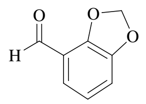
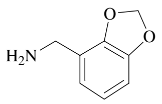
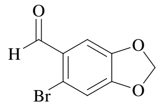
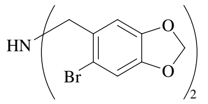
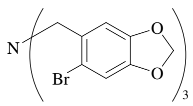
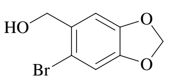
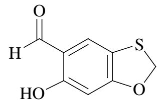
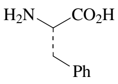
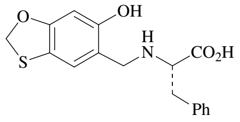
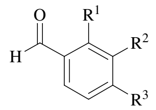
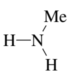
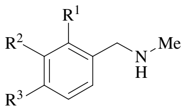
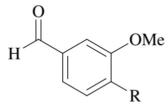
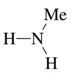
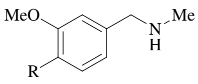
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4OAc	$Na(CN)BH_3$, MeOH, 2 d	 (11)	1219
	NH_4OAc	$Na(CN)BH_3$, MeOH, 33 h	 (37) +  (45) +  (14)	687
		$NaBH_4$, KOH, H_2O, EtOH, 15 min	 (—)	1624
C_{8-9}				
	 (40% aq)	1. MeOH, 10 min 2. $NaBH_4$, 1 h	 (—) R^1 / R^2 / R^3 H / OMe / H H / —OCH_2O— H / OMe / OH H / OMe / OMe OMe / OMe / H	1588
		1. EtOH, H_2 (1 atm), 70-100°, 3-6 h 2. $NaBH_4$, rt, 5 h	 R H (87) OMe (93)	183

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

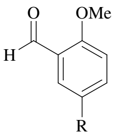
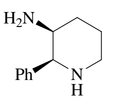
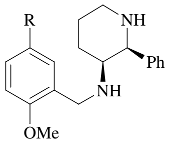
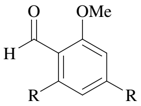
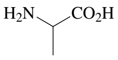
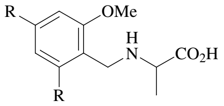
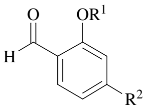
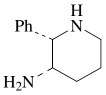
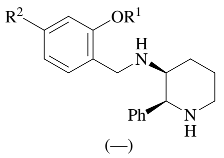
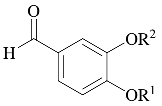
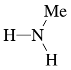
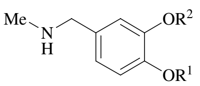
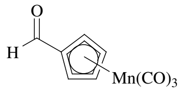
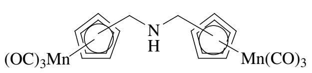
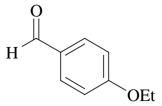
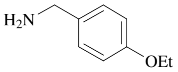
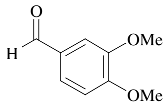
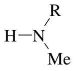
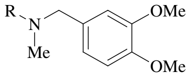
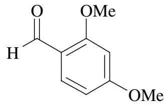
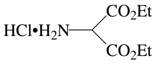
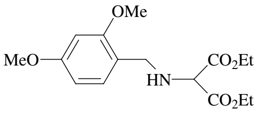
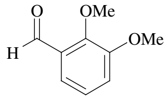
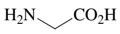
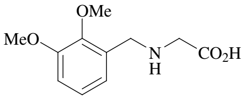
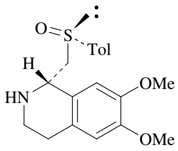
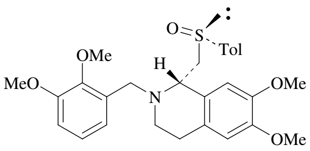
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		NaBH(OAc)$_3$, HOAc, CH_2Cl_2, 18 h	 R: Br, *cis* (±) (63); 1-tetrazoyl, (2*S*, 3*S*) (67); 2-tetrazoyl, (2*S*, 3*S*) (67); 3-tetrazoyl, *cis* (±) (9)	1625
$C_{8\text{-}10}$				
 R = H, OMe		Na(CN)BH$_3$, HOAc, MeOH, 4 h	 (—)	1601
$C_{8\text{-}11}$				
		Na(CN)BH$_3$, MeOH	 (—) R[1], R[2]: H, OCF$_3$; Me, H; Me, Cl; CHF$_2$, H; CF$_3$, H; Me, OMe; Me, OCF$_3$; Me, NMe$_2$; Me, N(Me)SO$_2$Me; Et, OCF$_3$; *i*-Pr, H; *i*-Pr, OCF$_3$	523
$C_{8\text{-}15}$				
 R[1], R[2] = —CH$_2$—; Me, Bn		1. EtOH, H$_2$ (1 atm), 80-90°, 2-5 h 2. NaBH$_4$, rt, 1-1.5 h 3. 2-14 h	 (—)	184
C_9				
	NH$_4$OAc	Na(CN)BH$_3$, MeOH	 (—)	1626
	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 46 h	 (—)	1627
		Li(CN)BH$_3$, MeOH, HCl (5 N) in MeOH, 72 h	 R: H (60); Me (80)	19
		1. KOH, EtOH, 15 min 2. Na(CN)BH$_3$ in EtOH, 30 min 3. KOH, 1 h	 (83)	1628
		1. NaOH (2 N aq), MeOH, 3 h 2. NaBH$_4$, 0°, 45 min 3. rt, overnight	 (82)	1629
		1. Na(CN)BH$_3$, MeCN, 20 min 2. HOAc, pH 7, 6 h	 (87)	1265

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

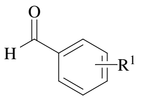
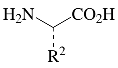
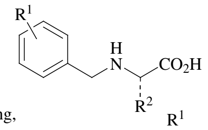
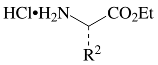
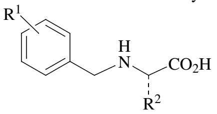
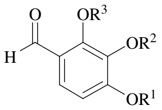
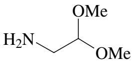
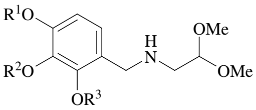
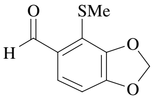
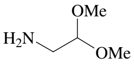
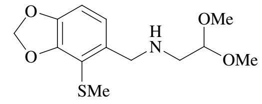
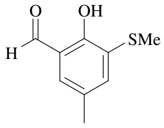
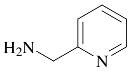
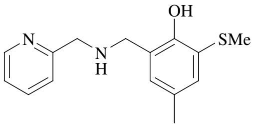
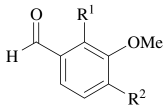
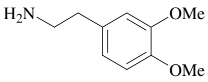
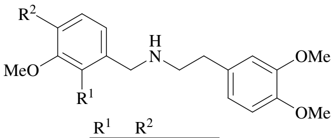
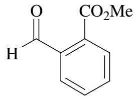
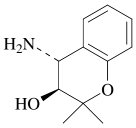
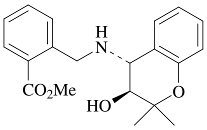
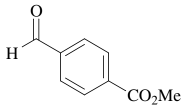
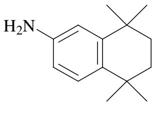
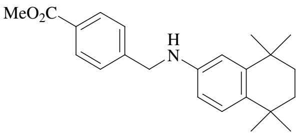
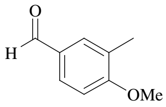
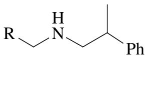
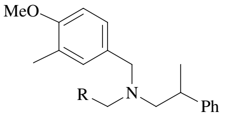
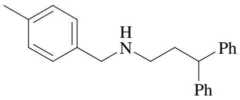
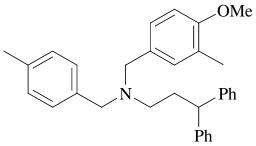
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. NaOH, MeOH:H_2O (30:1), 1 h 2. $NaBH_4$ in H_2O, cooling, 1 h	 R^1 / R^2 2-vinyl / H (48) 2-vinyl / *i*-Pr (62) 2-vinyl / *i*-Bu (76) 2-vinyl / $CH_2C_6H_4OH$-4 (83) 2-vinyl / CH_2-4-imidazolyl (66) 2-vinyl / $CH_2CH_2CONH_2$ (58) 4-vinyl / H (80) 4-vinyl / *i*-Pr (83)	1630
		1. TEA, MeOH, 1 h 2. $NaBH_4$ in H_2O, cooling, 1 h	 R^1 / R^2 2-vinyl / *i*-Bu (66) 4-vinyl / *i*-Bu (73)	1630
		1. MeOH 2. $NaBH_4$, 0°, 1 h 3. rt, 2 h	 R^1 / R^2 / R^3 Me / —CH_2— (80) —CH_2— / Me (61)	1631
		1. MeOH, rt 2. $NaBH_4$, 0° to rt, 2 h	 (—)	1632
		1. MeOH 2. Na(CN)BH_3, HCl in MeOH, 3 h 3. Aldehyde, bisulfate, 3 d	 (40)	1633
		$NaBH_4$	 R^1 / R^2 H / OMe (85) OMe / H (100)	1634
		Na(CN)BH_3:$ZnCl_2$ (2:1), MeOH, 50°, 14 h	 (95)	108
		1. HOAc, MeOH, 5 min 2. Na(CN)BH_3, 5 min	 (86)	1635
		Na(CN)BH_3, HOAc (5%), MeOH	 R 4-FC_6H_4 (84) CH_2CHPh_2 (71)	982
		Na(CN)BH_3, HOAc (5%), MeOH	 (72)	982

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

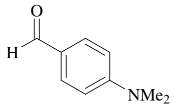
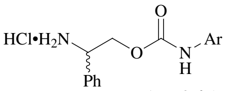
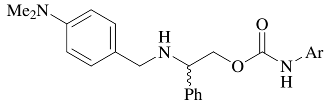
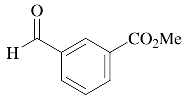
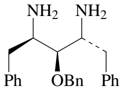
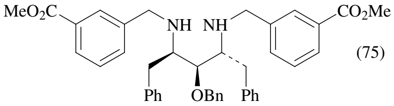
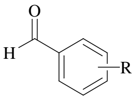
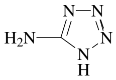
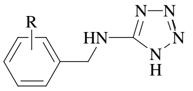
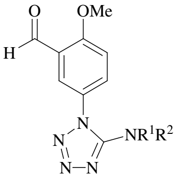
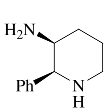
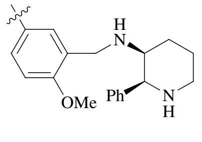
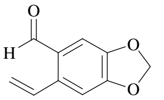
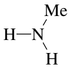
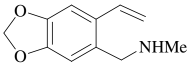
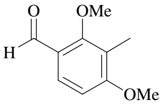
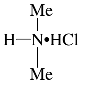
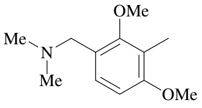
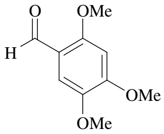
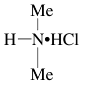
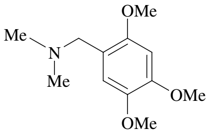
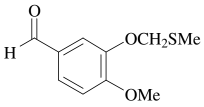
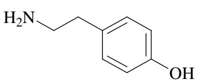
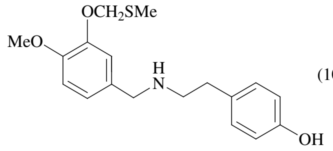
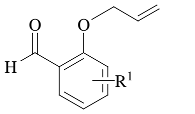
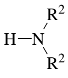
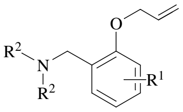
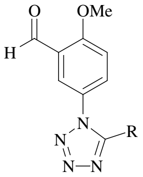
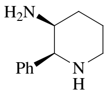
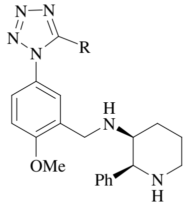
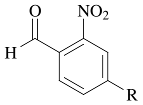
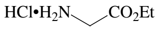
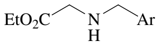
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 Ar = 2,6-(i-Pr)$_2$C$_6$H$_3$	1. Anhydrous $CaSO_4$, NEt_3, MeOH, overnight 2. $NaBH_4$, 30 min	 (40)	171
		$NaBH(OAc)_3$, HOAc, DCE, 18 h	 (75)	1636
C_{9-12}				
		1. TEA, MeOH, pH< 8, 50°, 15 min 2. Na(CN)BH_3 in MeOH, 25°, 17-24 h	 R: 2,5-(OMe)$_2$ (50); 2,3,4,5,6-Me$_5$ (70); 4-NMe$_2$ (54)	1637
C_{9-13}				
		$NaBH(OAc)_3$, HOAc, CH_2Cl_2	 R^1 R^2: H H (72); H Ac (56); Me Me (73); H COPr (83); Et Et (80)	520
C_{10}				
		1. MS 4 Å, CH_2Cl_2, 4 h 2. $NaBH_4$, CH_2Cl_2/MeOH (1:1), 30 min	 (76)	1128
		1. KOH pellets, MeOH, 20 min 2. Na(CN)BH_3 in MeOH, 7.5 h	 (89)	1638
		1. MS 3 Å, absolute MeOH, 15 min 2. Na(CN)BH_3, 18 h	 (69)	1639
		1. MeOH, 25°, 2 h 2. 0°, 0.5 h 3. $NaBH_4$, 0°, 1 h	 (100)	1640
C_{10-11}				
		1. MeOH, 1 h 2. $NaBH_4$, 1 h	 R^1 R^2: 5-Br H (60); 3-OMe Me (66)	1582
C_{10-12}				
		$NaBH(OAc)_3$, CH_2Cl_2	 R: Me (57-85); CF$_3$ (57-85); SMe (46-64); SO$_2$Me (57-85); Et (57-85); n-Pr (57-85); c-Pr (57-85)	1641
C_{10-15}				
		1. NaOAc (anhyd), MeOH, 15 min 2. Na(CN)BH_3, 1 h	 Ar = 2-O$_2$NC$_6$H$_3$R-4 R: 1-imidazolyl (67); 1-imidazolyl-(CH$_2$)$_2$ (—); AcO(CH$_2$)$_6$ (—)	1642

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

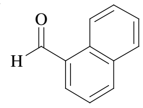
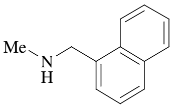
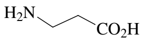
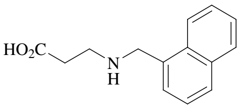
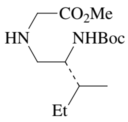
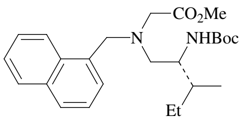
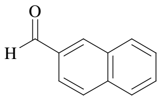
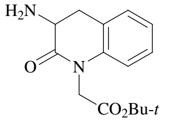
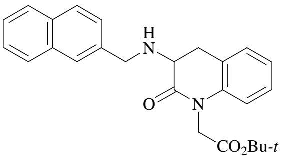
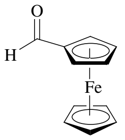
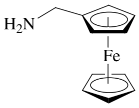
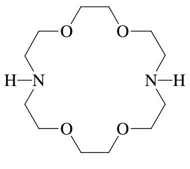
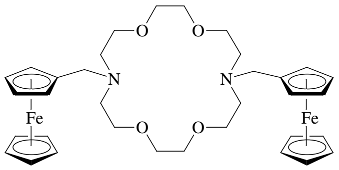
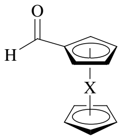
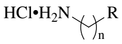
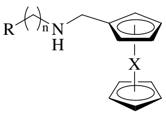
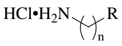
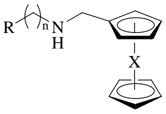
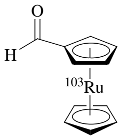
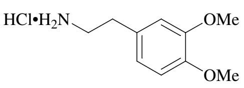
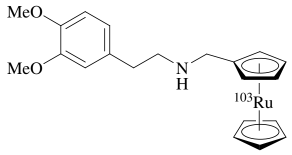
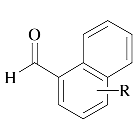
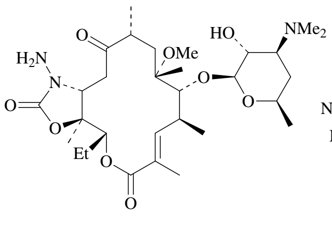
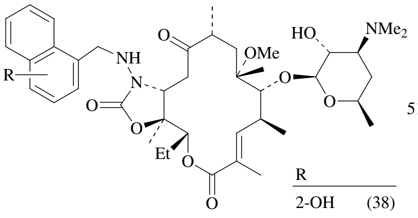
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{11}				
	Me H—N•HCl H	Na(CN)BH_3, MeOH, 72 h	 (80)	1644
		Na(CN)BH_3, pH 6, MeOH:H_2O (1:1)	 (—)	1045
		NaBH$(OAc)_3$, DCE, 16 h	 (—)	279
		1. HOAc, MeOH, 1 h 2. Na(CN)BH_3, MeOH, 6 h 3. 8 h	 (32)	1645
	NH_4OAc	1. MeOH, 30 min 2. Na(CN)BH_3	 (78)	1646
		NaBH$(OAc)_3$,DCE, 16 h	 (86)	1647
		Na(CN)BH_3, MeOH, 2 d	 X / n / R Fe 1 CO_2Me (49) Fe 2 4-imidazolyl (55) ^{103}Ru 2 4-imidazolyl (23)	1139
		1. MeOH, 60°, 30-60 min 2. $NaBH_4$, 0° 3. 60°, 1 h	 X / n / R Fe 1 Ph (80) Fe 2 Ph (60) Fe 2 4-HOC_6H_4 (57) ^{103}Ru 1 Ph (40) ^{103}Ru 2 Ph (10) ^{103}Ru 2 4-HOC_6H_4 (50)	1139
		$NaBH_4$, *p*-TsOH, MeOH, 60°, 1 h	 (20)	1139
C_{11-13}				
		Na(CN)BH_3, HOAc, MeOH, 3 h	 R 2-OH (38) 4-NMe_2 (20)	514

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

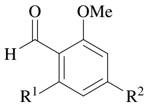
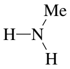
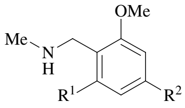
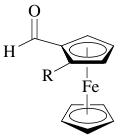
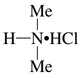
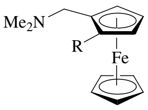
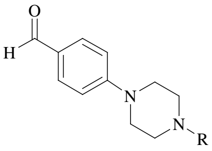
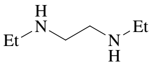
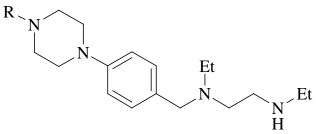
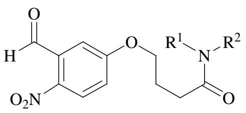
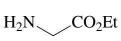
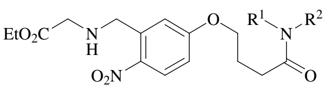
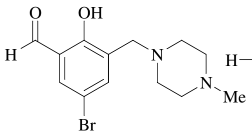
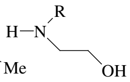
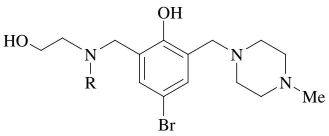
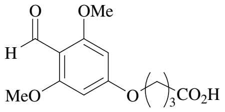
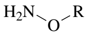
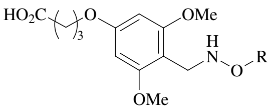
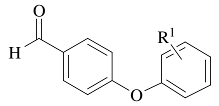
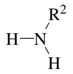
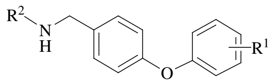
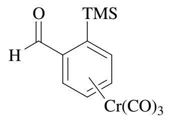
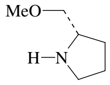
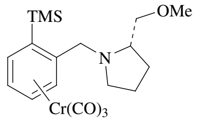
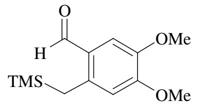
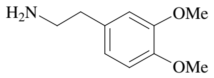
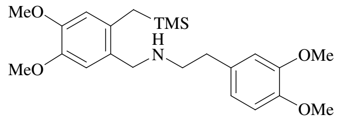
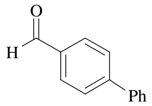
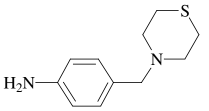
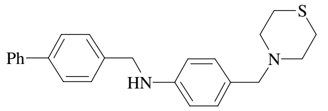
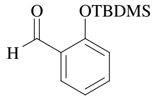
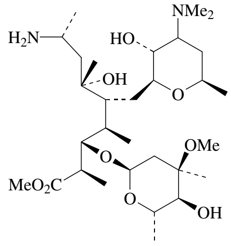
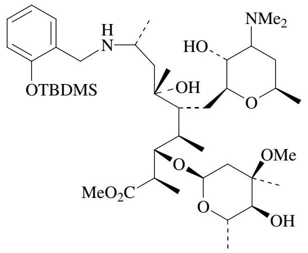
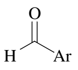
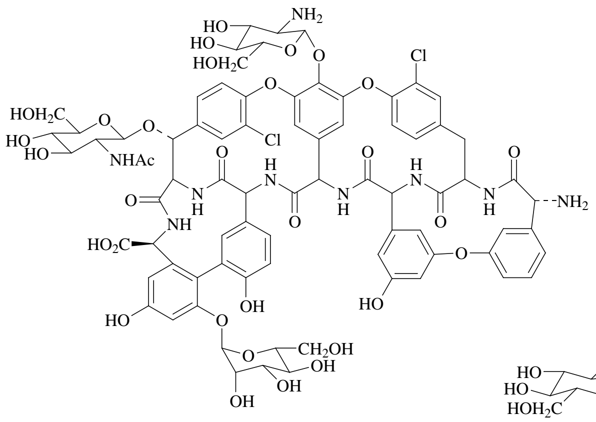
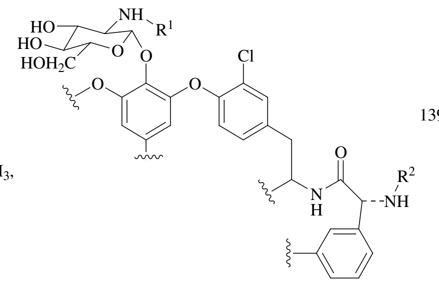
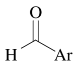
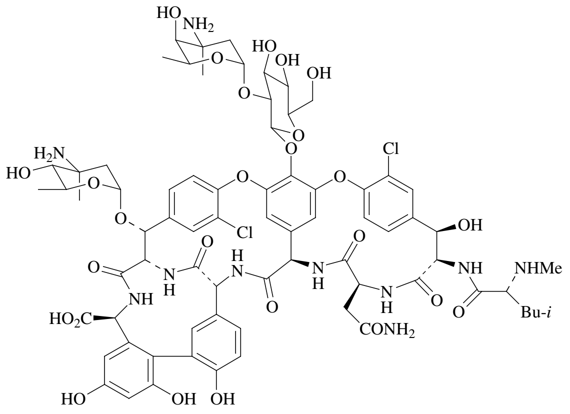
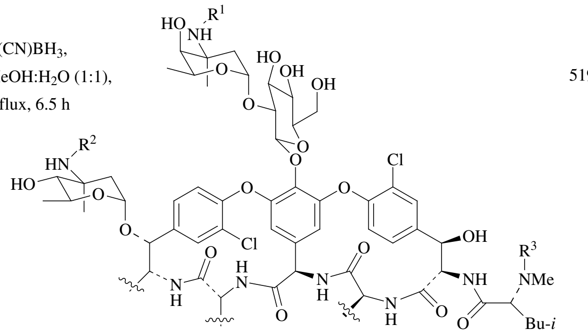
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{12}				
	 8.0 M in EtOH	$Na(CN)BH_3$, HOAc, pH 6.5, EtOH, 16 h	 R^1 / R^2 $CH(Me)NMe_2$ / H (64) H / $CH(Me)NMe_2$ (60)	1643
$C_{12\text{-}14}$				
		1. $NaBH(OAc)_3$, THF, 1 h 2. $NaBH(OAc)_3$, 1 h	 R Me (55) TMS (68)	1648
$C_{12\text{-}16}$				
		$NaBH(OAc)_3$, HOAc, CH_2Cl_2, 8 h	 R Me (—) Et (—) *n*-Pr (—) *i*-Pr (82) Boc (—)	648
$C_{12\text{-}30}$				
		1. EtOH, 30 min 2. $Na(CN)BH_3$, 3 h	 (—) R^1 / R^2 H / Me —$(CH_2)_2O(CH_2)_2$— *c*-C_6H_{11} / $(CH_2)_2OH$ *c*-C_6H_{11} / CH_2CO_2H —1-indolinyl— Bn / Bn —1-perhexylenyl—	832
C_{13}				
		1. Dean-Stark, toluene, reflux, 17 h 2. $NaBH_4$, 0°, 2 h	 R Me (95) CH_2CH_2OH (92)	1129
	 R = $CH_2CH{=}CH_2$, THP	1. TMOF, 2 h 2. $Na(CN)BH_3$, HOAc, 18 h	 (—)	510

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, EtOH		1649

R^1	R^2	
3-F	c-C_7H_{13}	(91)
4-F	$(CH_2)_2OEt$	(100)
4-F	c-C_6H_{11}	(95)
4-F	c-C_7H_{13}	(100)
4-F	Bn	(72)
4-F	$(CH_2)_2Ph$	(98)
4-F	(R)-CH(Me)Ph	(95)
4-F	(S)-CH(Me)Ph	(100)
4-F	$CH_2C_6H_4OMe$-4	(89)
4-F	$(CH_2)_3Ph$	(83)
4-F	$C(Me)_2Ph$	(97)
4-F	$CH_2C_6H_3(Me)_2$-2,6	(98)
4-Br	c-C_7H_{13}	(95)
4-Br	Bn	(87)

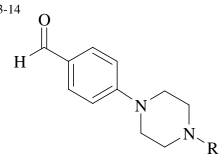
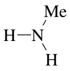
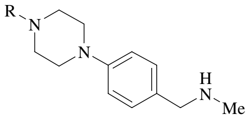
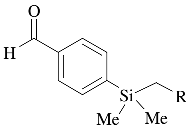
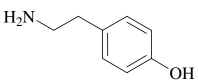
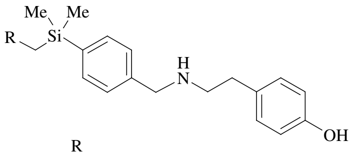
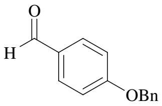
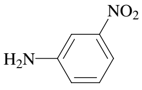
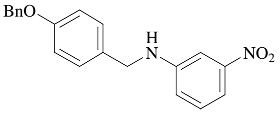
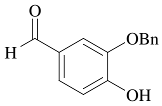
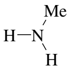
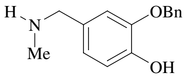
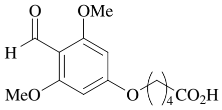
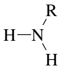
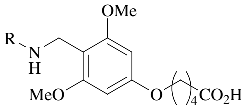
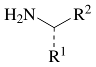
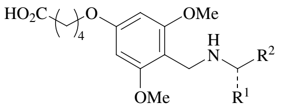
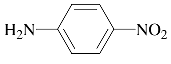
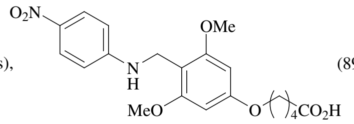
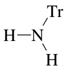
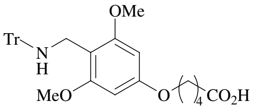
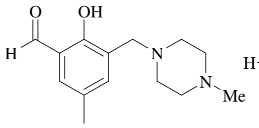
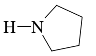
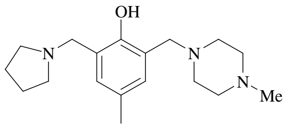
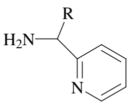
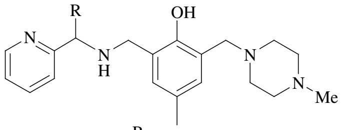
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $TiCl_4$, CH_2Cl_2, NEt_3, 1 h 2. $Na(CN)BH_3$, 5 h	 (32)	82
		$NaBH_4$	 (91)	1650
		1. Glacial HOAc 2. $Na(CN)BH_3$	 (—)	1651
		$Na(CN)BH_3$	 (—)	1652

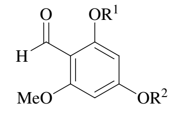
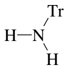
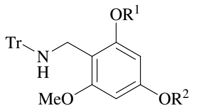
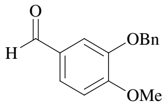
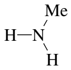
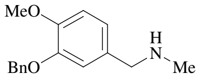
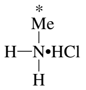
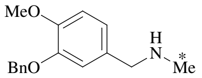
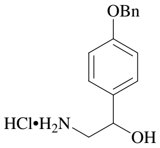
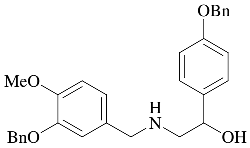
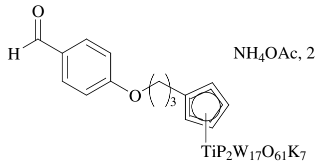
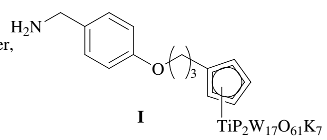
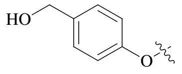
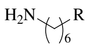
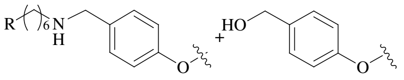
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
 Ar = 4-biphenyl		1. $Cu(OAc)_3$, MeOH:DMF (1:1), rt, 10 min 2. Aldehyde, $Na(CN)BH_3$, 70°, 18 h	 **I** (3) + **II** (13) + **III** (17)	1396
 Ar = 4-(4-ClC_6H_4)C_6H_4		$Na(CN)BH_3$, MeOH:H_2O (1:1), reflux, 6.5 h		519

	R^1	R^2
I	CH_2Ar	H
II	H	CH_2Ar
III	CH_2Ar	CH_2Ar

R^1	R^2	R^3	
CH_2Ar	H	H	(15)
H	CH_2Ar	H	(21)
CH_2Ar	CH_2Ar	H	(26)
CH_2Ar	H	CH_2Ar	(11)
CH_2Ar	CH_2Ar	CH_2Ar	(5)

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{13-14} 	 40% in MeOH	$NaBH(OAc)_3$, HOAc, CH_2Cl_2, 2 h	 R Et (—) *i*-Pr (99)	648
C_{13-20} 		1. HOAc, MeOH, 2 h 2. $Na(CN)BH_3$, 16 h	 R CH_2CO_2Me (61) $OC_6H_4(OCH_2CH_2CO_2Me)$-4 (74)	1653
C_{14} 		$Na(CN)BH_3$, MeOH, 0°	 (90)	1654
	 33% in MeOH	1. MeOH, rt, 1 h 2. $NaBH_4$, 10°, 1 h	 (93)	1655
	 R = Me, Et, $(CH_2)_2Ph$	1. MeOH (abs), 0-25° 2. Reflux, 2 h 3. $Na(CN)BH_3$, 25°, 2 h	 (—)	347, 1656, 1657, 1658
		$Na(CN)BH_3$, MeOH, 1 h	 R^1 R^2 H CO_2Me (83) H $CO_2CH_2CH{=}CH_2$ (95) H $CH(OMe)_2$ (42) Me CO_2Bu-*t* (78) *i*-Bu CO_2Bu-*t* (63) Bn CO_2Me (57) Bn CO_2Bu-*t* (66)	815, 887
		$Na(CN)BH_3$, MeOH (abs), reflux, 15 min	 (89)	347, 1657
		1. MS 3 Å, MeOH, reflux 2. $Na(CN)BH_3$	 (—)	1656
		$Na(CN)BH_3$, NaOAc, MeOH, 18 h	 (36)	1115
		1. MeOH, 1 h to 1.5 d 2. $NaBH_4$, 2 h	 R Me (67) 2-pyridyl (52)	1115

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{14-21} 		1. MS 3 Å, MeOH, reflux, 6 h 2. $Na(CN)BH_3$, 15 min 3. 2 h		346
C_{15} 	 (30% aq)	1. MeOH, 1 h 2. KBH_4, 2 h	 (68)	217
	 * = ^{14}C	1. NaOH (4 N aq), MeOH, 2 h 2. $NaBH_4$, 2 h	 (—)	217
		1. $NaHCO_3$, MeOH, 50°, 2 h 2. $NaBH_4$, 0°, 30 min 3. Reflux, 2 h 4. $NaBH_4$	 (53)	1623
	NH_4OAc, 2 M	1. $Na(CN)BH_3$ in NH_4OAc (2 M) buffer, 1 d 2. Repeat 4 times	 I +  II (92) **I**:**II** = 9:1	730
		1. $Na(CN)BH_3$, phosphate buffer, pH 6.5, 1 d 2. Repeat 9 times	 I + II	730

R^1	R^2	
Me	$(CH_2)_4CO_2H$	(57)
$(CH_2)_4CO_2Me$	Me	(89)
Me	$(CH_2)_4CO_2Et$	(98)
$(CH_2)_4CO_2Bn$	Me	(79)

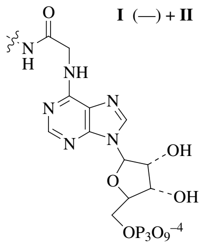
R	
OPO_3^{2-}	**I** (70)
	**I** (—) + **II** (—)

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

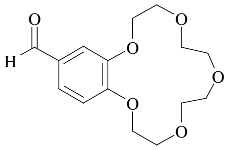
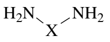
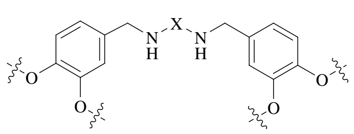
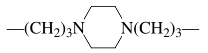
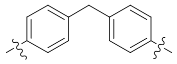
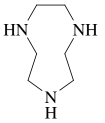
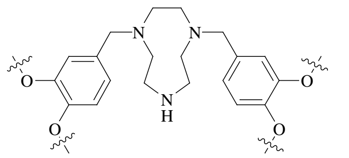
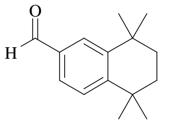
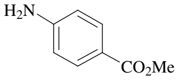
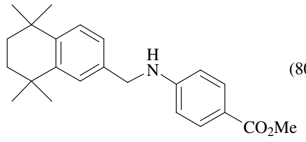
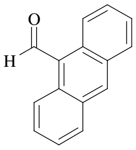
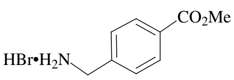
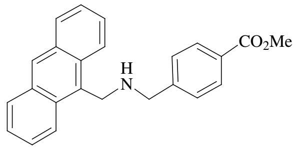
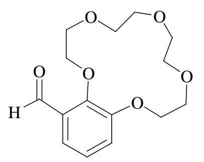
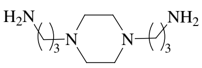
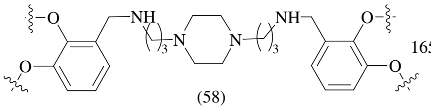
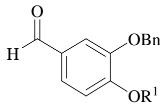
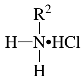
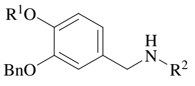
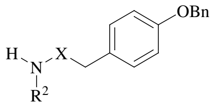
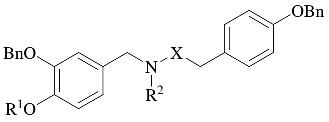
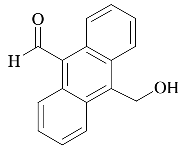
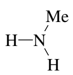
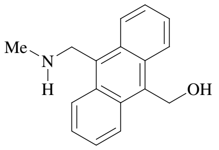
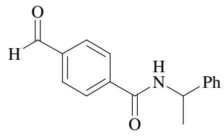
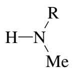
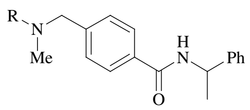
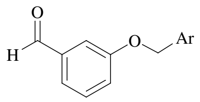
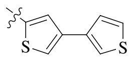
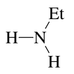
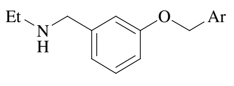
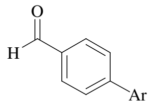
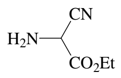
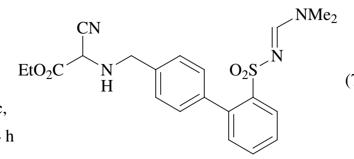
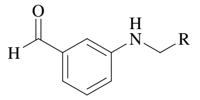
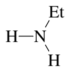
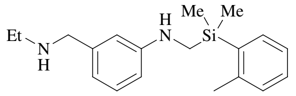
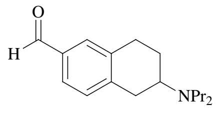
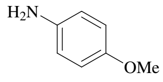
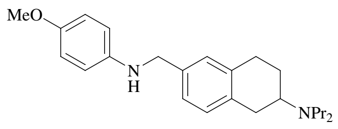
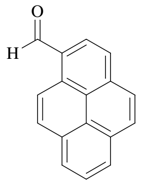
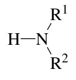
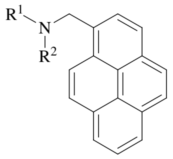
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, HOAc, DCE, overnight	 X  (64) —$(CH_2)_2NH(CH_2)_2$— (71) —$(CH_2)_2O(CH_2)_2$— (51)  (78)	1659
		$NaBH(OAc)_3$, HOAc, DCE, overnight	 (58)	1659
		1. HOAc, MeOH, 5 min 2. $Na(CN)BH_3$, 5 min	 (80)	1635
		1. MS, MeOH 2. $NaBH_4$	 (71)	1660
		$NaBH(OAc)_3$, HOAc, DCE, overnight	 (58)	1659
		1. NaOH (4 N aq), MeOH, 1 h 2. KBH_4, 2 h	 R^1 / R^2 Bn / Me (83) $^{13}CH_3$ / $^{13}CH_3$ (—)	218
		1. MeOH, 1 h 2. KBH_4, 2 h	 R^1 / R^2 / X Me / H / CH_2 (85) Bn / H / CH_2 (78) Bn / H / $^{14}CH_2$ (—) $^{14}CH_3$ / H / $^{14}CH_2$ (—)	218
C_{16}				
		1. MeOH, 4-5 h 2. $NaBH_4$, 2 h	 (89)	1661
	 40% (aq)	1. $NaBH_4$, reflux, 20 min 2. $NaBH_4$, reflux, 3 h	 R H (58) Me (66)	1304

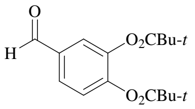
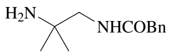
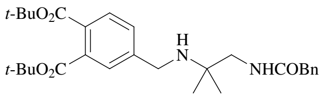
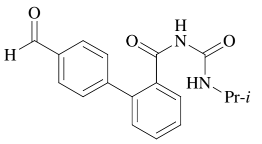
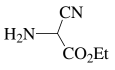
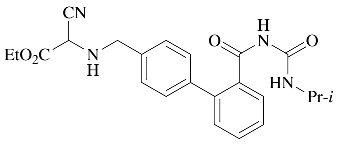
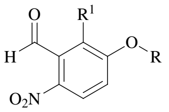
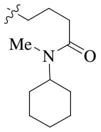
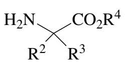
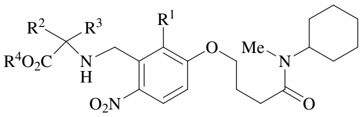
TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
 Ar = 		$NaBH_4$, EtOH	 (92)	1662
 Ar = $C_6H_4(SO_2N{=}CHNMe_2)$-2		1. Amberlyst 15, CH_2Cl_2, reflux, 7 h 2. $NaBH_4$, glacial HOAc, CH_2Cl_2, 10° to rt, 14 h	 (72)	251
C_{17}  R = $SiMe_2C_6H_4Me$-2		$NaBH_4$, EtOH	 (85)	1663
		$NaBH(OAc)_3$, HOAc, CH_2Cl_2	 (57)	1359
		1. *p*-TsOH•H_2O, PhMe, reflux 2. Na(CN)BH_3, HCl (1 M) in MeOH, bromocresol green, pH 6-7, EtOH, 2-3 d		1119

R^1	R^2	
H	CH_2CH_2CN	(13)
H	CH_2CH_2OMe	(43)
$(CH_2)_2OH$	CH_2CH_2OH	(11)
H	Bn	(34)
H	CH_2CH_2Ph	(36)
H	$(CH_2)_3Ph$	(5)
H	$(CH_2)_4Ph$	(57)
H	$CH_2C_6H_3Cl_2$-3,4	(24)
H	$(CH_2)_3OPr$-*i*	(20)
H	$CH_2CH{=}CH_2$	(38)
H	*n*-Pr	(31)
H	$(CH_2)_4NH_2$	(18)
H	$(CH_2)_5NH_2$	(18)
H	$(CH_2)_6NH_2$	(15)
H	$(CH_2)_2NHPh$	(16)
H	$(CH_2)_3NMe_2$	(13)
H	3-(1-morpholino)propyl	(25)
H	$CH(Me)(CH_2)_3NEt_2$	(51)
H	$(CH_2)_2NEt_2$	(30)
H	3-(*N*-cyclohexyl)aminopropyl	(16)
H	$(CH_2)_2NHCH_2CH_2OH$	(23)
H	$(CH_2)_2NHCH_2CH(Me)OH$	(25)
H	$(CH_2)_3N(CH_2CH_2OH)_2$	(23)
H	$(CH_2)_3N(Me)(CH_2)_3NH_2$	(29)
H	$(CH_2)_3N(CH_2CH_2)_2N(CH_2)_3NH_2$	(21)
H	$(CH_2)_2OH$	(41)
H	$(CH_2)_3OH$	(29)
H	$(CH_2)_4OH$	(63)
H	$(CH_2)_5OH$	(36)
H	$CH(Me)CH_2OH$	(26)
H	$CH_2CH(Me)OH$	(28)
H	$(CH_2)_2OH$	(40)

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

R^1	R^2	
Me	C(Me)$_2$CH$_2$OH	(35)
H	CH$_2$C(Me)$_2$OH	(19)
H	CH(Pr-*i*)CH$_2$OH	(15)
H	CH(CH$_2$Pr-*i*)CH$_2$OH	(41)
H	CH[CH(Me)Et]CH$_2$OH	(46)
H	(CH$_2$)$_2$CH(Me)OH	(41)
H	(CH$_2$)$_3$C(Me)$_2$CH$_2$OH	(40)
H	CH$_2$CH(Et)OH	(44)
H	CH(Et)CH$_2$OH	(47)
H	CH(Ph)CH$_2$OH	(31)
H	CH$_2$CH(Ph)OH	(28)
H	CH(Me)CH(Ph)OH	(6)
H	CH$_2$CH(OH)CH$_2$OH	(26)
H	CH(CH$_2$OH)$_2$	(52)
H	C(Me)(CH$_2$OH)$_2$	(49)
H	C(Et)(CH$_2$OH)$_2$	(23)
H	C(CH$_2$OH)$_3$	(42)
H	C(C$_5$H$_{11}$)(CH$_2$OH)$_2$	(35)
H	CH(CH$_2$OH)CH(Ph)OH	(23)
H	C(Me)CH(CH(CH$_3$)OH)CH$_2$OH	(17)
H	2-amino-2-deoxyglucosyl	(20)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, HOAc, pH 4, MeCN	 (—)	1664
C$_{18}$ 		1. CH$_2$Cl$_2$, 35°, 6 h 2. NaBH$_4$, glacial HOAc, CH$_2$Cl$_2$, 10°, 6 h	 (68)	251
 R = 		1. EtOH (abs) 2. Na(CN)BH$_3$, 3 h		1111, 1665

R^1	R^2	R^3	R^4	
H	H	H	NH$_2$	(90)
H	H	H	OBu-*t*	(—)
H	H	Me	OMe	(—)
H	Me	Me	OMe	(—)
H	—(CH$_2$)$_2$—		OMe	(—)
H	H	Et	OMe	(—)
H	H	CH$_2$OH	OMe	(—)
H	H	CH(OH)Me	OMe	(—)
H	H	*i*-Pr	OMe	(—)
H	H	Ph	OMe	(—)
H	H	Bn	OMe	(—)
Cl	H	H	OEt	(—)

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

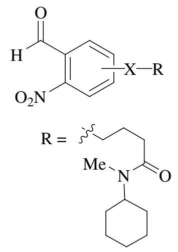
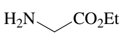
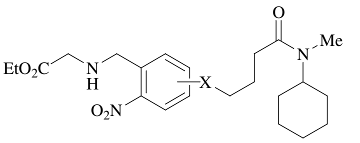
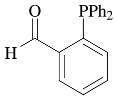
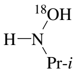
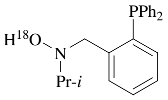
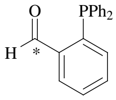
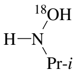
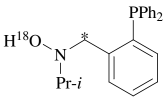
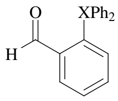
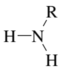
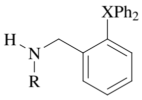
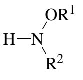
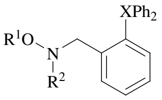
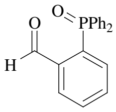
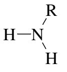
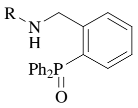
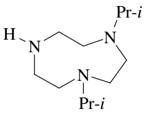
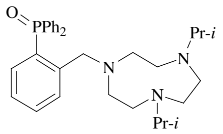
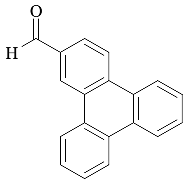
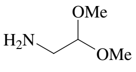
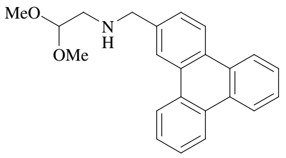
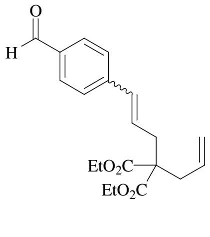
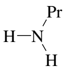
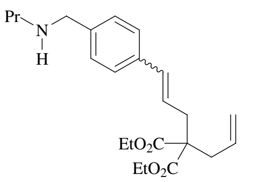
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. EtOH, 30 min 2. Na(CN)BH$_3$, 3 h	 Substitution X 3 O (—) 4 O (—) 5 O (—) 5 S (—) 6 O (—)	832, 1665
C$_{19}$				
		1. EtOH, 13 h 2. Amine, 3.5 h 3. BH$_3$•pyridine, 0° 4. 25°, 22.5 h	 (45)	506
 * = ^{13}C		1. EtOH, 33.5 h 2. Amine, 11 h 3. BH$_3$•pyridine, 23 h	 (45)	506
		1. MeOH, 8 h 2. BH$_3$•pyridine, 3 h	 X R P Me (76) P=O Me (71) P=O *i*-Pr (57)	506
		1. EtOH, 6-20 h 2. BH$_3$•pyridine, 0° 3. 25°, 2-5 h	 X R^1 R^2 P H Me (64) P H *i*-Pr (67) P Me Me (53) P=O H Me (38)	506
		1. EtOH, 36-72 h 2. BH$_3$•pyridine	 R *i*-Pr (—) *t*-Bu (44)	1666
		NaBH(OAc)$_3$, DCE	 (—)	1667
		Na(CN)BH$_3$, glacial HOAc, pH 7, MeOH	 (71)	1668
		Na(CN)BH$_3$, HOAc, DMF, 12 h	 (88)	914

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

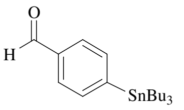
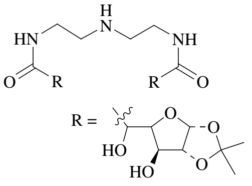
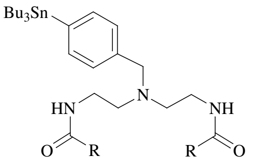
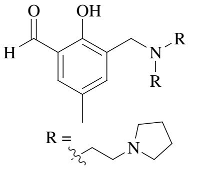
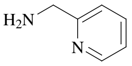
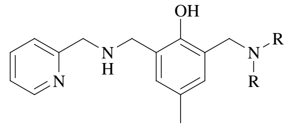
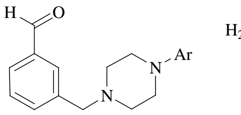
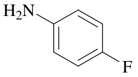
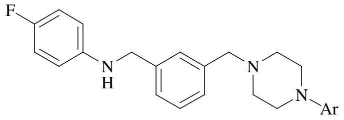
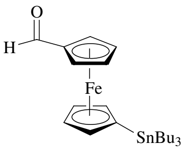
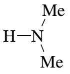
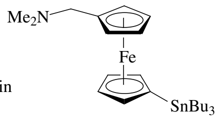
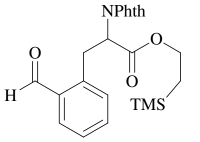
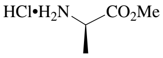
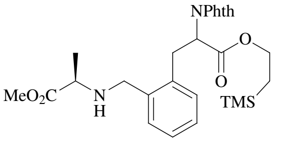
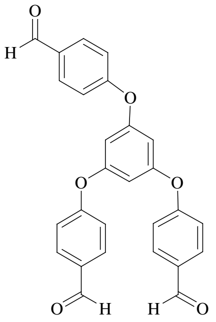
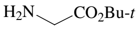
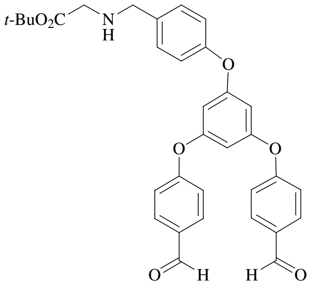
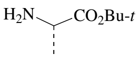
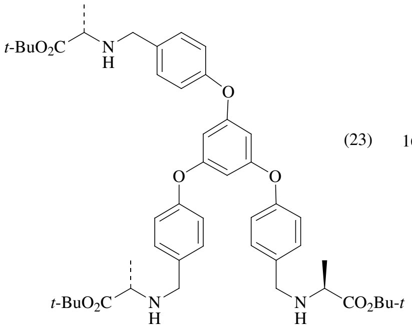
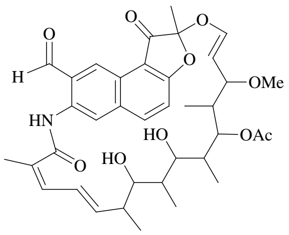
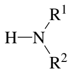
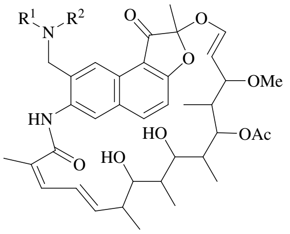
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, pH 3.4	 (—)	395
C_{21}				
		1. MeOH, 1 h 2. $NaBH_4$, 2 h	 (52)	1115
 Ar = 2-*i*-$PrOC_6H_4$		$NaBH(OAc)_3$, HOAc, DCE	 (26)	1669
C_{23}				
		1. Camphorsulfonic acid, 0°, 2 min 2. $Na(CN)BH_3$, 25°, 30 min	 (62)	1670
		$Na(CN)BH_3$	 (69)	1671
C_{24}				
	 (1 eq)	$Na(CN)BH_3$, MeOH	 (20)	1672
	 (3 eq)	$Na(CN)BH_3$, MeCN:MeOH (1:1)	 (23)	1672

TABLE IF. AROMATIC ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{37} 		$Na(CN)BH_3$, HCl (5 N) in MeOH, 3 h		823

R^1	R^2	
H	H	(14)
H	Me	(31)
H	Et	(24)
H	*n*-Pr	(60)
H	Bn	(42)
Me	$(CH_2)_2OH$	(38)
—$(CH_2)_2NMe(CH_2)_2$—		(47)

TABLE IG. HETEROAROMATIC ALDEHYDES

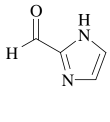
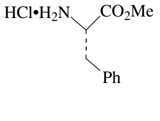
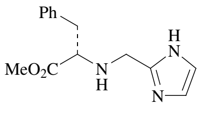
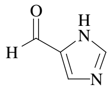
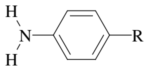
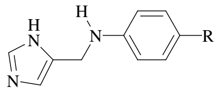
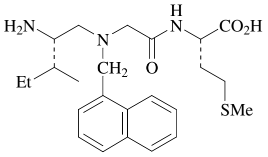
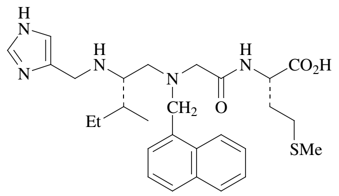
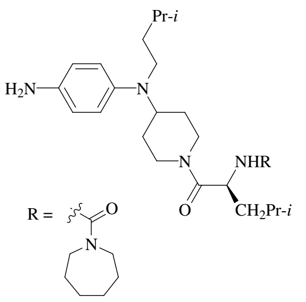
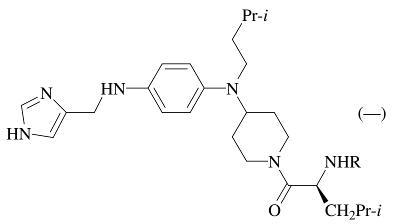
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_4				
		1. MeOH, 5 min 2. $Na(CN)BH_3$, overnight	 (65)	121
		1. MeOH, 55-60°, 16 h 2. $NaBH_4$, 0° 3. rt, 2 h	 R H (—) F (—) Cl (77)	1490
		$Na(CN)BH_3$, KOAc, MS 4 Å, MeOH	 (—)	1673
		$NaBH(OAc)_3$, CH_2Cl_2	 (—)	1370

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

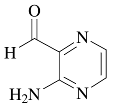
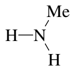
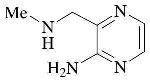
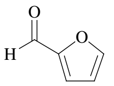
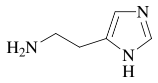
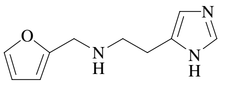
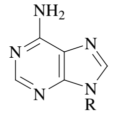
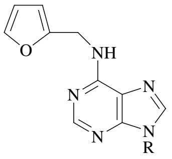
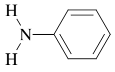
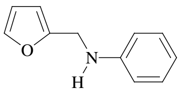
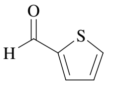
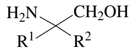
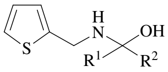
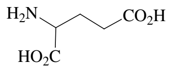
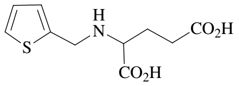
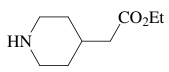
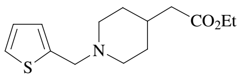
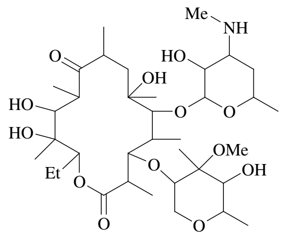
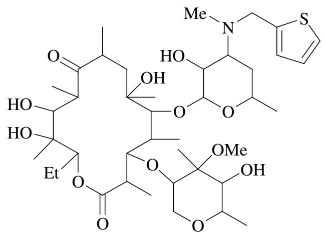
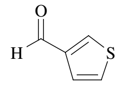
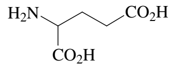
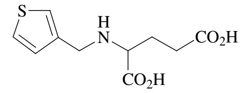
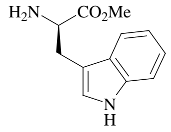
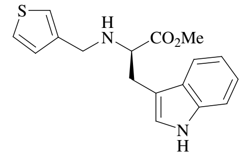
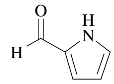
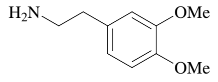
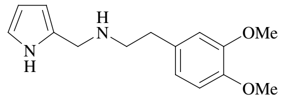
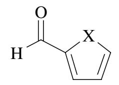
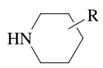
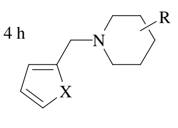
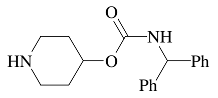
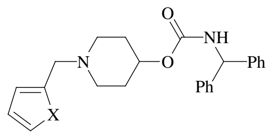
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_5				
		1. $Na(CN)BH_3$, EtOH (abs), 2 h 2. $NaBH_4$, 2 h	 (81)	1674
		1. NaOAc, MS 3 Å, MeOH, 45 min 2. $Na(CN)BH_3$, 1.5 h	 (24)	1221
		$Na(CN)BH_3$, H_2O, MeOH, HCl (0.2 M), 37°, 28 h	 R H (—) β-D-ribofuranosyl (85)	475
		$NaBH(OAc)_3$, HOAc, 0° to rt, overnight	 (99)	1490
		1. MeOH, HOAc, pH 6 2. $Na(CN)BH_3$, 60-72 h	 R^1 R^2 Me Me (89) H Et (82)	1600
		$NaBH_4$, EtOH	 (—)	986
		1. TEA, MS 4 Å, MeOH, 1.5 h 2. $Na(CN)BH_3$, 12 h	 (68)	1597
		$Na(CN)BH_3$, MeOH, dark, 6 h	 (8)	772
		$NaBH_4$, EtOH	 (—)	986
		$NaBH(OAc)_3$, TEA, CH_2Cl_2, 24 h	 (—)	975
		1. K10 Clay, 10 min, microwaves 2. $NaBH_4$/Clay, H_2O, microwaves, 30 sec, 65°	 (81)	215
		1. BH_3•pyridine, EtOH, 4 h 2. Aldehyde, BH_3•pyridine	 X R O 2-CO_2Et (75) O 4-CO_2Et (71) S 4-CO_2Et (80)	299
		$NaBH(OAc)_3$, DCE, overnight	 X O (88) S (31) NH (50)	1610

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

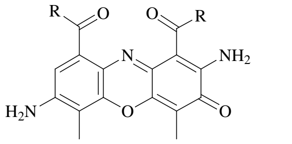
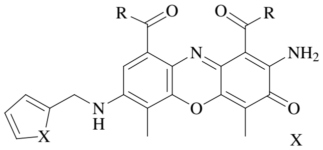
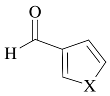
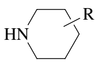
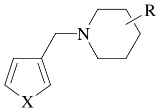
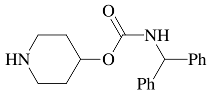
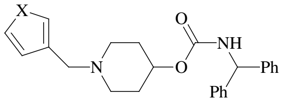
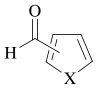
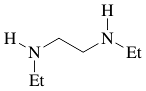
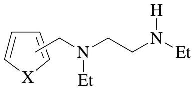
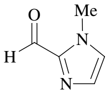
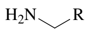
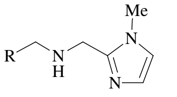
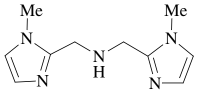
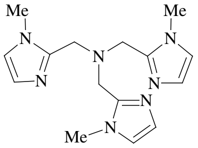
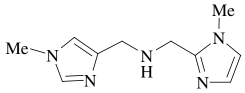
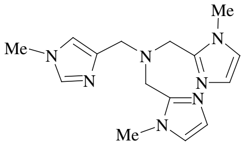
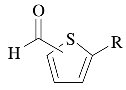
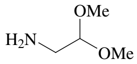
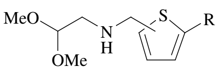
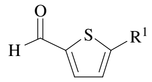
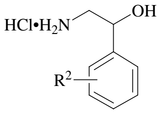
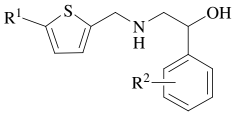
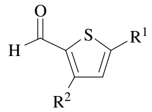
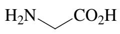
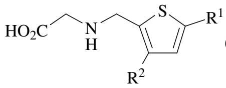
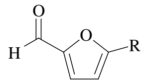
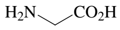
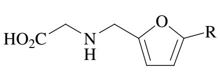
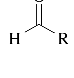
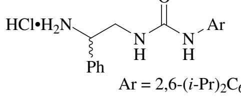
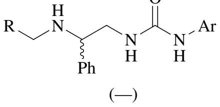
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 R = —L-Thr—D-Val—L-Pro—Sar, —O—L-MeVal—	1. MS 4 Å, PhH, reflux, 60 min 2. BH_3•$HNMe_2$, 30 min	 X: NH (68); O (80)	308
		1. BH_3•pyridine, EtOH, 4 h 2. Aldehyde, BH_3•pyridine	 X, R: O, 2-CO_2Et (80); O, 3-CO_2Et (89); O, 4-CO_2Et (79); S, 4-CO_2Et (88)	299
		$NaBH(OAc)_3$, DCE, overnight	 X: O (90); S (31)	308
		$NaBH(OAc)_3$, HOAc, CH_2Cl_2	 2-furanyl (48); 2-thienyl (59); 3-thienyl (60)	1378
	 R = 2-imidazolyl, 2-pyridyl	$Na(CN)BH_3$, HOAc, MeOH	 (77-85)	1675
		$Na(CN)BH_3$, HOAc, MeOH	 (70)	1676
		$Na(CN)BH_3$, HOAc, MeOH	 (73)	1676
C_{5-6}				
		1. *p*-TsOH, EtOH, reflux, 2 h 2. $NaBH_4$, rt 3. Reflux, 2 h	 R: H, 3-thienyl (93); H, 2-thienyl (—); F, 2-thienyl (—); Cl, 2-thienyl (—); Me, 2-thienyl (—)	165
		1. K_2CO_3, TFA, C_6H_6, reflux, 4 h 2. $NaBH_4$, MeOH, 5°, 30 min	 R^1, R^2: Cl, 3-OH (78); Cl, 4-Br (57); Me, 4-Br (68)	1677
		$Na(CN)BH_3$, HOAc, MeOH, 4 h, rt	 (—) R^1, R^2: H, H; Me, H; MeO, H; H, MeO	1601
 R = H, Me, MeO		$Na(CN)BH_3$, HOAc, MeOH, 4 h, rt	 (—)	1601
	 Ar = 2,6-(*i*-Pr)$_2$$C_6H_3$	1. *p*-TsOH, EtOH, reflux, 2 h 2. $NaBH_4$, rt 3. Reflux, 2 h	 (—) R: 2-furanyl (–); 2-furanyl (+); 3-furanyl (–); 2-thienyl (+); 2-pyridinyl (+); 3-pyridinyl (+); 4-pyridinyl (+)	171

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

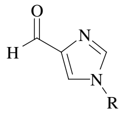
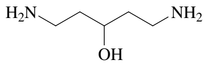
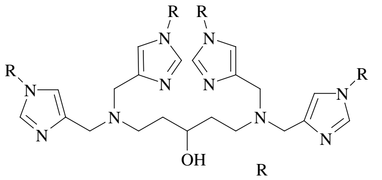
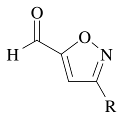
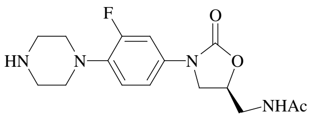
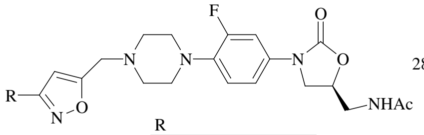
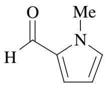
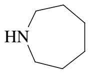
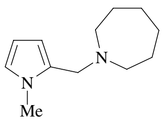
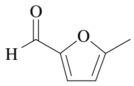
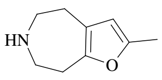
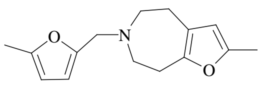
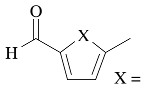
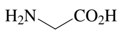
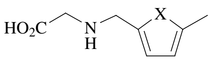
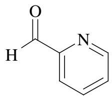
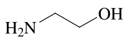
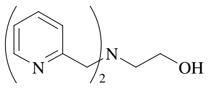
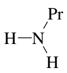
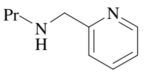
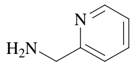
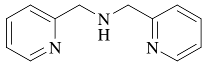
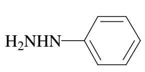
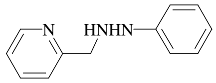
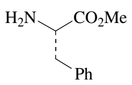
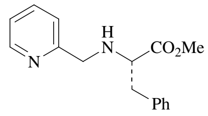
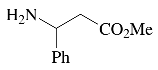
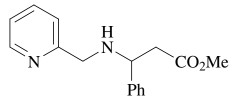
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{5-7} 		1. MeOH, 0° 2. $Na(CN)BH_3$, 0°, 2 h 3. rt, 12 h	 R Me (95) *i*-Pr (81)	1678
C_{5-16} 		$NaBH(OAc)_3$, MS 4 Å, CH_2Cl_2	 R Me (37) OMe (90) 2-thienyl (78) 2-pyridyl (52) 4-FC_6H_4 (61) 4-ClC_6H_4 (50) 4-MeC_6H_4 (55) 4-NCC_6H_4 (50) 3-$MeOC_6H_4$ (73) *cis*-CH=CHPh (73) 3,4-$(MeO)_2C_6H_3$ (78) 4-$PhOC_6H_4$ (69)	281
C_6 		$NaBH(OAc)_3$, HOAc, THF	 (91)	21
		$Na(CN)BH_3$, NaOAc (anhyd), MS 4 Å, MeOH, overnight	 (75)	1113
 X = O, S		$Na(CN)BH_3$, HOAc, MeOH, 4 h	 (—)	1605
		$Na(CN)BH_3$, HOAc, MeOH, 48 h	 (45)	689
		$Na(CN)BH_3$	 (—)	1679
		$Na(CN)BH_3$, HOAc, MeOH	 (77-85)	1675
		1. Heating, 1 h 2. BER, MeOH, rt, 1 h 3. $Pd(OAc)_2$	 (84)	226
		$NaBH(OAc)_3$, TEA, CH_2Cl_2	 (—)	975
		$NaBH(OAc)_3$, TEA, CH_2Cl_2	 (—)	975

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

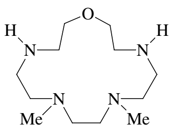
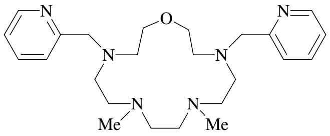
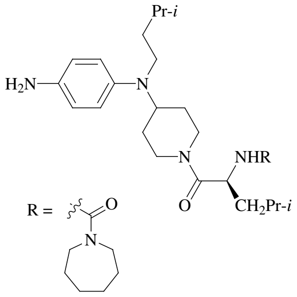
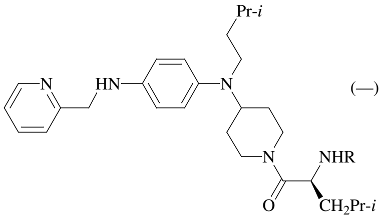
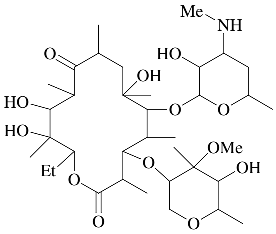
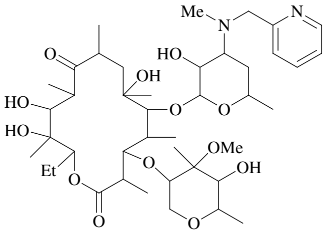
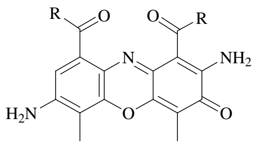
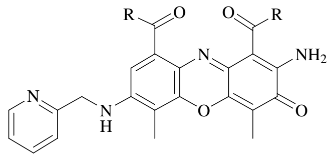
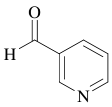
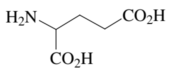
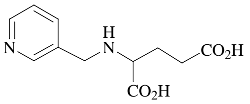
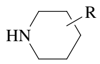
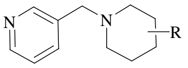
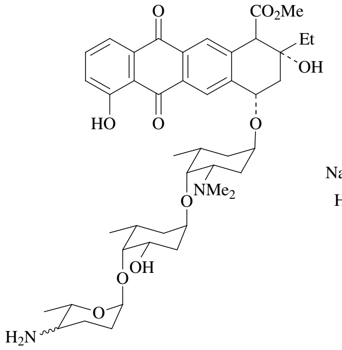
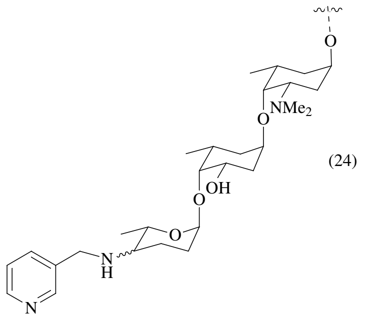
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		NaBH(OAc)$_3$, DCE	 (87)	728
		NaBH(OAc)$_3$, CH$_2$Cl$_2$	 (—)	1370
		Na(CN)BH$_3$, MeOH, dark, 6 h	 (29)	722
	 R = —L-Thr—D-Val—L-Pro—Sar; └—O—L-MeVal—┘	1. MS 4 Å, C$_6$H$_6$, reflux, 60 min 2. BH$_3$•HNMe$_2$, 30 min	 (69)	308
		NaBH$_4$, EtOH	 (—)	986
		1. BH$_3$•pyridine, EtOH, 4 h 2. Aldehyde, BH$_3$•pyridine	 R: 2-CO$_2$Et (21); 3-CO$_2$Et (22); 4-CO$_2$Et (28)	299
		Na(CN)BH$_3$, MeOH, HOAc, 3 h	 (24)	737

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

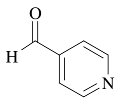
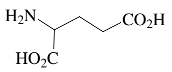
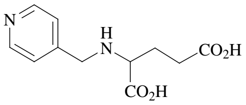
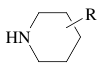
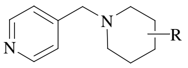
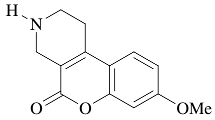
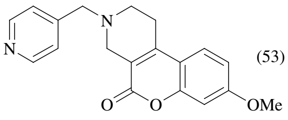
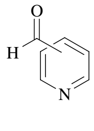
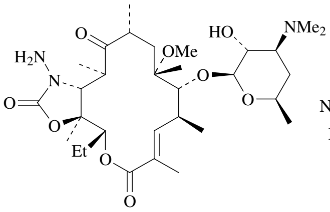
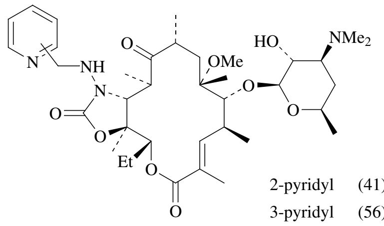
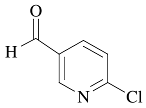
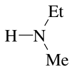
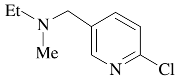
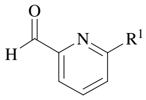
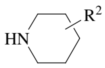
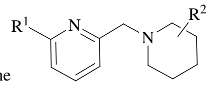
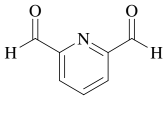
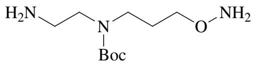
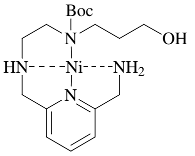
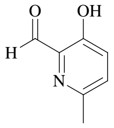
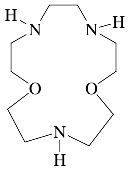
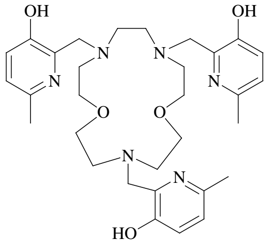
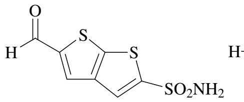
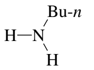
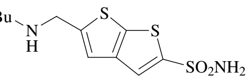
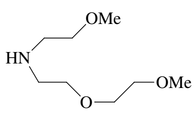
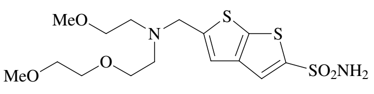
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, EtOH	 (—)	986
		1. BH_3•pyridine, EtOH, 4 h 2. Aldehyde, BH_3•pyridine	 R 4-OH (36) 2-CO_2Et (12) 3-CO_2Et (35) 4-CO_2Et (27)	299
		1. HOAc, 1,3-dimethyl-2-imidazolidinone, 10 min 2. $NaBH(OAc)_3$, 30 min 3. 18 h	 (53)	1604
		$Na(CN)BH_3$, HOAc, MeOH, 3 h	 2-pyridyl (41) 3-pyridyl (56) 4-pyridyl (44)	514
		1. $Na(CN)BH_3$, MS 4 Å, bromocresol green, EtOH (abs), 15 min 2. HCl (5%) in MeOH, 16 h	 (12)	1122
C_{6-7} 		1. BH_3•pyridine, EtOH, 4 h 2. Aldehyde, BH_3•pyridine	 R^1 R^2 H 2-CO_2Et (25) H 3-CO_2Et (22) H 4-CO_2Et (26) Me 4-CO_2Et (30)	299
C_7 		1. $NiCl_2$•H_2O, EtOH:H_2O (2:1) 2. HOAc, rt, 2 h 3. 80°, 6 h 4. $NaBH_4$, 0° 5. rt, overnight 6. 80°, 2 h	 (—)	764
		1. KOH, MeOH, 15 min 2. $Na(CN)BH_3$, 40 h	 (21)	1680
		1. HCl (6.7 M) in MeOH, MeOH, 50° 2. rt, 1 h 3. $NaBH_4$, THF, 0°, 30 min	 (—)	859
		1. Methanolic HCl, MeOH, 24 h 2. MS 4 Å, 6 d 3. $Na(CN)BH_3$, MeOH, THF, 48 h	 (—)	859

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

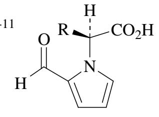
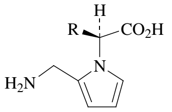
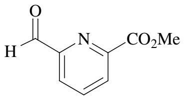
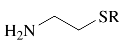
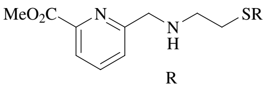
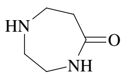
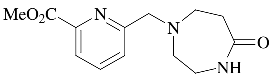
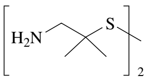
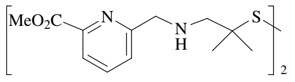
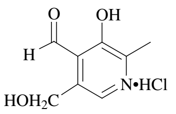
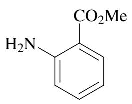
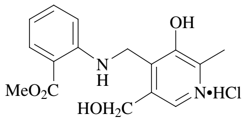
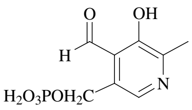
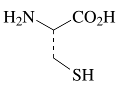
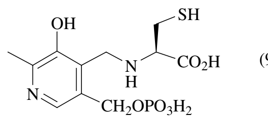
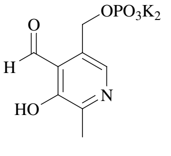
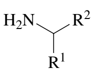
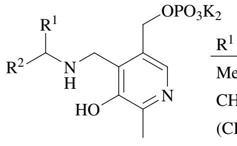
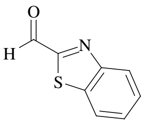
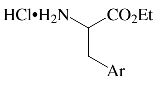
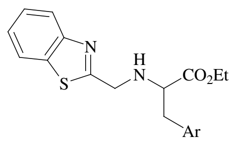
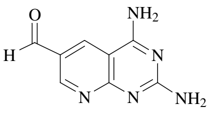
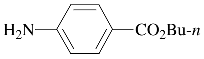
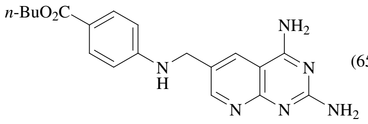
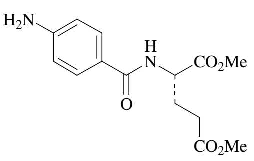
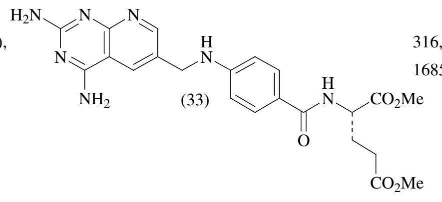
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{7-11} 	NH_4OAc	$Na(CN)BH_3$, MeOH, pH 7.25, 18 h	 R H (67) Me (67) *t*-Bu (49)	827
C_8 		1. MeOH, bromocresol purple, HCl (5 M) in MeOH, pH 6 2. MS 3 Å, 1.5 h 3. $Na(CN)BH_3$, 15 h	 R Me (70) $4\text{-}MeOC_6H_4CH_2$ (65)	1123
		$Na(CN)BH_3$	 (—)	1681
	2 HCl• 	1. MS 3 Å, bromocresol purple, MeOH, TFA, pH 6, 1 h 2. $Na(CN)BH_3$, 5 h	 (62)	1124
		1. MeOH (abs), HCl/MeOH, pH 4.5-5.0, 35° to 38°, 7 min 2. $Na(CN)BH_3$, HCl/MeOH, pH 4.5-5.0, 15 min	 (95)	1682
		1. Phosphate buffer (0.2 M), pH 6.9, 2 h 2. $NaBH_4$, 9 min	 (95)	1102
		1. MeOH, 30 min 2. $NaBH_4$ (0.02 M), 5°	 (—) R^1 / R^2 Me / CO_2H CH_2CO_2H / CO_2H $(CH_2)_2CO_2H$ / CO_2H Bn / CO_2H $4\text{-}HOC_6H_4CH_2$ / CO_2H $(CH_2)_2CO_2H$ / H	1683
	 Ar = $4\text{-}ClC_6H_4$	$Na(CN)BH_3$, MS 4 Å, EtOH, 23 h	 (16)	1684
		1. MS 3 Å, HOAc, 18 h reflux, 60 min 2. BH_3•H_2NBu-*t*, 18 h 3. 80°, 18 h 4. BH_3•H_2NBu-*t*, rt, 2 h 5. 70°, 40 h	 (65)	325
		$Na(CN)BH_3$, HCl (2.0 N), MeOH, 9 d	 (33)	316, 1685

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

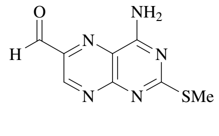
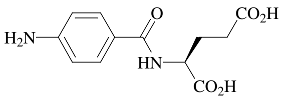
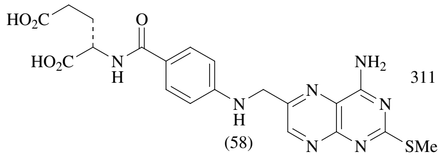
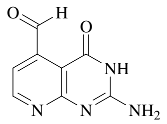
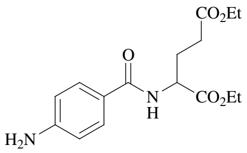
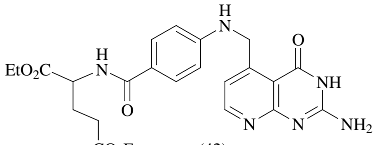
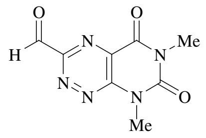
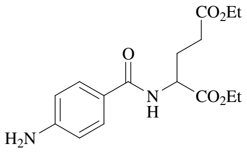
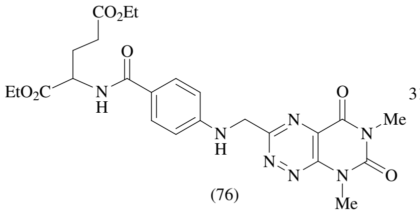
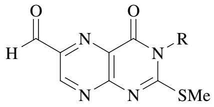
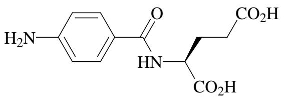
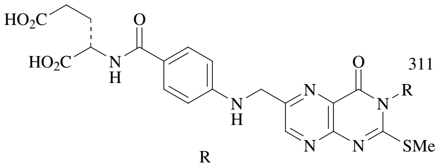
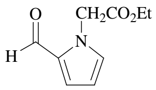
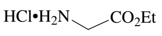
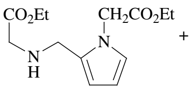
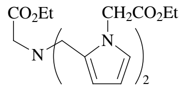
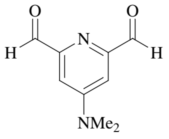
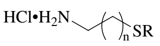
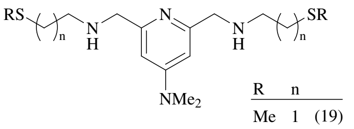
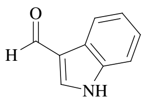
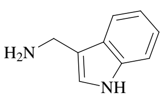
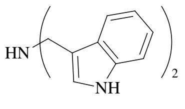
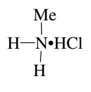
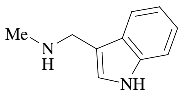
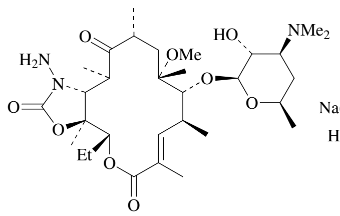
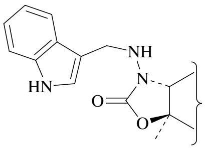
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Glacial HOAc, 4 h 2. BH_3•$HNMe_2$ in HOAc, 1.5 h	 (58)	311
		Na(CN)BH_3, EtOH, 2 d	 (42)	1686
		BH_3•$HNMe_2$, HOAc, 22 h	 (76)	313
$C_{8\text{-}9}$				
		1. Glacial HOAc, 1.5-4 h 2. BH_3•$HNMe_2$ in HOAc, 2-2.5 h	 R H (40) Me (48)	311
C_9 		Na(CN)BH_3, H_2O, MeOH, K_2HPO_4, 24 h	 (—) +  (—)	703
		Na(CN)BH_3, MeOH	 R n Me 1 (19) Me 2 (13) *t*-Bu 1 (49) *t*-Bu 2 (39) Tr 1 (41)	1687
	NH_4OH, 29%	Na(CN)BH_3, HOAc, 17-18°, 9 h	 (28) +  (4)	688
		1. MeOH (abs), KOH (5%) in MeOH, pH 11, MS 3 Å 2. Na(CN)BH_3, HOAc, pH 6.5, 55°, 2.5 h	 (76)	1688, 1689
		Na(CN)BH_3, MeOH, HOAc, 3 h	 (60)	514

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

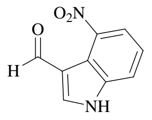
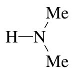
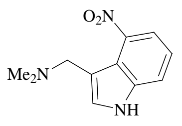
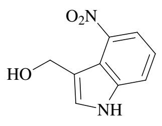
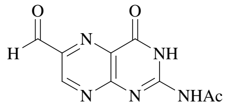
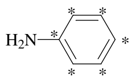
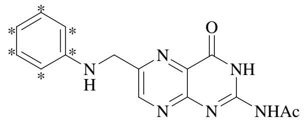
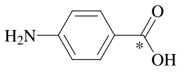
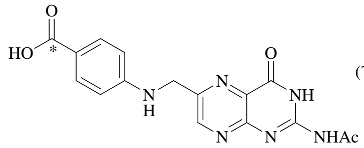
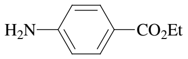
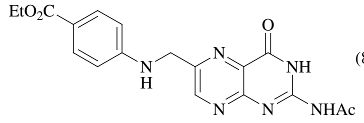
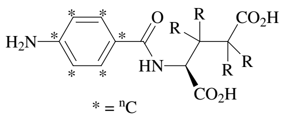
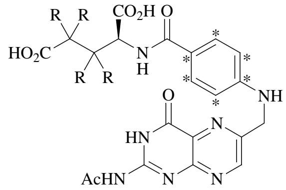
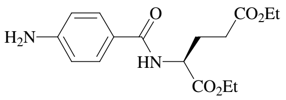
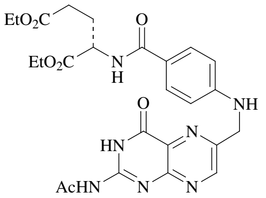
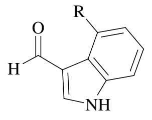
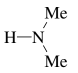
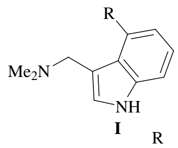
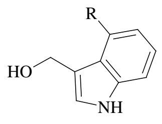
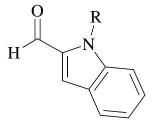
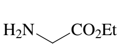
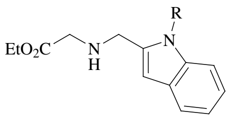
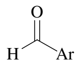
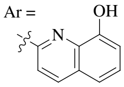
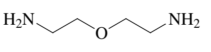
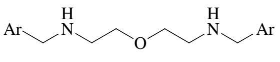
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 (50% aq)	$NaBH_4$, MeOH, 2.5 h	 (80) +  (18)	688
	 * = ^{n}C	BH_3•$HNMe_2$, HOAc	 n: 12 (80); 13 (—)	314
	 * = ^{13}C	BH_3•$HNMe_2$, HOAc, 60-70°, 10-15 min	 (70)	309
		1. Glacial HOAc 2. BH_3•$HNMe_2$, 20 min 3. 60°, 10 min	 (84)	306
	 * = ^{n}C	BH_3•$HNMe_2$, HOAc	 n, R: 12, ^{1}H (—); 13, ^{1}H (—); 12, ^{2}H (—)	315
		BH_3•$HNMe_2$, glacial HOAc	 (28)	310
C_{9-10}				
	 (50% aq)	1. MeOH, 30 min 2. $NaBH_4$, 0.5 to 2.5 h	 I +  II R, I, II: H (75) (25); I (86) (—); CN (73) (23); OMe (57) (19)	688
C_{9-16}				
		Na(CN)BH_3, MeOH	 R: H (80); Bn (79)	1690, 1691
C_{10}				
 Ar = 		1. MeOH, warming, 1 h 2. $NaBH_4$, 0° 3. rt, 1 h	 (80)	728

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

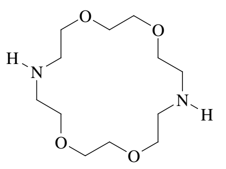
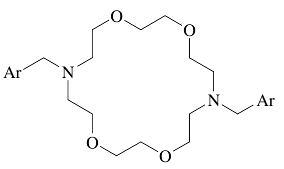
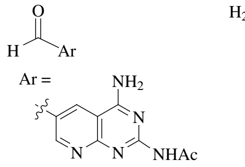
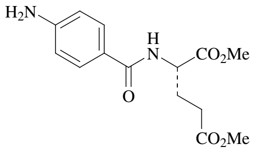
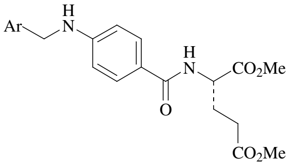
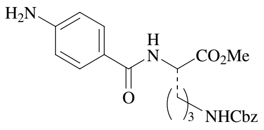
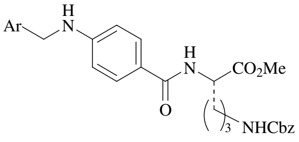
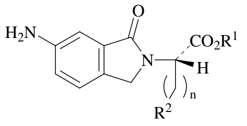
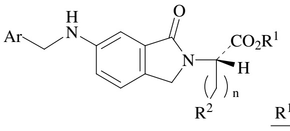
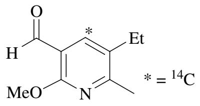
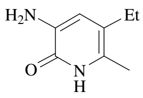
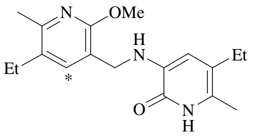
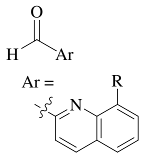
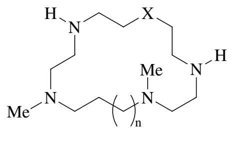
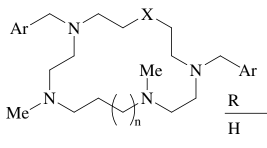
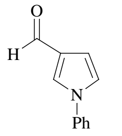
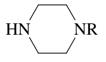
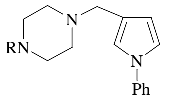
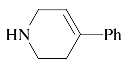
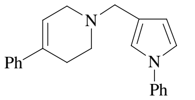
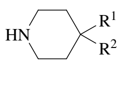
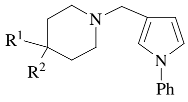
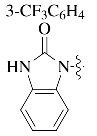
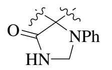
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, DCE, 12 h	 (77)	1692
		1. HOAc, 6 h 2. BH_3•NEt_3, 40 min 3. 60°, 10 min	 (62)	316, 1685
		1. HOAc, 18 h 2. BH_3•NEt_3, 1.5 h 3. 60°, 10 min	 (32)	312
		1. HOAc, 20-24 h 2. BH_3•NMe_3, 1 h 3. 60-65°, 10 min	 (—) R^1 / R^2 / n Et / Et / 2 Me / NHCbz / 3	315
		1. HOAc, toluene, 20 min 2. $NaBH(OAc)_3$, 2.5 h	 (—)	1145
C_{10-12} 		$NaBH(OAc)_3$, DCE	 R / X / n H / O / 0 (82) NO_2 / O / 0 (55) NO_2 / S / 0 (63) NO_2 / O / 1 (52) NO_2 / S / 1 (51) OAc / O / 0 (92) OAc / S / 0 (90) OAc / O / 1 (94) OAc / S / 1 (89)	728
C_{11} 		1. HOAc, MeOH, pH 6 2. $Na(CN)BH_3$, 3 h	 R 2-pyridinyl (72) Ph (55) 4-$MeOC_6H_4$ (60)	1693
		1. HOAc, MeOH, pH 6 2. $Na(CN)BH_3$, 3 h	 (88)	1693
		1. HOAc, MeOH, pH 6 2. $Na(CN)BH_3$, 3 h	 R^1 / R^2 Ph / H (83) 4-ClC_6H_4 / OH (78) 3-$CF_3C_6H_4$ / OH (46)  / H (72)  (94)	1693

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

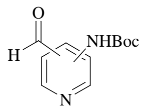
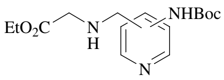
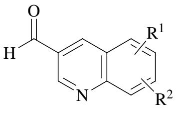
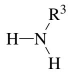
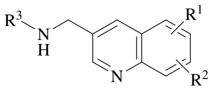
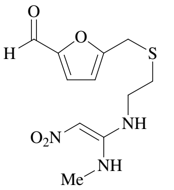
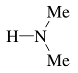
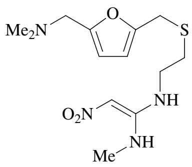
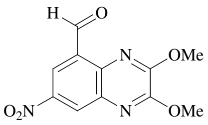
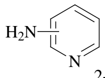
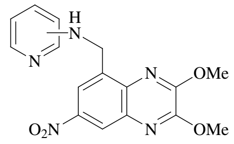
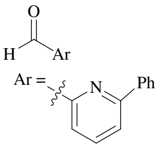
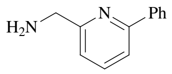
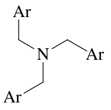
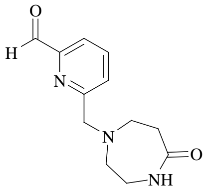
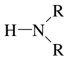
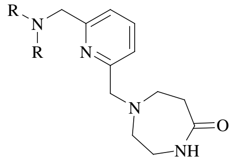
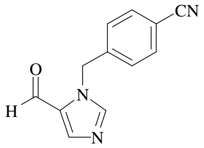
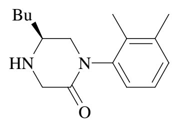
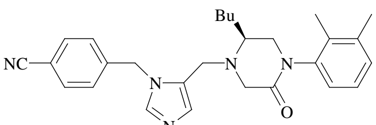
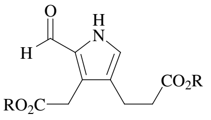
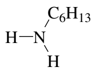
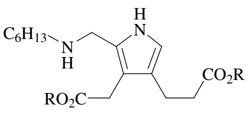
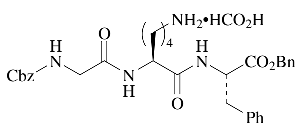
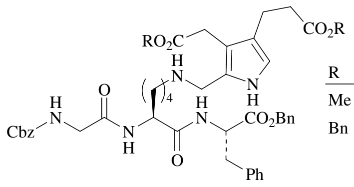
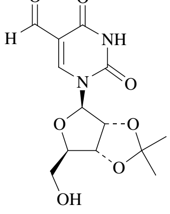
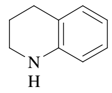
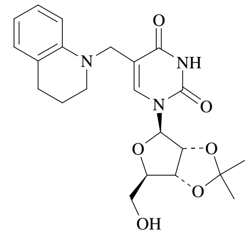
	Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$HCl \cdot H_2N$⌄CO_2Et	1. NaOAc, EtOH, 30 min 2. $Na(CN)BH_3$, overnight	 (—) CHO NHBoc 2 3 3 2 3 4 4 3	1642
			1. EtOH, reflux, 30 min 2. $NaBH_4$, $CHCl_3$, rt, 8 h	 R^1 R^2 R^3 H 7-Me allyl (60) 7-Cl 8-Me CH_2NEt_2 (69)	1694
			1. $Na(CN)BH_3$, MeOH, NaOAc, –70°, 3 h 2. –18°, 88 h	 (—)	1695
		 $2\text{-}NH_2$, $3\text{-}NH_2$	$Na(CN)BH_3$, MeOH	 (30-37)	1696
C_{12}			1. HCl (6 M) in MeOH, MeOH, 36 h 2. $Na(CN)BH_3$, 3 h	 (57)	709
			1. HOAc, MeCN, pH 6-7 2. $Na(CN)BH_3$ in MeCN, 2-4 h 3. 16-23 h	 R Me (59) CH_2CO_2Me (32)	1697
			$NaBH(OAc)_3$, DCE, pH 6, 20°	 (61)	1698
$C_{12\text{-}24}$			1. MS 3 Å, THF, reflux, 16 h 2. $NaBH_4$, dry MeOH, 0°, 0.5 h	 R Me (75) Bn (76)	826
			1. TEA, MS 3 Å, DMF:THF (1:6), reflux, 16-18 h 2. $NaBH_4$, dry MeOH, 0° to rt, 0.25 to 0.5 h	 R Me (36) Bn (45)	826
C_{13}			$Na(CN)BH_3$, $ZnCl_2$, MeOH, 36 h	 (84)	122

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

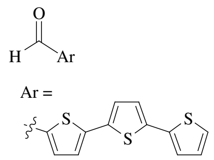
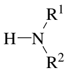
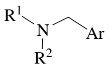
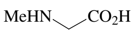
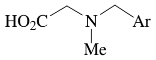
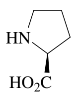
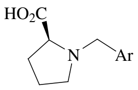
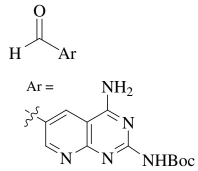
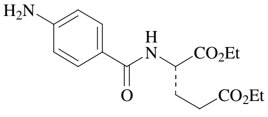
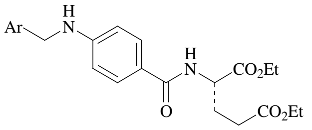
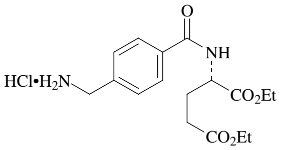
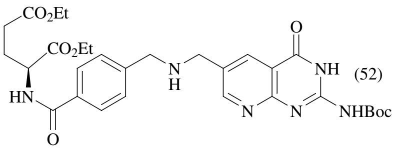
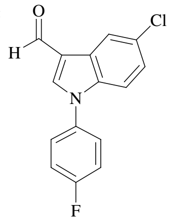
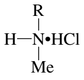
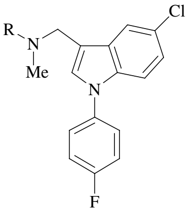
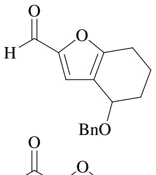
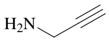
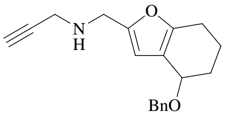
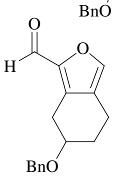
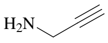
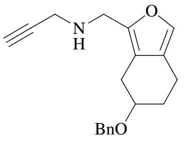
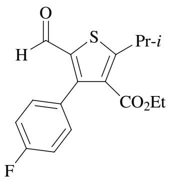
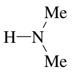
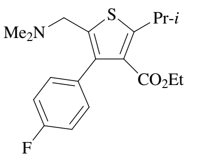
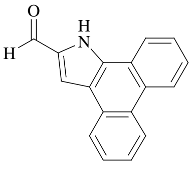
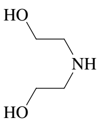
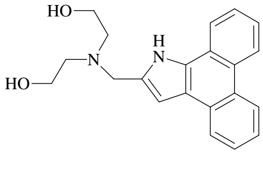
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, degassed MeOH, dark, 2-72 h	 R[1] R[2]: H, Me (—); Me, $(CH_2)_2OH$ (60); H, $(CH_2)_2NHCO_2Bn$ (60)	1699
		$Na(CN)BH_3$, degassed MeOH:HOAc (99:1), dark, 2 h	 (80)	1699
		1. MS 4 Å, MeOH 2. $Na(CN)BH_3$, dark, 12 h	 (60)	1699
		1. Glacial HOAc, 14 h 2. $BH_3•NEt_3$, 2 h	 (61)	1339
		1. NaOAc, HOAc, 18 h 2. $BH_3•NEt_3$, 2 h	 (52)	318
C_{15} 		1. MS 3 Å, MeOH, 1 h 2. $Na(CN)BH_3$, 24 h 3. MS 3 Å, $Na(CN)BH_3$, 24 h	 R: H (76); Me (—)	1700
C_{16} 		$Na(CN)BH_3$, MeOH, overnight	 (72)	1701
		$Na(CN)BH_3$, MeOH, overnight	 (59)	1701
C_{17} 	 (40% aq)	$Na(CN)BH_3$, HCl (12 N), pH 6, THF, 4 h	 (42)	1080
		$Na(CN)BH_3$, HCl (5 N)/MeOH, 72 h	 (81)	1702

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

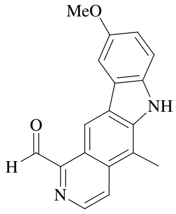
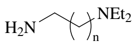
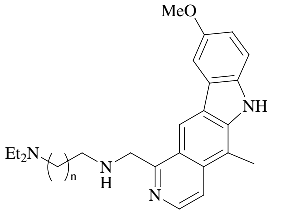
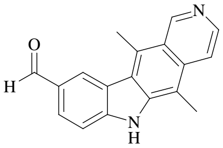
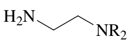
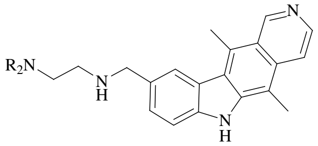
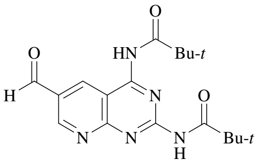
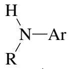
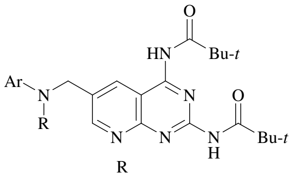
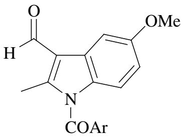
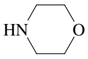
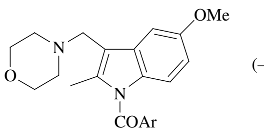
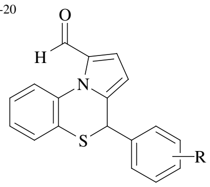
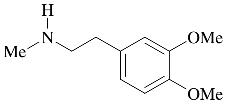
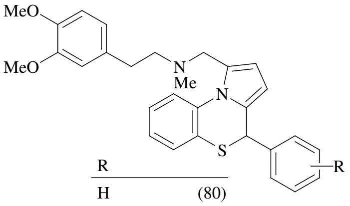
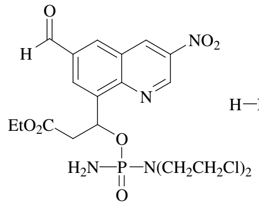
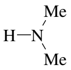
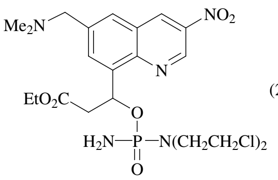
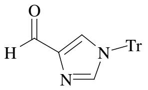
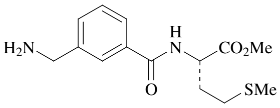
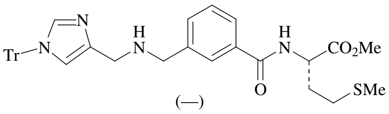
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{18} 		1. EtOH (abs), reflux, 18 h 2. $NaBH_4$, 0°, 30 min	 n: 1 (67); 2 (60)	1703
	 R = Me, Et	1. MS 4 Å, EtOH 2. Na(CN)BH_3, 1-24 h	 (—)	1704
	 Ar = 2,3,4-$(MeO)_3C_6H_2$	1. HOAc, 18 h 2. BH_3•NEt_3, 4 h	 R: H (48); Me (—); Et (—)	319
 Ar = 3,4-$Cl_2C_6H_3$		Na(CN)BH_3, HCl, MeOH	 (—)	1705
C_{18-20} 		1. MeOH:THF (3:1), rt 2. Na(CN)BH_3, $ZnCl_2$, 0°, 30 min 3. rt, 3.5 h	 R: H (80); 4-OMe (88); 2, 4-$(OMe)_2$ (95)	113
C_{19} 		$Bu_4N(CN)BH_3$	 (22)	63
C_{23} 		NaBH$(OAc)_3$, MS 4 Å, DCE, 0° to rt	 (—)	1706

TABLE IG. HETEROAROMATIC ALDEHYDES (*Continued*)

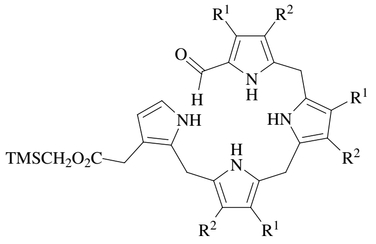
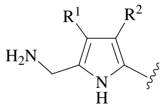
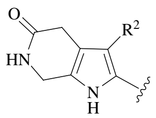
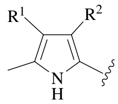
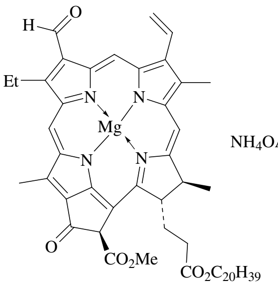
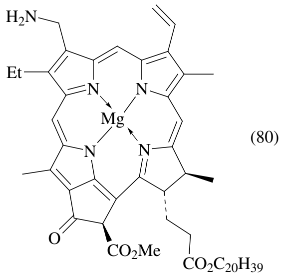
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{48}  $R^1 = CH_2CO_2Me$ $R^2 = (CH_2)_2CO_2Me$	NH_4OAc	$Na(CN)BH_3$, MS 3 Å, MeOH, CH_2Cl_2, 36 h	 (48) +  (34) +  (13)	765
C_{55} 	NH_4OAc	$Na(CN)BH_3$, MS, NaOAc, MeOH, 24-48 h	 (80)	1707

TABLE IH. α,β-UNSATURATED ALDEHYDES

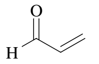
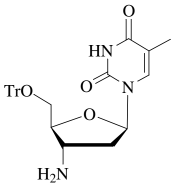
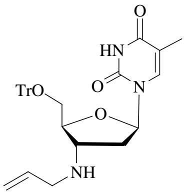
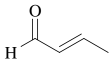
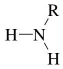
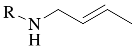
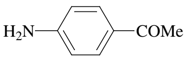
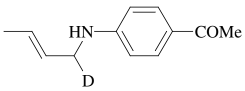
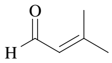
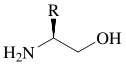
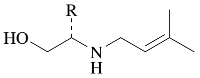
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_3 		1. $NaOAc{\bullet}3H_2O$, HOAc, EtOH, H_2O, 0-5°, 5 min 2. $NaBH_4$, 30 min	 (40)	336
C_4 		$NaBH_4$, THF, H_2SO_4 (1.7 M), –20-25°, 2 h	 R: Ph (64); 4-FC_6H_4 (65); 2-$O_2NC_6H_4$ (75); 4-$O_2NC_6H_4$ (62); 2-MeC_6H_4 (76); 4-$MeOCC_6H_4$ (73); 2-$MeO_2CC_6H_4$ (78); 4-$EtO_2CC_6H_4$ (75)	159, 160
		1. H_2SO_4, THF:MeOH (3:1), 0°, 5 min 2. $NaBD_4$ pellets, 0°, 10 min	 (77)	159
C_5 		$NaBH_4$, MeOH, 0°, 1 h	 R: H (43); Me (46)	457

TABLE IH. α,β-UNSATURATED ALDEHYDES (*Continued*)

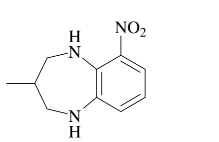
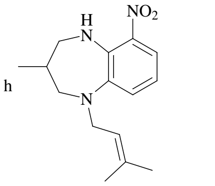
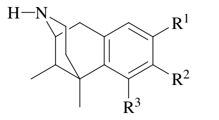
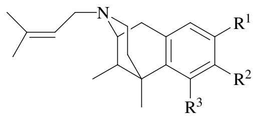
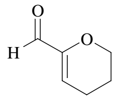
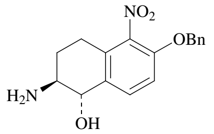
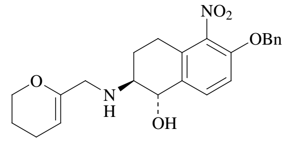
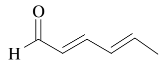
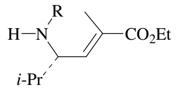
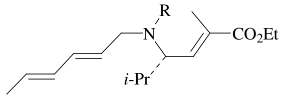
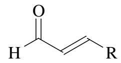
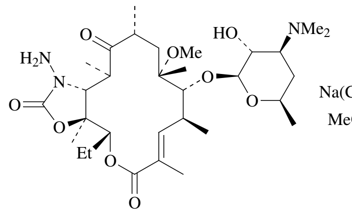
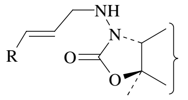
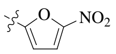
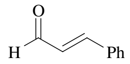
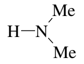
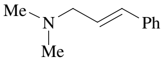
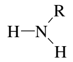
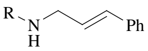
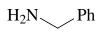
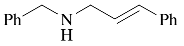
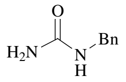
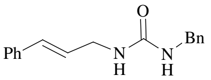
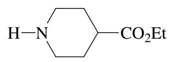
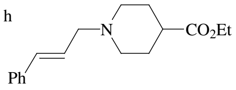
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, 72 h	 (35)	469
		$Na(CN)BH_3$, MeOH, overnight	 R^1 R^2 R^3 (+) H F H (26) (–) H F H (—) (+) H OH Cl (25) (+) H OH Br (—) (+) Br OH H (34) (–) Br OH H (—) (+) Br OH Br (19) (+) I OH H (50) (–) I OH H (51)	763, 1708
C_6 		$Na(CN)BH_3$, MeOH, 5 h	 (35)	54
		$NaBH(OAc)_3$, HOAc, CH_2Cl_2	 R Bn (54) 2,4-$(MeO)_2C_6H_3CH_2$ (73)	1562
C_{7-13} 		$Na(CN)BH_3$, HOAc, MeOH, 3 h	 R  (67) Ph (27) $C_6H_4NO_2$-4 (48) C_6H_4NHAc-4 (81) 1-naphthyl (81)	514
C_9 		Resin•BH_4, HCl•NEt_3, EtOH, 1 h	 (95)	223
		1. Silica gel, 30°, 4 h 2. $Zn(BH_4)_2$, DME, 1 h	 R Ph (84) *c*-C_6H_{11} (83) Bn (85)	210
		1. MeOH, 3 h 2. $NaBH_4$, 15 min	 (85)	21
		1. Ti(OPr-*i*)$_4$, THF 2. $NaBH_4$, 2 h	 (15)	202
		1. BH_3•pyridine, EtOH, 4 h 2. Aldehyde, BH_3•pyridine	 (45)	299

TABLE IH. α,β-UNSATURATED ALDEHYDES (*Continued*)

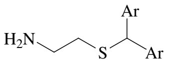
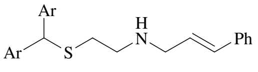
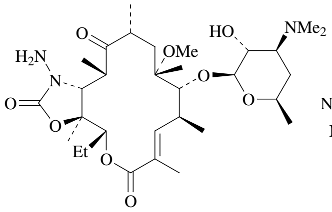
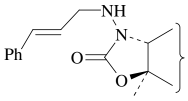
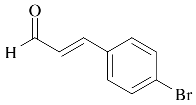
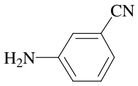
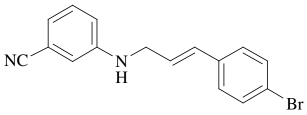
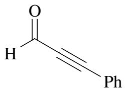
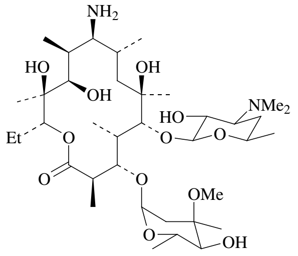
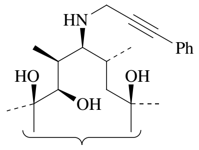
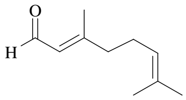
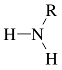
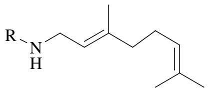
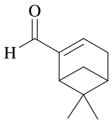
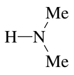
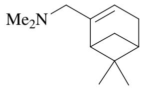
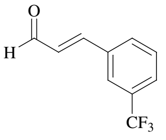
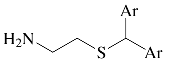
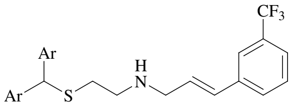
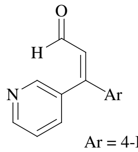
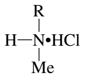
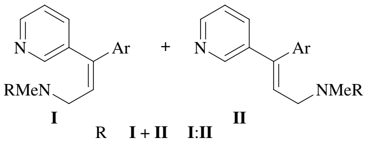
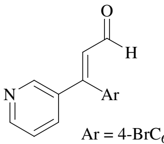
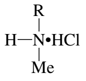
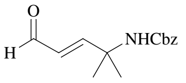
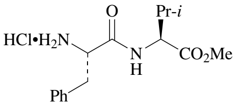
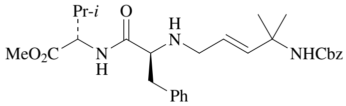
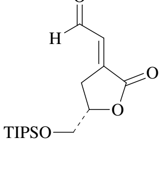
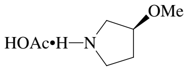
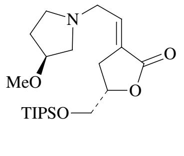
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 Ar = 4-RC_6H_4	MeOH, MS 3 Å, $Na(CN)BH_3$, overnight	 R: H (40); F (35); Cl (40)	794
		$Na(CN)BH_3$, HOAc, MeOH, 3 h	 (35)	514
		$Na(CN)BH_3$, MeOH	 (—)	1709
		$Na(CN)BH_3$, MeCN, Na_3PO_4 (0.5 M), HCl (6 N), 2 h	 (14)	335
C_{10} 		1. Silica gel, 30°, 4 h 2. $Zn(BH_4)_2$, DME, 1 h	 R: Ph (84); c-C_6H_{11} (83); Bn (85)	210
		$Na(CN)BH_3$, MeOH, 21 h	 (—)	1710
	 Ar = 4-RC_6H_4	$Na(CN)BH_3$, MS 3 Å, MeOH, overnight	 R: H (30); F (33)	794
C_{14}  Ar = 4-BrC_6H_4		$Na(CN)BH_3$, MS 3 Å, NaOH (8 eq), MeOH, 20 h	 **I** + **II**; R / **I + II** / **I:II**: H (27) 96:4; Me (68) 70:30	499, 677, 678
 Ar = 4-BrC_6H_4		$Na(CN)BH_3$, MeOH, 0.5-4 h	**I** + **II**; R / **I + II** / **I:II**: H (52) 1:99; Me (95) 1:99	499, 677, 678
		$NaBH(OAc)_3$, THF, overnight	 (81)	1711
C_{16} 		$Na(CN)BH_3$, MeOH	 (56)	821

TABLE IH. α,β-UNSATURATED ALDEHYDES (*Continued*)

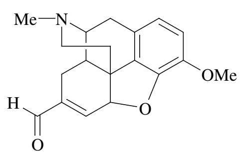
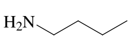
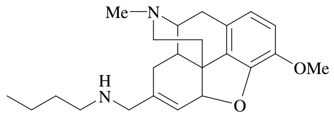
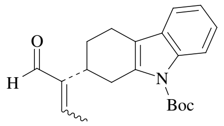
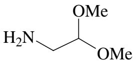
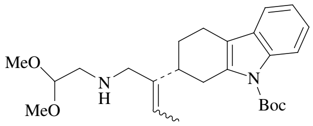
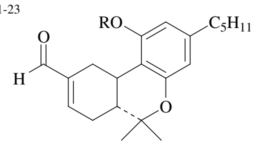
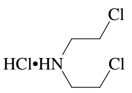
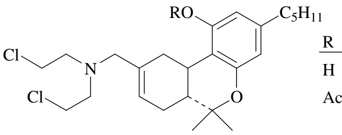
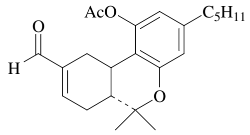
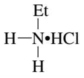
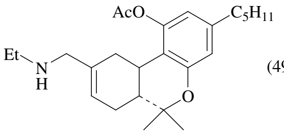
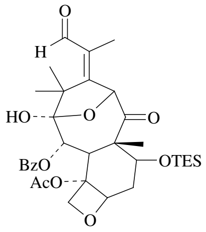
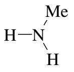
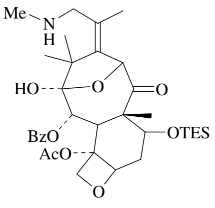
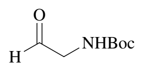
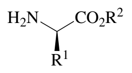
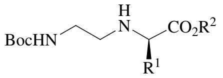
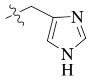
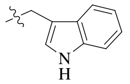
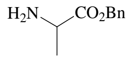
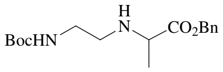
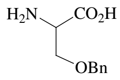
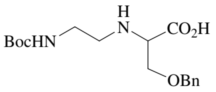
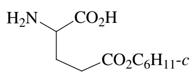
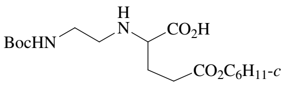
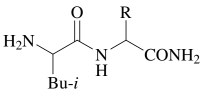
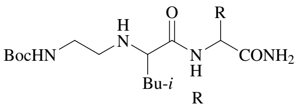
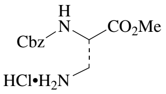
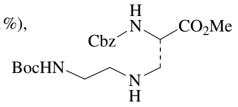
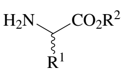
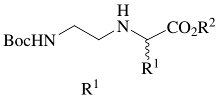
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{19} 		$Na(CN)BH_3$, HCl (4N) in dioxane, MeOH, 4 d	 (17)	1712
C_{21} 		1. $Ti(OPr\text{-}i)_4$, toluene 2. $NaBH_4$, MeOH	 (96)	196
C_{21-23} 		$Na(CN)BH_3$, MS 3 Å, MeOH, 4 d	 R: H (27); Ac (20)	1713
C_{23} 		$Na(CN)BH_3$, MS 3 Å, MeOH, 3 d	 (49)	1713
C_{34} 		$Na(CN)BH_3$, Na_2SO_4, MeOH	 (84)	1714

TABLE Ii. AMINO ACID AND PEPTIDE DERIVED ALDEHYDES

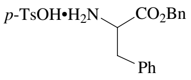
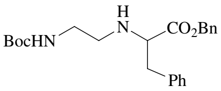
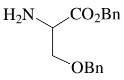
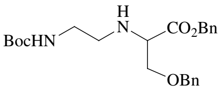
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_7 		1. MeOH, 0°, 15 min 2. Na(CN)BH_3, HOAc, 1 h		1715
			R^1 / R^2	
			CH(OH)Me / allyl (—)	
			CH_2CONH_2 / Bn (—)	
			i-Pr / Bn (—)	
			$(CH_2)_2CONH_2$ / Bn (—)	
			i-Bu / Bn (—)	
			$(CH_2)_3$NHC(=NH)NH_2 / allyl (—)	
			 / Me (—)	
			Bn / Bn (—)	
			$CH_2C_6H_4OH$-4 / Me (49)	
			 / Bn (—)	
		Na(CN)BH_3, HOAc	 (—)	1716
		Na(CN)BH_3, MeOH, 18 h	 (29)	697
		Na(CN)BH_3, HOAc, MS 3 Å, MeOH	 (35)	1717

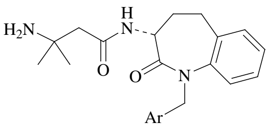
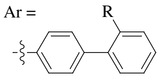
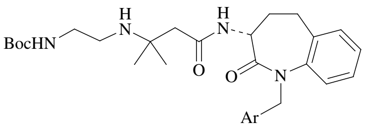
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, HOAc (10%), HCl, 30 min 2. Na(CN)BH_3, 30 min 3. 30 min		1718

R	
$(CH_2)_2SMe$	(74)
Bu	(54)

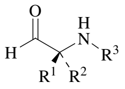
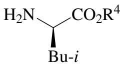
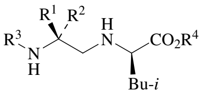
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Na(CN)BH_3, HOAc (1%), MeOH, 45 min 2. Overnight	 (76)	1719
		1. MeOH, 0°, 30 min 2. Na(CN)BH_3, HOAc, 30 min		1720

	R^1	R^2	
L	*s*-Bu	Bn	(—)
L	CH_2OBn	allyl	(91)
D	CH_2OBn	allyl	(—)
L	$CH_2CO_2C_6H_{11}$-*c*	Bn	(—)
D	$(CH_2)_2CO_2Bn$	allyl	(—)
L	$(CH_2)_4NHCbzCl$-2	allyl	(—)
D	$(CH_2)_4NHCbzCl$-2	allyl	(—)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS, NEt_3, rt, 1 h 2. Na(CN)BH_3, 0° to rt, 12 h	 (78)	1393
		Na(CN)BH_3, MeOH, 12 h	 (78)	1721

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 Ar = 	1. MS 4 Å, NEt_3, HOAc, pH 6.5, MeOH, 2-3 h 2. Na(CN)BH_3 (1 M) in THF, 16-20 h		1025

R	
5-tetrazolyl	(54)
CO_2Bu-*t*	(—)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{7-18} 		Na(CN)BH_3, $ZnCl_2$, MeOH, overnight		117

R^1	R^2	R^3	R^4	
Me	H	Alloc	TCE	(59)
—$(CH_2)_2$—		Boc	Me	(69)
Me	Me	Boc	Me	(73)
Me	Me	Fmoc	Me	(80)

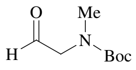
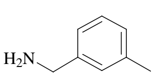
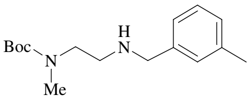
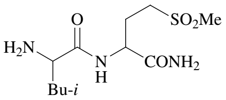
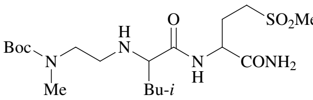
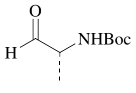
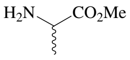
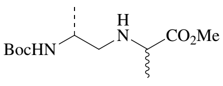
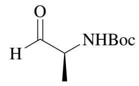
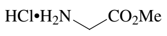
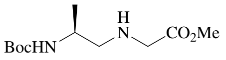
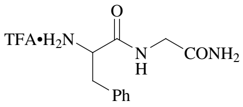
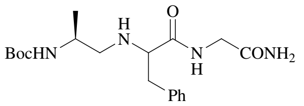
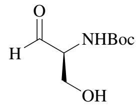
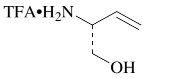
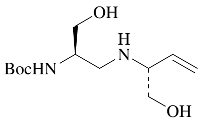
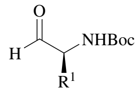
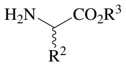
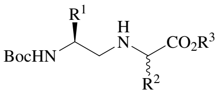
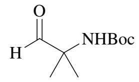
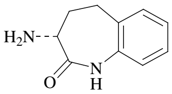
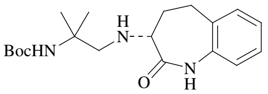
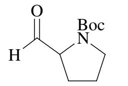
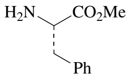
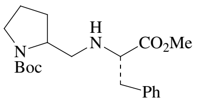
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_8 		1. $NaHCO_3$, MeOH, reflux, 2 h 2. $NaBH_4$, 5° 3. rt, 2 h	 (75)	602
		1. Na(CN)BH_3, MeOH, HOAc (1%), TFA, 30 min 2. 1 h	 (79)	1718
		1. MS, HOAc, dry MeOH, 0° 2. Na(CN)BH_3, 10 min 3. 30 min	 L or D (46-50)	797

TABLE Ii. AMINO ACID AND PEPTIDE DERIVED ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, dry MeOH, 3 h	 (84)	1722
		1. MeOH, HOAc (1%) 2. Na(CN)BH$_3$, 10 min 3. 30 min	 (61)	1723
		1. Na(CN)BH$_3$, MeOH, 0°, 3 h 2. 25°, 14 h	 (—)	1384, 1724
C$_{8-11}$ 		1. Na(CN)BH$_3$, MS, HOAc, dry MeOH, 0°, 10 min 2. 30 min	 (46-51) R^1 / R^2 / R^3 D Me / Me / Me L Me / Me / Me L *i*-Bu / *i*-Pr / Bn	797
C$_9$ 		1. MS 3 Å, MeOH 2. Na(CN)BH$_3$, THF	 (—)	1406
C$_{10}$ 		1. MeOH, 5 min 2. Na(CN)BH$_3$, reflux, overnight	 (40)	121

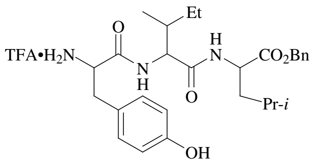
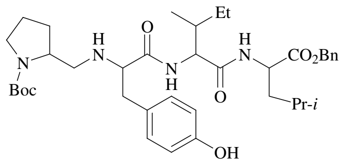
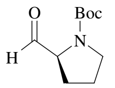
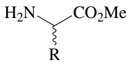
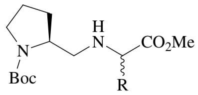
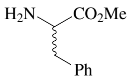
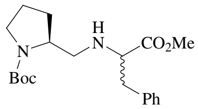
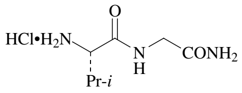
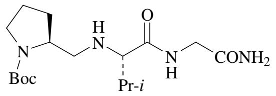
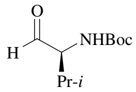
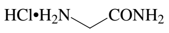
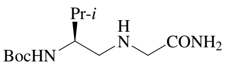
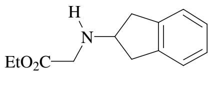
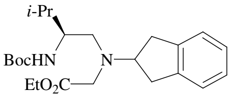
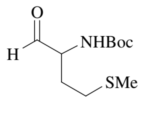
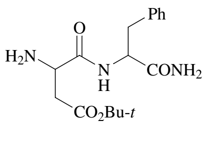
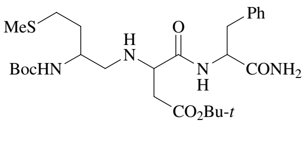
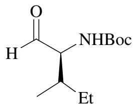
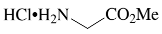
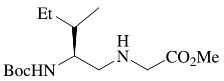
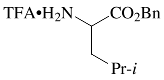
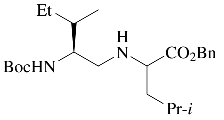
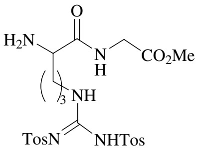
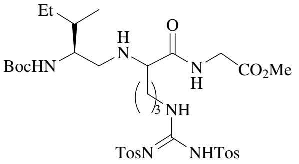
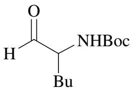
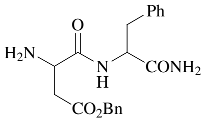
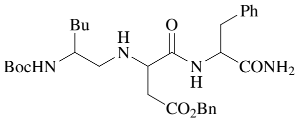
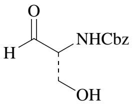
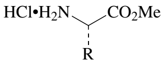
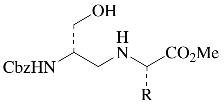
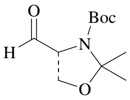
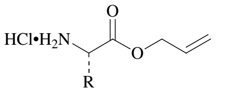
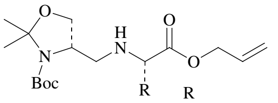
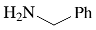
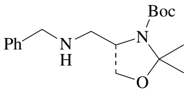
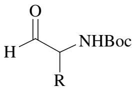
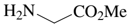
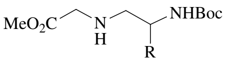
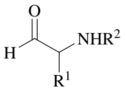
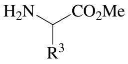
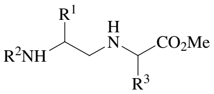
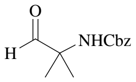
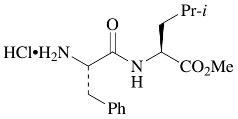
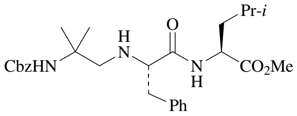
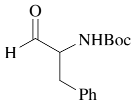
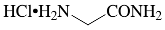
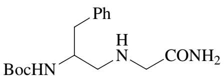
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Na(CN)BH$_3$, MeOH:HOAc (99:1), 45 min 2. 2 h	 (68)	1725
		1. Na(CN)BH$_3$, MS, HOAc, dry MeOH, 0°, 10 min 2. 30 min	 R D *i*-Bu L *i*-Bu D Bn L Bn (46-51)	797
		1. Na(CN)BH$_3$, MS, dry MeOH, 0° 2. 25°, overnight	 L (69) D (—)	1726
		1. MS 3 Å, MeOH (abs), 1 h 2. Na(CN)BH$_3$, 0°	 (49)	1727
		1. MS 3 Å, MeOH (abs), 1 h 2. Na(CN)BH$_3$, 0°	 (66)	1727
		Na(CN)BH$_3$, EtOH (abs), 16 h, 25°	 (16)	1728
		1. MeOH, HOAc (1%) 2. Na(CN)BH$_3$, 30 min 3. 30 min	 (44)	1729

TABLE Ii. AMINO ACID AND PEPTIDE DERIVED ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{11} 		$NaBH(OAc)_3$, MS 3 Å, NEt_3, pH 6, DCE, DMF, 18 h	 (54)	279
		1. $Na(CN)BH_3$, 45 min 2. 2.5 h	 (62)	1725
		$Na(CN)BH_3$, HOAc, MS 3 Å, MeOH	 (65)	1717
		1. MeOH, HOAc (1%) 2. $Na(CN)BH_3$, 30 min 3. 30 min	 (78)	1729
		$Na(CN)BH_3$, MeOH, 48 h		1730
		$Na(CN)BH_3$, MeOH, 1 h		1731
		$Na(CN)BH_3$, HCl, MeOH, 16 h	 (87)	1509
$C_{11\text{-}16}$  R = CH_2Pr-*i*, Ph, 4-HOC_6H_4, CH(Me)Bn		$Na(CN)BH_3$, HOAc, MeOH, 2 h	 (—)	1732
$C_{11\text{-}30}$ 		1. MeOH, MS 4 Å, 10 min 2. $Na(CN)BH_3$, $ZnCl_2$, 1-2 h		1733
C_{12} 		$NaBH(OAc)_3$, THF, overnight	 (81)	1711
C_{14} 		1. $Na(CN)BH_3$, MeOH, HOAc (1%), 10 min 2. 30 min	 (48)	1723

Ref. 1730:

R	
i-Pr	(54)
CH_2Ph	(50)

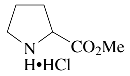
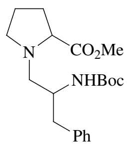
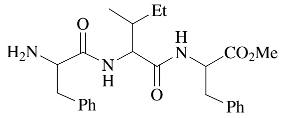
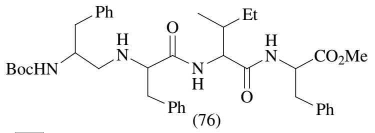
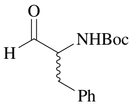
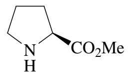
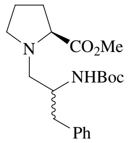
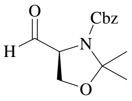
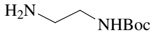
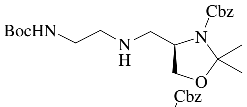
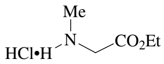
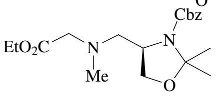
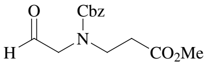
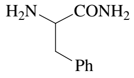
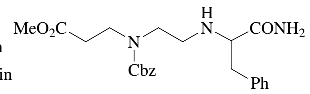
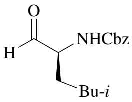
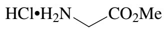
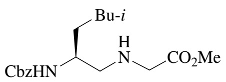
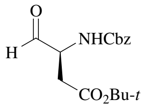
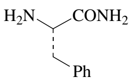
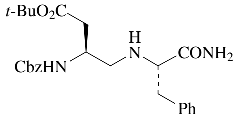
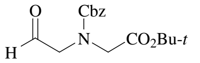
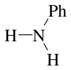
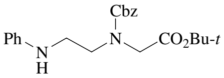
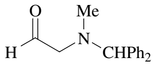
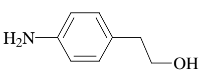
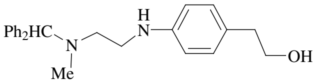
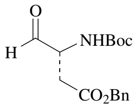
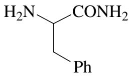
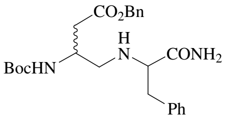
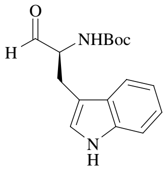
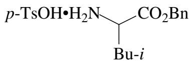
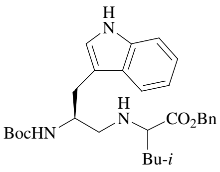
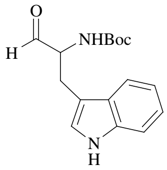
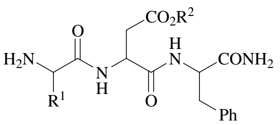
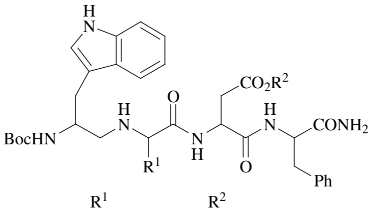
Ref. 1731:

R	
H	(86)
$(CH_2)_4NHCO_2CH_2C_6H_4$Cl-2	(94)

Ref. 1733:

R^1	R^2	R^3	Yield (%)
i-Bu	Boc	Bn	(81)
i-Bu	Boc	CH_2(3-indolyl)	(70)
i-Bu	Cbz	Bn	(90)
i-Bu	Cbz	CH_2(3-indolyl)	(79)
Bn	Cbz	*i*-Bu	(57)
CH_2-3-indolyl	Cbz	*i*-Bu	(65)
CH_2-3-indolyl	Cbz	$(CH_2)_4$NHCbz	(69)
CH_2-3-indolyl	Cbz	$(CH_2)_4$NH(C=NCbz)N(Cbz)$_2$	(48)
$(CH_2)_4$NHCbz	Cbz	CH_2(3-indolyl)	(55)
$(CH_2)_4$N(=NCbz)N(Cbz)$_2$	Cbz	CH_2(3-indolyl)	(40)

TABLE Ii. AMINO ACID AND PEPTIDE DERIVED ALDEHYDES (*Continued*)

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MS 3 Å, MeOH	 (95)	881
		$Na(CN)BH_3$, MeOH	 (76)	1734
		1. $Na(CN)BH_3$, MS, HOAc, dry MeOH, 0°, 10 min 2. 30 min	 D or L (46-51)	797
		$Na(CN)BH_3$, HOAc (1%), MeOH	 (59)	1719
		$Na(CN)BH_3$, MeOH, 5° to rt, 16 h	 (68)	1735
		1. HOAc, MeCN, 20 min 2. $Na(CN)BH_3$, 0°, 30 min	 (—)	1736
C_{15} 		1. MeOH:HOAc (99:1) 2. $Na(CN)BH_3$, 45 min 3. 1 h	 (—)	1737
C_{16} 		1. MeOH:HOAc (99:1) 2. $Na(CN)BH_3$, 30 min 3. 3 h	 (60)	1738
		1. DCE, 0° 2. $NaBH(OAc)_3$, HOAc, 25°, 24 h	 (76)	1739
		$Na(CN)BH_3$, MeOH, 2.5 h	 (51)	1335
		1. EtOH, 15 min 2. HOAc, 10 min 3. $Na(CN)BH_3$, 70 min	 (31) 3:1 mixture of diastereomers	1736
		1. MeOH:HOAc (99:1) 2. $Na(CN)BH_3$, 45 min 3. 1 h	 (68)	1740
		1. MeOH, HOAc (1%) 2. $Na(CN)BH_3$, 30-45 min 3. 30 min		1729, 1738

R^1	R^2	
CH_2CH_2SMe	H	(16)
i-Bu	Bn	(65)
CH_2Bu-*i*	Bn	(—)

TABLE Ii. AMINO ACID AND PEPTIDE DERIVED ALDEHYDES (*Continued*)

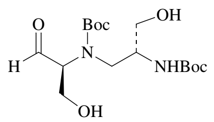
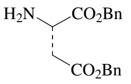
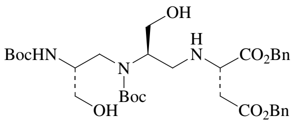
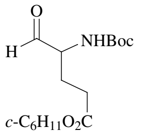
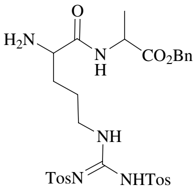
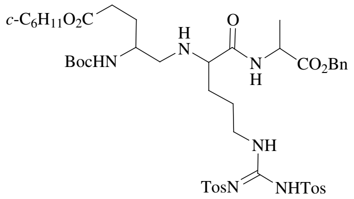
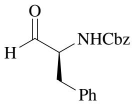
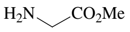
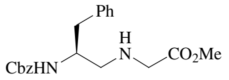
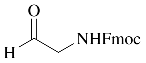
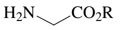
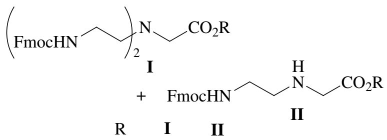
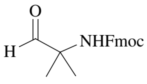
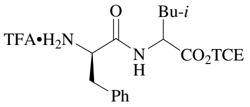
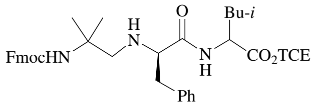
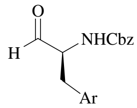
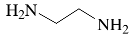
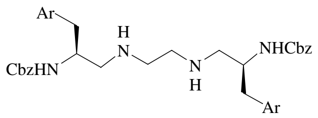
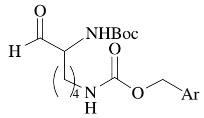
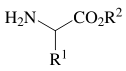
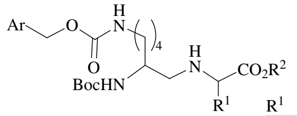
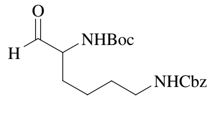
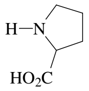
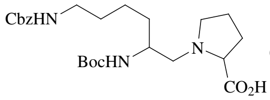
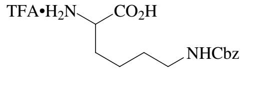
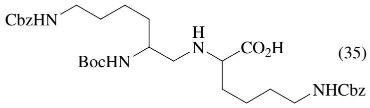
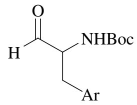
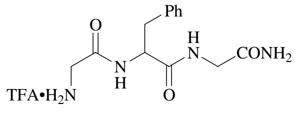
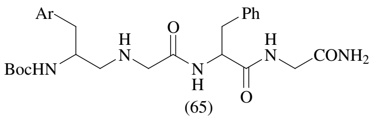
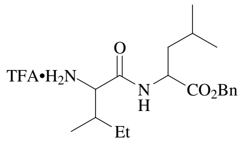
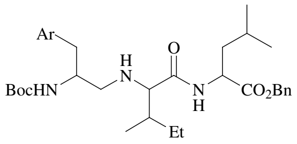
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $Na(CN)BH_3$, MeOH, 0°, 3 h 2. 25°, 4 h	 (64)	1724
		$Na(CN)BH_3$, HOAc, MS 3 Å, MeOH	 (39)	1717
C_{17} 		1. TEA, MS 4 Å, MeOH, 15 min 2. $Na(CN)BH_3$, $ZnCl_2$, 2 h	 (88)	114
		$Na(CN)BH_3$, MeOH: HOAc (99:1), 25°	 R / I / II H / (55) / (0) Me / (0) / (—)	349
C_{18} 		$NaBH(OAc)_3$, HOAc, CH_2Cl_2, overnight	 (53)	117
C_{19}  Ar = 4-$EtOC_6H_4$		$NaBH(OAc)_3$, HOAc, DCE	 (73)	1741
 Ar = 2-ClC_6H_4		$Na(CN)BH_3$, HOAc, MS 3 Å, MeOH	 R^1 / R^2 H / Me / (52) Me / Bn / (43)	1717
		1. $Na(CN)BH_3$, 45 min 2. 2 h	 (88)	1725
		1. $Na(CN)BH_3$, MeOH:HOAc (99:1), 45 min 2. 2 h	 (35)	1725
C_{21}  Ar = 4-$BnOC_6H_4$		1. $Na(CN)BH_3$, MeOH, HOAc (1%), 10 min 2. 30 min	 (65)	1723
		1. $Na(CN)BH_3$, 45 min 2. 2.5 h	 (60)	1725

TABLE Ii. AMINO ACID AND PEPTIDE DERIVED ALDEHYDES (*Continued*)

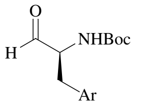
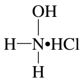
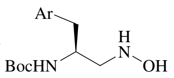
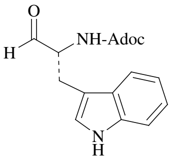
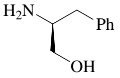
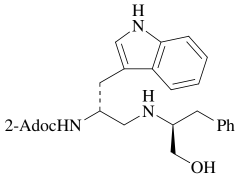
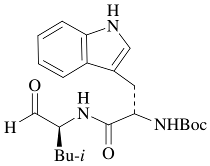
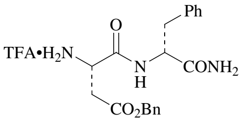
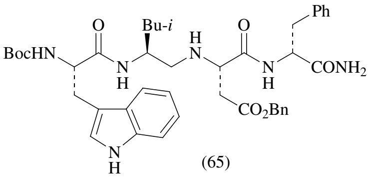
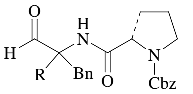
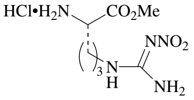
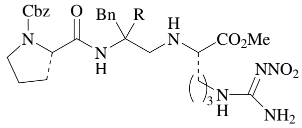
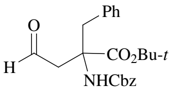
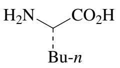
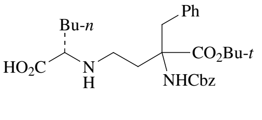
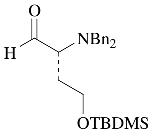
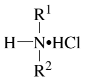
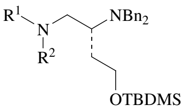
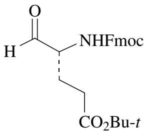
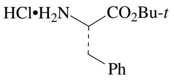
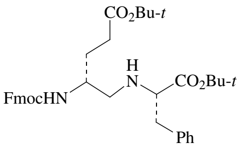
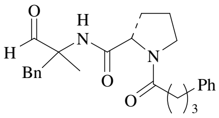
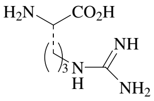
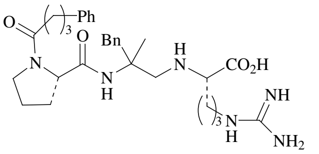
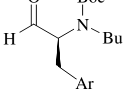
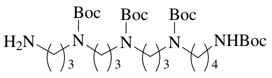
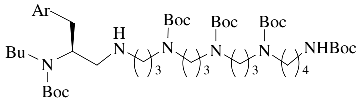
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
 Ar = 4-BnOC$_6$H$_4$		1. MeOH, THF, TEA, (pH 5), 30 min 2. Na(CN)BH$_3$, HCl/Et$_2$O, methyl orange, pH 3, 1.5 h	 (81)	512
C$_{22}$				
		1. MeOH:HOAc (99:1) 2. Na(CN)BH$_3$, 15 min 3. 2 h	 (32)	1742
		1. MeOH:HOAc (99:1) 2. Na(CN)BH$_3$, 45 min 3. 45 min	 (65)	1738
C$_{22-23}$				
		Na(CN)BH$_3$, MeOH (abs), 24 h	 R: H (45); Me (64)	1743
C$_{23}$				
		1. MS 4 Å, THF, 30 min 2. Na(CN)BH$_3$, HOAc, overnight	 (87)	1079
C$_{24}$				
		Na(CN)BH$_3$, MeOH, 1 h	 R^1 / R^2: H / CH$_2$CO$_2$Et (50) H / (*S*)-CH(Me)CO$_2$Et (75) —(CH$_2$)$_5$— (50) —CH$_2$CH(OH)CH$_2$CH$_2$— (60)	1574
		NaBH(OAc)$_3$, DMF, 30 min	 (95)	441
C$_{25}$				
		Na(CN)BH$_3$, *i*-PrOH	 (7)	1743
 Ar = 4-BnOC$_6$H$_4$		1. Na$_2$SO$_4$, EtOH, 24 h 2. NaBH$_4$, overnight	 (88)	74

TABLE Ii. AMINO ACID AND PEPTIDE DERIVED ALDEHYDES (*Continued*)

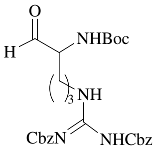
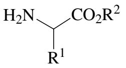
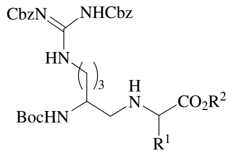
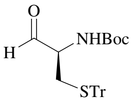
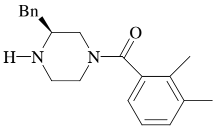
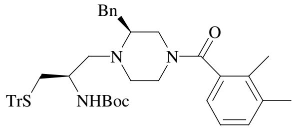
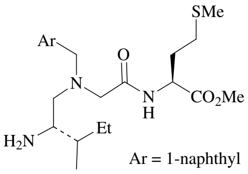
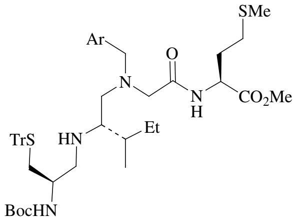
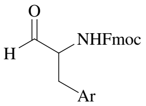
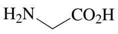
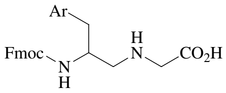
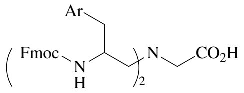
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{27} 		$Na(CN)BH_3$, HOAc, MS 3 Å, MeOH	 R^1 / R^2 Me / Bn (71) H / Glu(OC_6H_{11}-*c*)Arg $(Tos)_2$Ala(OBn) (3)	1717
		$NaBH(OAc)_3$, DCE, pH 6, 0-20°	 (67)	1744
	 Ar = 1-naphthyl	$Na(CN)BH_3$, HOAc, MS 3 Å, MeOH	 (53)	279
C_{28}  Ar = 4-*t*-$BuOC_6H_4$		$Na(CN)BH_3$, MeOH: HOAc (99:1), 25°	 (35) +  (6)	349

TABLE IJ. ACETALS AND HEMIACETALS

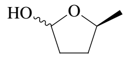
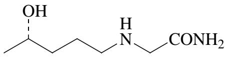
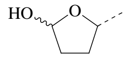
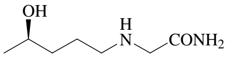
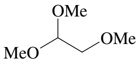
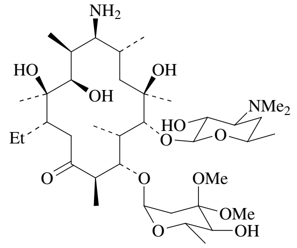
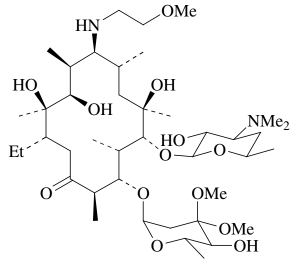
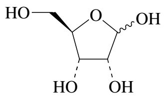
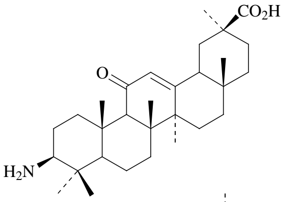
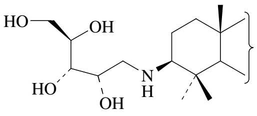
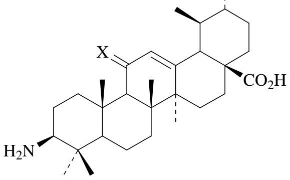
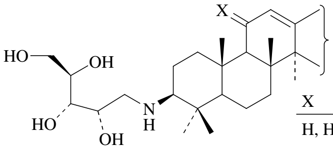
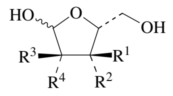
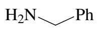
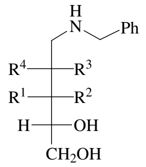
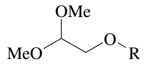
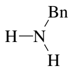
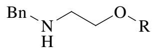
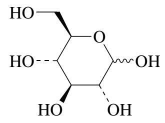
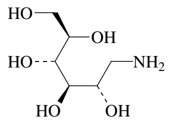
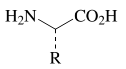
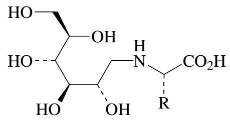
Acetal or Hemiacetal	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_5 	$HCl{\bullet}H_2N$⌄$CONH_2$	1. $Na(CN)BH_3$, MeOH, H_2O, 3 h 2. 20 h	 (60)	1043
	$HCl{\bullet}H_2N$⌄$CONH_2$	1. $Na(CN)BH_3$, MeOH, H_2O, 3 h 2. 20 h	 (56)	1043
		1. HCl (1 N), 4 h, MeCN 2. HCl (6 N), 10 min 3. $Na(CN)BH_3$, 1 h	 (34)	335
		$Na(CN)BH_3$, THF	 (75)	405
		$Na(CN)BH_3$, THF	 X: H, H (70); O (60)	405

TABLE IJ. ACETALS AND HEMIACETALS (*Continued*)

Acetal or Hemiacetal	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, MeOH, 1 h	 R^1 R^2 R^3 R^4 H OH H OH (50) OH H OH H (83) H OH OH H (65)	1745
C_{5-7} 		1. *p*-TsOH, MeCN (6%), 8 h 2. H_2NBn, $Na(CN)BH_3$, 30 min 3. HCl, pH 4, H_2O 4. $Na(CN)BH_3$, 72 h	 R Me (65) CH_2CH_2OMe (71)	1746
C_6 	NH_4OAc	$Na(CN)BH_3$, MeOH, 40 h	 (83)	1747
	 R = Me, CH(OH)Me, $CHMe_2$, CH_2CHMe_2	$Na(CN)BH_3$, H_2O, pH 7.0, 100°, 16 h	 (52-58)	517

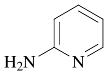
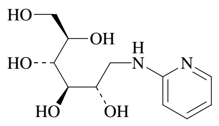
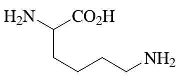
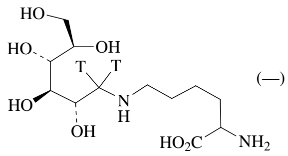
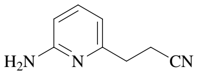
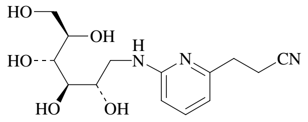
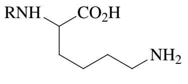
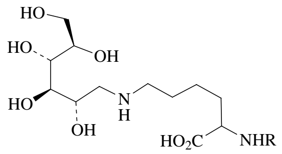
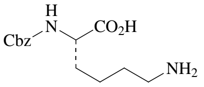
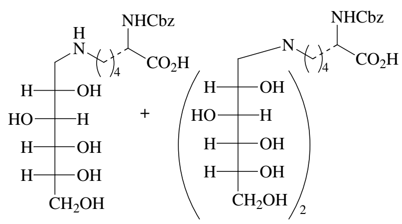
Acetal or Hemiacetal	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, 95° DMF:HOAc (9:1), 3 h	 (84)	471
	"	$Na(CN)BH_3$, HOAc, MeOH, 37°	" (—)	351
		KBT_4, pH 7.9	 (—)	233
		1. HOAc, 90°, 1 h 2. $BH_3•HNMe_2$ in HOAc, rt 3. 80°, 1 h	 (93)	320
		$Na(CN)BH_3$, 100°, pH 7.0, H_2O, 4 h	 R Boc (45) Cbz (43)	517
		$Na(CN)BH_3$, sodium phosphate (0.2 M), pH 8, 17 d	 (—) (—)	516

TABLE IJ. ACETALS AND HEMIACETALS (*Continued*)

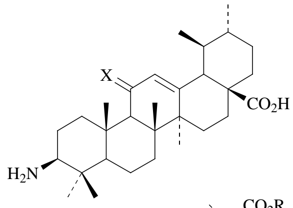
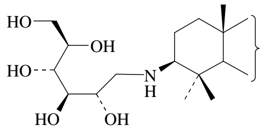
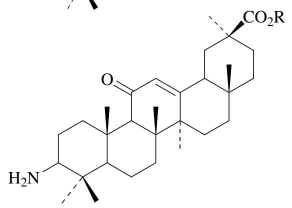
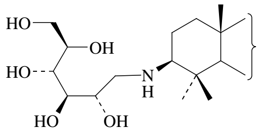
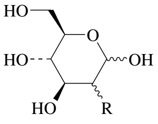
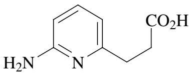
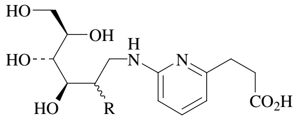
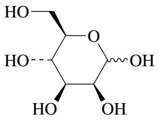
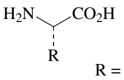
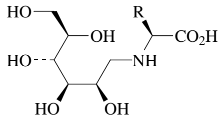
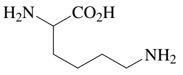
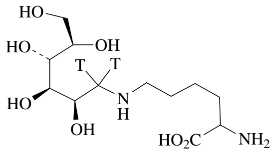
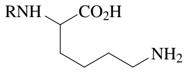
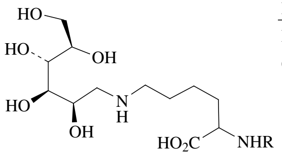
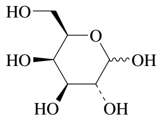
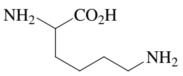
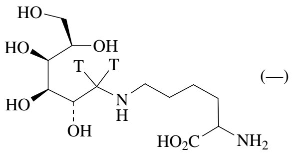
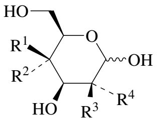
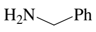
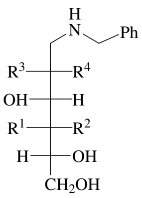
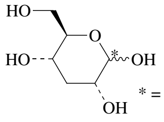
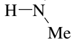
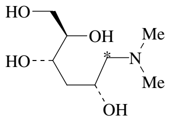
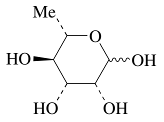
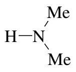
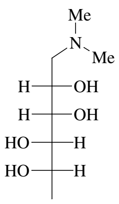
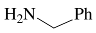
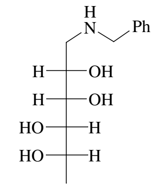
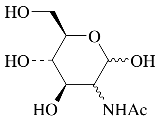
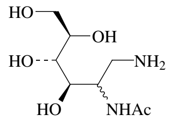
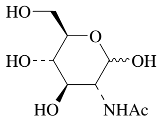
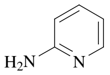
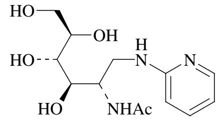
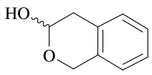
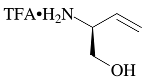
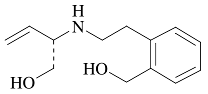
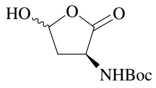
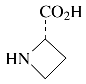
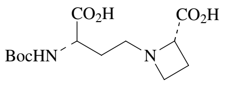
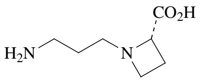
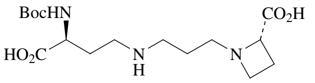
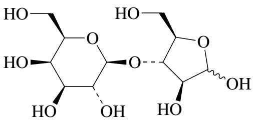
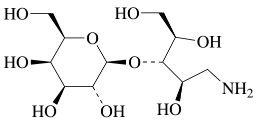
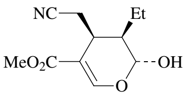
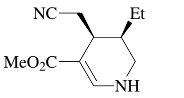
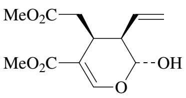
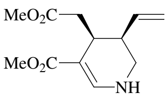
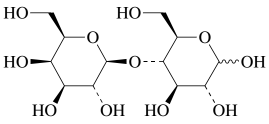
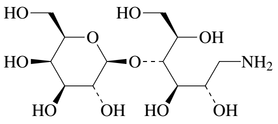
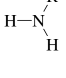
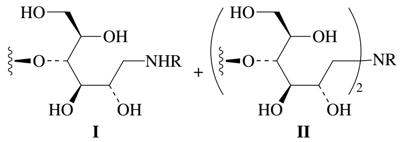
Acetal or Hemiacetal	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, THF	 X: H, H (70); O (60)	405
		Na(CN)BH_3, THF	 R: H (65); Me (—)	405
		1. HOAc•pyridine (1:40), 90°, 3 h 2. BH_3•$HNMe_2$ in HOAc, rt 3. 80°, 1 h	 R: α-OH (93); β-OH (92)	320
	 R = Me, CH(OH)Me, $CHMe_2$, CH_2CHMe_2	Na(CN)BH_3, H_2O, pH 7.0, 100°, 16 h	 (52-58)	517
		KBT_4, pH 7.9	 (—)	233
		Na(CN)BH_3, 100°, pH 7.0, H_2O, 4 h	 R: Boc (47); Cbz (41)	517
		KBT_4, pH 7.9	 (—)	233
		$NaBH_4$, MeOH, 1 h		1745
			R^1 / R^2 / R^3 / R^4: H, OH, H, OH (66)	
			H, OH, OH, H (76)	
			OH, H, H, OH (66)	
 * = ^{13}C		Na(CN)BH_3, H_2O, pH 9.0, 9 d	 (78)	720
		1. H_2O, 35°, 30 min 2. $NaBH_4$, HOAc, 0°-15°, 30 min	 (43)	1745

TABLE IJ. ACETALS AND HEMIACETALS (*Continued*)

Acetal or Hemiacetal	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, MeOH, 1 h	 (43)	1745
C_8				
	NH_4HCO_3	$Na(CN)BH_3$, H_2O, 30°, 5-6 d	 α-NHAc (50) β-NHAc (50)	1748
		$Na(CN)BH_3$, DMF, HOAc, 95°, 3 h	 (30)	471
C_9				
		$Na(CN)BH_3$, MeOH, KOH (1 N)	 (72)	1749
		$Na(CN)BH_3$, MeOH	 (90)	676, 1384
		$Na(CN)BH_3$	 (—)	808, 860, 1384
C_{11}				
	NH_4OAc	BH_3•pyridine, MeOH, 37°, 2 d	 (56)	1750
	NH_4OAc	$Na(CN)BH_3$, pH 6, overnight	 (—)	431
C_{12}				
	NH_4OAc	$Na(CN)BH_3$, pH 6.5	 (—)	430
	NH_4HCO_3	$Na(CN)BH_3$, H_2O, HOAc, 2 h	 (78)	351
	NH_4OAc	$Na(CN)BH_3$, H_2O, reflux, HOAc, 4-5 h	" (55)	716
		BH_3•pyridine, 55°, MeOH, H_2O	 I + II	705

Time	Mol-eq lactose	R	I	II
36 h	0.02	H	(44)	(49)
18 h	0.10	H	(8)	(89)
36 h	0.10	Bn	(80)	(21)

TABLE IJ. ACETALS AND HEMIACETALS (*Continued*)

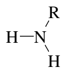
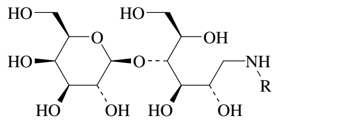
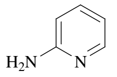
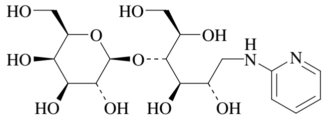
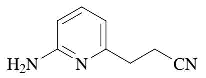
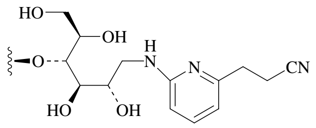
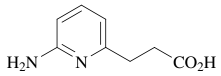
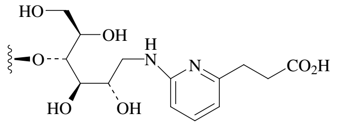
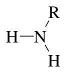
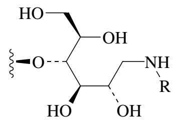
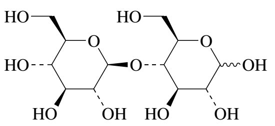
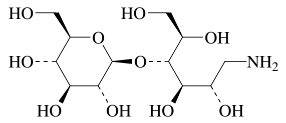
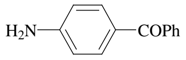
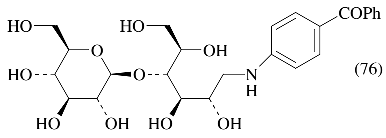
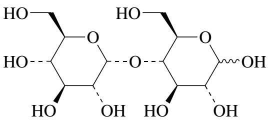
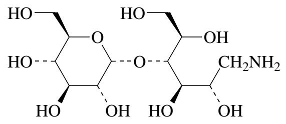
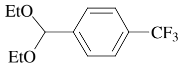
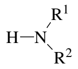
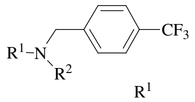
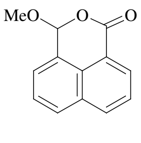
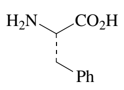
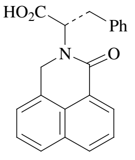
Acetal or Hemiacetal	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, $EtCO_2H$	 R *n*-Pr (66) *n*-C_7H_{15} (90) $(CH_2)_{10}CO_2H$ (58) *n*-$C_{12}H_{25}$ (100)	1751
		$Na(CN)BH_3$, HOAc, DMF, 95°, 3 h	 (45)	471
		1. HOAc, 90°, 1 h 2. BH_3•$HNMe_2$ in HOAc, rt 3. 80°, 1 h	 (91)	320
		1. HOAc•pyridine (1:40), 90°, 1 h 2. BH_3•$HNMe_2$ in HOAc, rt 3. 80°, 1 h	 (84)	320
		$Na(CN)BH_3$, MeOH, HOAc, reflux, 18 h	 R 1-naphthyl (83) $C_6H_4COC_6H_5$-4 (78)	1752
	NH_4HCO_3	$Na(CN)BH_3$, H_2O, 40°, 2 d	 (53)	1753
		$Na(CN)BH_3$, MeOH, HOAc, reflux, 18 h	 (76)	1752
	NH_4OAc	$Na(CN)BH_3$, MeOH, 40 h	 (75)	1747
		1. $ZnCl_2$, THF, 1 h 2. $Zn(BH_4)_2$, 8 h	 R^1 R^2 Et Et (80) —$(CH_2)_2O(CH_2)_2$— (75) —$(CH_2)_2NMe(CH_2)_2$— (75)	206
	"	1. $ZnCl_2$, THF, 1 h 2. $NaBH_4$, 10 h	" (—) R^1 R^2 Et Et —$(CH_2)_2O(CH_2)_2$— —$(CH_2)_2NMe(CH_2)_2$—	206
C_{13} 		1. MeOH, 5 min 2. $ZnCl_2$-$Na(CN)BH_3$ (1:10), reflux, overnight	 (22)	121

TABLE IJ. ACETALS AND HEMIACETALS (*Continued*)

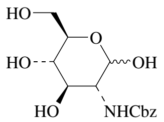
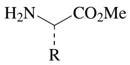
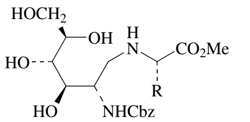
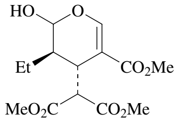
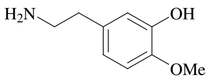
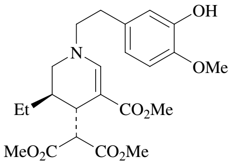
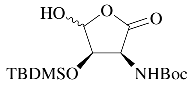
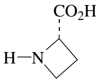
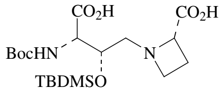
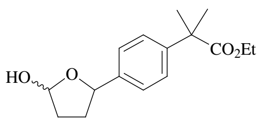
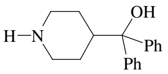
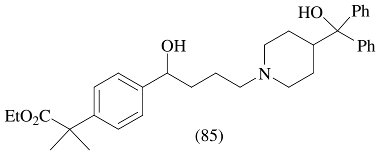
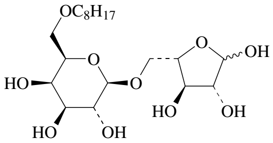
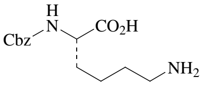
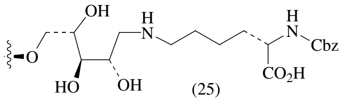
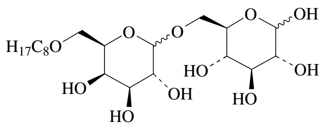
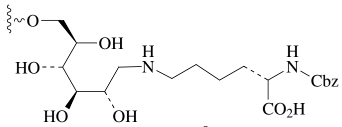
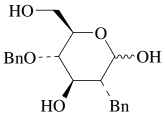
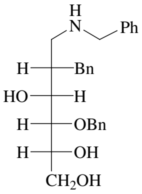
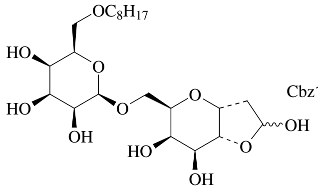
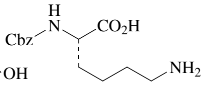
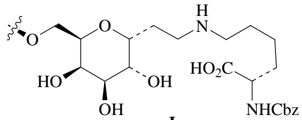
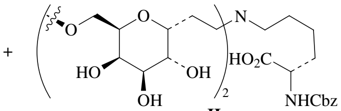
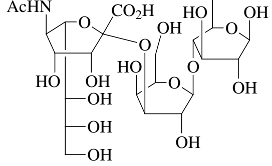
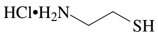
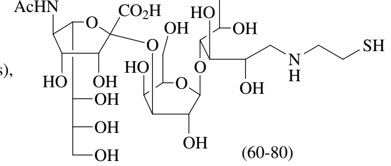
Acetal or Hemiacetal	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{14} 		Na(CN)BH3, MeOH, 5 d	 R: *i*-Pr (93); Bn (92)	1730
		Na(CN)BH3	 (—)	1754
C_{15} 		Na(CN)BH3, MeOH, 0-25°, 24 h	 (—)	860
C_{16} 		1. MeOH, 50° 2. NaBH4, rt	 (85)	1755
C_{19} 		1. Borate buffer (1 M), pH 8, 4°, 30 min 2. Na(CN)BH3, 16 h	 (25)	682
C_{20} 	"	Na(CN)BH3, HCl (0.1 M), pH 7, H2O, 60°, 3 d	 α (30), β (22)	682
	H2N⁀Ph	Na(CN)BH3, HOAc, MeOH, 50°, 1 d	 (88)	1756
C_{22} 		Na(CN)BH3, borate buffer, pH 8, 16 h	 I +  II (—) I:II = 72:28	682
C_{23} 		Na(CN)BH3, MeOH (abs), reflux, 2.5 h	 (60-80)	1757

TABLE IJ. ACETALS AND HEMIACETALS (*Continued*)

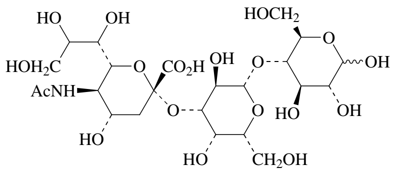
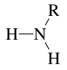
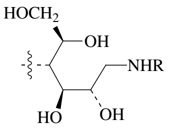
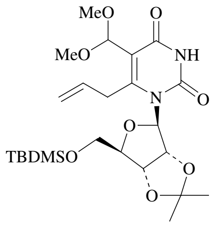
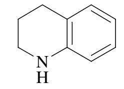
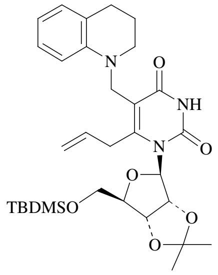
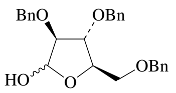
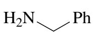
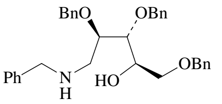
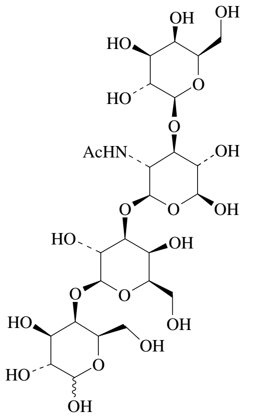
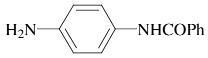
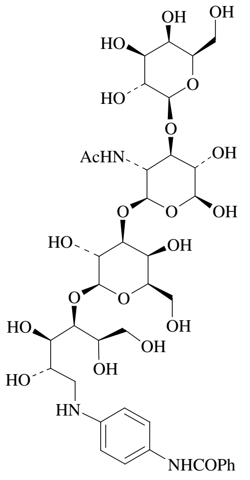
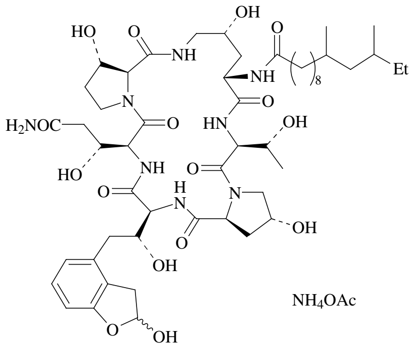
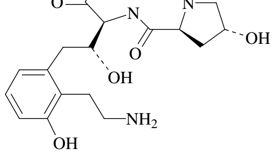
Acetal or Hemiacetal	Amine	Conditions	Product(s) and Yield(s) (%)		Refs.
		$Na(CN)BH_3$, MeOH, reflux, 4-5 h		R: H (71); n-$C_{18}H_{37}$ (50)	716
C_{24} 		$Na(CN)BH_3$, $ZnCl_2$ (800 eq), MeOH, overnight		(94)	122
C_{26} 		$Na(CN)BH_3$, HCl (12 N), pH 6-7, MeOH, 48 h		(98)	1758
C_{26} 		$Na(CN)BH_3$, $EtOH:H_2O$ (2:1)		(—)	1759
C_{52} 	NH_4OAc	$Na(CN)BH_3$, MeOH		(10)	1760

TABLE IK. HEMIAMINALS

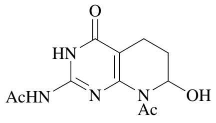
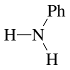
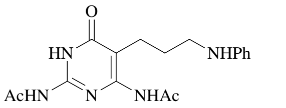
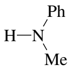
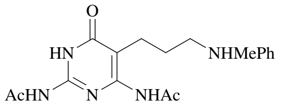
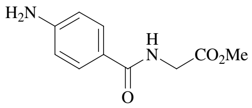
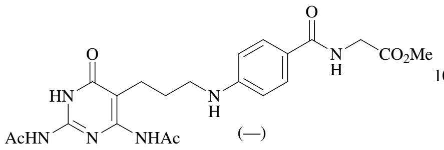
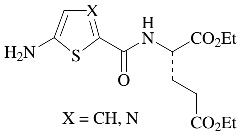
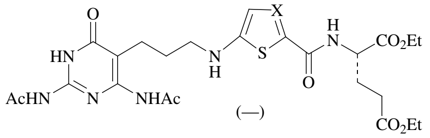
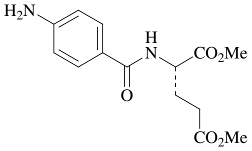
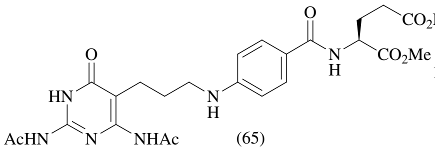
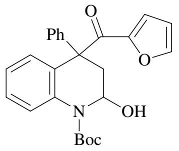
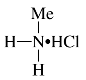
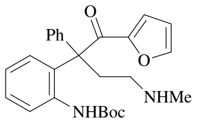
Hemiaminal	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{11} 		1. MS 3 Å, MeOH, 30 min 2. *p*-TsOH, overnight 3. $Na(CN)BH_3$, HOAc, 15 h	 (46)	1039
		1. $Na(CN)BH_3$, MS 3 Å, HOAc, MeOH, $MeOCH_2CH_2OH$, 18 h 2. $Na(CN)BH_3$, HOAc, 15 h	 (52)	1039
		1. MS 3 Å, HOAc, MeOH, $MeOCH_2CH_2OH$, 18 h 2. DMSO, HOAc, $Na(CN)BH_3$, 24 h	 (—)	1039
	 X = CH, N	1. HOAc, MS 3 Å, EtOH, 25-35°, 18-20 h 2. $Na(CN)BH_3$, 50°, 25-30 h	 (—)	1761
		1. MS 3 Å, HOAc, MeOH, 3 h 2. $Na(CN)BH_3$, HOAc, 15 h 3. $Na(CN)BH_3$, 1 h	 (65)	1039
C_{25} 		$Na(CN)BH_3$, overnight	 (20)	820

TABLE IIA. ALIPHATIC KETONES

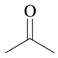
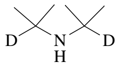
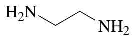
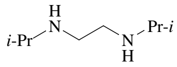
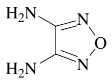
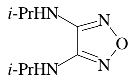
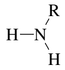
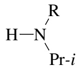
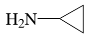
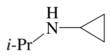
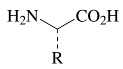
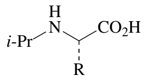
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_3 	NH_4OAc	$Na(CN)BD_3$, MeOD, 48 h	 (19)	133
		1. THF, 0° 2. BH_3 (2.4 M) in THF, 0-25°, 24 h	 (80)	331
	"	1. MeOH, conc. HCl, 1 h 2. $Na(CN)BH_3$, 2.5 h 3. Overnight	" (78)	1762
		1. $NaBH_4$, HOAc:acetone (3:1) 2. 0°, 30 min 3. 25°, 18 h	 (59)	1140
		1. THF, 0° 2. BH_3 (2.4 M) in THF, 0-25°, 24 h	 R $(CH_2)_2OH$ (80) *i*-Pr (90) Ph (88) 2-HOC_6H_4 (97) CH_2Bn (72)	331
		$Na(CN)BH_3$, HCl, MeOH, 2 h	 (98)	1763
		$Na(CN)BH_3$ (0.8 eq), MeOH, 16-20 h	 R CH_2OH (96) *i*-Pr (81) $(CH_2)_2CO_2H$ (66) $(CH_2)_3SMe$ (89) Bn (87)	676

TABLE IIA. ALIPHATIC KETONES (*Continued*)

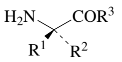
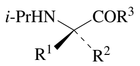
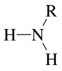
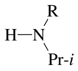
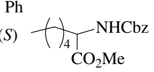
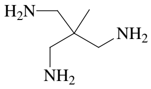
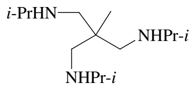
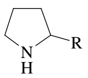
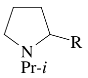
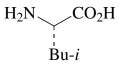
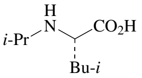
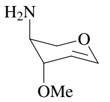
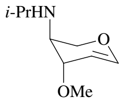
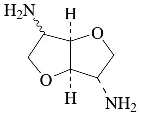
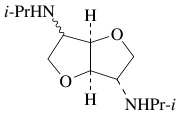
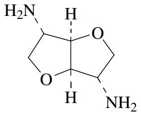
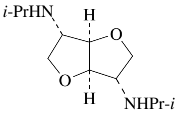
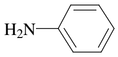
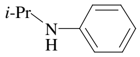
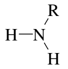
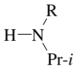
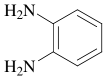
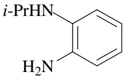
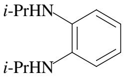
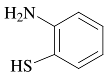
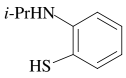
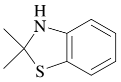
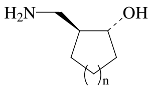
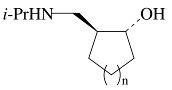
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, dry MeOH, HOAc, pH 6, 2-3 h	 R^1 R^2 R^3 H Me H (56) H *i*-Pr H (74) H Ph H (76) Bn H H (86) Ph H NMe_2 (94) Ph H 1-pyrrolidinyl (90)	343
		1. NaOAc•3H_2O, HOAc, H_2O, acetone, 0° 2. $NaBH_4$, 15 min 3. Acetone 4. $NaBH_4$, 15 min	 R Bu (63) CH(Me)CO_2Me (23) Ph (91) (*S*)  (55)	20
		1. NaOAc•3H_2O, HOAc, acetone, H_2O, 0° 2. $NaBH_4$, 1.5 h 3. 25°, 30 min	 (92)	1134
		1. HCl (g), MeOH 2. MeOH, acetone, 0° 3. Na(CN)BH_3, 18 h	 R Me (—) Ph (88)	1764
		Na(CN)BH_3	 (—)	1349
		Na(CN)BH_3, *i*-PrOH, pH 3	 (—)	1035
		1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, 25°, 4 h	 α (31) β (28)	167
		1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, HOAc, 24 h 3. $NaBH_4$, 18 h	 (52)	167
		$NaBH_4$, NaOAc•3H_2O, H_2O, EtOH, acetone, 0°	 (84)	1131
	"	Na(CN)BH_3, HCl (5 eq)	" (63)	698
	"	1. HCl (5 eq), 20 min 2. Na(CN)BH_3	" (63)	698
		1. H_2SO_4 (3-4 M), THF, 0° 2. $NaBH_4$, 0° to rt, 40 min	 R Ph (95) 4-$O_2NC_6H_4$ (84)	159
		1. THF, 0° 2. BH_3 (2.4 M) in THF, 0-25°, 24 h	 (41) +  (50)	331
		1. THF, 0° 2. BH_3 (2.4 M) in THF, 0-25°, 24 h	 (13) +  (40)	331
		1. Dry EtOH, 30-60 min 2. $NaBH_4$, 0°, 10-30 min	 n 1 (80) 2 (80)	455, 1765

TABLE IIA. ALIPHATIC KETONES (*Continued*)

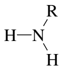
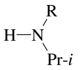
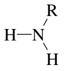
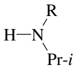
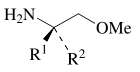
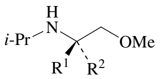
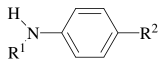
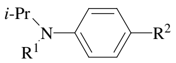
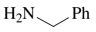
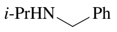
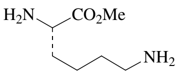
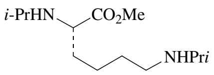
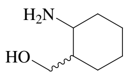
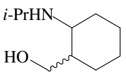
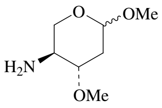
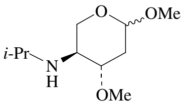
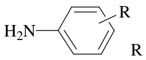
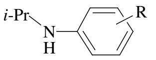
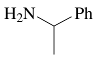
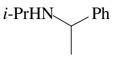
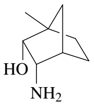
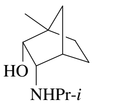
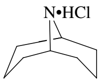
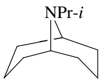
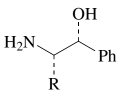
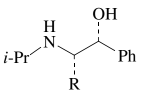
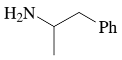
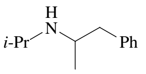
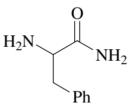
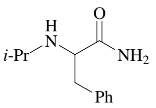
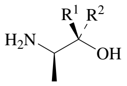
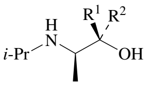
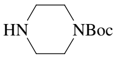
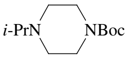
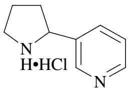
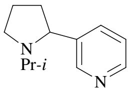
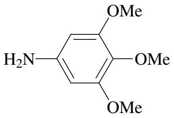
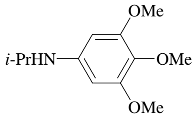
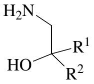
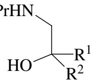
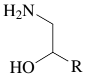
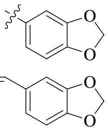
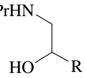
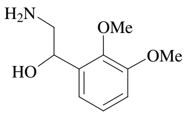
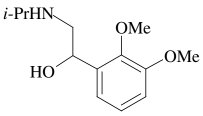
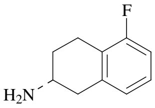
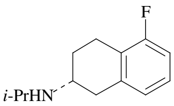
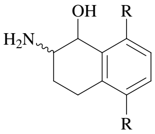
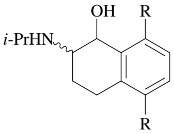
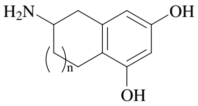
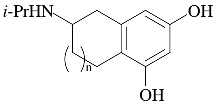
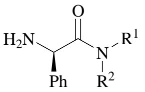
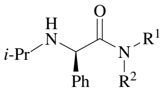
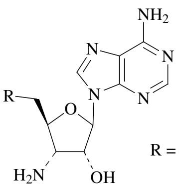
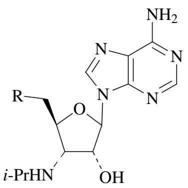
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 R = Ph, 4-ClC_6H_4, 4-$MeOC_6H_4$, 4-$MeO_2CC_6H_4$	$NaBH(OAc)_3$, HOAc, DCE	 (—)	1766
	 R = Ph, 4-MeC_6H_4, 3-$MeOC_6H_4$, 4-$MeOC_6H_4$, 4-$ClC_6H_4CH_2$, (*R*,*S*)-$C_6H_5(Me)CH$, (*R*)-$C_6H_5(Me)CH$, 3,4-$(OCH_2O)C_6H_3$, 3,5-$(MeO)_2C_6H_3$, 3,4,5-$(MeO)_3C_6H_2$	1. Glacial HOAc, $NaOAc{\bullet}3H_2O$, H_2O, 0° 2. $NaBH_4$, EtOH, 0°	 (40-70)	1767
		$Na(CN)BH_3$, MeOH, 5°, 1 h	 R^1 / R^2: H / *i*-Pr (72) *t*-Bu / H (59) Ph / H (78) H / Bn (81)	1768
		1. HCl, MeOH 2. $Na(CN)BH_3$ in MeOH 3. Overnight	 R^1 / R^2: H / NO_2 (24) Ph / NH_2 (56)	1769
		1. Glacial HOAc, 1 h 2. $NaBH_4$, 1.5 h	 (84)	247
		1. $NaOAc{\bullet}3H_2O$, HOAc, H_2O, acetone, 0° 2. $NaBH_4$, 15 min 3. Acetone 4. $NaBH_4$, 15 min	 (4)	20
		1. Dry EtOH, 70-80 min 2. $NaBH_4$, 0°, 10 min	 *cis* (70) *trans* (75)	1770
		1. $Ti(OPr\text{-}i)_4$, 1 h 2. $Na(CN)BH_3$, EtOH, 20 h	 α (80) β (81)	87
	 R = 2, 3, or 4-MeO; 3,4-OCH_2O	$NaBH(OAc)_3$, HOAc, THF	 (—)	1771
		$Na(CN)BH_3$, MeOH, HCl	 *R* or *S* (—)	1772
		1. EtOH (abs), 30 min 2. $NaBH_4$, 0°, 1 h	 (92)	1773
		1. KOH pellets (85%), MeOH 2. $Na(CN)BH_3$ in MeOH, 10 min 3. 15 min 4. HOAc, 72 h	 (68)	1354
		1. EtOH (abs), rt, 30 min 2. $NaBH_4$, 0°, 1 h	 R: H (64) Me (76)	1344
		$NaBH_4$, MeOH, 14 h	 (95)	1774
		1. TMOF, HOAc, 30 min 2. $Na(CN)BH_3$, TMOF, 1 h	 (82)	344

TABLE IIA. ALIPHATIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, 15 h	 R^1 R^2 H Ph (—) Ph H (85)	1768
		1. MeOH, HOAc 2. $Na(CN)BH_3$, 0°, 4 h 3. 25°, 48 h	 (—)	1366
		1. MeOH, acetone, 0° 2. $Na(CN)BH_3$, 18 h	 (88)	1764
		1. $Na(CN)BH_3$, MeCN 2. HOAc, 2 h 3. 24 h	 (55)	95
		1. HOAc, 90° 2. $NaBH_4$, 30 min 3. 90 min	 R^1 R^2 Me Ph (±) (91) Me Ph (+) (95) Me Ph (–) (95) Me c-C_6H_{11} (±) (85) Me c-C_6H_{11} (+) (86) Me c-C_6H_{11} (–) (77) Et c-C_6H_{11} (±) (95)	458
	 R = 	1. HCl (3.8 N) in MeOH, 0.5 h 2. $NaBH_4$, 15 min 3. Reflux, 1 h	 (—)	1775
		1. $NaOAc•3H_2O$, HOAc, H_2O, acetone, 0° 2. $NaBH_4$, 1 h 3. Acetone, 1 h	 (33)	1130
		1. MeOH, 2 h 2. HOAc, pH 5 3. $Na(CN)BH_3$, 0° 4. 25°, 24 h	 (—)	1362
		$Na(CN)BH_3$, MS 3 Å, MeOH, 18 h	 R H *cis* (68) H *trans* (75) OMe *cis* (68) OMe *trans* (75)	1776, 1777
		1. $Na(CN)BH_3$, acetone-EtOH, 5-15°, 2 h 2. 25°, overnight	 n 1 (80) 3 (93)	1778
		$Na(CN)BH_3$, HCl, MeOH, 0° to rt, 50 h	 R^1 R^2 Me Me (97) —$(CH_2)_4$— (—) —$(CH_2)_5$— (—) —$(CH_2)_2NMe(CH_2)_2$— (—)	1767
	 R = OH, NHBn	1. $NaOAc•3H_2O$, HOAc, H_2O, EtOH, 0° 2. $NaBH_4$, 30 min	 (—)	453

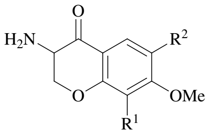
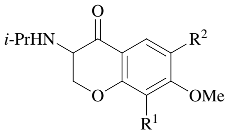
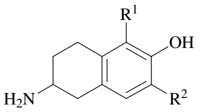
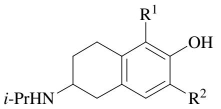
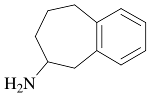
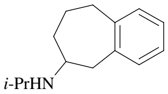
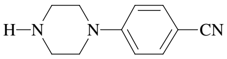
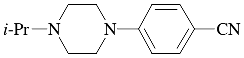
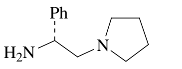
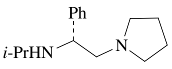
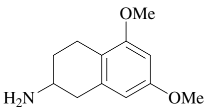
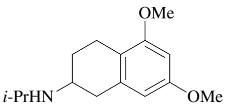
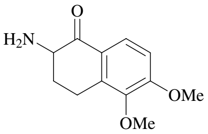
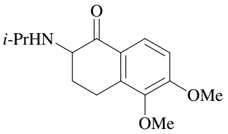
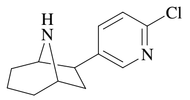
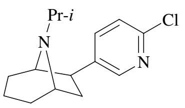
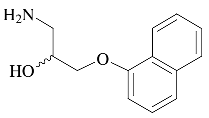
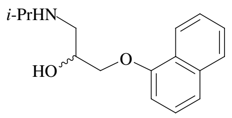
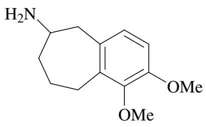
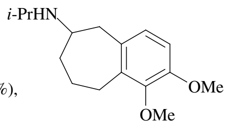
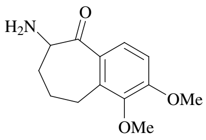
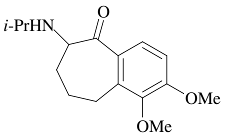
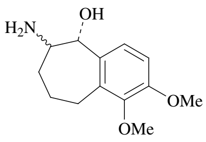
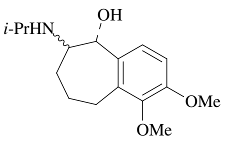
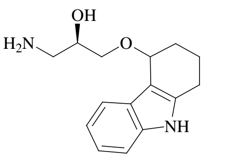
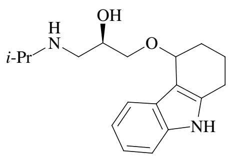
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Li(CN)BH_3•2 dioxane, MeOH, acetone, 0°, 1 h	 R^1 / R^2: OMe / H (68); H / OMe (70)	51
		Na(CN)BH_3, MeOH, 48 h	 R^1 / R^2: H / OMe (—); OMe / H (—)	1405
		1. Dry acetone, EtOH 2. Na(CN)BH_3, dioxane, EtOH, 0-10°, 4 h 3. 25°, 2 h	 (—)	655
		NaBH$(OAc)_3$, HOAc, CH_2Cl_2, 8 h	 (75)	648
		Na(CN)BH_3, HCl (35% aq), MeOH:acetone (3:1), 0° to rt, 24 h	 (80)	1779
		Na(CN)BH_3, dioxane, acetone, EtOH, overnight	 (94)	50
		Li(CN)BH_3•2 dioxane, EtOH (abs), acetone, 0°, 3 h	 (81)	1233
		Na(CN)BH_3, MeCN, 12 h	 (78)	1233
		1. EtOH (abs), 0.5 h 2. $NaBH_4$, 0.5 h	 *S* (90); *R* (—)	1780
		1. EtOH (99%), dry acetone, 0° 2. Na(CN)BH_3, EtOH (99%), dry dioxane, 0-10°, 2 h	 (56)	661
		Li(CN)BH_3, MeOH, acetone, 2 h	 (86)	56
		Li(CN)BH_3, MeOH, acetone, HCl (20%) in EtOH	 *cis* (—); *trans* (—)	56
		Na(CN)BH_3, HOAc, THF:H_2O:MeCN (4:1:1), 110°, 1 h	 (—)	1781

TABLE IIA. ALIPHATIC KETONES (*Continued*)

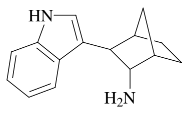
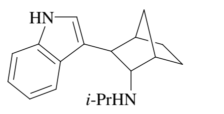
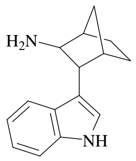
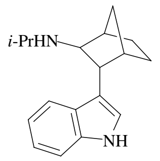
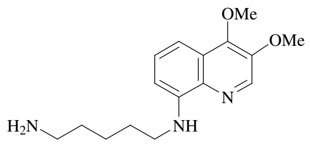
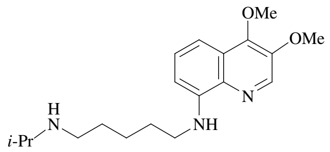
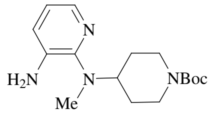
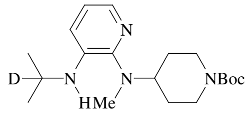
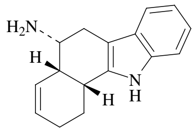
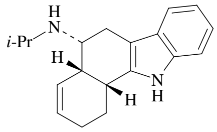
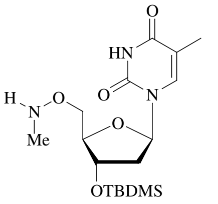
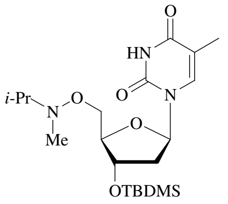
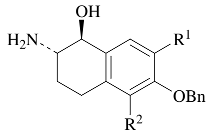
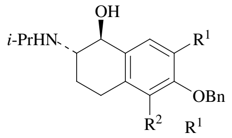
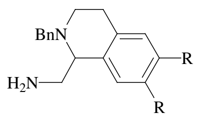
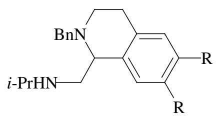
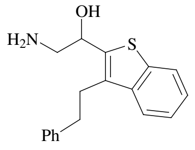
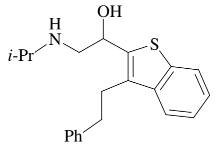
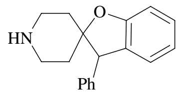
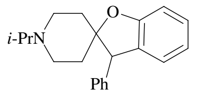
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, HCl in MeOH, pH 6, 30 min	 R: H (92); OMe (96)	690
		$Na(CN)BH_3$, MeOH, HCl in MeOH, pH 6, 30 min	 R: H (96); OMe (90)	690
		$Na(CN)BH_3$, acetone	 (96)	522
		1. HOAc-*d* 2. $NaBD_4$, 0° 3. rt, 30 min 4. $NaBD_4$, 30 min	 (73)	230
		1. $Na(CN)BH_3$, NaOAc, HOAc, acetone:H_2O (2:3), 0°, 10 min 2. 0°, 2 h	 (50)	1097
		BH_3•pyridine, PPTS, MeOH:THF (3:1)	 (91)	30
		$Li(CN)BH_3$•2 dioxane, MeOH, acetone, 0° or 25°, 5-12 h	 R^1 / R^2: H / H (76) NO_2 / NO_2 (72) H / Cl (53) H / N(Me)Bn (70) H / $N(Bn)SO_2Me$ (45) H / $N(Me)CO_2Bn$ (73)	54, 55
		$Na(CN)BH_3$, MeOH, acetone, conc. HCl, 16 h	 R: H (97); OBn (92)	1782, 1783
		1. $Na(CN)BH_3$, MeOH, 30 min 2. Acetone, $Na(CN)BH_3$	 (64)	1784
		1. $Na(CN)BH_3$, MeCN, HOAc, warming 2. pH 6-8, 25°, 5 h	 (37)	478

TABLE IIA. ALIPHATIC KETONES (*Continued*)

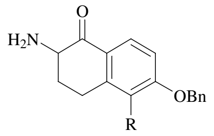
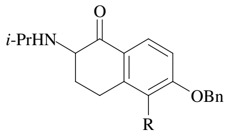
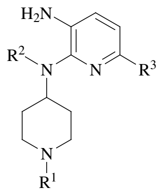
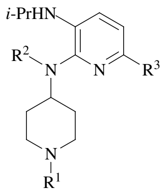
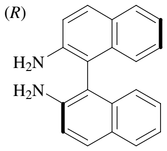
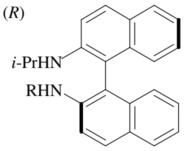
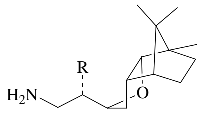
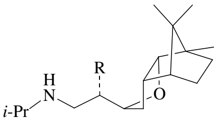
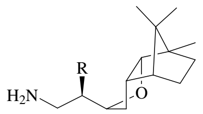
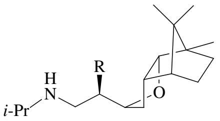
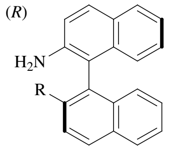
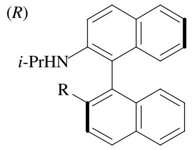
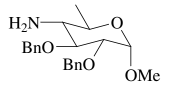
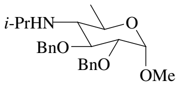
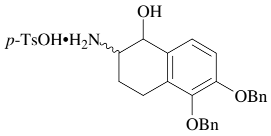
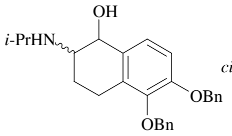
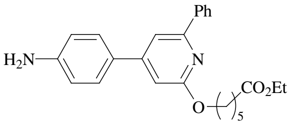
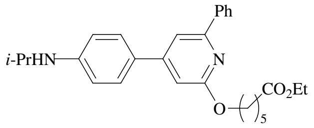
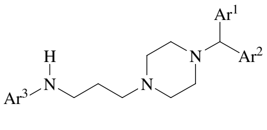
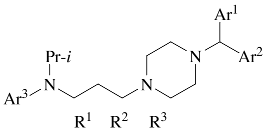
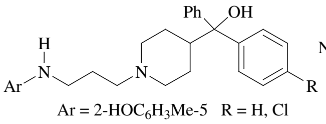
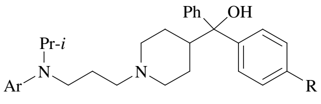
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Li(CN)BH_3, MeOH, acetone, 0°, 4 h	 R: CO_2Me (89); CN (74)	53
		Na(CN)BH_3, HOAc, pH 5, EtOH (abs), 65 h	 R^1, R^2, R^3: Boc, *c*-Pr, H (53); Bn, Me, H (63); Bn, Me, Cl (63); Bn, Et, H (73); Bn, Et, F (93)	476
		$NaBH_4$, H_2SO_4, THF, H_2O, 15 min	 **I** (15) + **II** (82) **I** R = H; **II** R = *i*-Pr	162
		1. MeOH, 20 min 2. $NaBH_4$, 1 h	 R: 2-ClC_6H_4 (90); $CH_2OC_{10}H_7$ (91)	1785
		1. MeOH, 20 min 2. $NaBH_4$, 1 h	 R: 2-ClC_6H_4 (90); $CH_2OC_{10}H_7$ (81)	1785
		$NaBH_4$, H_2SO_4, THF, H_2O, 5 min	 R: OH (89); PPh_2 (81)	164
		1. MeOH, MS 3 Å 2. Na(CN)BH_3, HOAc, pH 6, 2 h	 (87)	61
		Li(CN)BH_3•2 dioxane, MeOH, acetone, 0-2°	 *cis* (43), *trans* (29)	52
		1. HOAc, 15° 2. $NaBH_4$, <22° 3. 25°, 4 h	 (69)	1141
	 Ar^1 = 4-$R^1C_6H_4$ Ar^2 = 4-$R^2C_6H_4$ Ar^3 = 2-$HOC_6H_3R^3$-5	Na(CN)BH_3, HOAc, THF, MeOH, 60°, 5 h	 R^1, R^2, R^3: H, H, Me (67); Cl, H, Me (—); Cl, H, *t*-Bu (—); F, F, Me (—)	467
	 Ar = 2-HOC_6H_3Me-5 R = H, Cl	Na(CN)BH_3, HOAc, THF, MeOH, 60°, 5 h	 (—)	467

TABLE IIA. ALIPHATIC KETONES (*Continued*)

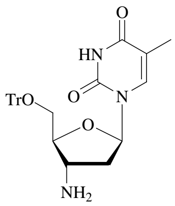
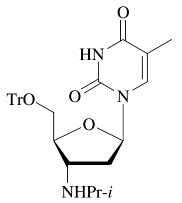
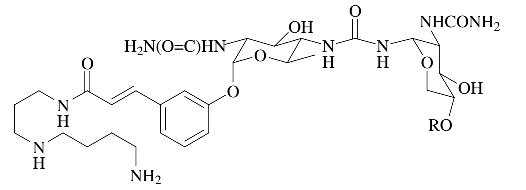
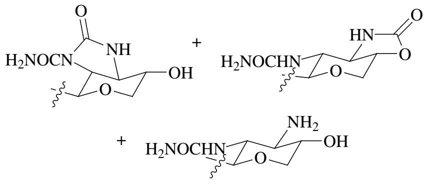
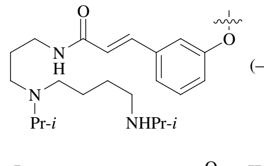
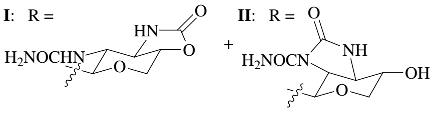
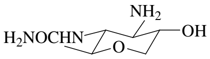
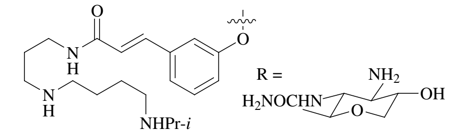
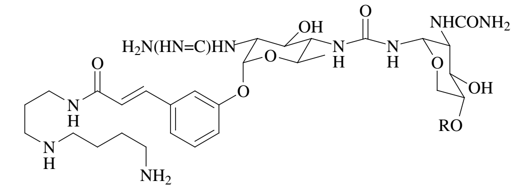
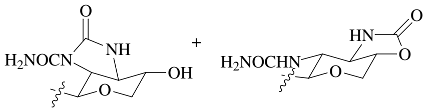
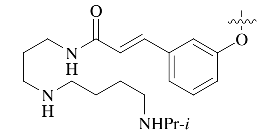
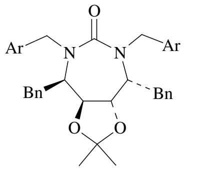
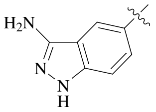
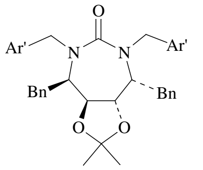
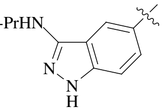
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $NaOAc•3H_2O$, HOAc, EtOH, H_2O, 0-5°, 5 min 2. $NaBH_4$, 0°, 30 min	 (90)	336
	 R = 	1. MeOH:H_2O (4:1), NaOH, pH 7 2. $Na(CN)BH_3$, dilute HCl, pH 7	 (—) **I**:**II** = 2:1 **I**: R = **II**: R = 	1040
	"	1. MeOH:H_2O (1:1) 2. $Na(CN)BH_3$, dilute HCl, pH 5.5-6.0, 90 min	**I** + **II** + **III**: R =  +  **IV** (—) **I**:**II**:**III**:**IV** = 1:2.3:17.5:50	1040
	 R = 	$Na(CN)BH_3$, MeOH, HCl in MeOH	 (—)	1786
	 Ar = 	$Na(CN)BH_3$, HOAc, MeOH	 (—) Ar' = 	699

TABLE IIA. ALIPHATIC KETONES (*Continued*)

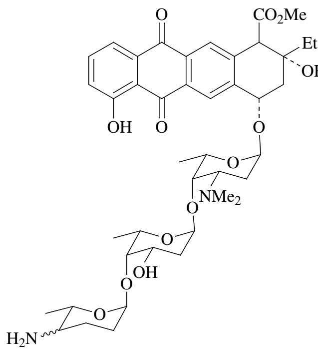
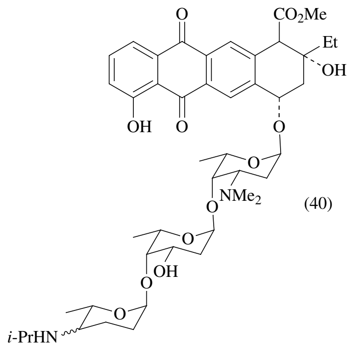
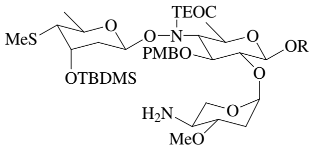
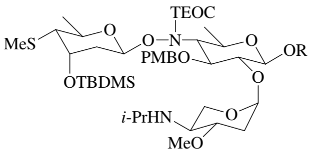
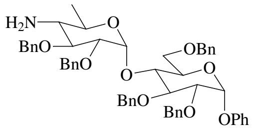
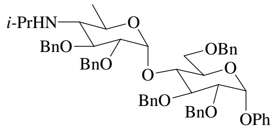
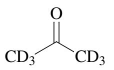
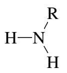
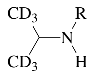
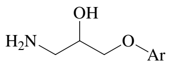
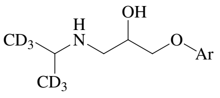
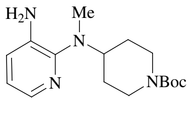
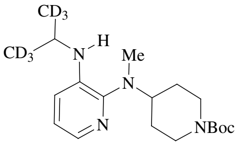
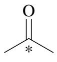
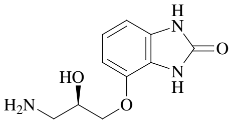
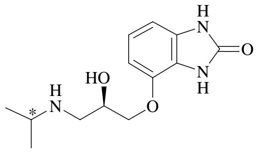
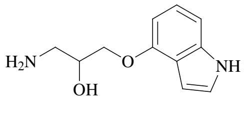
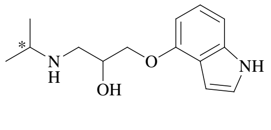
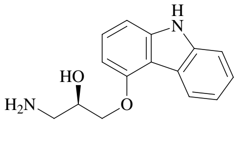
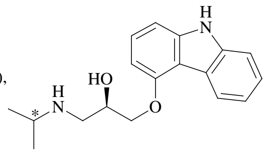
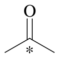
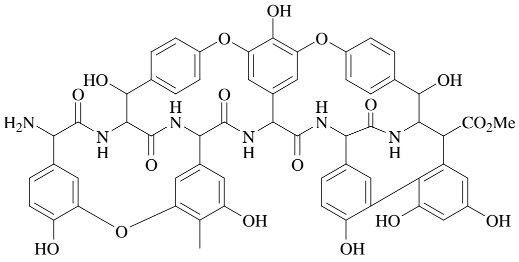
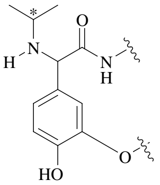
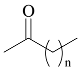
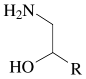
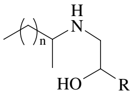
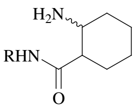
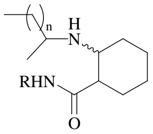
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, HCl in MeOH (0.5 N), MeOH	 (40)	737
		$Na(CN)BH_3$, $MgSO_4$, *i*-PrOH, 40-48 h	 R: Me (98); PMB (90)	76, 80
		1. Absolute MeOH, MS 3 Å 2. $Na(CN)BH_3$, HOAc, pH 6, 2 h	 (79)	61
		1. $NaOAc•3H_2O$, HOAc, H_2O, EtOH 2. $NaBH_4$, 0°	 R: Ph (84); Bn (66)	1131
	 Ar = 1-naphthyl	$NaBH_4$, MeOH (abs)	 (77)	1787
	"	$Na(CN)BH_3$, MeOD	" (—)	1788
		1. MeOH, HOAc, 0° 2. $Na(CN)BH_3$, 0-25° 3. Repeat 4 times	 (99)	230
 * = ^{11}C		$Na(CN)BH_3$, HOAc, MeOH, 110°, 10 min	 (—)	1789
		$Na(CN)BH_3$, THP:H_2O (9:1), HOAc in THP, 80°, 15 min	 (—)	1086
		$Na(CN)BH_3$, HOAc, THF:H_2O:MeCN (4:1:1), 110°, 10 min	 (—)	1781

TABLE IIA. ALIPHATIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
 * = ^{14}C		1. pH 7, 5 min 2. $Na(CN)BH_3$, 1 h 3. Acetone, 1 h	 (—)	1790
C_{3-4} 		1. EtOH (abs), 15-45 min 2. $NaBH_4$, 0°, 5-20 min	 R / n: H 0 (76) H 1 (75) Me 0 (70) Ph 0 (88)	453
		1. EtOH, reflux, 10-13 min 2. $NaBH_4$, 20 min 3. Reflux, 20-40 min	 R / n: H 1 *cis* (62) H 1 *trans* (73) Me 1 *cis* (64) Me 1 *trans* (68) H 2 *trans* (72)	1579

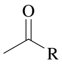
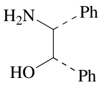
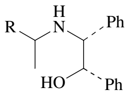
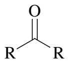
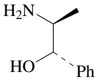
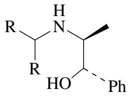
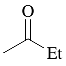
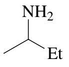
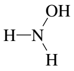
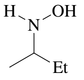
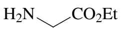
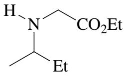
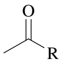
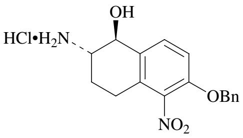
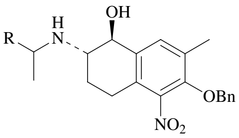
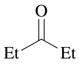
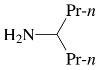
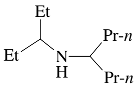
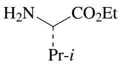
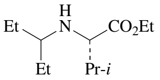
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{3-6} 		1. EtOH (abs) 2. $NaBH_4$, 0°	 R: Me (82) *i*-Bu (81)	456
C_{3-7} 		$NaBH_4$	 R: Me (80) Et (43) *i*-Pr (90)	1556
C_4 	NH_4OAc	$Na(CN)BH_3$	 (—)	1791
		1. HCl (5 N) in MeOH 2. $Li(CN)BH_3$, 72 h	 (64)	19
		$NaBH(OAc)_3$, HOAc, DCE	 (88)	21
C_{4-11} 		$Li(CN)BH_3$•2 dioxane, MeOH, 5-12 h	 R: Et (87) *i*-Pr (80) *i*-Bu (85) CH_2(3-indolyl) (57)	54
C_5 		$Na(CN)BH_3$, HCl (5 M) in MeOH, MeOH, 6 d	 (61)	847
		1. $Na(CN)BH_3$, pH 6, HOAc, 2-3 h 2. Ketone, overnight	 (97)	343

TABLE IIA. ALIPHATIC KETONES (*Continued*)

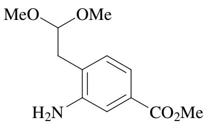
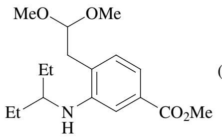
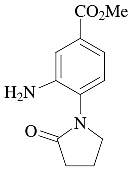
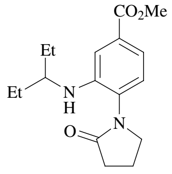
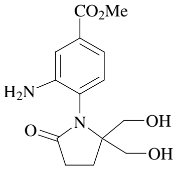
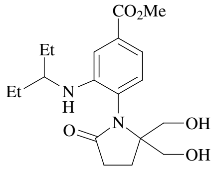
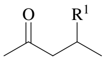
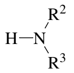
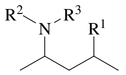
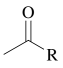
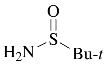
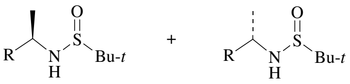
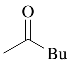
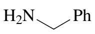
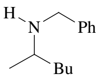
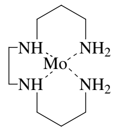
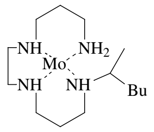
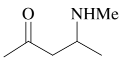
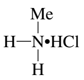
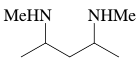
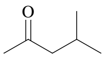
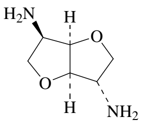
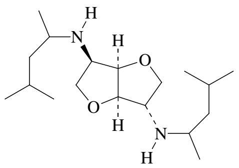
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Na_2SO_4 (anhyd), HOAc, 10-15 min 2. $NaBH(OAc)_3$, 2 min 3. 15 min - 1 h	 (—)	278
		1. $TiCl_4$, NEt_3, CH_2Cl_2, 18 h 2. $Na(CN)BH_3$, 3 h	 (25)	83
		$Na(CN)BH_3$, HOAc, DCE	 (82)	83
$C_{5\text{-}6}$ 		BH_3•pyridine, MS 4 Å, MeOH, 16 h	 R^1 | R^2 | R^3 H | H | *n*-Bu | (22) Me | H | *n*-Bu | (14) H | H | Ph | (27) Me | H | Ph | (4) H | H | Bn | (80) Me | H | Bn | (67) H | *n*-Bu | *i*-Bu | (35) Me | *n*-Bu | *i*-Bu | (14)	300
$C_{5\text{-}9}$ 		1. $Ti(OEt)_4$, THF 2. $NaBH_4$, THF, –48°	 I + II R | | I:II *i*-Pr | (66) | 97:3 *n*-Bu | (82) | 83:17 *i*-Bu | (74) | 92:8 Bn | (86) | 90:10	203
C_6 		BER, HCl•NEt_3, 95% EtOH, 1 h	 (99)	1374
		1. $MgSO_4$, DMF, 100°, 2 h 2. $NaBH_4$, overnight	 (—)	175
		$NaBH_4$, MeOH	 (50)	717
		1. MS 3 Å, MeOH, reflux, 6 h 2. HCl (1 N) in MeOH, pH 6, 25° 3. $Na(CN)BH_3$, 72 h	 (48)	167
	"	1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, 25°, 4 h	" (10)	167

TABLE IIA. ALIPHATIC KETONES (*Continued*)

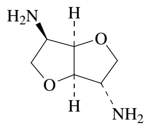
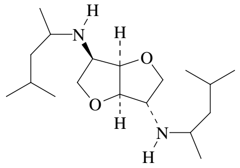
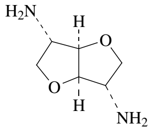
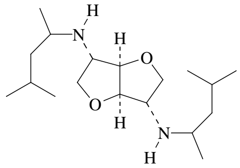
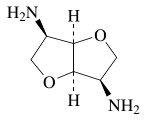
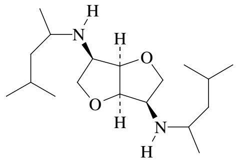
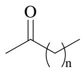
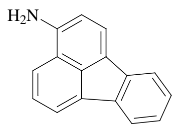
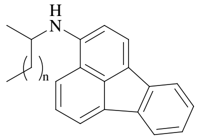
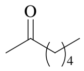
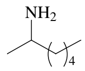
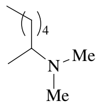
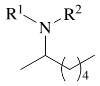
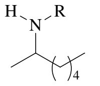
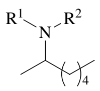
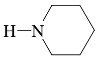
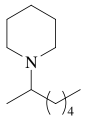
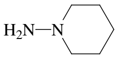
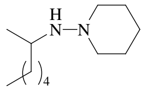
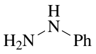
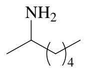
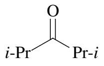
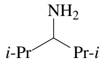
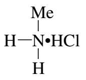
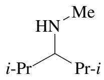
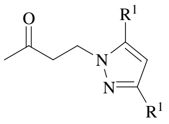
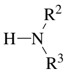
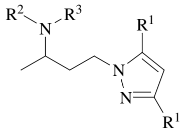
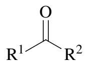
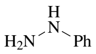
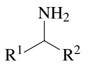
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, 25°, 4 h 3. HOAc, 2 h 4. 24 h 5. $NaBH_4$, 18 h	 (55)	167
		1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, 25°, 4 h	 (18)	167
	"	1. MS 3 Å, MeOH, reflux, 6 h 2. HCl (1 N) in MeOH, pH 6, 25° 3. $Na(CN)BH_3$, 72 h	" (60)	167
	"	1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, 25°, 4 h 3. HOAc, 2 h 4. 24 h 5. $NaBH_4$, 18 h	" (52)	167
		1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, 25°, 4 h 3. HOAc, 2 h 4. 24 h 5. $NaBH_4$, 18 h	 (48)	167
C_{6-10}  n = 3-7		$Na(CN)BH_3$, TFA, MeOH, 60°, 12 h	 (25)	47
	"	BH_3•pyridine, HOAc:toluene (2:7) 40°, 14 h	" (80)	47
C_7 	NH_4OAc	BER, MeOH, 0.5 h	 (25)	222
	H—N(Me)Me	BER, HCl•NEt_3, EtOH, 1 h	 (92)	223
	H—N(R^1)R^2	BER, MeOH	 R^1 / R^2 / Time Me / Me / 3 h (78) —$(CH_2)_4$— / 1 h (89) —$(CH_2)_5$— / 6 h (—) H / c-C_6H_{11} / 3 h (55) H / Bn / 3 h (93)	222
	H—N(R)H	$NaBH(OAc)_3$, HOAc, THF, 27-192 h	 R n-Pr (89) c-C_6H_{11} (84)	21
	H—N(R^1)R^2	$NaBH(OAc)_3$, THF, 24-96 h	 R^1 / R^2 Et / Et (73) —$(CH_2)_2O(CH_2)_2$— (44)	21

TABLE IIA. ALIPHATIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, HOAc, DCE, 48 h	 (65)	21
	"	$NaBH(OAc)_3$, THF, 48 h	" (35)	21
		$NaBH(OAc)_3$, 3 h	 (98)	15
		1. Heat, 1 h 2. BER, MeOH 3. $Pd(OAc)_2$	 (15)	226
	"	1. Heat, 1 h 2. BER, MeOH 3. $Ni(OAc)_2$	" (85)	226
	NH_4Cl	1. $Ti(OPr\text{-}i)_4$, NEt_3, EtOH, 11 h 2. $NaBH_4$, 8 h	 (62)	195
		1. $Ti(OPr\text{-}i)_4$, NEt_3, EtOH, 10 h 2. $NaBH_4$, THF, 8 h	 (64)	194
$C_{7\text{-}9}$ 		$Na(CN)BH_3$, HCl (5 N) in MeOH, MeOH (abs), 2-3 d		1792
$C_{7\text{-}10}$ 		1. BER, sonication, MeOH, 1 h 2. $Ni(OAc)_2$•4 H_2O, reflux, 3 h 3. BER, $Ni(OAc)_2$•H_2O, reflux, 3 h		225

Products for ref. 1792:

R^1	R^2	R^3	
H	H	H	(10)
H	H	Et	(38)
H	H	*i*-Bu	(60)
H	H	C_5H_9	(53)
H	Me	*s*-Bu	(17)
H	H	Ph	(38)
H	H	$2\text{-}ClC_6H_4$	(46)
H	H	$4\text{-}ClC_6H_4$	(43)
H	H	$2,5\text{-}Cl_2C_6H_3$	(46)
H	H	Bn	(41)
H	Me	Ph	(42)
Me	H	H	(52)
Me	H	Et	(82)
Me	H	*i*-Bu	(94)
Me	H	$c\text{-}C_5H_9$	(77)
Me	Me	*s*-Bu	(16)
Me	H	Ph	(80)
Me	H	$2\text{-}ClC_6H_4$	(32)
Me	H	$4\text{-}ClC_6H_4$	(56)
Me	H	$2,5\text{-}Cl_2C_6H_3$	(39)
Me	H	Bn	(46)
Me	Me	Ph	(45)

Products for ref. 225:

R^1	R^2	
Me	C_5H_{11}	(87)
n-Pr	*n*-Pr	(82)
Me	$(CH_2)_3CO_2Me$	(81)
Me	CH_2Bn	(80)

TABLE IIA. ALIPHATIC KETONES (*Continued*)

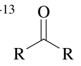
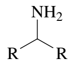
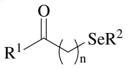
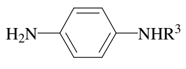
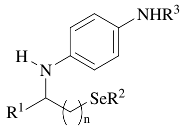
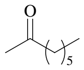
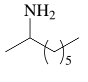
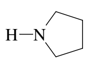
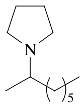
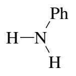
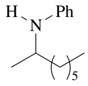
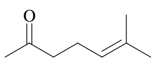
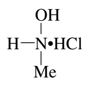
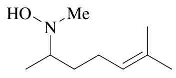
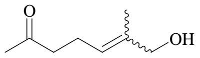
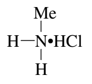
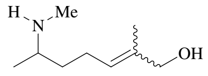
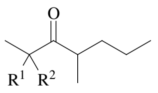
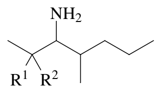
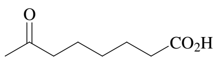
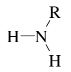
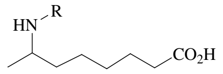
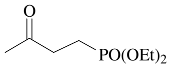
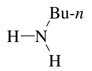
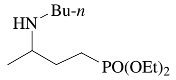
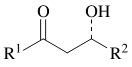
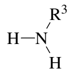
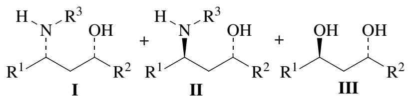
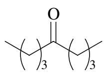
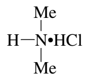
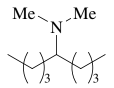
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
$C_{7\text{-}13}$ 	NH_4OAc	$Na(CN)BH_3$, MeOH	 R / Time *n*-Pr / 72 h / (78) *c*-C_6H_{11} / 6 d / (63)	847
$C_{7\text{-}17}$ 		1. Conc. HCl, MeOH 2. $Na(CN)BH_3$ in MeOH, 16 h	 R^1 / R^2 / R^3 / n Me / Bu / Ph / 1 / (80) Me / Bu / Ph / 2 / (85) Me / *i*-Pr / Ph / 3 / (68) Me / Bu / *i*-Pr / 3 / (79) Me / Bu / Ph / 3 / (84) Me / Ph / Ph / 1 / (66) Et / Bu / Ph / 2 / (77) Me / *c*-C_6H_{11} / Ph / 3 / (49) Me / Bn / Ph / 3 / (31) Me / $C_{12}H_{25}$ / Ph / 3 / (69)	1769
C_8 	NH_3(l)/NH_4Br	(*R*)-PhCH(Me)NH_2•BH_3, MeOH, 48 h	 (33) 1.1% ee	330
	"	(*S*)-PhCH(Me)NH_2•BH_3, MeOH, 48 h	" (28) 1.6% ee	330
		$Na(CN)BH_3$, Aliquat 336, CH_2Cl_2	 (73)	42
		$Bu_4N(CN)BH_3$, CH_2Cl_2	 (31)	42
	"	$Na(CN)BH_3$, MeCN, 48 h	" (66)	42
	"	$Na(CN)BH_3$, Aliquat 336, CH_2Cl_2	" (61)	42
		1. H_2O:MeOH (1:4), NaOH, pH 6 2. $Na(CN)BH_3$, HCl (5 N), pH 5-6, 5 h	 (11)	492
		1. KOH, MeOH, 30 min 2. $Na(CN)BH_3$ in MeOH, 30 min 3. 4-19 h	 *cis* (96) *trans* (73)	1793
	NH_4OAc	$Na(CN)BH_3$, MeOH, 4 d	 R^1 / R^2 H / H / (60) H / F / (84) F / F / (76)	1794
		$Na(CN)BH_3$, MeOH	 R H / (67) Me / (73)	1795
		$NaBH(OAc)_3$, HOAc, THF	 (—)	1796
$C_{8\text{-}9}$ 		1. HOAc, MS 4 Å THF, 10 min 2. $Na(CN)BH_3$, 24 h	 R^1 / R^2 / R^3 / Temp / **I+II** / **I:II** / **III** Et / *n*-Pr / Bn / –15° / (76) / 87:13 / (3) *i*-Pr / *i*-Pr / allyl / –15° / (40) / 85:15 / (20) *i*-Pr / *i*-Pr / Bn / 0° / (75) / 92:8 / (3)	757
C_9 		1. KOH pellets, MeOH 2. $Na(CN)BH_3$, 1 h 3. KOH, 3 d	 (38)	1797

TABLE IIA. ALIPHATIC KETONES (*Continued*)

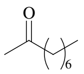
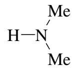
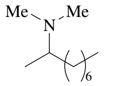
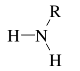
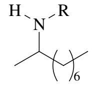
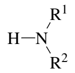
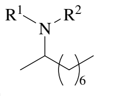
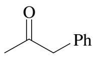
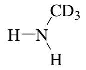
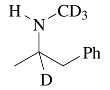
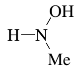
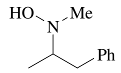
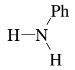
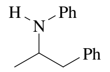
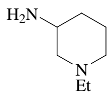
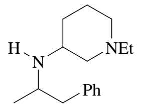
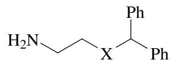
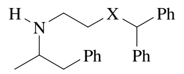
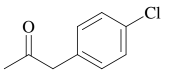
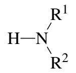
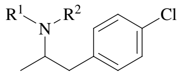
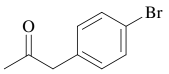
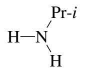
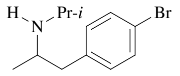
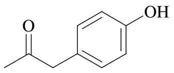
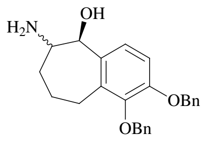
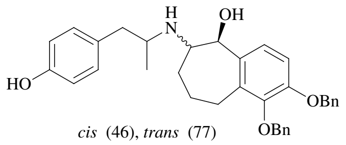
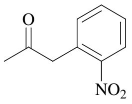
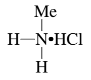
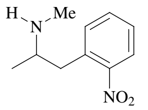
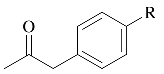
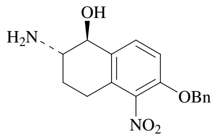
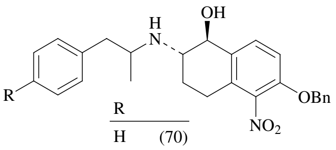
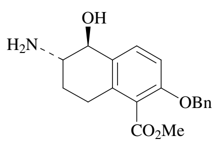
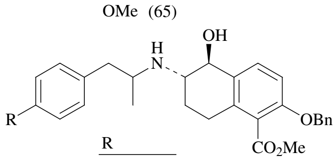
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. HCl (5 N), MeOH 2. Li(CN)BH$_3$, 72 h	 (77)	19
	 R = *n*-Pr, *c*-C$_3$H$_5$, allyl	NaBH(OAc)$_3$	 (—)	1798
		1. Ti(OPr-*i*)$_4$ (2 M), pentane, Et$_2$O, 0°, 1 h 2. TiCl$_4$ (2 M), pentane, 0°, 30 min 3. BH$_3$•SMe$_2$, 0° to rt, 14 h	 R^1 / R^2 H / *i*-Pr (54) —(CH$_2$)$_4$— (43)	333
		Na(CN)BD$_3$, MeOH	 (—)	134
		Na(CN)BH$_3$	 (—)	498
		NaBH(OAc)$_3$, DCE, 30 h	 (80)	21
		1. MeOH:H$_2$O (100:7), 50°, 7 h 2. NaBH$_4$, 20°, 15 min 3. 1 h, 25° 4. Reflux, 1 h	 (49)	1799
		Na(CN)BH$_3$, MS 3 Å, MeOH, overnight	 X S (60) CH$_2$ (42)	794
		1. Ti(OPr-*i*)$_4$, 6-7 h 2. NaBH$_4$, EtOH (abs), 12-13 h	 R^1 / R^2 Et / Et (75) —(CH$_2$)$_4$— (72) —(CH$_2$)$_2$O(CH$_2$)$_2$— (75) —(CH$_2$)$_5$— (72)	200
		1. HCl (6.5 N) in MeOH, dry MeOH 2. Na(CN)BH$_3$, MS 3 Å, 78 h	 (69)	1800
		Na(CN)BH$_3$, HCl (20%) in EtOH, MeOH:acetone (5:1)	 *cis* (46), *trans* (77)	56
		Na(CN)BH$_3$, MeOH (abs)	 (—)	1801
C$_{9-10}$ 		Na(CN)BH$_3$, MeOH, 5 h	 R H (70) OH (65) OMe (65)	54
		Li(CN)BH$_3$, MeOH, 4-5 h	 R H (50) OMe (56)	53

TABLE IIA. ALIPHATIC KETONES (*Continued*)

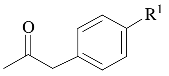
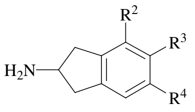
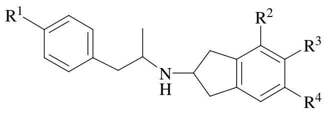
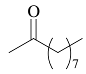
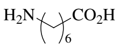
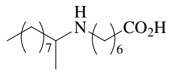
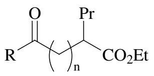
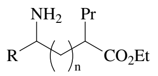
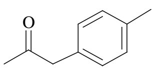
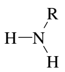
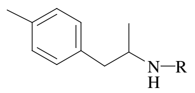
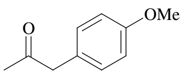
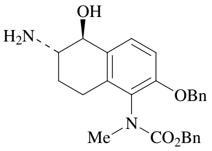
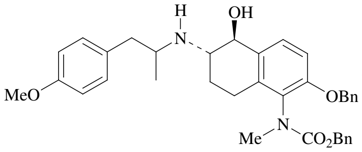
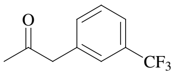
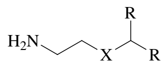
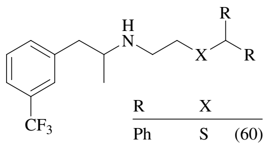
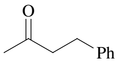
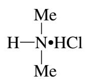
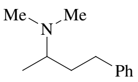
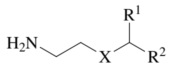
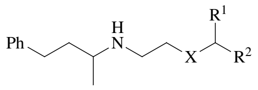
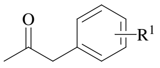
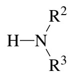
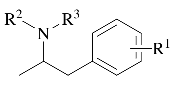
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, 48 h	 R^1 / R^2 / R^3 / R^4 H / H / OMe / OMe (82) H / OMe / OMe / H (72) OMe / H / H / H (73) OMe / H / OMe / OMe (75) OMe / OMe / OMe / H (81)	1802
C_{10}				
		$Na(CN)BH_3$, MeOH, 5 h	 (28)	1397
	NH_4OAc	1. Dry MeOH 2. $Na(CN)BH_3$, 48 h	 R / n Me / 1 (73) Et / 0 (43)	1803
	 R = Pr, c-C_3H_5, allyl	$NaBH(OAc)_3$	 (—)	1798
		$Li(CN)BH_3$•2 dioxane, MeOH, 0°, 5-12 h	 (67)	55
		$Na(CN)BH_3$, MS 3 Å, MeOH, overnight	 R / X Ph / S (60) 4-FC_6H_4 / S (55) Ph / CH_2 (40)	794
		1. $Ti(OPr\text{-}i)_4$, NEt_3, EtOH (abs), 9-10 h 2. $NaBH_4$, 10 h	 (75)	192
		$Na(CN)BH_3$, MS 3 Å, MeOH, overnight	 R^1 / R^2 / X Ph / Ph / S (65) Ph / 2-FC_6H_4 / S (50) 4-FC_6H_4 / 4-FC_6H_4 / S (60) 4-ClC_6H_4 / 4-ClC_6H_4 / S (70) Ph / 2-$MeOC_6H_4$ / S (70) Ph / Ph / CH_2 (45)	794
		$Na(CN)BH_3$, MeOH, 24 h	 (—) R^1 / R^2 / R^3 2-OMe / H / H 3-OMe / H / H 4-OMe / H / H 2-OMe / Me / H 3-OMe / Me / H 4-OMe / Me / H 4-OMe / Et / H 4-OMe / Me / Me 4-OMe / n-Pr / H 4-OMe / i-Pr / H	1804, 1805

TABLE IIA. ALIPHATIC KETONES (*Continued*)

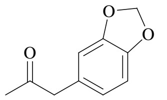
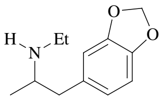
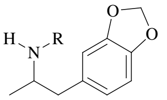
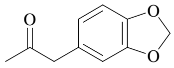
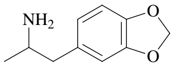
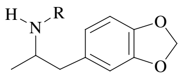
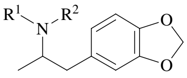
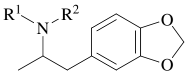
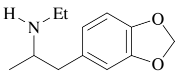
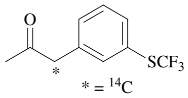
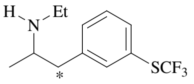
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	H—N(Et)—H (70% aq)	1. Reflux, 30 min 2. $NaBH_4$, 25°, 10 min 3. Reflux, 30 min	 (31)	1806
	H—N(R)—H	1. $Na(CN)BH_3$, glacial HOAc, MeCN, 2 h 2. Glacial HOAc, 30 min	 R *n*-Pr (42) *i*-Pr (63) *n*-Bu (10) *i*-Bu (9) *s*-Bu (46)	1806
	NH_4OAc	$Na(CN)BH_3$, HCl (12 N), MeOH, pH 7, 36 h	 (31)	480
	NH_4OAc	$Na(CN)BH_3$, HCl, pH 6-7, MeOH, 72 h	" (31)	511
	H—N(R)—H	$Na(CN)BH_3$, HCl, pH 6-7, MeOH, 72 h	 R OH (17) Me (12)	511
	R^2—N(H)•HCl—R^1	$Na(CN)BH_3$, HCl, methyl red, MeOH	 (—) R^1 R^2 H H H OH H Me Me Me H Et H *n*-Pr H *i*-Pr	748
	H—N(R^1)—R^2	$Na(CN)BH_3$, HCl (12 N), MeOH, pH 7, 36 h	 R^1 R^2 H OH (31) H Me (74) H OMe (28) H Et (68) H CH_2CN (21) H $(CH_2)_2OH$ (35) Me Me (27) H *n*-Pr (52) H *i*-Pr (25) H $(CH_2)_2OMe$ (72) H $CH_2C{\equiv}CH$ (39) H $CH_2CH{=}CH_2$ (39) H *n*-Bu (26) H *i*-Bu (64) H $CH_2C_3H_5$-*c* (15) H NBu-*t* (3) H *n*-C_5H_{11} (7) H *n*-C_6H_{13} (38) H *n*-C_8H_{17} (61) H Bn (13)	480
	H—N(Et)—H (70% aq)	1. Reflux, 30 min 2. $NaBH_4$, 10 min 3. Reflux, 1 h	 (31)	1807
 * = ^{14}C	H—N(Et)—H	1. MS 3 Å, dry MeOH, 2 h 2. $NaBH_4$, 1 h	 (78)	168

TABLE IIA. ALIPHATIC KETONES (*Continued*)

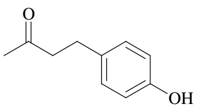
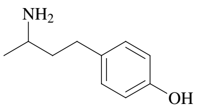
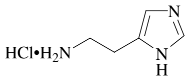
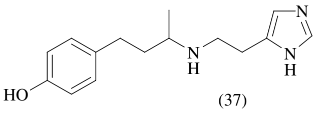
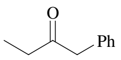
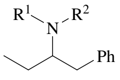
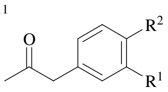
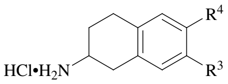
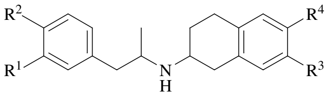
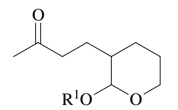
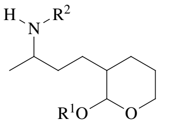
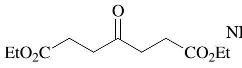
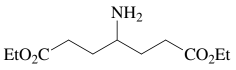
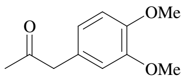
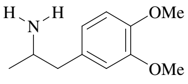
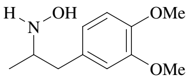
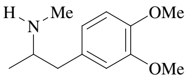
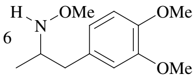
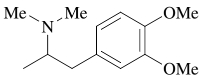
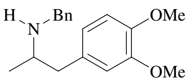
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4OAc	$Na(CN)BH_3$	 (—)	1808
		1. NaOAc, MS 3 Å, MeOH, 45 min 2. $Na(CN)BH_3$, 1.5 h	 (37)	1221
	H—N(R¹)R²	1. $Ti(OPr\text{-}i)_4$, 6-7 h 2. $NaBH_4$, EtOH (abs), 12-13 h	 R¹R²: —$(CH_2)_4$— (75); —$(CH_2)_2O(CH_2)_2$— (73); —$(CH_2)_5$— (72)	200
$C_{10\text{-}11}$ 		$Na(CN)BH_3$, MeOH, 3 d	 R¹ / R² / R³ / R⁴: H / OMe / H / OMe (22); H / OMe / OMe / OMe (89); OMe / OMe / H / OMe (14)	1809
$C_{10\text{-}12}$  R¹: Me; *i*-Pr	H—N(R²)H R²: *n*-Bu; *n*-Bn	$NaBH(OAc)_3$, HOAc DCE, overnight	 (—)	1810
C_{11} 	NH_4OAc	$Na(CN)BH_3$, HOAc, pH 5, MeOH	 (44)	1811
	NH_4OAc	$Na(CN)BH_3$, MeOH, 8 h	 (45)	491
	H—N(OH)(H)•HCl	1. KOH (6 N), MeOH (30% aq), pH 6 2. $Na(CN)BH_3$, 3 h	 (66)	491
	H—N(Me)H	$Na(CN)BH_3$, MS 3 Å, HCl (4.2 N) in MeOH, MeOH (abs), 72 h	 (92)	491
	"	1. $NaBH_4$, MeOH, 0-10°, 20 min 2. 25°, 1 h	" (72)	652
	H—N(OMe)(H)•HCl	1. KOH (5%), H_2O:MeOH (1:5), pH 6 2. $Na(CN)BH_3$, HCl (5%), pH 5-6, 3 h	 (50)	491
	H—N(Me)(Me)•HCl	$Na(CN)BH_3$, MeOH, 72 h	 (58)	652
	H—N(Bn)H	$Na(CN)BH_3$, HCl (5 N) in MeOH, pH 7, MeOH, 36 h	 (92)	652

TABLE IIA. ALIPHATIC KETONES (*Continued*)

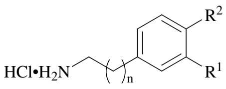
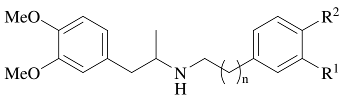
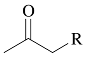
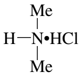
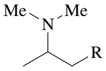
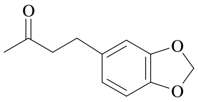
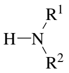
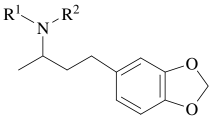
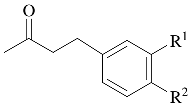
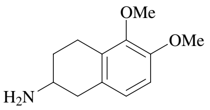
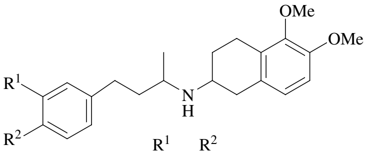
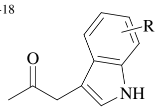
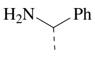
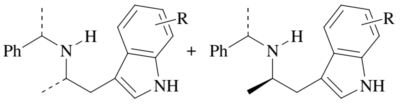
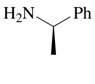
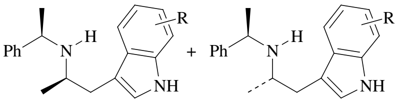
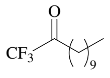
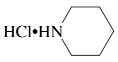
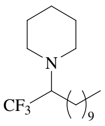
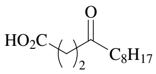
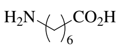
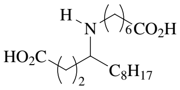
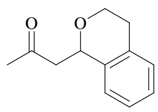
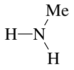
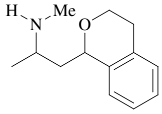
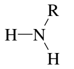
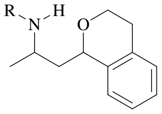
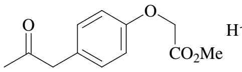
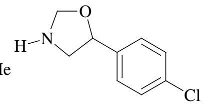
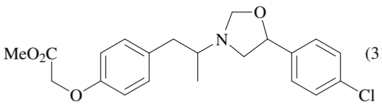
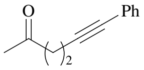
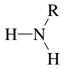
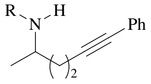
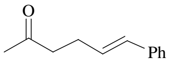
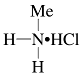
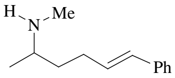
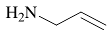
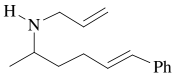
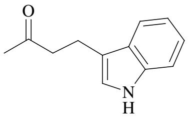
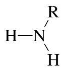
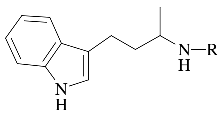
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, MeOH, 2-3 d	 n, R^1, R^2 2, H, OH (90) 1, OMe, OMe (60) 2, OMe, OMe (60) 1, OBn, OBn (65)	1405, 1809
		Na(CN)BH$_3$, conc. HCl, pH 6, warming, MeOH, 8 h	 R 2,6-(MeO)$_2$C$_6$H$_3$ (17) 3,5-(MeO)$_2$C$_6$H$_3$ (39) 2,4-(MeO)$_2$C$_6$H$_3$ (24)	1812
	 R^1, R^2 H, H H, Me H, Et Me, Me H, *n*-Pr H, *i*-Pr	Na(CN)BH$_3$, MeOH, 24 h	 (—)	1813
C$_{11-12}$ 		Na(CN)BH$_3$, MeOH, 48 h	 R^1, R^2 H, OMe (86) OMe, Me (37)	1405
C$_{11-18}$ 		1. Glacial HOAc, pH 5-6, MeOH 2. Na(CN)BH$_3$, 24 h	 **I** + **II** R, **I**, **II** H (54) (36) 4-OMe (47) (19) 5-OMe (50) (24) 6-OMe (53) (37) 4-OBn (64) (35) 5-OBn (64) (33)	1814
		1. Glacial HOAc, pH 5-6, MeOH 2. Na(CN)BH$_3$, 24 h	 **I** + **II** R, **I**, **II** H (43) (19) 4-OMe (43) (19) 5-OMe (36) (19) 6-OMe (55) (37) 4-OBn (44) (28) 5-OBn (37) (18)	1814
C$_{12}$ 		1. TiCl$_4$ (1 M) in CH$_2$Cl$_2$, TEA, 18 h 2. Na(CN)BH$_3$ (1.25 M) in MeOH, 15 min	 (65)	81
		1. NaOMe, MeOH (anhyd) 2. Na(CN)BH$_3$, 0° 3. 20°, 7 d	 (15)	649

TABLE IIA. ALIPHATIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 40% in MeOH	1. MeOH, 3 h 2. $NaBH_4$, MeOH, 0-25°, 3 h	 (20)	185
		1. C_6H_6, overnight 2. $NaBH_4$, MeOH, 0-25°, 3 h	 R: *i*-Pr (45); *n*-Bu (50); Bn (47)	185
		1. ZnI_2, HCl in MeOH, MeOH, 24 h 2. $Na(CN)BH_3$, 24 h	 (31)	126
	 R = Me, allyl	$Na(CN)BH_3$, MeOH, 25°	 (70-95)	1815
		$Na(CN)BH_3$, HCl (5 N) in MeOH, 5 d	 (82)	1816
		$NaBH(OAc)_3$, HOAc, DCE	 (85)	1817
	 R = Pr, *c*-C_3H_5, allyl	$NaBH(OAc)_3$	 (—)	1798

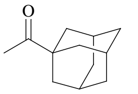
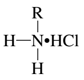
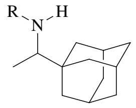
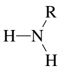
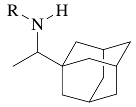
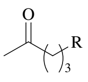
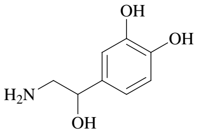
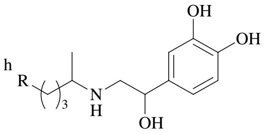
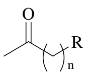
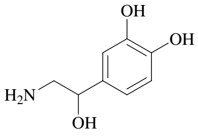
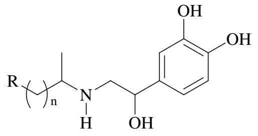
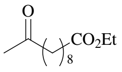
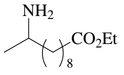
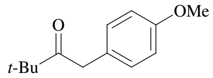
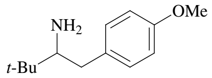
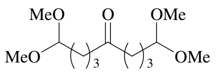
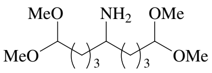
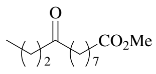
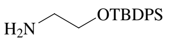
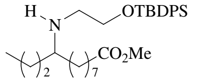
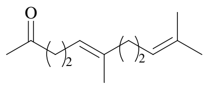
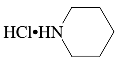
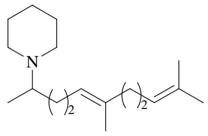
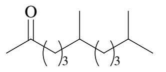
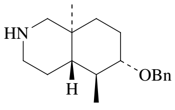
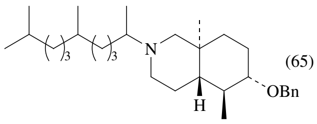
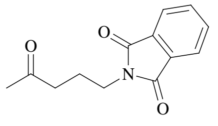
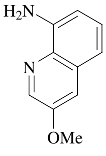
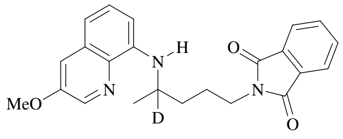
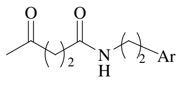
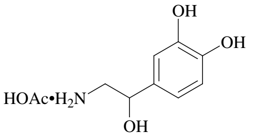
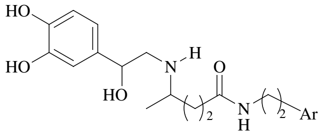
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Ti(OPr-*i*)$_4$, TEA, EtOH (abs), 12 h 2. $NaBH_4$, 12 h	 R: H (80); Me (93)	199
		1. Ti(OPr-*i*)$_4$, 25°, 5-6 h 2. $NaBH_4$, EtOH (abs), 12-13 h	 R: $(CH_2)_2OH$ (80); $(CH_2)_3OH$ (85); *n*-Bu (90); *t*-Bu (80); *c*-C_6H_{11} (80)	199
C_{12-14} 		$Na(CN)BH_3$, MeOH, 48 h	 R: $OCONHC_6H_{11}$-*c* (42); $OCONHCOC_6H_4Me$-4 (75)	1818

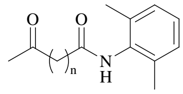
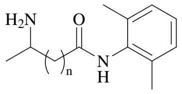
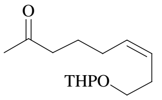
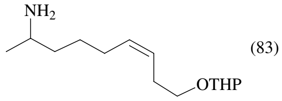
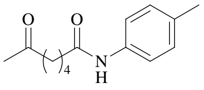
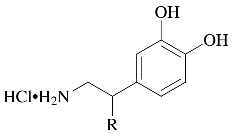
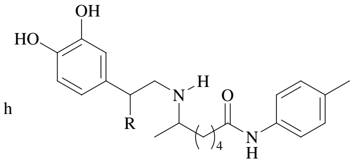
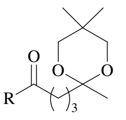
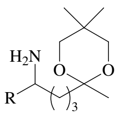
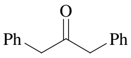
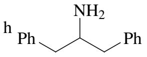
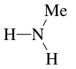
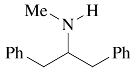
TABLE IIA. ALIPHATIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{12-21} 		1. $Na(CN)BH_3$ (1-4 eq), 10% HOAc in MeOH, pH 5-7 2. 50°, 1-3 d		1819

n	R	
2	$NHCO_2Bn$	(47)
3	$NHCO_2C_6H_{11}$-*c*	(90)
2	$NHCOC_6H_4Me$-2	(84)
2	$NHCOC_6H_4Me$-4	(86)
3	$NHCO_2Bn$	(79)
3	$NHCOC_6H_4Me$-2	(46)
3	$NHCOC_6H_4Me$-4	(44)
4	$NHCO_2Bn$	(32)
4	$NHCOC_6H_4Me$-2	(54)
4	$NHCOC_6H_4Me$-4	(33)
4	$NHCONHC_6H_4Me$-4	(37)
5	$NHCO_2Bn$	(55)
5	$NHCOC_6H_4Me$-2	(60)
5	$NHCOC_6H_4Me$-4	(58)
4	NHCONH[Phe(Ac)GlyNHMe]	(25)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{13}				
	NH_4NO_3	$Na(CN)BH_3$, MeOH, 3 d	 (89)	1820
	NH_4OAc	$Na(CN)BH_3$, dry MeOH, 48 h	 (85)	382
	NH_4OAc	$Na(CN)BH_3$, MS 3 Å, MeOH, 24 h	 (99)	1821
		$Na(CN)BH_3$, HCl-MeOH, pH 5.5	 (70)	1822
		1. $TiCl_4$ (1 M) in CH_2Cl_2, TEA, 18 h 2. $Na(CN)BH_3$ (1.25 M) in MeOH, 15 min	 (65)	81
		1. $TiCl_4$ (1 M) in CH_2Cl_2, TEA, 18 h 2. $Na(CN)BH_3$ (1.25 M) in MeOH, 15 min	 (65)	81
		1. MS 4 Å, *p*-TsOH, MeOD, 2 h 2. $Na(CN)BD_3$, 24 h 3. Repeat 5 times	 (46)	1100
 Ar = 3-$RC_6H_3N_3$-4		$Na(CN)BH_3$, MS 4 Å, MeOH, 4 h		1823

R	
I	(—)
^{125}I	(12)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{13-14} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 3-4 d	 n: 2 (61); 3 (88)	1824
C_{14} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 48 h	 (83)	1825
		1. HOAc (10%) in MeOH, MeOH, 30 min 2. $Na(CN)BH_3$/MeOH, 1 h 3. 25°, 1 h 4. 2 h, reflux	 R: H (60); OH (65)	1826
C_{14-22} 	NH_4OAc	1. MS 4 Å, MeOH, 2 h 2. $Na(CN)BH_3$, 4-24 h	 R: *n*-Pr (78); $(CH_2)_3CH(OMe)_2$ (92); $(CH_2)_{10}Me$ (72)	408
C_{15} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 48 h	 (—)	1827
	 (40% aq)	1. 80°, 1 h 2. $NaBH_4$, 20 min. 3. 1 h	 (—)	1827

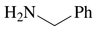
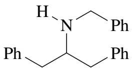
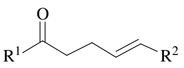
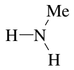
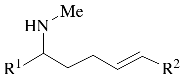
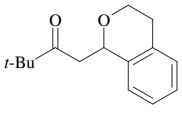
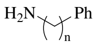
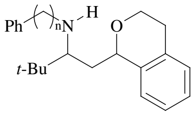
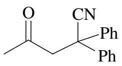
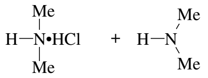
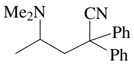
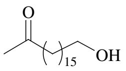
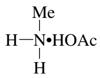
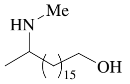
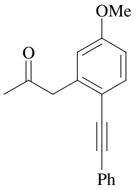
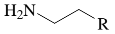
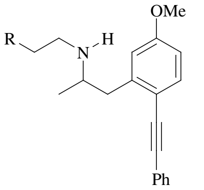
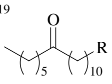
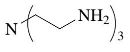
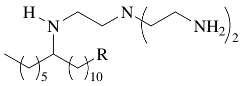
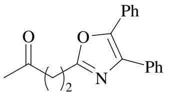
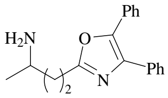
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, HCl (5 M) in MeOH, MeOH (anhyd), 72 h	 (80)	1828
		$NaBH(OAc)_3$, HOAc, DCE	 R^1, R^2: C_3H_7, C_7H_{15} (89); C_6H_{13}, C_4H_9 (87)	1829
		1. $TiCl_4$, dry C_6H_6, 0° to rt, overnight 2. $NaBH_4$, MeOH, 0° to rt, overnight	 n: 1 (50); 2 (86)	185
C_{17} 		1. MeOH, 2 h 2. $Na(CN)BH_3$, 2 d 3. $Na(CN)BH_3$, 8 d	 (68)	1830
C_{18} 		$Na(CN)BH_3$, MeOH, 48 h	 (87)	1831
		$Na(CN)BH_3$, MeOH, 72 h	 R: 3,4-$Cl_2C_6H_3$ (51); 3-$MeOC_6H_4$ (35); 3,5-$(MeO)_2C_6H_3$ (56)	1285
C_{18-19} 		1. HOAc, MeOH, 0.5 h 2. $Na(CN)BH_3$, 24 h	 R: $CONH_2$ (56); CO_2Me (50)	409
C_{19} 	NH_4OAc	$Na(CN)BH_3$, dry MeOH, reflux, 4 h	 (49)	1832

TABLE IIA. ALIPHATIC KETONES (*Continued*)

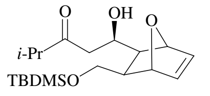
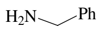
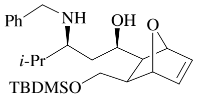
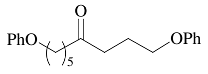
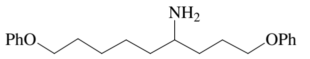
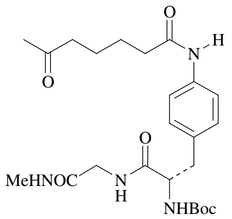
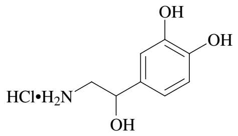
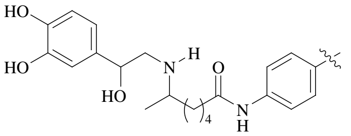
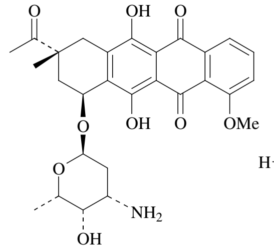
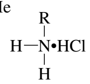
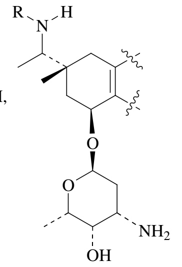
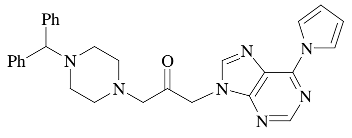
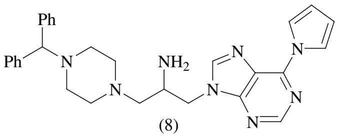
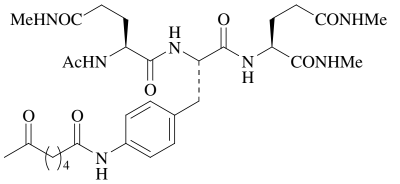
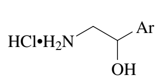
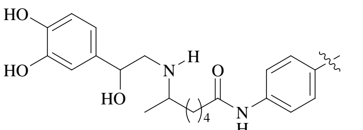
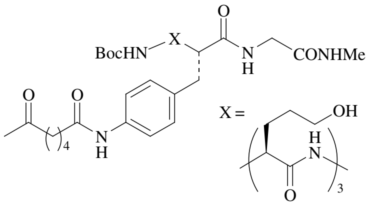
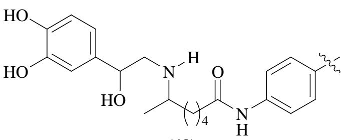
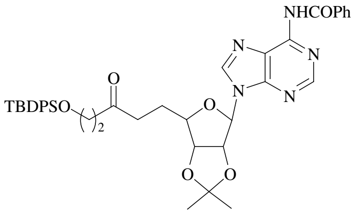
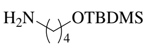
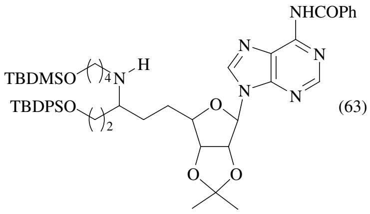
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 4 Å, HOAc, THF, 0°, 15 min 2. Na(CN)BH$_3$, –15°, 36 h	 (70)	1085
C_{21}				
	NH$_4$OAc	Na(CN)BH$_3$, MeOH, CH$_2$Cl$_2$, 68 h	 (44)	1062
C_{24}				
		1. HOAc, MeOH 2. Na(CN)BH$_3$ in MeOH 3. 40°, 20 h	 (41)	1833, 1834
C_{28} 		1. NaOH (1 M) in MeOH, MeOH, 15 min 2. Na(CN)BH$_3$ (0.3 M) in MeOH, 12 h	 R: Me (45); (CH$_2$)$_3$OH (38); *n*-Bu (67); (CH$_2$)$_3$NMe$_2$ (70)	742
C_{29}				
	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 18 h	 (8)	1835
C_{31}				
	 Ar = 3,4-(HO)$_2$C$_6$H$_3$	1. NaOAc buffer, MeOH, pH 5 2. Na(CN)BH$_3$ in MeOH 3. 60°, 48 h	 (6)	1833, 1834
C_{39}				
	"	1. NaOAc buffer, MeOH, pH 5 2. Na(CN)BH$_3$ in MeOH 3. 60°, 48 h	 (40)	1833, 1834
C_{40}				
		1. Bromothymol blue, methanolic HCl, pH 6, MeOH 2. Na(CN)BH$_3$, methanolic HCl, pH 6, 24 h 3. Na(CN)BH$_3$, MS 4 Å, methanolic HCl, pH 6	 (63)	1125

TABLE IIA. ALIPHATIC KETONES (*Continued*)

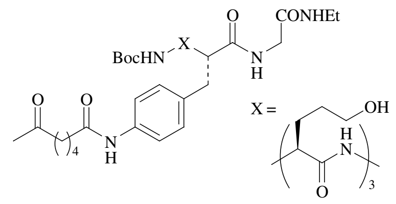
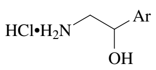
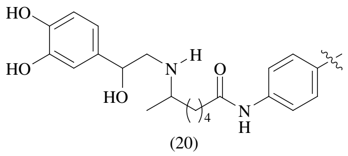
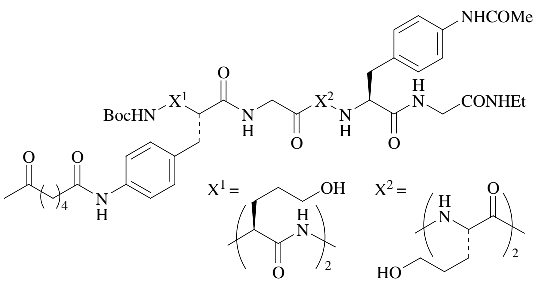
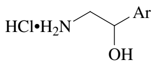
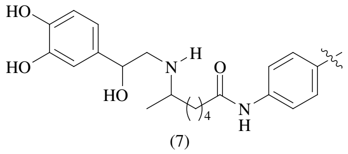
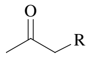
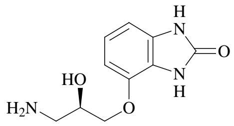
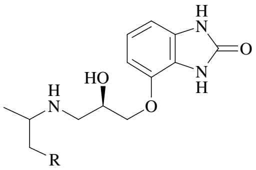
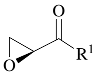
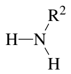
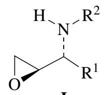
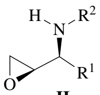
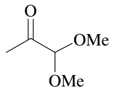
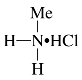
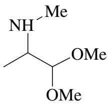
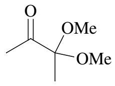
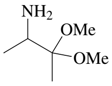
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 Ar = 3,4-(HO)$_2$C$_6$H$_3$	Na(CN)BH$_3$, MeOH, 45°, 56 h	 (20)	1836
C$_{58}$ 	 Ar = 3,4-(HO)$_2$C$_6$H$_3$	Na(CN)BH$_3$, MeOH:HOAc (99:1), 45°, 52 h	 (7)	1836

TABLE IIB. α-HETEROATOM KETONES

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_3 		$Na(CN)BH_3$, HOAc, MeOH, 110°, 15 min- 2 h	 R: F (57); ^{18}F (—)	1789
C_{4-10} 		$Me_4NBH(OAc)_3$, HOAc, MS 4 Å, DCE, 8 h	 **I** +  **II**	282
			R^1 / R^2 / yield / **I:II**: Me / Bn / (69) / 72:28; *i*-Pr / $CH_2CH{=}CH_2$ / (36) / 91:9; *i*-Pr / Bn / (33) / 93:7; *i*-Bu / $CH_2CH{=}CH_2$ / (55) / 93:7; *i*-Bu / Bn / (68) / 92:8; Bn / Bn / (57) / 94:6; $CH_2C_6H_{11}$-*c* / Bn / (56) / 95:5	
C_5 		1. $Ti(OPr\text{-}i)_4$, NEt_3, EtOH, 9 h 2. $NaBH_4$, 7 h	 (77)	194
C_6 	NH_4OAc	$Na(CN)BH_3$, pH 6, MeOH	 (—)	1837

R^1	R^2		I:II
Me	Bn	(69)	72:28
i-Pr	$CH_2CH{=}CH_2$	(36)	91:9
i-Pr	Bn	(33)	93:7
i-Bu	$CH_2CH{=}CH_2$	(55)	93:7
i-Bu	Bn	(68)	92:8
Bn	Bn	(57)	94:6
$CH_2C_6H_{11}$-*c*	Bn	(56)	95:5

TABLE IIB. α-HETEROATOM KETONES (*Continued*)

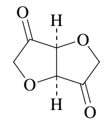
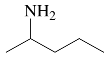
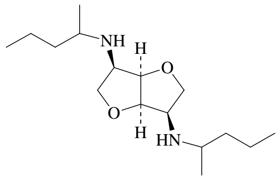
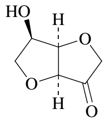
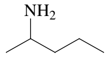
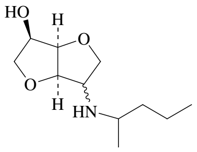
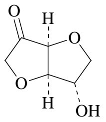
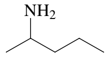
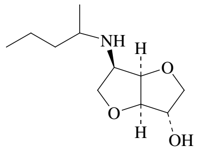
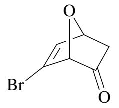
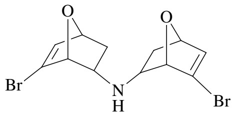
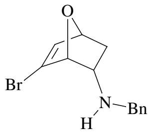
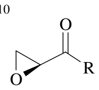
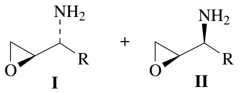
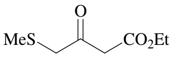
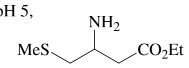
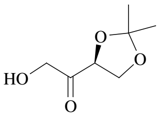
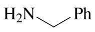
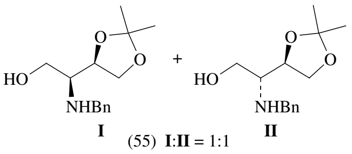
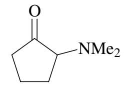
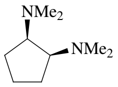
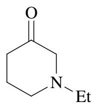
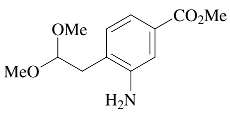
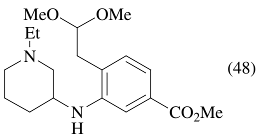
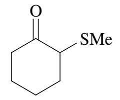
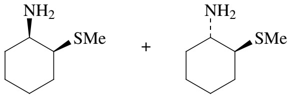
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, rt, 4 h	 (59)	167
		1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, rt, 4 h	 (81)	167
		1. MS 3 Å, MeOH, reflux, 6 h 2. $NaBH_4$, rt, 4 h	 (81)	167
	NH_4OAc	$Na(CN)BH_3$, MS 3 Å, 0°, MeOH, 14 h	 (44)	57
	H–N(Bn)–H	$Na(CN)BH_3$, MS 3 Å, MeOH, 14 h	 (49)	57
C_{6-10} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 8 h	 (—) R / I:II *i*-Pr 88:12 *i*-Bu 87:13 Bn 89:11 $CH_2C_6H_{11}$-*c* 90:10	1007, 1838
C_7 	H–N(H)–H	1. Bromocresol purple, pH 5, MeOH:HOAc (2:1) 2. $Na(CN)BH_3$, 10° 3. 25°, 40 h	 (—)	1071, 1839
		$Na(CN)BH_3$, HOAc, pH 6, MeOH, 6 h	 (55) **I**:**II** = 1:1	1840
	H–N(Me)–Me	$NaBH_4$, NaOAc, HOAc, THF:MeOH(5:2)	 (84)	740
		1. Na_2SO_4 (anhyd), HOAc, 10-15 min 2. $NaBH(OAc)_3$, 2 min 3. 15 min to 1 h	 (48)	278

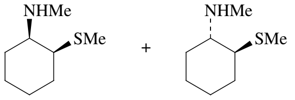
TABLE IIB. α-HETEROATOM KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4OAc	1. MeOH, rt, 1 h 2. $NaBH_4$	 I + II	34

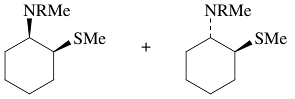
Temp	I+II	I:II
25°	(36)	76:24
–15°	(38)	84:16

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH	I + II	34

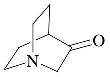
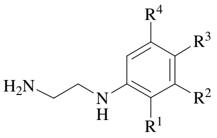
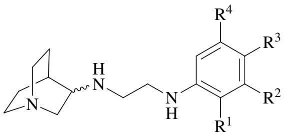
Temp	I+II	I:II
25°	(67)	50:50
–15°	(64)	57:43

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	H—N(Me)—H	1. HCl (5 N)/MeOH, 1 h 2. $NaBH_4$	 I + II	34

Temp	Time	I+II	I:II
25°	5 min	(62)	80:20
–15°	2 h	(90)	84:16

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	H—N(Me)—R	$Na(CN)BH_3$, HCl (5 N) in MeOH	 I + II	34

R	Temp	Time	I+II	I:II
H	25°	2 d	(60)	63:37
Me	25°	2 d	(64)	80:20
H	–15°	5 d	(65)	72:28

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_7 		1. HOAc, pH 6, MeOH 2. $Na(CN)BH_3$, pH 6, reflux		521

R^1	R^2	R^3	R^4	
H	Cl	H	H	(40)
OMe	H	H	Cl	(62)
H	OMe	H	H	(41)
H	Me	H	H	(55)
H	H	H	H	(51)
H	SMe	Cl	H	(50)
H	CN	H	H	(48)
H	Cl	H	Cl	(64)
H	H	H	H	(48)
H	CF_3	H	H	(55)
H	NO_2	H	H	(48)
H	Br	H	H	(50)

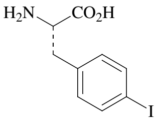
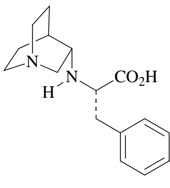
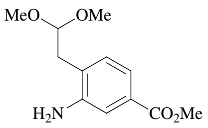
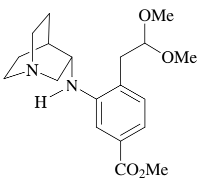
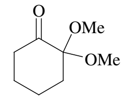
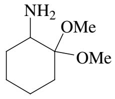
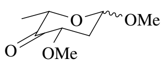
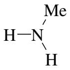
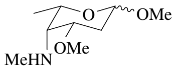
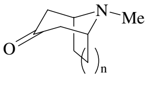
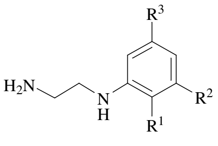
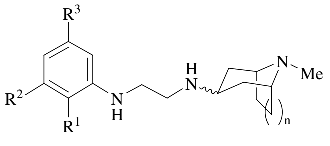
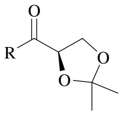
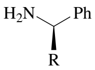
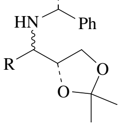
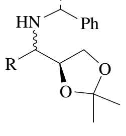
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$	 (—)	1074
		1. Na_2SO_4 (anhyd), HOAc, 10-15 min 2. $NaBH(OAc)_3$, 2 min 3. 15 min to 1 h	 (64)	278

TABLE IIB. α-HETEROATOM KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_8 	NH_4OAc	$Na(CN)BH_3$, pH 6, MeOH	 (77)	1837
		1. HOAc, THF, EtOH, 3 h 2. $NaBH_4$, 10-25°, 1.5 h	 (—)	1841
C_{8-9} 		1. HOAc, pH 6, MeOH 2. $Na(CN)BH_3$, reflux, 8 h		521

R^1	R^2	R^3	n	*endo*	*exo*
H	Cl	H	1	(40)	(20)
OMe	H	Cl	1	(47)	(—)
H	H	H	1	(45)	(—)
H	Cl	H	2	(42)	(20)
H	H	H	2	(48)	(—)
OMe	H	Cl	2	(56)	(—)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{8-11} 		HOAc, MS 4 Å	 **I** +  **II**	1842

R	Stereochemistry	Reducing agent	Solvent	**I** (anti)	**I** (syn)	**II** (anti)	**II** (syn)
Et	*rac*	$NMe_4BH(OAc)_3$	DCE	(64)	(9)	(3)	(24)
n-Bu	*rac*	$Na(CN)BH_3$	MeOH	(7)	(4)	(35)	(54)
n-Bu	*rac*	$NMe_4BH(OAc)_3$,	MeCN	(53)	(10)	(13)	(24)
n-Bu	*rac*	$NMe_4BH(OAc)_3$	DCE	(54)	(9)	(10)	(27)
n-Bu	*ent*	$Na(CN)BH_3$	MeOH	(62)	(34)	(2)	(2)
n-Bu	*ent*	$NMe_4BH(OAc)_3$	DCE	(68)	(10)	(7)	(15)
i-C_5H_{11}	*ent*	$NMe_4BH(OAc)_3$	DCE	(57)	(—)	(—)	(—)

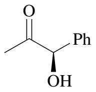
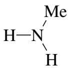
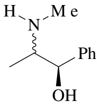
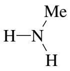
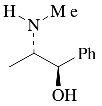
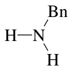
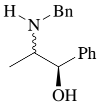
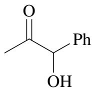
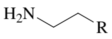
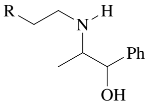
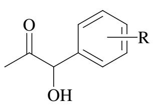
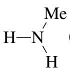
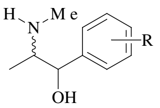
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_9 	 (gaseous)	1. Et_2O, 0°, 35 min 2. $NaBH_4$ in EtOH, 10° to rt	 (79) *erythro:threo* = 76:24	30
	 (gaseous)	1. Et_2O, 0° 2. $Zn(BH)_4$ in Et_2O, –76°, 1 h	 (—) *erythro:threo* = 97:3	30
		1. Dry Et_2O, 0°, 40 min 2. $NaBH_4$ in Et_2O, –76°, 3 h	 (79) *erythro:threo* = 80:20	30
	 R = OH, Et	1. $NaBH_4$, MeOH, 0-5°, 25 min 2. rt, 1 h	 (—)	144
	 (36% aq)	1. $NaBH_4$, MeOH, 0-5°, 25 min 2. rt, 1 h	 R: H (—); 3-OH (—); 4-F (81)	144

TABLE IIB. α-HETEROATOM KETONES (*Continued*)

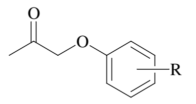
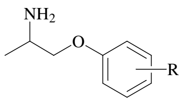
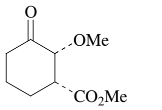
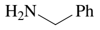
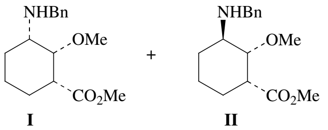
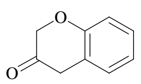
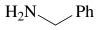
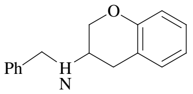
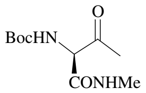
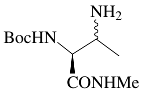
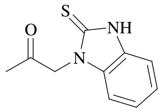
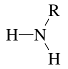
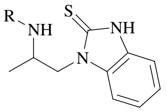
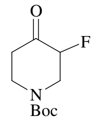
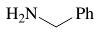
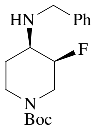
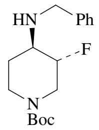
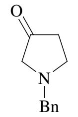
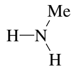
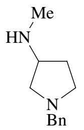
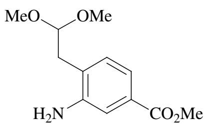
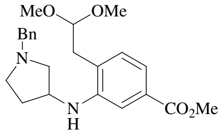
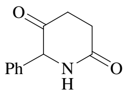
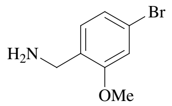
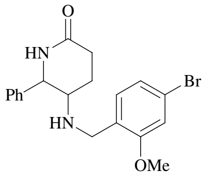
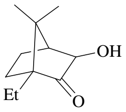
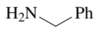
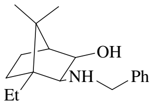
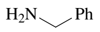
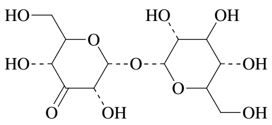
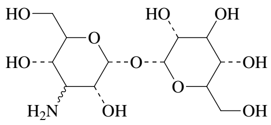
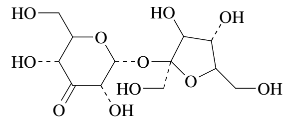
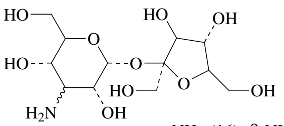
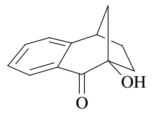
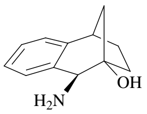
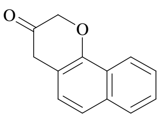
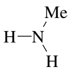
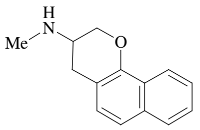
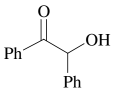
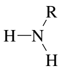
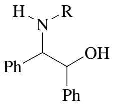
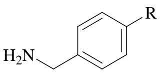
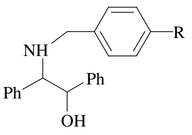
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
 R = H, 2-F, 3-F	NH_4OAc	$Na(CN)BH_3$	 (—)	1504
		$NaBH(OAc)_3$, HOAc, DCE, 4 h	 (99) **I**:**II** = 1:1	1843, 1844, 1845
		$Na(CN)BH_3$, HOAc, THF	 (73)	1846
C_{10}				
	NH_4OAc	$Na(CN)BH_3$	 (—)	1847
	 R = $CH_2CH{=}CH_2$, $CH_2CH{=}CMe_2$, Bn	$Na(CN)BH_3$, HCl, pH 7, MeOH	 (—)	1848
		$NaBH(OAc)_3$, DCE, 2 h	 (63) +  (8)	1284
C_{11}				
	 (36% aq)	$NaBH_4$, MeOH, 1 h	 (61)	1849
		1. Na_2SO_4 (anhyd), HOAc, 10-15 min 2. $NaBH(OAc)_3$, 2 min 3. 15 min to 1 h	 (—)	278
		$NaBH(OAc)_3$	 (48)	1850
		1. $Ti(OPr\text{-}i)_4$, 1 h 2. $Na(CN)BH_3$, EtOH, 22 h	 (45)	93
		1. $Ti(OPr\text{-}i)_4$, EtOH 2. $Na(CN)BH_3$	" (45)	1851
C_{12}				
	NH_4OAc	$Na(CN)BH_3$, MeOH, 16 h	 α-NH_2 (15), β-NH_2 (7)	809

TABLE IIB. α-HETEROATOM KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4OAc	$Na(CN)BH_3$, MeOH, 16 h	 α-NH_2 (16), β-NH_2 (2)	809
	NH_4OAc	$Na(CN)BH_3$, MeOH, 7 d	 (—)	1852, 1853
C_{13} 		$Na(CN)BH_3$, MeOH, 72 h	 (42)	1854
C_{14} 		$NaBH_4$, MeOH, NaOAc, HOAc, 6 h	 R Me (28) Et (26) *i*-Pr (28) *n*-Bu (6) *i*-Bu (7) Bn (30)	1132
		1. MeOH, reflux, 2 h 2. $NaBH_4$ (1 M) in NaOH, –20°, 1 h	 R H (78) Cl (55) Me (86)	1855

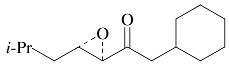
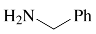
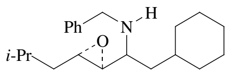
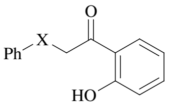
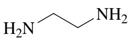
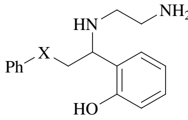
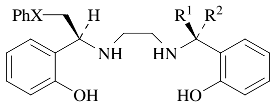
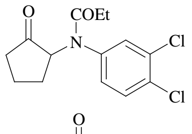
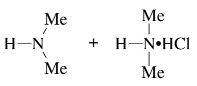
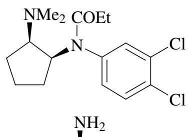
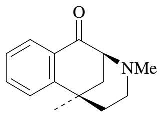
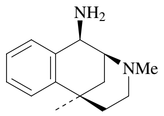
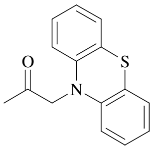
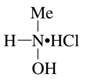
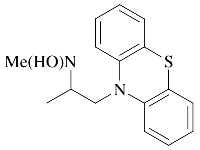
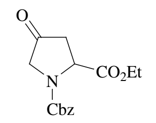
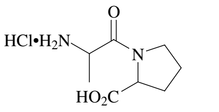
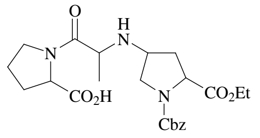
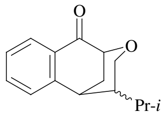
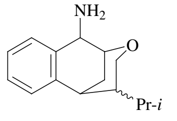
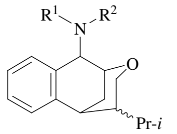
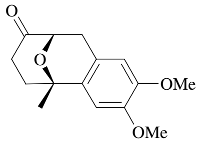
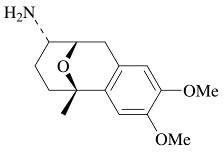
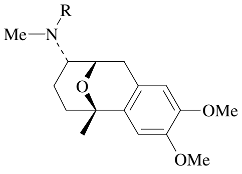
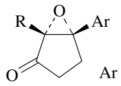
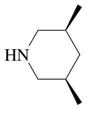
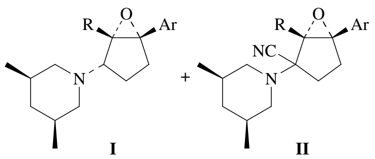
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Me_4NBH(OAc)_3$, MS 3 Å, HOAc, CH_2Cl_2, 8 h	 (64)	283
		1. HCl in MeOH, MeOH, 0-25° 2. $Na(CN)BH_3$, 20°, 2 d	 **I** +  **II**: $R^1 = CH_2XPh$, $R^2 = H$ **III**: $R^1 = H$, $R^2 = CH_2XPh$ X / **I** / **II** / **III** O (76) (0) (0) S (48) (16) (16)	1581
		$Na(CN)BH_3$, MeOH, 8 d	 (11)	1856
	NH_4OAc	$Na(CN)BH_3$, MeOH, 16 h	 (9)	736
C_{15} 		1. H_2O-MeOH, KOH (10% aq), pH 6 2. $Na(CN)BH_3$, 4 h	 (85)	497

TABLE IIB. α-HETEROATOM KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 3 Å, EtOH, 1 h 2. Na(CN)BH$_3$, EtOH, 24 h	 (5)	1032
	NH$_4$OAc	Na(CN)BH$_3$, MS 3 Å, MeOH (abs), 48 h	 (48)	1857
	H–N(R^1)R^2	Na(CN)BH$_3$, MS 3 Å, MeOH (abs), 48 h	 R^1 R^2 H H (55) Me Me (52)	1857
	NH$_4$OH	Na(CN)BH$_3$, MeOH	 (18)	1189
	H–N(R)Me	Na(CN)BH$_3$, MeOH, 48-72 h	 R H (68) Me (69)	1858
C$_{15-16}$  Ar = 4-*t*-BuC$_6$H$_4$		Na(CN)BH$_3$	 I + II R I II H (25-40) (25) Me (25) (0)	770

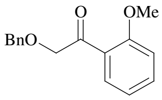
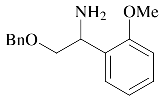
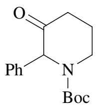
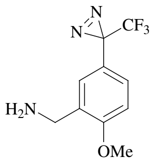
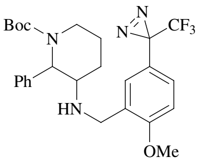
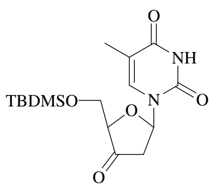
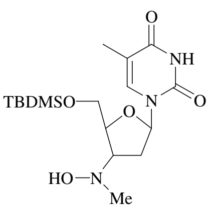
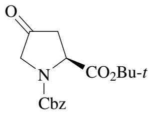
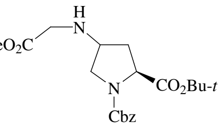
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C$_{16}$ 	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 36 h	 (65)	1859
		NaBH(OAc)$_3$	 (61)	1850
	Me–N(H)(OH)•HCl	1. Pyridine, MeOH, 0° 2. Na(CN)BH$_3$, 20°, 2 h	 (86)	508
C$_{17}$ 	H$_2$N⁀CO$_2$Me	1. NaOH (1 N), MeOH, neutral red, pH 8, 30 min 2. Na(CN)BH$_3$, 80 min	 (72)	760

TABLE IIB. α-HETEROATOM KETONES (*Continued*)

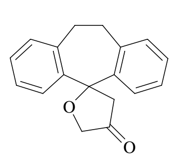
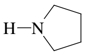
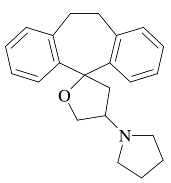
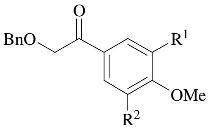
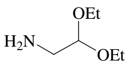
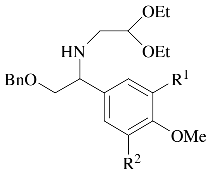
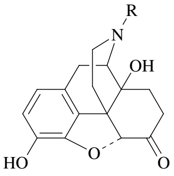
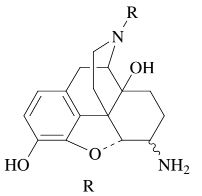
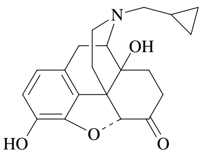
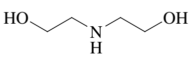
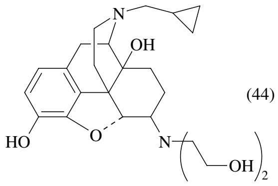
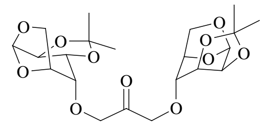
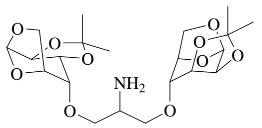
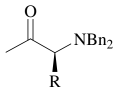
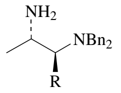
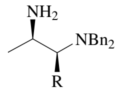
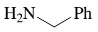
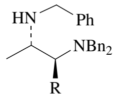
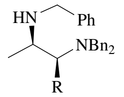
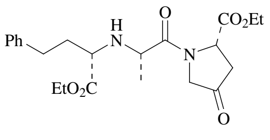
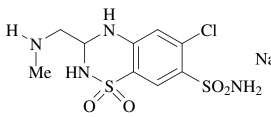
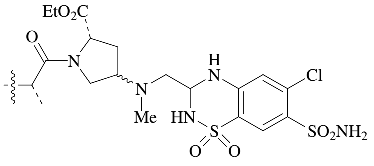
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, MS 3 Å, MeOH:THF, 22 h	 (17)	1058
C_{17-23} 		Na(CN)BH$_3$, HOAc, MgSO$_4$, EtOH, reflux	 R^1 R^2 OMe H (95) H OBn (96)	79
C_{19-20} 	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 17 h	 R α:β CH$_2$CH=CH$_2$ (79) 1.75:1 CH$_2$C$_3$H$_5$-*c* (72) 2:1	1860
C_{20} 		Na(CN)BH$_3$, MS, HCl, thymol blue, pH 8.5 MeOH, 90 h	 (44)	734, 1861
C_{21} 	NH$_4$OAc	Na(CN)BH$_3$, HOAc, THF	 (70)	1862
C_{21-24} 	NH$_4$OAc	Na(CN)BH$_3$	 I +  II R **I+II** **I:II** *i*-Bu (83) >95:5 Bn (76) >95:5	26
		Na(CN)BH$_3$, MgSO$_4$, MeOH, reflux, 16 h	 I +  II R **I+II** **I:II** *i*-Bu (81) >95:5 CH$_2$C$_6$H$_{11}$-*c* (86) >95:5 Bn (84) >95:5	26
C_{22} 		Na(CN)BH$_3$, DMF, 18 h	 (28)	75

TABLE IIB. α-HETEROATOM KETONES (*Continued*)

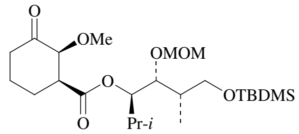
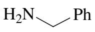
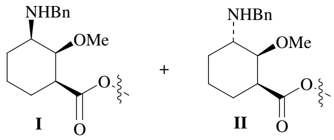
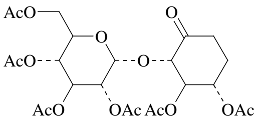
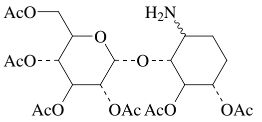
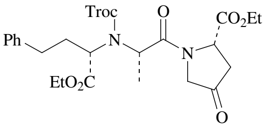
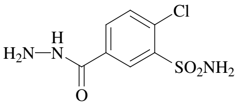
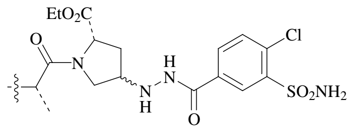
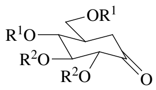
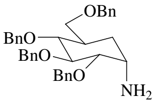
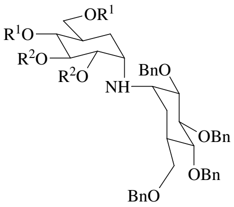
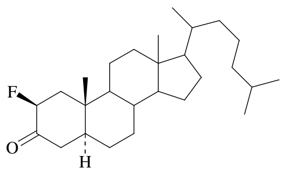
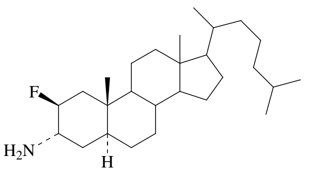
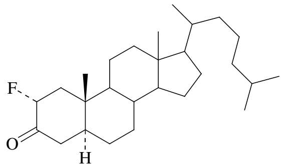
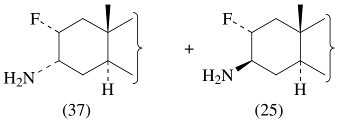
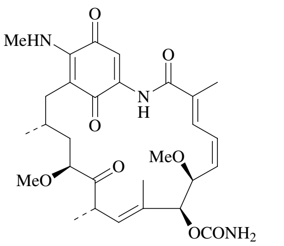
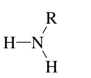
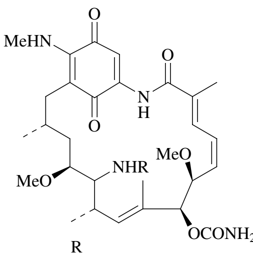
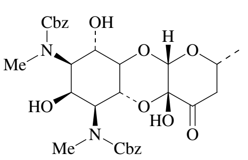
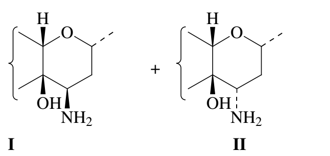
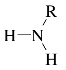
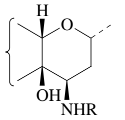
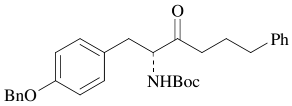
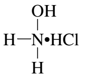
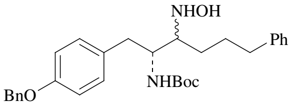
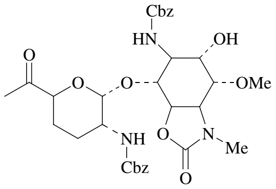
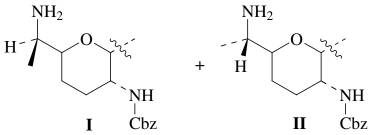
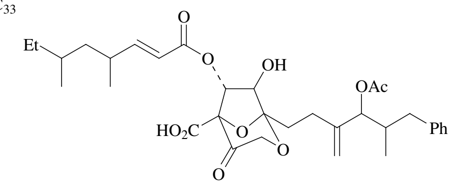
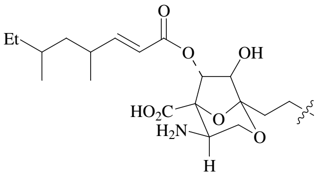
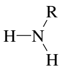
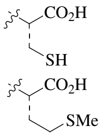
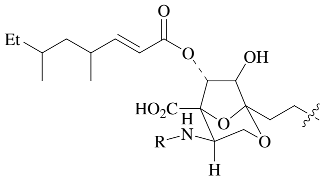
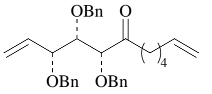
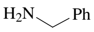
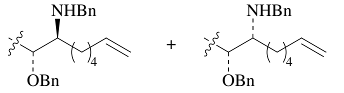
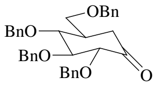
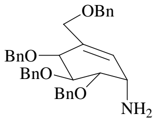
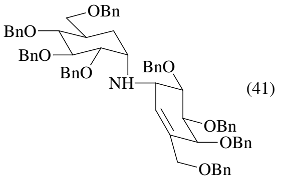
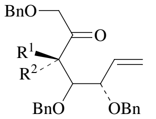
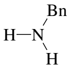
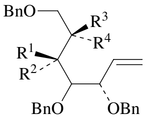
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{24} 		$NaBH(OAc)_3$, DCE, HOAc, 4 h	 (99) **I**:**II** = 1:1	1845
	NH_4OAc	$Na(CN)BH_3$, MeOH	 (41)	1863
C_{25} 		$Na(CN)BH_3$, EtOH, 0-5°, 45 min	 (52)	75
C_{25-35} 		$Na(CN)BH_3$, HCl, $MgSO_4$, MeOH, reflux, 6-25 h	 R^1 R^2: Ac Bn (38); Bn Bn (54)	77
C_{27} 	NH_4OAc	$Na(CN)BH_3$, THF:MeOH (4:7), 40 min	 (18)	1048
	NH_4OAc	$Na(CN)BH_3$, THF:MeOH (17:30), 40 min	 (37) + (25)	1048
C_{29} 		$NaBH(OAc)_3$, Na_2SO_4, rt, 24 h	 R: $CH_2CH{=}CH_2$ (71); Bn (48)	1864
C_{30} 	NH_4NO_3	1. MeOH, 40°, 3 h 2. $Na(CN)BH_3$, 20°, 1 h	 (37) **I**:**II** = 90:10	1865

TABLE IIB. α-HETEROATOM KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 R = Me, Et, *i*-Pr, C_5H_{11}	$Na(CN)BH_3$, MeOH, 5°, 2 h	 (—)	1286
		1. TEA, pH 5, MeOH:THF (8:1) 2. HCl in Et_2O, pH 3, methyl orange 3. $Na(CN)BH_3$, pH 3, 1 h 4. $Na(CN)BH_3$, pH 3, 1 h	 (—) 1:1	512
C_{32} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 18 h	 (—) **I**:**II** = 3:2	23
C_{33} 	NH_4OH	$Na(CN)BH_3$, MeOH, 18 h	 (52)	1866
	 R = Me, CH_2CO_2H, 	$Na(CN)BH_3$, MeOH, 18 h	 (—)	1866
		1. $Na(CN)BH_3$, $ZnBr_2$, THF, PrOH:H_2O (19:1) 2. Reflux, 3 d	 (—) **I**:**II** = 3:2	125
C_{35} 		$Na(CN)BH_3$, HCl, $MgSO_4$, MeOH, reflux, 3 h	 (41)	77
		$Na(CN)BH_3$, HOAc		1867

R^1	R^2	R^3	R^4	
BnO	H	NHBn	H	(50)+
BnO	H	H	NHBn	(20)
H	BnO	NHBn	H	(59)+
H	BnO	H	NHBn	(31)

TABLE IIB. α-HETEROATOM KETONES (*Continued*)

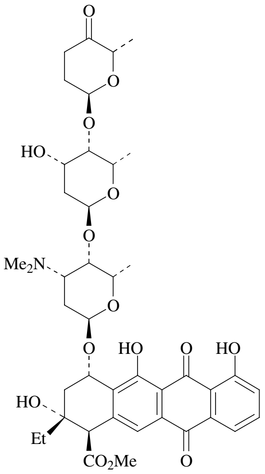
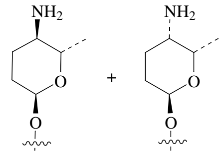
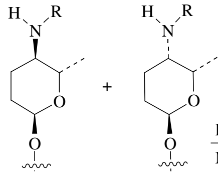
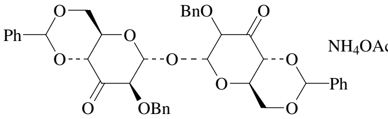
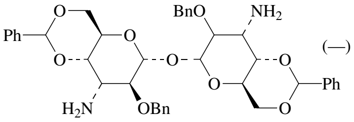
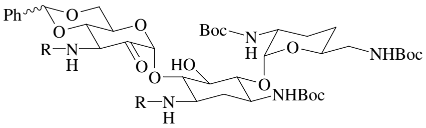
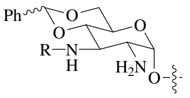
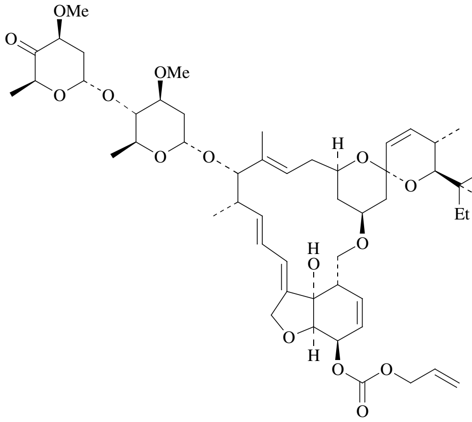
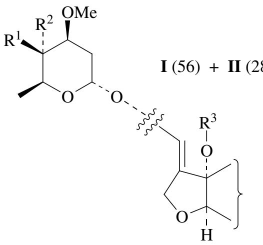
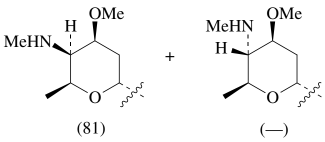
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{42} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 2 h	 **I** + **II** (57) **I**:**II** = 4:1	737
	H—N(R)—H	$Na(CN)BH_3$, MeOH, 2 h	 **I** + **II** R / **I**+**II** / **I**:**II** Me / (52) / 9:4 $(CH_2)_2OH$ / (43) / — *c*-C_6H_{11} / (17) / — Bn / (20) / —	737
	NH_4OAc	$Na(CN)BH_3$, MS 3 Å, MeOH	 (—)	744
C_{50-56} 	NH_4OAc	$Na(CN)BH_3$, MeOH	 R Boc (—) Cbz (23)	1868, 1869
C_{50} 	H—N(TMS)—TMS	1. $ZnCl_2$, *i*-PrOAc, 50°, 4 h 2. $NaBH_4$, EtOH, 5-20°, 1 h	 **I** (56) + **II** (28) + **III** (—) | R^1 R^2 R^3 **I** NH_2 H H **II** NH_2 H TMS **III** H NH_2 H	204, 1870
	Me—N(TMS)—TMS	1. $ZnCl_2$, *i*-PrOAc, 50°, 4 h 2. $NaBH_4$, EtOH, 5-20°, 1 h	 (81) + (—)	204, 1870

TABLE IIB. α-HETEROATOM KETONES (*Continued*)

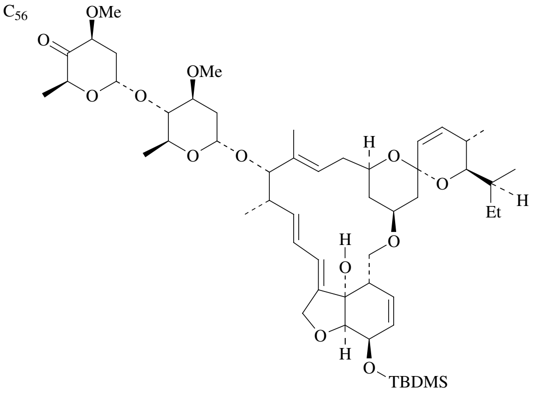
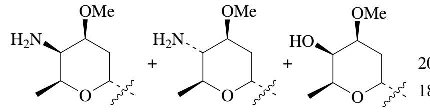
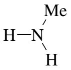
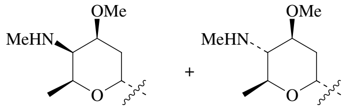
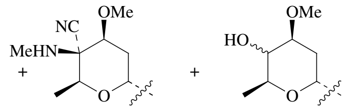
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{56} 				
	NH_4OAc	$NaBH_4$	 (—) + (—) + (—)	204, 1870
		$Na(CN)BH_3$, HOAc, EtOH, THF	 (50) + (10)	204, 1870
			 + (10) + (20-30)	

TABLE IIC. α-CARBONYL KETONES

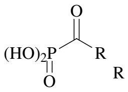
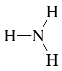
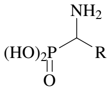
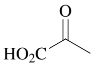
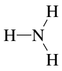
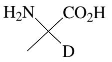
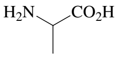
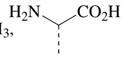
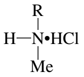
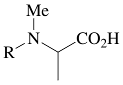
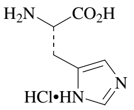
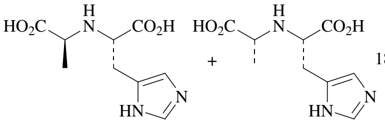
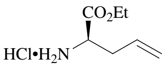
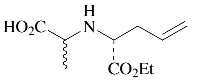
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{2-8}				
 R = Me, *i*-Pr, $(CH_2)_2CO_2H$, Bn	 (aq)	1. $NaBH_4$, MeOH, 0°, 1 h 2. NH_4OH (25% aq)	 (—)	1871
C_3				
		Na(CN)BD_3	 (—)	135
	NH_4Br	Na(CN)BH_3, MeOH, pH 6-8, 48 h	 (50)	19
	NH_3(l)/NH_4Br	1. MeOH, 1 h 2. (*S*)-PhCH(Me)NH_2•BH_3, 25°, 36-72 h	 (71) %ee = 2	330
		1. MeOH, KOH 2. Na(CN)BH_3, 72 h 3. HCl, 1 h	 R: H (52); Me (57)	719
		Na(CN)BH_3, $NaHCO_3$ (5% aq), H_2O, 48 h	 **I** + **II** (95) **I**:**II** = 53:47	1872
		1. Phosphate buffer, Na_2CO_3 (10 N) 2. Na(CN)BH_3, pH 7, 18 h	 (75)	1105

TABLE IIC. α-CARBONYL KETONES (*Continued*)

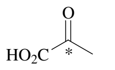
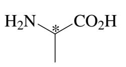
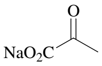
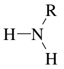
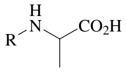
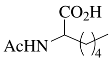
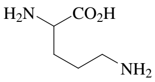
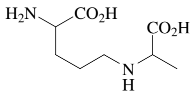
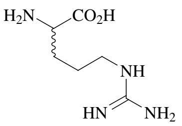
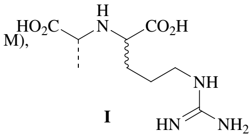
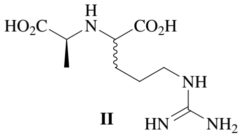
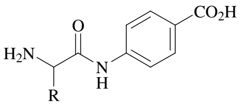
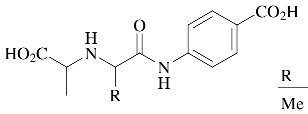
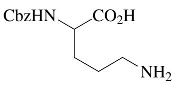
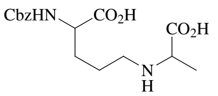
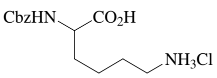
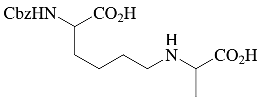
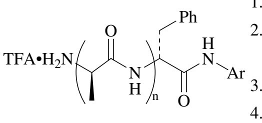
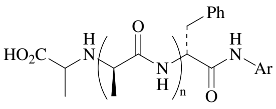
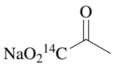
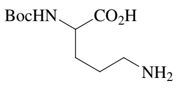
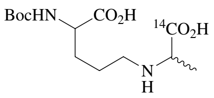
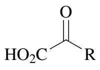
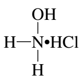
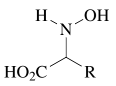
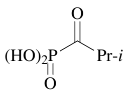
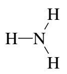
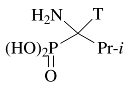
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
 * = ^{14}C	NH_4Cl	1. $Na(CN)BH_3$, MeOH, 48 h 2. HCl (12 M)	 (—)	1873
		$Na(CN)BH_3$, MeOH, 24 h	 R: *n*-Pr (45);  (9); CH_2CO_2H (22); $CH(Me)CO_2H$ (24)	1874
		1. KH_2PO_4, NaOH, pH 8, 2.5 h 2. $Na(CN)BH_3$, HOAc 3. HCl, 110°, 53 h	 (75)	1104
		1. Phosphate buffer (0.1 M), $Na(CN)BH_3$, 24 h 2. HCl	 I +  II L: I (37), II (34) D: I (38), II (28)	1101
		1. H_2O, NaOH (5 N) 2. $Na(CN)BH_3$, 5 h 3. Overnight 4. HCl (6 N)	 R: Me (51); Bn (49)	1875
		1. H_2O, NaOH, 25°, 2 h 2. $Na(CN)BH_3$, 8 h 3. HCl (2 N)	 (—)	1104
		1. HOAc, NaOAc•$3H_2O$ 2. $NaBH_4$	 (—)	1133
	 Ar = 4-$HO_2CC_6H_4$; n = 1, 2	1. H_2O, NaOH (1 M) 2. $Na(CN)BH_3$ (0.8 M), 3 h 3. 24 h 4. HCl (1 M)	 (—)	1382
		1. $Na(CN)BH_3$, H_2O, 2.5 h 2. HOAc (1.5 M), 11 h 3. $Na(CN)BH_3$, 54 h 4. $NaO_2{}^{14}CCOMe$, $Na(CN)BH_3$, H_2O, HOAc	 (97)	1876
C_{3-9} 		1. NaOH, pH 5, H_2O 2. $Li(CN)BH_3$, 48 h	 R: Me (38); Et (45); *i*-Pr (43); $(CH_2)_2CO_2H$ (41); *n*-Bu (49); Ph (47); Bn (41)	49
C_4 		$NaBT_4$, MeOH	 (—)	1877

TABLE IIC. α-CARBONYL KETONES (*Continued*)

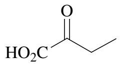
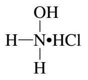
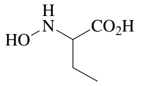
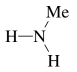
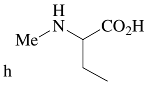
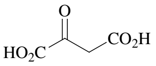
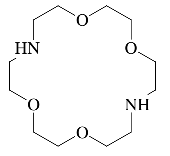
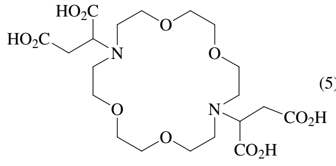
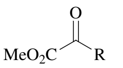
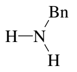
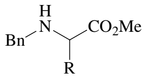
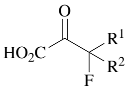
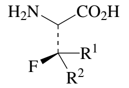
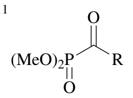
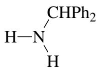
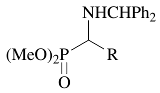
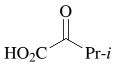
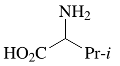
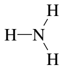
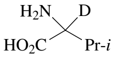
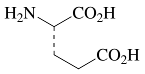
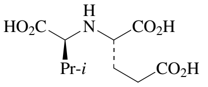
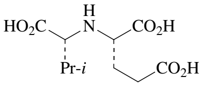
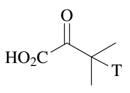
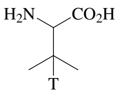
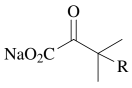
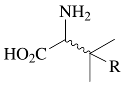
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH (50% aq), bromocresol green, KOH 2. Na(CN)BH$_3$, HCl, 4 h 3. HCl, 10 h	 (—)	496
		1. MeOH (anhyd), bromocresol purple, HCl (5 N) 2. Na(CN)BH$_3$, HCl, 40 h 3. HCl, 10 h	 (—)	496
		1. MeOH, MS 3 Å 2. HCl (4.5 N), Na(CN)BH$_3$, 90 h	 (5)	1878
C_{4-9}				
		NaBH(OAc)$_3$, DCE, 0.5 h	 R Me (61) *i*-Pr (80) Ph (58)	21
	NH_4OH (25% aq)	1. NaHCO$_3$, H$_2$O, MeOH, 40°, 6 h 2. NaBH$_4$, 0-25°, 24 h	 R^1 R^2 H Me (14) Me Me (23) H *i*-Pr (21) H Ph (—)* **erythro:threo* = 95:5	1879
C_{4-11}				
		NaBH(OAc)$_3$	 R Me (60) Et (60) CH$_2$Pr-*i* (55) 2-FC$_6$H$_4$ (30) (CH$_2$)$_2$Ph (35)	850
C_5				
	NH_4OAc	1. Na(CN)BH$_3$, MeOH, 46 h 2. HCl	 (50)	135
	NH_4Cl	1. Na(CN)BH$_3$, MeOH, 46 h 2. HCl	" (10)	1880
		Na(CN)BD$_3$	 (—)	1880
		Na(CN)BH$_3$, H$_2$O, pH 6-7, 48 h	 (—) **I**:**II** = 2:1 **I** +  **II**	1069
	NH_4OAc	1. Na(CN)BH$_3$, MeOH, 46 h 2. HCl	 (50)	1880
	NH_4Br	1. Na(CN)BH$_3$, MeOH, 4 d 2. HCl	 R D (33) T (21)	1881

TABLE IIC. α-CARBONYL KETONES (*Continued*)

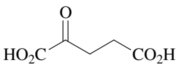
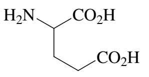
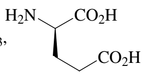
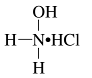
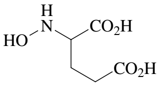
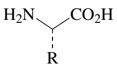
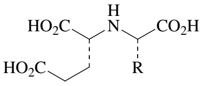
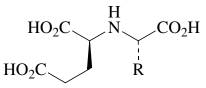
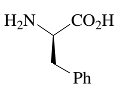
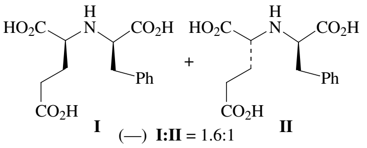
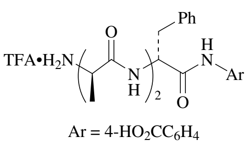
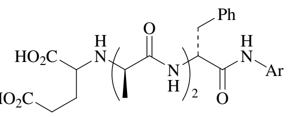
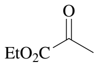
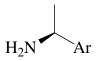
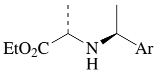
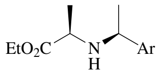
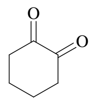
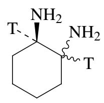
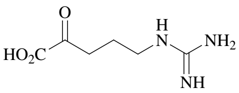
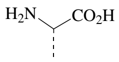
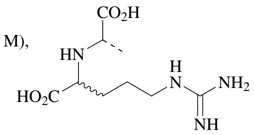
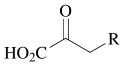
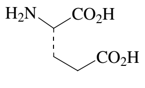
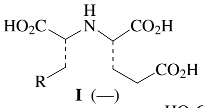
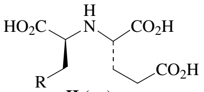
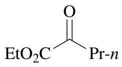
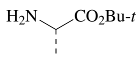
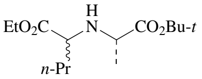
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4Br	$Na(CN)BH_3$, MeOH, pH 6-8, 48 h	 (51)	19
	$NH_3(l)/NH_4Br$	1. MeOH, 1 h 2. (*S*)-$PhCH(Me)NH_2$•BH_3, 25°, 36-72 h	 (72) %ee = 3	330
		1. MeOH (50% aq), bromocresol green, KOH 2. $Na(CN)BH_3$, HCl, 4 h 3. HCl, 10 h	 (—)	496
		$Na(CN)BH_3$, H_2O, pH 6-7	 **I** (—) +  **II** (—) R / **I:II** *i*-Pr 2.76:1 *i*-Bu 1.5:1 CH(Me)Et 1.8:1 Bn 1.7:1	1069
		$Na(CN)BH_3$, H_2O, pH 6-7	 **I** + **II** (—) **I:II** = 1.6:1	1069
	 Ar = 4-$HO_2CC_6H_4$	1. H_2O, NaOH (1 M) 2. $Na(CN)BH_3$ (0.8 M), 3 h 3. 24 h 4. HCl (1 M)	 (—)	1382
	 Ar = 1-naphthyl	1. $Ti(OEt)_4$, 1 h 2. $Na(CN)BH_3$, EtOH, 16 h	 (26) +  (22)	103, 104
C_6				
	NH_4OAc	$Na(CN)BT_3$, MeOH (abs), 25°, 48 h	 (—)	139
		1. Phosphate buffer (0.1 M), $Na(CN)BH_3$, 24 h 2. HCl	 D (—) L (—)	1101
$C_{6\text{-}9}$				
		$Na(CN)BH_3$, H_2O, pH 6-7	 **I** (—) +  **II** (—) R / **I:II** *i*-Pr 1.48:1 Ph 1.44:1	1069
C_7				
		$Na(CN)BH_3$, EtOH	 (—) *R*,*S*:*S*,*S* = 65:35	1028

TABLE IIC. α-CARBONYL KETONES (*Continued*)

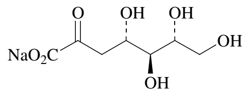
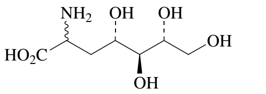
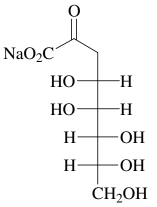
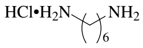
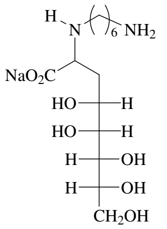
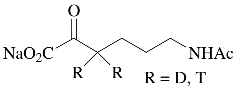
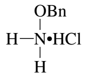
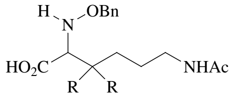
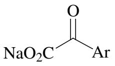
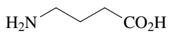
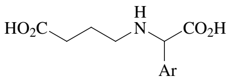
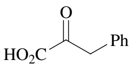
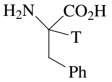
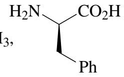
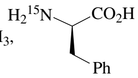
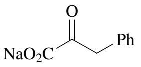
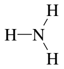
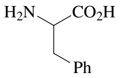
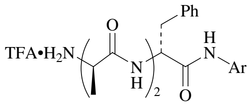
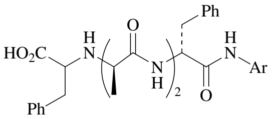
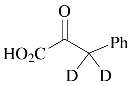
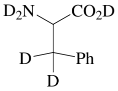
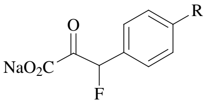
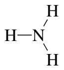
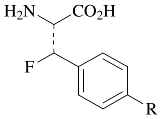
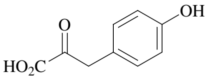
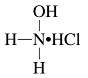
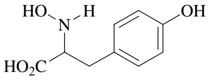
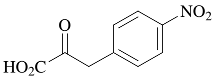
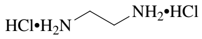
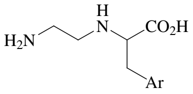
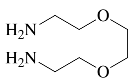
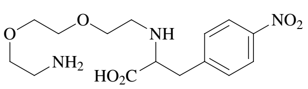
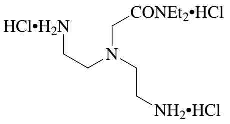
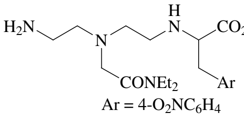
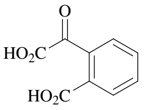
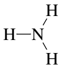
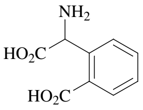
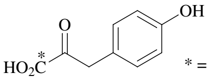
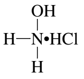
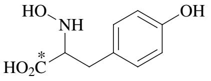
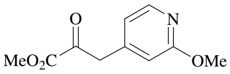
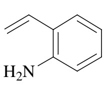
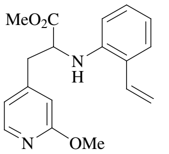
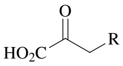
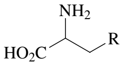
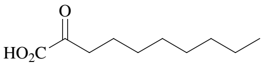
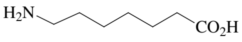
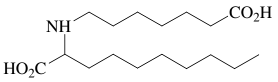
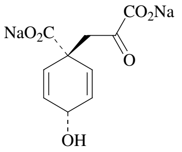
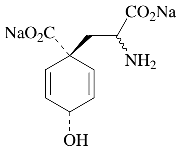
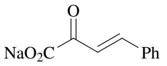
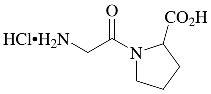
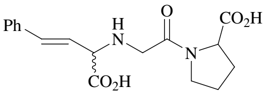
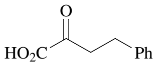
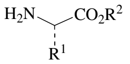
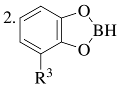
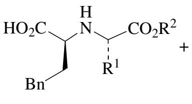
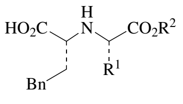
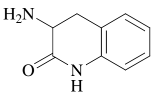
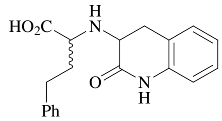
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4Br	1. $Na(CN)BH_3$, MeOH, H_2O 2. HCl	 (—)	1882
C_8 		1. NaOH (0.1 M), H_2O, pH 10 2. $Na(CN)BH_3$, NaOH (0.1 M), pH 8, 48 h	 (—)	1067
 R = D, T		1. Na_2CO_3, MeOH, –78° to rt 2. $Na(CN)BH_3$, TFA, MeOH, 18 h	 (—)	513
 Ar = 2-$O_2NC_6H_4$		1. NaOH (aq), 8 h 2. $NaBH_4$, 0° 3. HOAc	 (28)	1591
C_9 	NH_4Br	$Na(CN)BT_3$, MeOH, pH 6-8, 48 h	 (47)	19
	NH_3(l)/NH_4Br	1. MeOH, 1 h 2. (*S*)-PhCH(Me)NH_2•BH_3, 25°, 36-72 h	 (66) %ee = 4	19
	$^{15}NH_4NO_3$	1. MeOH, 1 h 2. (*S*)-PhCH(Me)NH_2•BH_3, 36 h	 (25) %ee = 4	19
		$Li(CN)BH_3$, MeOH (abs), pH 5-6, 25°	 (41)	48
	 Ar = 4-$HO_2CC_6H_4$	1. H_2O, NaOH (1 M) 2. $Na(CN)BH_3$ (0.8 M), 3 h 3. 24 h 4. HCl (1 M)	 (—)	1382
	$ND_4^+Br^-$	$Na(CN)BH_3$, MeOD, 48 h	 (51)	1883
	 (25% aq)	1. 37°, 3 h 2. $NaBH_4$, 10-30°, 2 h	 R: H (31); Cl (38); NO_2 (6)	24, 25, 1884
		1. $Na(CN)BH_3$, NaOH, H_2O:EtOH (7:5) 2. HCl (1 M), pH 4, 24 h 3. $Na(CN)BH_3$, 60 h	 (74)	493, 494
		1. MeOH, H_2O, NaOH (7 M) 2. $Na(CN)BH_3$, HCl (6 M) 3 d	 Ar = 4-$O_2NC_6H_4$ (23)	1885
		1. HCl (4 N), pH 4, MeOH, H_2O 2. $Na(CN)BH_3$, pH 6, 5 d	 (38)	1042

TABLE IIC. α-CARBONYL KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH, H_2O, NaOH (7 M) 2. $Na(CN)BH_3$, HCl (6 M), 4 d 3. HCl	 Ar = 4-$O_2NC_6H_4$ (22)	1885
		1. H_2O, EtOH, 5°, 24 h 2. $NaBH_4$, 0°, 3 h 3. NH_4OH (16 N) 4. HCO_2H (0.1 N)	 (52)	1886
 * = ^{14}C		1. KH_2PO_4 (0.05 M), H_2O, 1 min 2. $NaCNBH_3$, HCl (1N), 1 h	 (—)	495
		1. *p*-TsOH•H_2O, toluene, 90°, 2 h 2. $Na(CN)BH_3$, MeOH, HOAc, 0-25°, 1 h	 (78)	1098
C_{9-11} 	NH_4Br	$Na(CN)BH_3$, MeOH, pH 6-8, 48 h	 R: Ph (49); 4-HOC_6H_4 (46); 3-indolyl (37)	19
C_{10} 		$Na(CN)BH_3$, MeOH, 20°, 26 h	 (38)	649
	NH_4Br	$Na(CN)BH_3$, MeOH, H_2O, 15 h	 (24)	1887
		1. NaOH (25% aq), pH 7, H_2O 2. $Na(CN)BH_3$ (aq) 3. $NaBH_4$, HCl (4 N), pH 7, 10 h 4. $NaBH_4$, pH 7 5. Ketone, $NaBH_4$, pH 7, 10 d	 (—)	147
		1. CH_2Cl_2, MS 4 Å, NaOAc, 1 h 2.  −15°, 1 h 3. −10°, 1 h	 +  **I** (—) **II** (—)	332
		1. $Na(CN)BH_3$, MeOH, 16 h 2. HCl, 1 h	 (70)	1888

R^1	R^2	R^3	**I**:**II**
Me	*t*-Bu	H	5.5:1
Me	Bn	H	4:1
Me	(*S*)-*t*-Bu-prolyl	H	3:1
$(CH_2)_4NHBoc$	(*S*)-*t*-Bu-prolyl	H	4:1
Me	*t*-Bu	Me	6.8:1
Me	Bn	Me	4:1

TABLE IIC. α-CARBONYL KETONES (*Continued*)

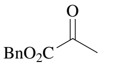
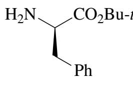
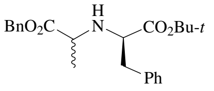
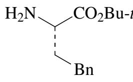
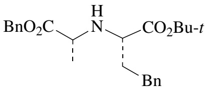
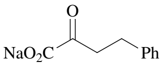
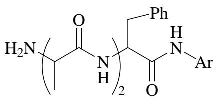
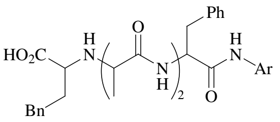
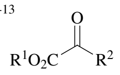
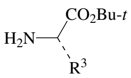
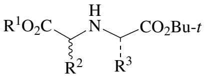
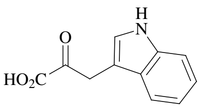
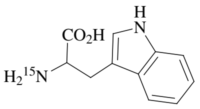
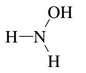
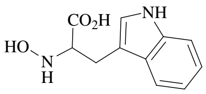
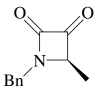
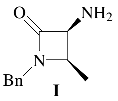
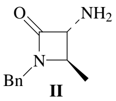
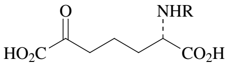
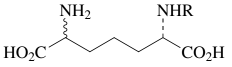
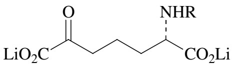
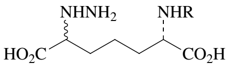
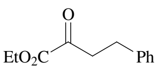
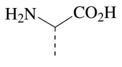
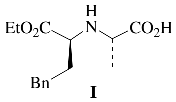
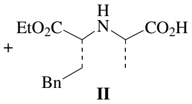
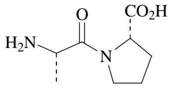
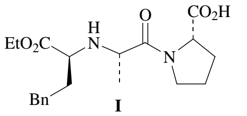
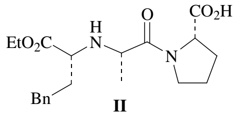
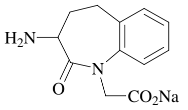
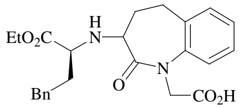
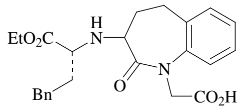
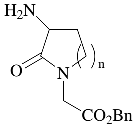
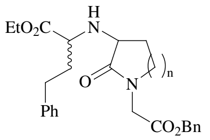
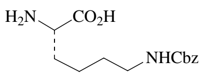
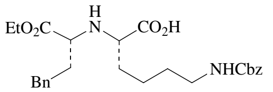
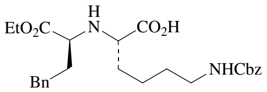
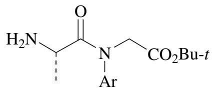
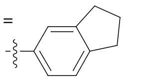
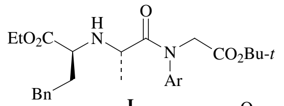
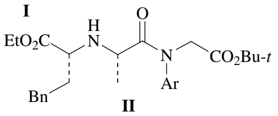
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. NaOAc, EtOH, 0° 2. Na(CN)BH_3, EtOH, 5°, 1 h 3. 25°, 15 h	 (32) 21:11	1114
		1. Na(CN)BH_3 (1.0 M) in THF, 1:1 HOAc:pyridine, 0-25°, 30 min 2. 4.5 h	 (—)	1075
	 Ar = 4-$HO_2CC_6H_4$	1. MeOH, HCl (6 M) 2. Na(CN)BH_3, H_2O, overnight	 (50)	1889
$C_{10\text{-}13}$ 		1. NaOAc (anhyd), EtOH (abs), 0° 2. Na(CN)BH_3, EtOH, 5°, 1 h 3. 25°, 15 h	 R^1 / R^2 / R^3 / Diastereo. mix *t*-Bu / *i*-Bu / Bn / (26) 1:1 Bn / Me / Bn / (52) 82:5 Bn / *i*-Bu / Bn / (25) 16:9 Bn / *i*-Bu / CH_2Bn / (26) 14:12	1114
C_{11} 	$^{15}NH_4OAc$	Na(CN)BH_3, MeOH, pH 6-8, 48 h	 (23)	19
	$^{15}NH_4NO_3$	Na(CN)BH_3	" (—)	1890
		Na(CN)BH_3, pH 4	 (—)	504
	NH_4Br	Na(CN)BH_3	 **I** +  **II** (—) **I**:**II** = 2:1	1891
$C_{11\text{-}15}$  R = $CO(CH_2)_2CO_2H$, Cbz	NH_4OAc	Na(CN)BH_3, MeOH	 (—)	1892
	H_2NNH_2•H_2O	1. MeOH (anhyd), 60 min 2. Na(CN)BH_3, 16 h 3. HCl (1 N), 30 min	 R $CO(CH_2)_2CO_2H$ (97) Cbz (69)	1892
C_{12} 		1. HOAc, EtOH (anhyd), MS 4 Å, 30 min 2. Na(CN)BH_3, EtOH (anhyd), 5 h 3. 17 h	 **I** +  **II** (57) **I**:**II** = 65:35	1072
		1. EtOH (abs), MS 4 Å 2. Na(CN)BH_3 in EtOH, 5.5 h 3. Overnight	 **I** +  **II** (90) **I**:**II** = 55:45	1893

TABLE IIC. α-CARBONYL KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. HOAc, MeOH, 1 h 2. $Na(CN)BH_3$ in MeOH, 4 h 3. Overnight 4. HCl, 1 h	 **I** (25) +  **II** (12)	1888
		1. EtOH 2. $Na(CN)BH_3$ in EtOH, 18 h	 n: 1 (68); 2 (55)	1109
		1. MS 3 Å, EtOH, 18 h 2. $Na(CN)BH_3$, 24 h 3. H_2O, 10 d	 **I** +  **II** (—) **I**:**II** = 1.09:1	1029
	 Ar = 	1. EtOH (abs), MS 4 Å 2. $Na(CN)BH_3$ in EtOH (abs), 2.5 h 3. Overnight	 **I** +  **II** (27) **I**:**II** = 1:1	1894

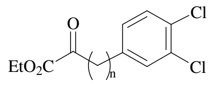
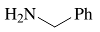
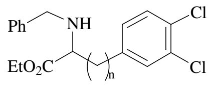
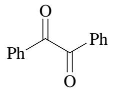
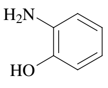
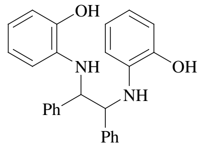
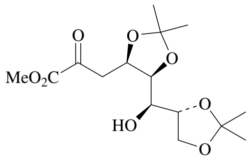
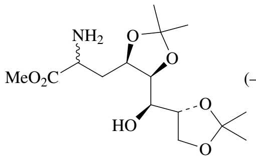
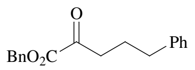
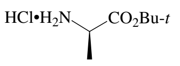
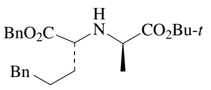
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{12-13}  n = 2, 3		1. EtOH 2. $Na(CN)BH_3$, HOAc, EtOH	 (—)	178
C_{14} 		BH_3 (2.4 M) in THF, THF, 0° to rt, 24 h	 (68)	331
C_{15} 	NH_4OAc	$Na(CN)BH_3$, MeOH	 (—) 2:1 mixture of diastereomers	573
C_{18} 		$Na(CN)BH_3$ (1 M) in THF, 0°, 10 h	 (—)	1075

TABLE IIC. α-CARBONYL KETONES (*Continued*)

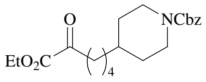
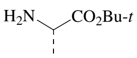
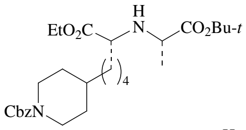
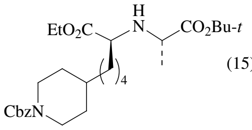
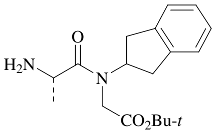
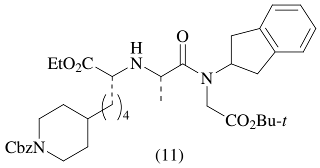
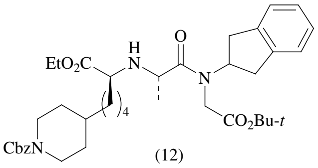
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{21} 		1. NaOAc, HOAc, EtOH, 1 h 2. Na(CN)BH_3 in EtOH, 4 h 3. Overnight	 (17) +  (15)	1031
		1. NaOAc, HOAc, MS 3 Å, EtOH 2. Na(CN)BH_3 in EtOH, 3 h 3. Overnight	 (11) +  (12)	1031

TABLE IID. β-KETOESTERS AND β-DICARBONYL SUBSTRATES

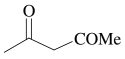
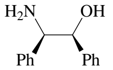
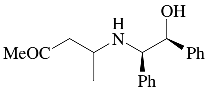
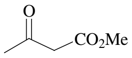
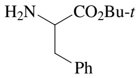
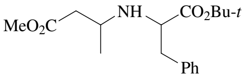
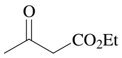
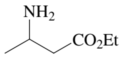
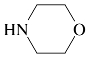
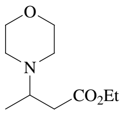
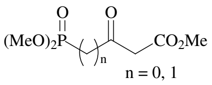
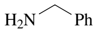
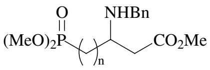
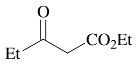
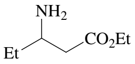
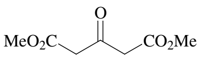
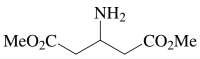
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_5				
		1. EtOH (abs), 2 h 2. $NaBH_4$, 0°, 2 h	 (61)	456
		$Na(CN)BH_3$, MeOH, $ZnCl_2$, overnight	 (75)	117
C_6				
	NH_4OAc	$Na(CN)BH_3$, MeOH, 48 h	 (—)	1895
		$Na(CN)BH_3$, $ZnCl_2$, MeOH, 48 h	 (65)	105
C_{6-7}				
 n = 0, 1		$Na(CN)BH_3$, MeOH	 (—)	1896
C_7				
	NH_4OAc	$Na(CN)BH_3$, MeOH (anhyd), 48 h	 (—)	1895
	NH_4OAc	1. MeOH, MS 3 Å, 2 d 2. HCl (5 M) in MeOH 3. $Na(CN)BH_3$, pH 3, 1 h	 (87)	1897
	"	$Na(CN)BH_3$, pH 5.5-6	" (—)	1898
	"	$Na(CN)BH_3$, MeOH	" (—)	1899

TABLE IID. β-KETOESTERS AND β-DICARBONYL SUBSTRATES (*Continued*)

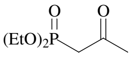
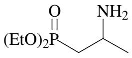
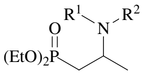
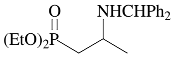
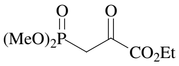
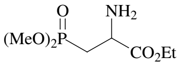
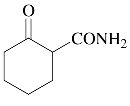
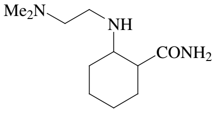
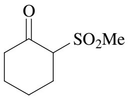
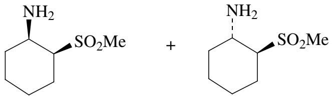
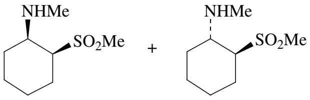
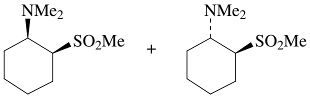
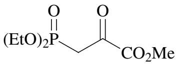
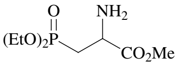
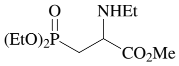
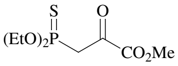
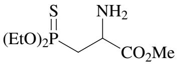
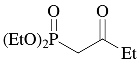
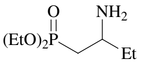
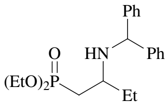
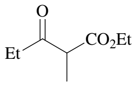
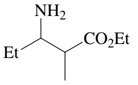
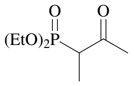
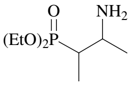
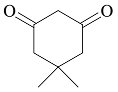
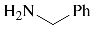
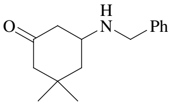
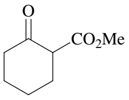
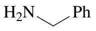
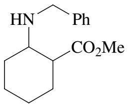
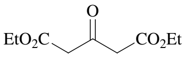
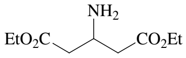
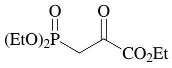
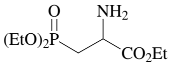
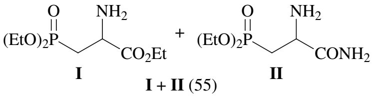
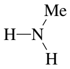
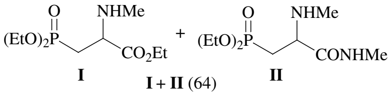
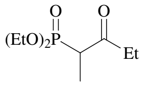
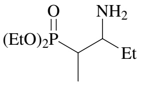
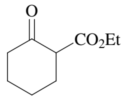
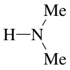
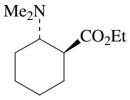
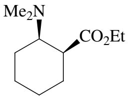
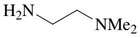
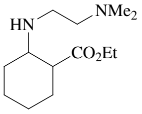
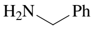
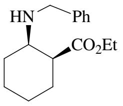
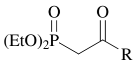
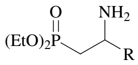
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4OAc	$Na(CN)BH_3$, MeOH, 3 d	 (86)	383, 791, 1900
	H–N(R^1)(R^2)	$Na(CN)BH_3$, HCl (20 eq), MeOH, 3-14 d	 R^1 / R^2: H / Et (90); Me / Me (81); H / $CH_2CH{=}CH_2$ (91); H / *n*-Bu (95); *i*-Pr / *i*-Pr (<30); H / Bn (84)	383, 791
	Ph_2CHNH_2	1. DCE, 1 h 2. $NaBH(OAc)_3$	 (—)	850
	NH_3	$Na(CN)BH_3$, EtOH	 (—)	1534
	$H_2N(CH_2)_2NMe_2$	1. HCl (5 N) in MeOH, MeOH, 2 h 2. $Na(CN)BH_3$, 24 h	 (58)	1563
	NH_4OAc	1. MeOH, rt, 1 h 2. $NaBH_4$, –15°, 2 h	 I + II (67) **I**:**II** = 83:17	34
	NH_4OAc	$Na(CN)BH_3$, MeOH	**I** + **II** Temp / **I**+**II** / **I**:**II**: 25° (77) 57:43; –15° (68) 62:38	34
	H–N(Me)H	1. HCl (5 N) in MeOH, 1 h 2. $NaBH_4$, –15°, 2 d	 I + II (85) **I**:**II** = 91:9	34
	H–N(Me)Me	$Na(CN)BH_3$, HCl (5 N) in MeOH,	 I + II Temp / Time / **I**:**II**: 25° 2 d (68) 70:30; –15° 5 d (63) 75:25	34
C_8 	NH_4OAc	$Na(CN)BH_3$, MS 4 Å, EtOH, 48 h	 (47)	735
	H–N(Et)H	$Na(CN)BH_3$, MS 4 Å, HOAc, MeOH, 72 h	 (64)	735
	NH_4OAc	$Na(CN)BH_3$, MS 4 Å, EtOH, 48 h	 (22)	735
	NH_4OAc	$Na(CN)BH_3$, MeOH, 3.5 d	 (88)	383
	Ph_2CHNH_2	1. DCE, 1 h 2. $NaBH(OAc)_3$	 (—)	850

TABLE IID. β-KETOESTERS AND β-DICARBONYL SUBSTRATES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4OAc	$Na(CN)BH_3$, MeOH, 67 h	 (47)	1901
	NH_4OAc	$Na(CN)BH_3$, MeOH, 3 d	 (72-78)	383, 791
		$Na(CN)BH_3$, MeOH, HOAc, MS 4 Å, reflux, 24 h	 (—)	1902
		$NaBH(OAc)_3$, HOAc, DCE	 (—)	15
C_9 	NH_4OAc	$Na(CN)BH_3$	 (—)	1903
	NH_4OAc	$Na(CN)BH_3$, MS 4 Å, EtOH, 48 h	 (54)	735
	NH_3/NH_4Br	$Na(CN)BH_3$, MS 4 Å, EtOH, 72 h	 **I** + **II** (55)	735
		$Na(CN)BH_3$, MS 4 Å, HOAc, EtOH, 72 h	 **I** + **II** (64)	735
	NH_4OAc	$Na(CN)BH_3$, MeOH, 4-10 d	 (72.5)	383, 791
		$Na(CN)BH_3$, HCl (5 N), MeOH	 (32) +  (21)	19
		1. HCl (5 N) in MeOH, MeOH, 2 h 2. $Na(CN)BH_3$, 24 h	 (80)	1563
		1. $NaBH_4$, HOAc, CH_2Cl_2, 2 h 2. 18 h 3. HOAc, 18 h	 (99)	249
C_{9-13} 	NH_4OAc	$Na(CN)BH_3$, MeOH	 (see below)	383, 791

R	Time	
i-Pr	6 d	(75)
c-C_3H_5	6 d	(64)
i-Bu	2.5 d	(70)
t-Bu	4-21 d	(26-59)
2-thienyl	2.5 d	(4)
3-thienyl	170 h	(65)
n-C_5H_{11}	2.5 d	(83)
CH_2Bu-*t*	6 d	(41)
Ph	4 d	(62)
4-FC_6H_4	7 d	(69)
4-ClC_6H_4	8 d	(61)
Bn	4 d	(75-88)
n-C_7H_{15}	2.5-5 d	(60-82)
2-MeC_6H_4	11 d	(8)
4-MeC_6H_4	5 d	(51)

TABLE IID. β-KETOESTERS AND β-DICARBONYL SUBSTRATES (*Continued*)

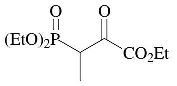
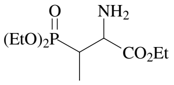
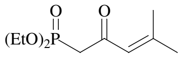
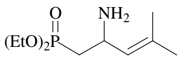
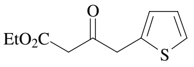
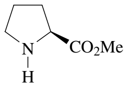
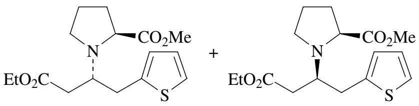
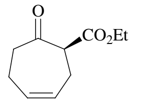
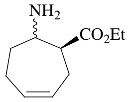
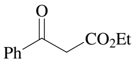
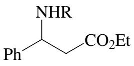
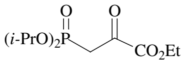
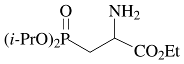
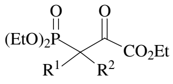
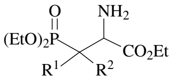
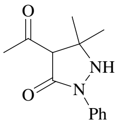
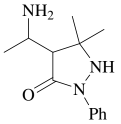
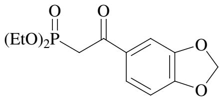
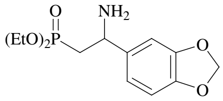
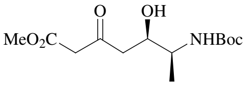
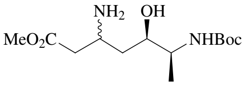
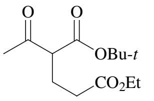
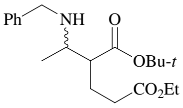
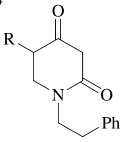
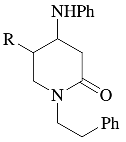
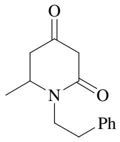
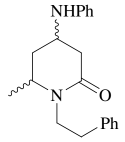
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{10}				
	NH_4OAc	$Na(CN)BH_3$, MS 4 Å, EtOH, 60 h	 (44)	735
	NH_4OAc	$Na(CN)BH_3$, MeOH, 4-5 d	 (44)	383
		$Na(CN)BH_3$, HCl (2.9 M) in MeOH MeOH, 28 h	 I + II (92) **I**:**II** = 56:44	1904
	NH_4NO_3	1. $Na(CN)BH_3$, MeOH, 0°, 5 h 2. 48°, 48 h	 (48)	436
C_{11}				
	H—N(R)(H)•HCl	$Na(CN)BH_3$, MeOH, 18-48 h	 R: Me (—); Ph (62)	1573
	NH_4OAc	$Na(CN)BH_3$, MS 4 Å, EtOH, 48 h	 (40)	735
	NH_4OAc	$Na(CN)BH_3$, MS 4 Å, EtOH, 72 h	 R^1 R^2: H Et (29); Me Me (16)	735
C_{13}				
	NH_4OAc	1. $Na(CN)BH_3$, MeOH, 5 h 2. $Na(CN)BH_3$, 24 h 3. Repeat twice	 (80)	739
	NH_4OAc	$Na(CN)BH_3$, MeOH, 5-13 d	 (34-55)	383, 791
	NH_4OAc	$Na(CN)BH_3$, MS 3 Å, MeOH, 3 h	 (—) *syn:anti* = 10:1	1905
	H_2N⁀Ph	$Na(CN)BH_3$, $ZnCl_2$, EtOH, 2 d	 (63) *syn:anti* = 5:3	117
C_{13-14}				
	H—N(Ph)—H	$Na(CN)BH_3$, MeOH, 30 min	 R: H (90); Me (86)	44
C_{14}				
	H—N(Ph)—H	$Na(CN)BH_3$, MeOH, 30 min	 (88)	466

TABLE IID. β-KETOESTERS AND β-DICARBONYL SUBSTRATES (*Continued*)

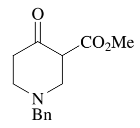
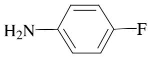
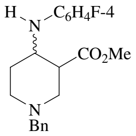
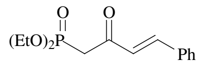
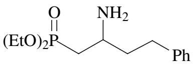
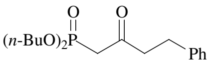
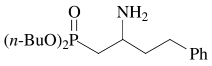
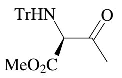
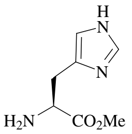
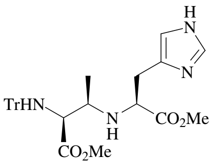
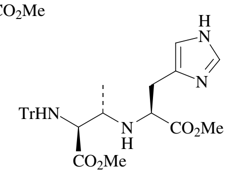
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MS 3 Å, MeOH	 (49) *cis:trans* = 2:1	466
	NH_4OAc	$Na(CN)BH_3$, MeOH, 4-5 d	 (58)	383
C_{18}				
	NH_4OAc	$Na(CN)BH_3$, MeOH	 (—)	1906
C_{24}				
		$Na(CN)BH_3$, MeOH, 7 h	 (55) +  (7)	1907

TABLE IIE. CYCLIC KETONES

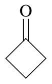
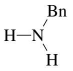
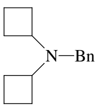
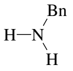
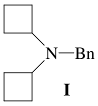
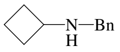
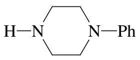
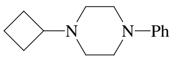
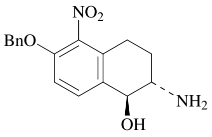
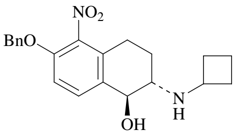
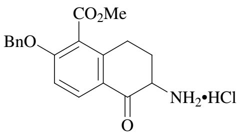
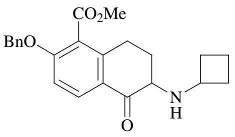
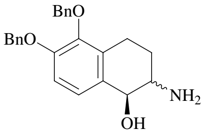
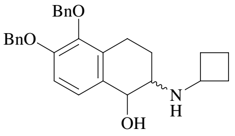
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_4 	 1 mol-eq	$NaBH(OAc)_3$, HOAc, DCE, 2 h	 (98)	21
	 0.5 mol-eq	$NaBH(OAc)_3$, HOAc, DCE, 2 h	 **I** +  **II** (88) **I**:**II** = 1:1	21
		$NaBH(OAc)_3$, HOAc, DCE, 2 h	 (96)	21
		$Li(CN)BH_3$•2 dioxane, MeOH	 (75)	54
		$Li(CN)BH_3$, MeOH, 4 h	 (61)	53
		$Li(CN)BH_3$•2 dioxane, MeOH, 4.5-24 h	 *trans* (65) *cis* (62)	52

TABLE IIE. CYCLIC KETONES (*Continued*)

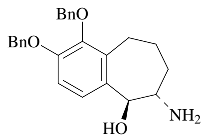
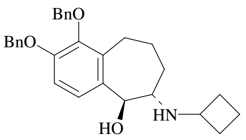
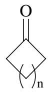
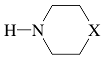
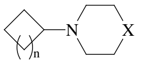
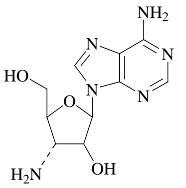
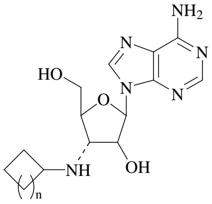
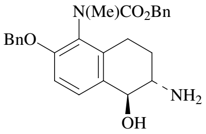
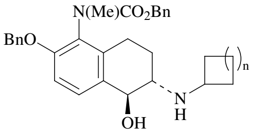
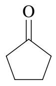
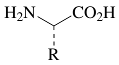
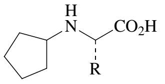
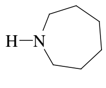
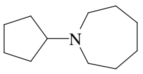
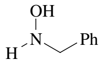
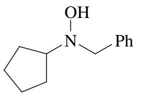
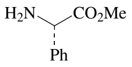
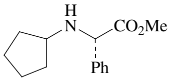
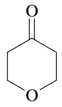
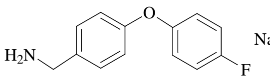
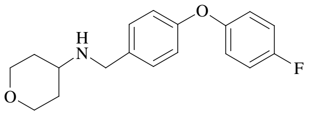
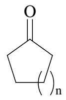
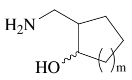
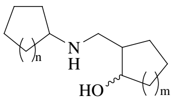
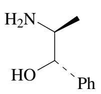
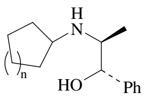
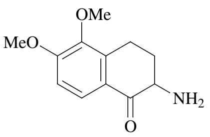
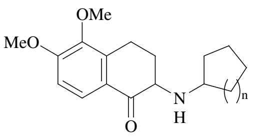
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Li(CN)BH_3, MeOH, 18 h	 (68)	56
		$NaBH(OAc)_3$, HOAc, DCE, 2 h	 n X 1 NPh (96) 3 O (96)	21
		$NaBH_4$, EtOH, NaOAc	 n 1 (—) 3 (—)	453
		Li(CN)BH_3•2 dioxane, MeOH	 n 1 (65) 3 (85)	55
		Na(CN)BH_3, MeOH	 R CH_2OH (64) *i*-Pr (85) $(CH_2)_2CO_2H$ (58) $(CH_2)_3SMe$ (87) Bn (84)	676
		$NaBH(OAc)_3$, HOAc, DCE, 24 h	 (96)	21
		Na(CN)BH_3	 (85)	501
		Na(CN)BH_3, methanolic HCl	 (88)	501
		$NaBH_4$, EtOH, 2 h	 (86)	1648
C_{5-6} 		1. EtOH, 30-60 min, rt 2. $NaBH_4$, 0°	 m n 1 1 *trans* (86) 1 1 *cis* (85) 2 1 *trans* (86) 1 2 *trans* (80) 1 2 *cis* (78) 2 2 *trans* (90) 2 2 *cis* (89)	455, 1765
		$NaBH_4$	 n 1 (53) 2 (57)	1556
		Li(CN)BH_3•2 dioxane, MeOH, 3 h	 n 1 (53) 2 (57)	52

TABLE IIE. CYCLIC KETONES (*Continued*)

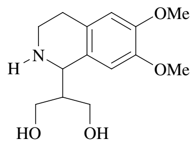
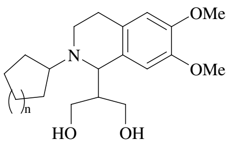
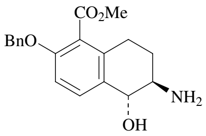
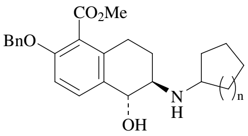
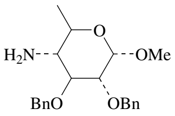
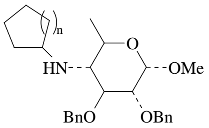
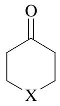
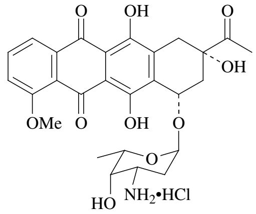
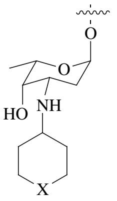
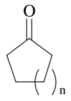
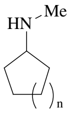
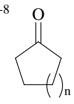
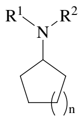
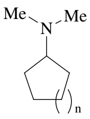
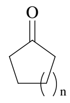
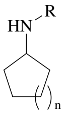
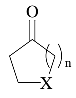
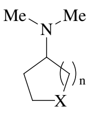
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. EtOH, reflux, 10 h 2. $NaBH_4$, rt, 2 h	 n 1 (90) 2 (89)	1908
		$Li(CN)BH_3$, MeOH, 4-5 h	 n 1 (63) 2 (59)	53
		$Na(CN)BH_3$, MS 3 Å, MeOH, 0.25-4 h	 n 1 (71) 2 (81)	61
		1. MeCN-H_2O (3:1), 30 min 2. $Na(CN)BH_3$, MeCN-H_2O (10:1), 18 h	 X O (51) CH_2 (59)	738
$C_{5\text{-}7}$ 	Me H—N•HCl H	1. Ti(OPr-*i*)$_4$, NEt_3, EtOH, 10 h 2. $NaBH_4$, 8 h	 n 1 (71) 2 (73) 3 (72)	194
$C_{5\text{-}8}$ 	R^1 H—N R^2	$Na(CN)BH_3$, MeOH	 n, R^1, R^2 1, H, OH (66) 1, Me, Me (57) 2, H, Me (41) 2, Me, Me (43) 2, —$(CH_2)_2O(CH_2)_2$— (79) 3, H, Me (61) 3, Me, Me (63) 4, H, Me (56) 4, Me, Me (59) 4, H, *i*-Bu (79)	19
	Me H—N Me	BER, HCl•NEt_3, EtOH, 1 h	 n 1 (94) 2 (94) 4 (92)	223
	R H—N H	NaBH(OAc)$_3$, HOAc, 1,2-DCE	 n, R, Time 1, 4-BrC_6H_4, 48 h (89) 2, 4-ClC_6H_4, 3.3 h (90) 2, 2,4-$Cl_2C_6H_3$, 37 h (95) 2, 2-$O_2NC_6H_4$, 144 h (30) 2, 4-$O_2NC_6H_4$, 23 h (66) 2, NHPh, 1 h (96) 3, *n*-Pr, 3 h (96) 4, 3-$MeOCH_2C_6H_4$, 36 h (95)	21
	Me H—N•HCl Me	1. Ti(OPr-*i*)$_4$, NEt_3, EtOH (abs), 9-10 h 2. $NaBH_4$, 10 h	 X, n CH_2, 1 (75) CH_2, 2 (75) CH_2, 3 (77) NCO_2Et, 2 (75)	192

TABLE IIE. CYCLIC KETONES (*Continued*)

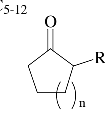
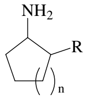
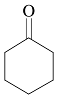
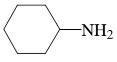
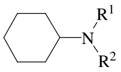
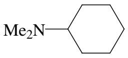
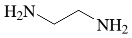
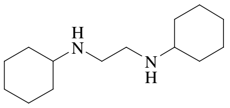
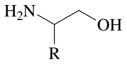
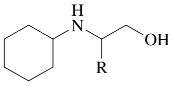
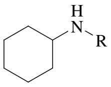
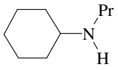
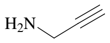
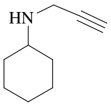
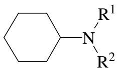
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{5-12} 	NH_4Cl	1. Ti(OPr-*i*)$_4$, NEt_3, EtOH, 9-11 h 2. $NaBH_4$, 7-8 h	 n R 1 H (70) 2 H (75) 3 H (73) 2 Ph (62)	195
C_6 	NH_4OAc	Na(CN)BH_3, MeOH	 (45)	19
	NH_4OAc	BER, MeOH, 0.5 h	" (59)	222
	$H{-}NR^1R^2$	Na(CN)BH_3, $ZnCl_2$, MeOH	 R^1 R^2 Time H Me 3 h (98) H $CH_2CH{=}CH_2$ 3 h (72) Et Et 6 h (52) —$(CH_2)_5$— 5 h (91) H Ph 2 h (85)	105
	$H{-}NMe_2$	Na(CN)BH_3, MS 3 Å, MeOH	 (71)	19
	$H{-}NMe_2{\bullet}HCl$	1. KOH, MeOH, 15 min 2. Na(CN)BH_3, 15 min 3. 15 min	" (52-54)	1118
		$BH_3{\bullet}THF$, THF, 24 h	 (90)	331
		1. EtOH, 15-30 min 2. $NaBH_4$, 0°, 5 min	 R H (89) Me (99)	454
	$H{-}N(R)H$	$BH_3{\bullet}THF$, THF, 24 h	 R CH_2CH_2OH (85) Ph (93)	331
	$H{-}N(Pr)H$	Li(CN)BH_3, MeOH, 24 h	 (85)	19
		NaBH(OAc)$_3$	 (—)	1909
	$H{-}NR^1R^2$	$Bu_4N(CN)BH_3$, CH_2Cl_2	 R^1 R^2 Time H Pr 2.5 h (55) —$(CH_2)_4$— 47 h (90) —$(CH_2)_2O(CH_2)_2$— 2.5 h (58)	42
	$H{-}NR^1R^2$	Na(CN)BH_3, Aliquat 336, CH_2Cl_2, 48 h	" R^1 R^2 H *i*-Pr (48) Et Et (36) —$(CH_2)_4$— (94) —$(CH_2)_2O(CH_2)_2$— (52)	42
	$H{-}NR^1R^2$	1. Ti(OPr-*i*)$_4$ (2 M)/C_5H_{12}, Et_2O, 0°, 1 h 2. Ti(OPr-*i*)$_4$ (2 M)/C_5H_{12}, 0°, 30 min 3. $BH_3{\bullet}SMe_2$, 0° to rt, 14 h	" R^1 R^2 H *i*-Pr (80) —$(CH_2)_4$— (49)	331
	$H{-}NR^1R^2$	BER, EtOH, 1 h	" R^1 R^2 —$(CH_2)_4$— (89) —$(CH_2)_5$— (—) H *c*-C_6H_{11} (80) H Ph (—) H Bn (92)	222

TABLE IIE. CYCLIC KETONES (*Continued*)

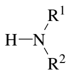
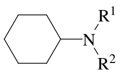
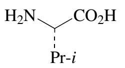
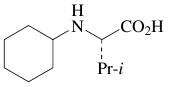
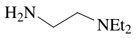
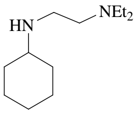
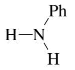
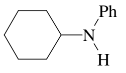
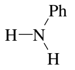
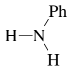
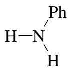
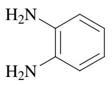
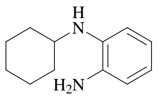
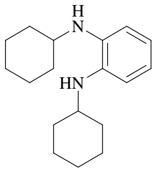
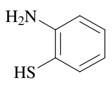
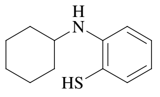
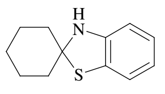
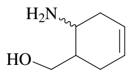
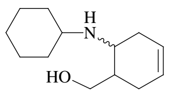
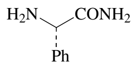
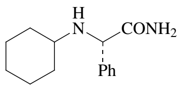
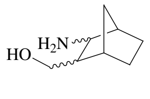
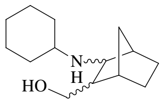
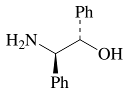
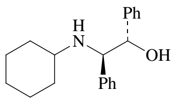
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		BH_3•pyridine, MS 4 Å, MeOH	 R^1 / R^2 / Time H / *i*-Bu / 3 h / (76) H / Ph / 16 h / (71) H / Bn / 16 h / (96) *n*-Bu / *n*-Bu / 16 h / (31)	300
		$Na(CN)BH_3$, MeOH	 (86)	343
		$NaBH(OAc)_3$, HOAc, CH_2Cl_2, 2 h	 (93)	1378
		$Na(CN)BH_3$, HCl (5 eq)	 (62)	698
		1. HCl (5 eq), 20 min 2. $Na(CN)BH_3$	" (62)	698
		1. K10 clay, microwaves, 10 min 2. $NaBH_4$, K10 clay, microwaves, H_2O, 65°, 30 sec	" (89)	215
		$Na(CN)BH_3$•0.5 $ZnCl_2$, MeOH, rt, 2 h	" (85)	106
	 (0.5 mol-eq)	BH_3•THF, THF, 24 h	 **I** +  **II** (78) **I**:**II** = 1:1	331
		BH_3•THF, THF, 24 h	 **I** +  **II** (99) **I**:**II** = 3:2	331
		1. EtOH, 50-70 min, rt 2. $NaBH_4$, 10 min, 0°	 *trans* (80) *cis* (80)	1770
		$Na(CN)BH_3$, HOAc, TMOF, 1 h	 (83)	344
		1. EtOH, 50 min, rt 2. $NaBH_4$, 10 min, 0°	 *exo* (80) *endo* (80)	1770
		1. EtOH (abs) 2. $NaBH_4$, 0°	 (98)	456

TABLE IIE. CYCLIC KETONES (*Continued*)

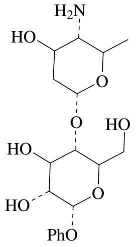
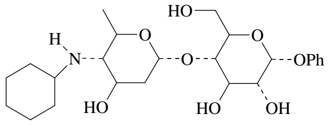
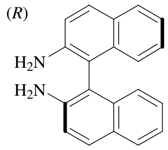
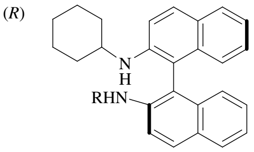
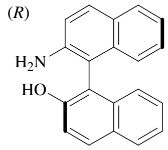
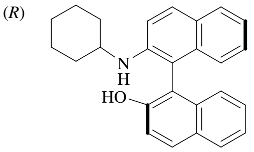
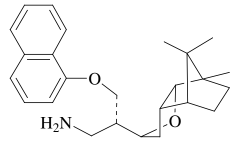
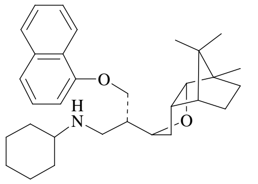
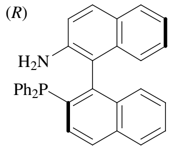
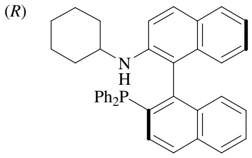
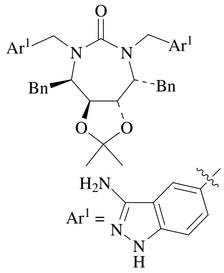
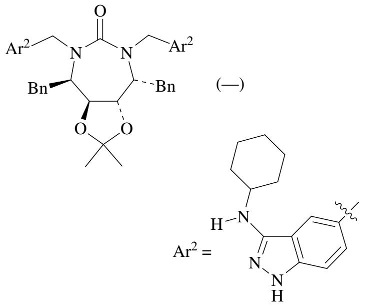
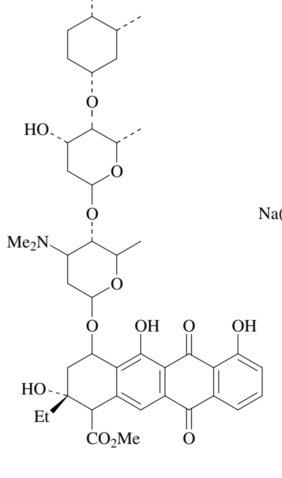
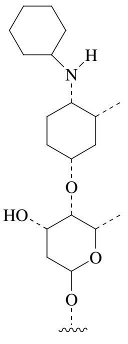
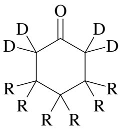
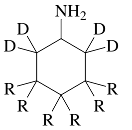
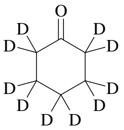
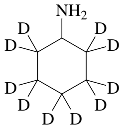
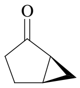
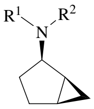
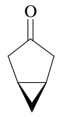
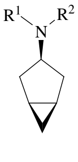
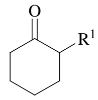
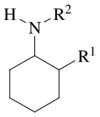
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MS 3 Å, MeOH, 4 h	 (61)	61
	(*R*) 	$NaBH_4$, H_2SO_4, THF, H_2O, 15 min	(*R*)  R: H (28) + ; *c*-C_6H_{11} (64)	162
	(*R*) 	$NaBH_4$, H_2SO_4, THF, H_2O, 30 min	(*R*)  (90)	163
		$NaBH_4$, MeOH	 (82)	1785
	(*R*) 	$NaBH_4$, H_2SO_4, THF, H_2O	(*R*)  (63)	164
		$Na(CN)BH_3$, HOAc, MeOH	 (—)	699
		$Na(CN)BH_3$, MeOH, 4 h	 (64)	737

TABLE IIE. CYCLIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
 R = H, D	NH_4OAc	$Na(CN)BH_3$•2 dioxane, MS 4 Å, MeOH	 (—)	817
	NH_4OAc	$Na(CN)BH_3$, MS 4 Å, MeOH, 48 h	 (78)	817
	H—N(R^1)(R^2)	$Na(CN)BH_3$	 (—) R^1 / R^2 H / *i*-Pr H / $CH_2CH{=}CH_2$ H / *c*-C_3H_5 —$(CH_2)_5$— —$(CH_2)_2N(Me)(CH_2)_2$—	1910
	H—N(R^1)(R^2)	$Na(CN)BH_3$	 (—) R^1 / R^2 H / $CH_2CH{=}CH_2$ H / *c*-C_3H_5 —$(CH_2)_2O(CH_2)_2$— —$(CH_2)_5$— —$(CH_2)_2N(Me)(CH_2)_2$—	1910
C_{6-7}				
	H—N(R^2)H	1. HOAc: light petroleum ether (2:7), 2 h 2. BH_3•pyridine, 10 min 3. 2 h	 R^1 / R^2 H / *c*-C_6H_{11} (63) H / Ph (93) Me / Ph (83)	22

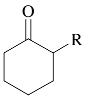
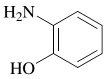
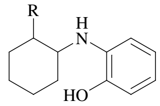
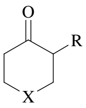
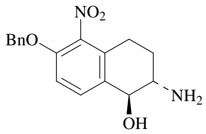
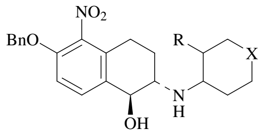
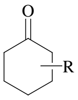
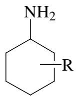
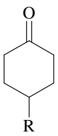
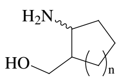
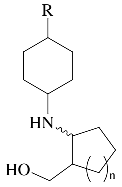
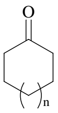
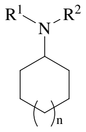
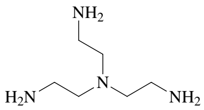
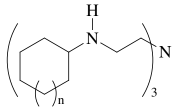
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		BH_3•THF, THF, 24 h	 R H (94) Me (96)	331
		$Li(CN)BH_3$•2 dioxane, MeOH	 R / X H / NMe (55) H / CH_2 (71) Me / CH_2 (77)	54
C_{6-10}				
	HCl•H_2N—NH_2	1. BER, sonication, 1 h 2. $Ni(OAc)_2$•4 H_2O, reflux, 3 h 3. BER, $Ni(OAc)_2$•4 H_2O, reflux, 1 h	 R / *cis*:*trans* H (88) — 2-Me (82) 62:38 4-Bu-*t* (88) 58:41	225
		1. EtOH, 30-120 min, rt 2. $NaBH_4$, 0°, 10 min	 R / n H / 1 *cis* (80) H / 1 *trans* (80) H / 2 *cis* (70) H / 2 *trans* (85) *t*-Bu / 2 *cis* (80)	455, 1768
C_{6-12}				
	H—N(R^1)(R^2)	$Li(CN)BH_3$, pH 5-6, MeOH (abs)	 n / R^1 / R^2 / Time 1 / H / *n*-Pr / 24 h (81) 7 / Me / Me / 36 h (66)	48
	 (0.25 mol-eq)	$Na(CN)BH_3$, HOAc, MeOH	 n 1 (61) 7 (73)	409

TABLE IIE. CYCLIC KETONES (*Continued*)

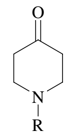
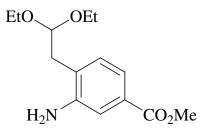
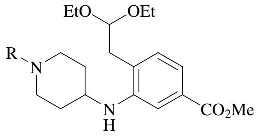
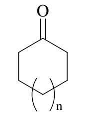
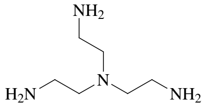
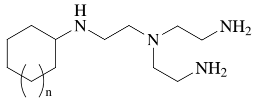
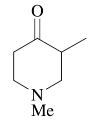
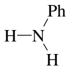
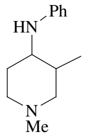
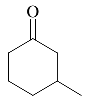
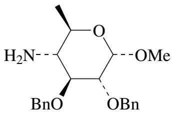
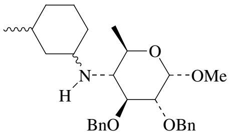
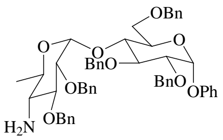
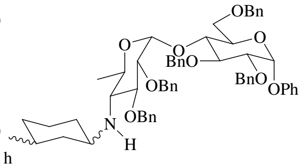
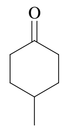
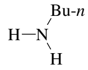
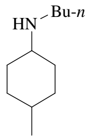
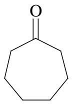
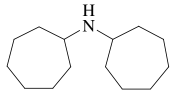
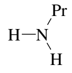
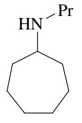
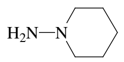
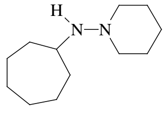
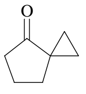
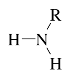
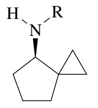
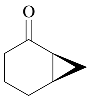
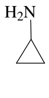
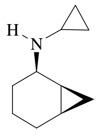
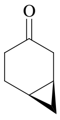
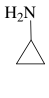
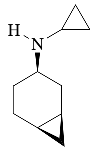
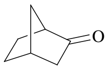
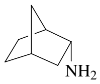
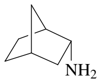
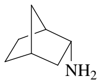
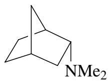
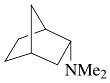
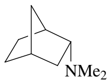
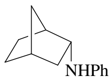
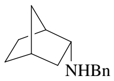
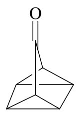
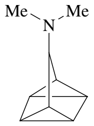
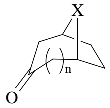
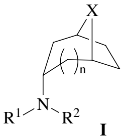
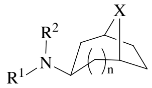
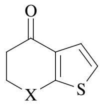
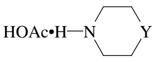
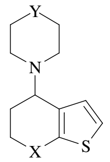
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Na_2SO_4 (anhyd), HOAc, 10-15 min 2. $NaBH(OAc)_3$, 2 min 3. 15 min - 1 h	 R: Me (96); Bu (63); Boc (80); Bn (—)	278
C_{6-16} 	 (2.0 mol-eq)	$Na(CN)BH_3$, HOAc, MeOH	 n: 1 (58); 7 (54); 10 (48); 11 (20)	409
C_7 		1. $Ti(OPr\text{-}i)_4$ 2. $NaBH_4$, EtOH	 (75) *cis*:*trans* = 70:30	201
		$Bu_4N(CN)BH_3$, MeOH, 16 h	 (82)	61
		1. $Bu_4N(CN)BH_3$ (0.5 M) in CH_2Cl_2, MS 3 Å, CH_2Cl_2, 1 h 2. HOAc, 4 h 3. $Bu_4N(CN)BH_3$ (0.5 M) in CH_2Cl_2, HOAc, 16 h	 (68)	61
		1. K10 clay, microwaves, 10 min 2. $NaBH_4$, K10 clay, microwaves, H_2O, 65°, 30 s	 (62)	215
	NH_4OAc	$NaBH(OAc)_3$, DCE, 48 h	 (80)	21
		1. K10 clay, microwaves, 6 min 2. $NaBH_4$, K10 clay, microwaves, H_2O, 65°, 45 s	 (79)	215
		$NaBH(OAc)_3$, DCE, 48 h	 (98)	15
	 R = $CH_2CH{=}CH_2$, $c\text{-}C_3H_5$, $3\text{-}FC_6H_4$	$Na(CN)BH_3$	 (—)	1910
		$Na(CN)BH_3$	 (—)	1910
		$Na(CN)BH_3$	 (—)	1910

TABLE IIE. CYCLIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	H—N(H)H	Li(CN)BH$_3$, pH 6-7, MeOH (abs), 36 h	 (48)	48
	NH$_4$OAc	Na(CN)BH$_3$, MeOH	 (48)	19
	HCl•H$_2$N—NH$_2$	1. BER, sonication, 1 h 2. Ni(OAc)$_2$•4 H$_2$O, reflux, 3 h 3. BER, Ni(OAc)$_2$•4 H$_2$O, reflux, 1 h	 (82) *endo*:*exo* = 86:14	225
	H—N(Me)Me	Na(CN)BH$_3$, MeOH	 (29)	19
	H—N(Me)Me	Na(CN)BH$_3$, MS 3 Å, MeOH	 (47)	19
	H—N(Me)Me	BER, HCl•NEt$_3$, EtOH, 1 h	 (92)	223
	H—N(Ph)H	NaBH(OAc)$_3$, THF, 6 h	 (95)	21
	H—N(Bn)H	NaBH(OAc)$_3$, HOAc, THF, 48 h	 (80)	21
	H—N(Me)Me	Na(CN)BH$_3$, MS 3 Å, MeOH, 3 d	 (56)	131
C$_{7-8}$ 	H—N(R^1)R^2	NaBH(OAc)$_3$, HOAc, DCE	 I +  II	21

n	X	R^1	R^2	Time	I	II
0	CH$_2$	Et	Et	96 h	(79)	(—)
1	NMe	—(CH$_2$)$_5$—		4 d	(30)	(30)
1	NMe	H	Ph	72 h	(96)	(—)
1	NMe	H	Bn	18 h	(94)	(5)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, MeOH, reflux, 5 d		1919

X	Y	
S	O	(65)
S	CH$_2$	(70)
S	NMe	(77)
CH$_2$	O	(99)
CH$_2$	S	(63)
CH$_2$	CH$_2$	(73)
CH$_2$	NMe	(50)
CH$_2$	CH(OH)	(47)

TABLE IIE. CYCLIC KETONES (*Continued*)

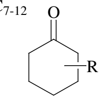
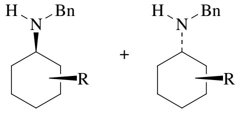
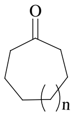
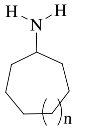
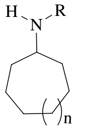
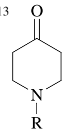
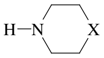
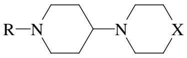
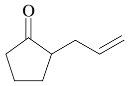
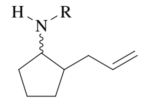
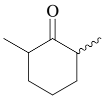
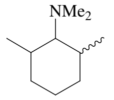
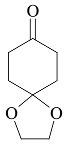
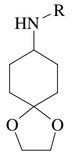
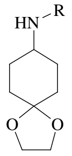
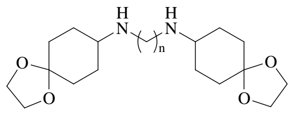
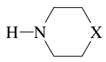
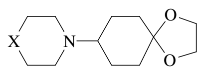
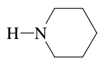
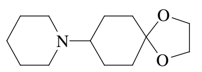
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{7-12} 	H—N(Bn)—H	$NaBH(OAc)_3$, CH_2Cl_2	 I (—) + II (—) R I:II 2-Me 8:1 3-Me 1:4.5 4-Me 2.5:1 4-Bu-*t* 4:1 4-Ph 4:1	250
	H—N(Bn)—H	$NaBH_4$, $HO_2CCH(Et)C_4H_9$, CH_2Cl_2	I + II R I:II 2-Me (82) 11:1 3-Me (85) 1:8 4-Me (50) 4:1 4-Bu-*t* (80) 7:1 4-Ph (85) 7:1	250
 n = 1, 6	$NH_4O_2CCF_3$	$NaBH(OAc)_3$, THF	 (—)	15
	H—N(R)—H	$NaBH(OAc)_3$, HOAc, DCE, 22-24 h	 n R 1 C_6H_4CN-4 (79) 1 $C_6H_4CO_2H$-4 (71) 6 $CH_2CH(OEt)_2$ (88)	21
C_{7-13} 		1. $Ti(OPr\text{-}i)_4$, 1 h 2. $Na(CN)BH_3$, EtOH (abs), 20 h	 R X Ac CH_2 (69) CONHPr-*n* CH_2 (56) Boc O (65) Boc CH_2 (78) Boc $CHCO_2Et$ (82) CONHBu-*t* CH_2 (50) Cbz CH_2 (54)	86
C_8 	H—N(R)—H	$Na(CN)BH_3$, MeOH, 72 h	 R *n*-Bu (83) *c*-C_6H_{11} (79) Bn (72)	1911
	H—N(Me)—Me	1. $TiCl_4$, CH_2Cl_2, NEt_3, 18 h 2. $Na(CN)BH_3$, MeOH, 15 min	 (50)	81
	H—N(R)—H R = Me, Pr	$NaBH(OAc)_3$, DCE	 (—)	1446
	H—N(R)—H R = Et, *n*-Bu, Bn, 2-naphthylmethyl	$NaBH(OAc)_3$, HOAc, DCE	 (—)	276
	$H_2N(CH_2)_nNH_2$ n = 2-10, 12	$NaBH(OAc)_3$, CH_2Cl_2, 18 h	 (—)	1912
		1. $Ti(OPr\text{-}i)_4$, 1 h 2. $Na(CN)BH_3$, EtOH, 24 h	 X O (56) NMe (72)	90, 413
		1. $Ti(OPr\text{-}i)_4$, 1 h 2. $Na(CN)BH_3$, EtOH (abs), 20 h	 (49)	86

TABLE IIE. CYCLIC KETONES (*Continued*)

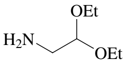
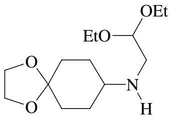
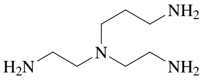
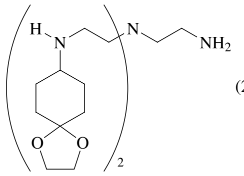
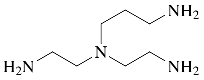
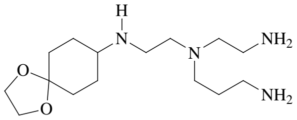
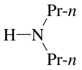
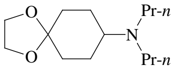
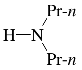
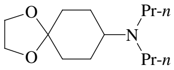
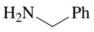
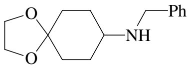
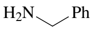
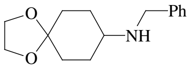
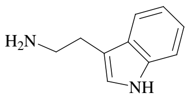
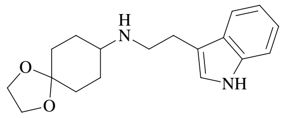
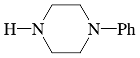
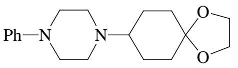
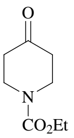
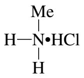
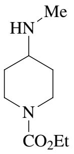
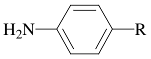
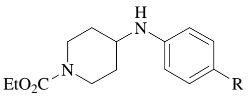
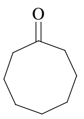
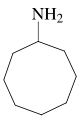
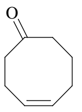
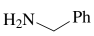
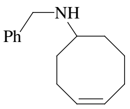
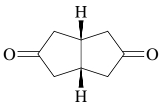
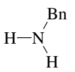
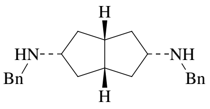
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, DCE, 4 h	 (99)	21
	 (1.0 mol-eq)	$Na(CN)BH_3$, HOAc, MeOH	 (26)	409
	 (2.0 mol-eq)	$Na(CN)BH_3$, HOAc, MeOH	 (26)	409
		$NaBH(OAc)_3$, DCE, 24 h	 (—)	411
		1. Ti(OPr-*i*)$_4$, 1 h 2. $Na(CN)BH_3$, EtOH, 24 h	 (46)	88
		1. $Na(CN)BH_3$, HOAc, MeOH, 0°, 15 min 2. rt, overnight	 (—)	410
		1. K10 clay, microwaves, 10 min 2. $NaBH_4$, K10 clay, microwaves, H_2O, 65°, 30 s	 (91)	215
		$NaBH(OAc)_3$, HOAc, DCE, 24 h	 (87)	1913, 1914
		$NaBH(OAc)_3$, HOAc, DCE	 (93)	1915
		1. Ti(OPr-*i*)$_4$, NEt_3, EtOH, 10 h 2. $NaBH_4$, 8 h	 (75)	194
		$NaBH(OAc)_3$, HOAc, DCE, 3.5-18 h	 R: Cl (85); NO_2 (60)	21
	NH_4OAc	Amberlyst 26•$(CN)BH_3$, EtOH, reflux, 48 h	 (49)	65
		$Na(CN)BH_3$, pH 5, MeOH	 (45)	1916
		$NaBH(OAc)_3$	 (96)	1917

TABLE IIE. CYCLIC KETONES (*Continued*)

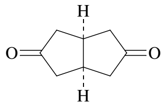
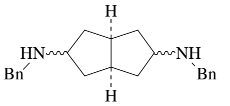
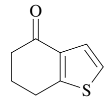
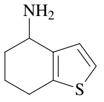
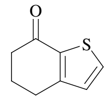
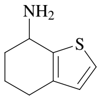
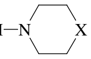
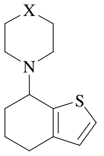
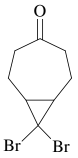
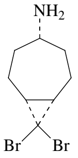
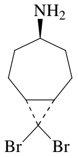
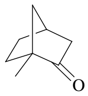
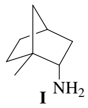
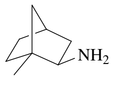
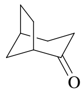
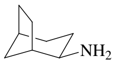
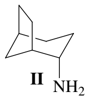
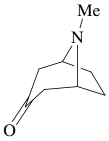
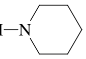
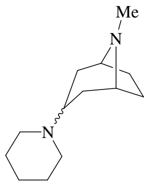
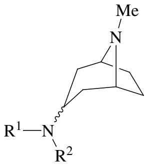
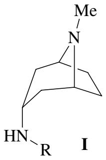
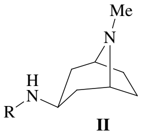
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	H—N(Bn)H + H—N(Bn)(H)•HCl	Na(CN)BH$_3$, MeOH, 72 h	 *endo*:*endo* (33) + *endo*:*exo* (—) + *exo*:*exo* (—)	1918
	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 7 d	 (88)	1919
	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 7 d	 (42)	1919
	HOAc•H—N  X	Na(CN)BH$_3$, MeOH, reflux, 5 d	 X: O (58); CH$_2$ (60)	1919
	NH$_4$OAc	Na(CN)BH$_3$, MS 3 Å, *i*-PrOH, 72 h	 **I** +  **II** (94) **I**:**II** = 2.4:1	71
	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 113 h	 **I** +  **II** (—) **I**:**II** = 3.2:1	1920
	NH$_4$OAc	Na(CN)BH$_3$	 **I** +  **II** (—) **I**:**II** = 1:1	1921
	H—N 	1. Ti(OPr-*i*)$_4$, 1 h 2. Na(CN)BH$_3$, EtOH (abs), 20 h	 (58)	86
	H—N(R^1)R^2	1. Ti(OPr-*i*)$_4$, 3 h 2. MeOH 3. NaBH$_4$, 10-20 min	 R^1 R^2 *exo*:*endo* —(CH$_2$)$_5$— (90) 7:1 H Bn (93) 2:3	21
	H—N(R)H R = Bn	Na(CN)BH$_3$ or BER	 **I** +  **II** (—) **I**:**II** = 2:1	250, 252
	H—N(R)H	NaBH$_4$, RCO$_2$H, CH$_2$Cl$_2$	**I** + **II** R / **II** / **I**:**II** Me (88) 12:1 Et (88) 14:1 Pr (84) 14:1 *i*-Pr (83) 16:1 Bu (81) 13:1 *t*-Bu (89) 15:1 *n*-C$_5$H$_{11}$ (77) 14:1 CHEt$_2$ (83) 30:1 *c*-C$_6$H$_{11}$ (81) 8:1 CH(Et)C$_4$H$_9$ (85) >50:1	250

TABLE IIE. CYCLIC KETONES (*Continued*)

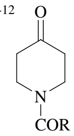
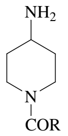
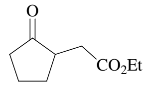
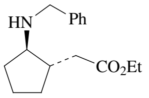
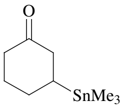
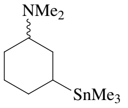
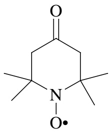
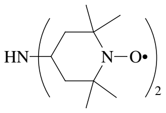
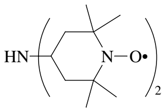
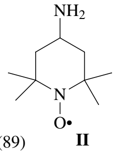
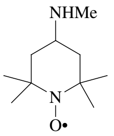
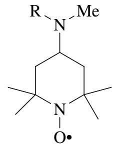
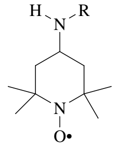
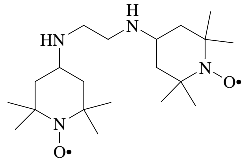
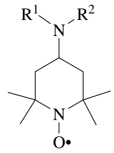
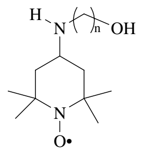
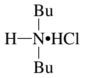
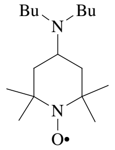
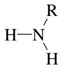
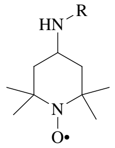
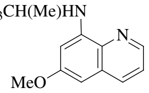
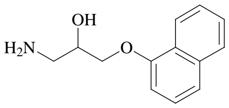
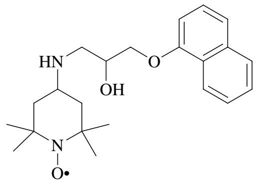
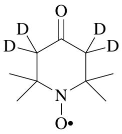
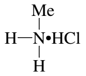
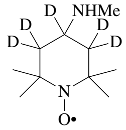
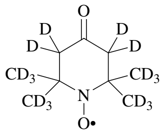
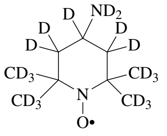
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{8-12} 	NH_4Cl	1. Ti(OPr-*i*)$_4$, NEt_3, EtOH, 11 h 2. $NaBH_4$, 7-8 h	 R: OEt (87); Ph (77)	195
C_9 	H_2N⌒Ph	NaBH(OAc)$_3$, HOAc, DCE	 (43)	1922
	H—N(Me)Me	Na(CN)BH_3, MeOH	 (56) *cis*:*trans* = 4:3	1923
	NH_4OAc (0.5 mol-eq)	Na(CN)BH_3, MeOH, 24 h	 (60)	710
	NH_4OAc (11 mol-eq)	Na(CN)BH_3, MeOH, 24 h	 I +  II **I**:**II** = 1.6:1 (89)	712
	H—N(Me)H	Na(CN)BH_3, MeOH, 6 d	 (50)	1924
	H—N(Me)H	Na(CN)BH_3, MeOH, 24-48 h	" (75)	127, 710
	H—N(Me)H	Na(CN)BH_3, MeOH, 48 h	" (73)	1924
	H—N(R)Me R = H, Me	Na(CN)BH_3, MS 4 Å, MeOH, 2 d	 (—)	1925
	H—N(R)H	Na(CN)BH_3, MeOH, 24 h	 R: Me (—); *c*-C_6H_{11} (69)	712
	H_2N⁄⁀NH_2	Na(CN)BH_3, MeOH, 24 h	 (54)	712
	H—N(R^1)(R^2)•HCl	Na(CN)BH_3, MeOH, 5 d	 R^1, R^2: Me, Me (63); —$(CH_2)_3$— (—); —$(CH_2)_4$— (—); —$(CH_2)_5$— (—)	1926, 1927
	H_2N($)_n$OH	Na(CN)BH_3, MS 3 Å, MeOH, 2 d	 n: 2 (60); 3 (—); 4 (—); 5 (—); 6 (—)	1225

TABLE IIE. CYCLIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, MeOH, 5 d	 (35)	1928
		1. pH 8.5, MeOH (abs), 0.5 h 2. $Na(CN)BH_3$, MS 3 Å, 48 h	 R $CH_2CH(OH)C_6H_3Cl_2$-3,4 (—) $(CH_2)_{10}NH_2$ (36) $(CH_2)_3CH(Me)HN$  (91)	1929
		$Na(CN)BH_3$, MeOH, 50°, 72 h	 (36)	1929
		$Na(CN)BD_3$, MeOH	 (—)	136
	ND_4OAc	$Na(CN)BD_3$, CD_3OD	 (55)	128

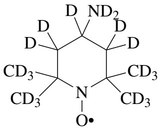
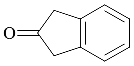
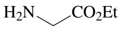
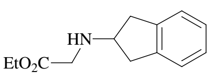
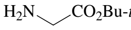
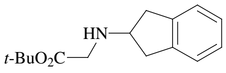
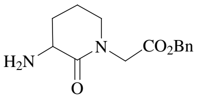
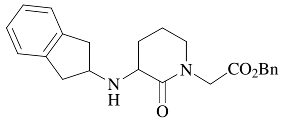
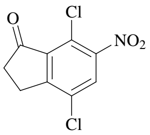
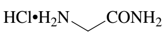
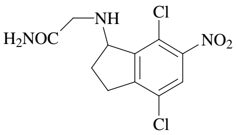
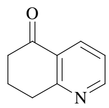
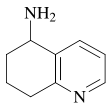
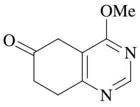
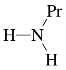
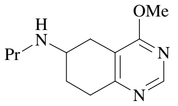
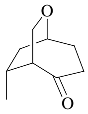
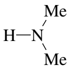
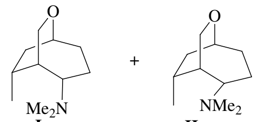
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	ND_4OAc	$Na(CN)BD_3$, CD_3OD MS 3 Å, 48 h	 (22)	129
		$Na(CN)BH_3$, EtOH, 6 h	 (—)	1728, 1930
		$Na(CN)BH_3$, H_3PO_3, MeOH:H_2O (2:1), 4 h	 (63)	1041
		$NaBH(OAc)_3$, NEt_3, DCE	 (100)	1392
		$Na(CN)BH_3$, NaOAc, MeOH, reflux, 2 d	 (18)	992
	NH_4OAc	$Na(CN)BH_3$, MeOH, 48 h	 (35)	1122
		$NaBH(OAc)_3$, NEt_3, DCE	 (—)	1446
		$Na(CN)BH_3$	 **I** + **II** (84) **I**:**II** = 4:1	1931

TABLE IIE. CYCLIC KETONES (*Continued*)

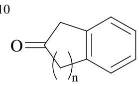
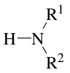
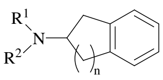
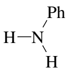
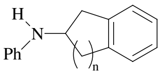
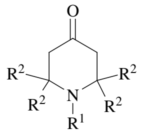
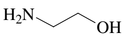
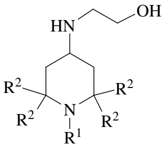
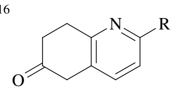
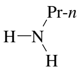
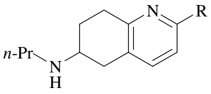
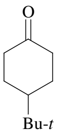
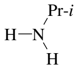
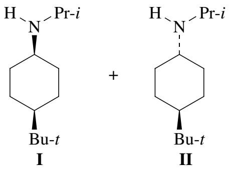
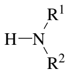
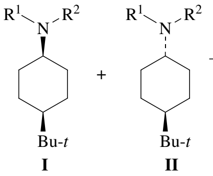
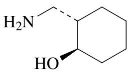
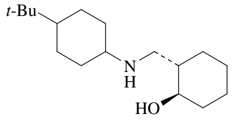
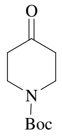
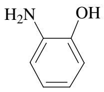
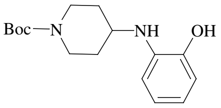
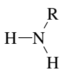
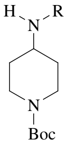
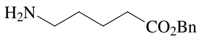
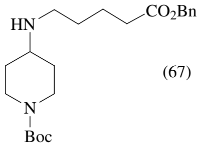
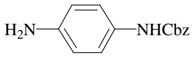
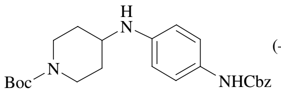
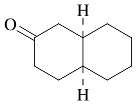
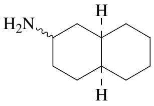
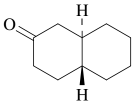
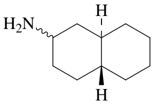
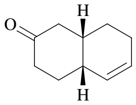
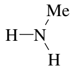
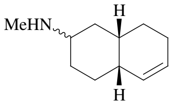
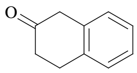
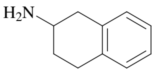
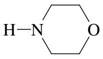
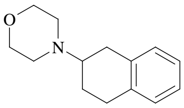
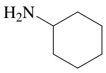
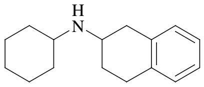
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{9-10} 		$Na(CN)BH_3$, MeOH, 20 h	 n, R^1, R^2 1, H, Me (41) 1, H, Et (69) 1, Me, Me (41) 1, H, *n*-Pr (74) 1, H, *n*-Bu (69) 1, Et, Et (61) 1, *n*-Pr, *n*-Pr (38) 1, *n*-Bu, *n*-Bu (99) 2, H, Me (60) 2, H, Et (42) 2, Me, Me (48) 2, H, *n*-Pr (50) 2, H, *i*-Pr (36) 2, H, *n*-Bu (71) 2, Et, Et (20)	655
		$NaBH(OAc)_3$, HOAc, DCE, 6-24 h	 n 1 (85) 2 (87)	21
C_{9-12} 		$Na(CN)BH_3$, HCl in MeOH, pH 6, MeOH, 3 d	 R^1, R^2 H, Me (61) Bn, H (46)	1932
C_{9-16} 		$NaBH(OAc)_3$, HOAc, DCE, 5 h	 R H (83) OMe (—) NHBn (78)	1933
C_{10} 		$NaBH(OAc)_3$, HOAc, DCE, 0.5 h	 (98) **I**:**II** = 4:1	272
		$NaBH(OAc)_3$, DCE, 10-30 min	 R^1, R^2, R^2, **I**:**II** —$(CH_2)_4$— (98) 71:29 H, c-C_6H_{11} (91) 79:21	21
		1. EtOH, rt, 60 min 2. $NaBH_4$, 0°	 (75)	1765
		$NaBH(OAc)_3$	 (90)	1934
		1. Ti(OPr-*i*)$_4$, 1 h 2. $Na(CN)BH_3$, EtOH (abs), 20 h	 R Ph (59) $(CH_2)_3CH(OEt)_2$ (86)	86
		$NaBH(OAc)_3$, HOAc CH_2Cl_2, 25°, 3 h	 (67)	1935

TABLE IIE. CYCLIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		NaBH(OAc)$_3$, CH$_2$Cl$_2$	 (—)	1370
	NH$_4$OAc	Na(CN)BH$_3$	 (—) *cis,cis*:*cis,trans* = 72:28	1936
	NH$_4$OAc	Na(CN)BH$_3$	 (—) *trans,cis*:*trans,trans* = 70:30	1936
		Na(CN)BH$_3$, MeOH, 24 h	 (92)	1937, 1938
	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 72 h	 (40)	655
		NaBH(OAc)$_3$, HOAc, THF, 120 h	 (58)	21
		NaBH(OAc)$_3$, THF, 24 h	 (85)	21

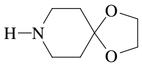
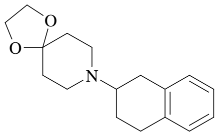
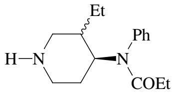
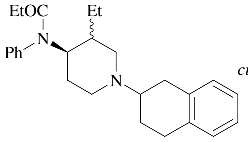
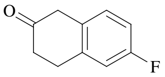
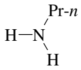
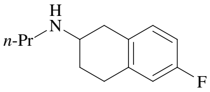
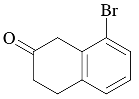
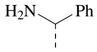
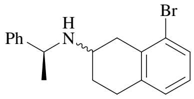
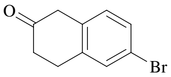
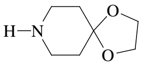
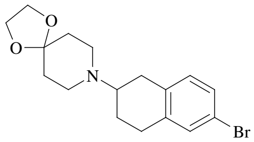
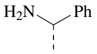
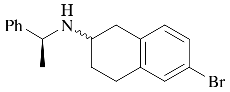
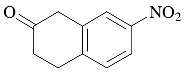
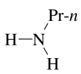
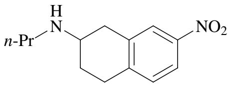
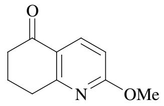
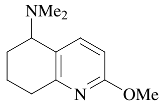
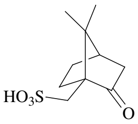
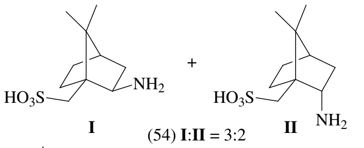
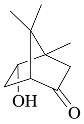
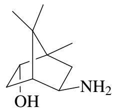
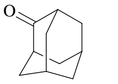
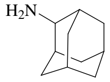
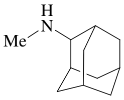
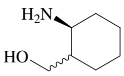
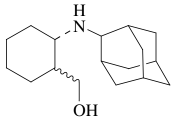
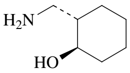
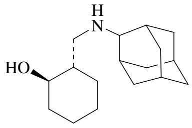
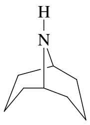
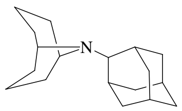
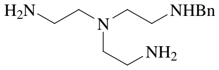
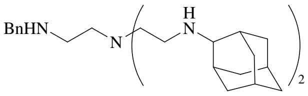
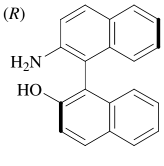
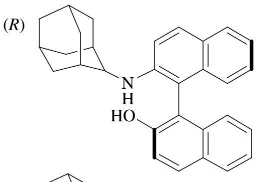
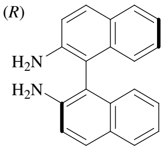
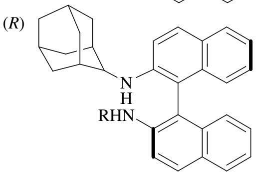
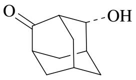
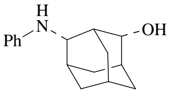
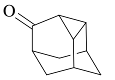
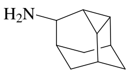
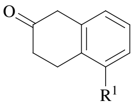
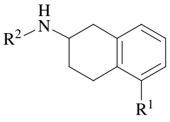
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, MS 3 Å, MeOH, 5 d	 (28)	1939
		Na(CN)BH$_3$, MS 3 Å, MeOH, 3.5 d	 *cis* (36) + *trans* (66)	1939
		Na(CN)BH$_3$, HOAc MeOH, 5 h	 (91)	1940
		Na(CN)BH$_3$, HOAc, MeOH, 5 h	 (90) 2*R*,*S*:2*S*,*S* = 1:1	1051
		NaBH(OAc)$_3$	 (85)	1941
		NaBH(OAc)$_3$, HOAc, CH$_2$Cl$_2$	 (85) 2*R*,*S*:2*S*,*S* = 1:1	1359
		Na(CN)BH$_3$, HOAc, EtOH, 16 h	 (56)	1942

TABLE IIE. CYCLIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	H—N(Me)(Me)•HCl	1. $TiCl_4$, NEt_3 2. Na(CN)BH_3, MeOH	 (56)	85
	NH_4OAc	Na(CN)BH_3, MeOH, 3 d	 (54) **I**:**II** = 3:2	1943
	NH_4OAc	Na(CN)BH, MeOH, 48 h	 (60)	1944
	NH_4Cl	1. Ti(OPr-*i*)$_4$, NEt_3, EtOH, 11 h 2. $NaBH_4$, 8 h	 (78)	195
	H—N(Me)(H)•HCl	1. Ti(OPr-*i*)$_4$, NEt_3, EtOH, 10 h 2. $NaBH_4$, 8 h	 (75)	194
		1. EtOH, 150 min, rt 2. $NaBH_4$, 10 min, 0°	 *cis* (70) *trans* (75)	455, 1769
		1. EtOH, 2 h, rt 2. $NaBH_4$, 0°	 (51)	1765
		Na(CN)BH_3, MeOH, 72 h	 (69)	1354
		Na(CN)BH_3, HOAc, MeOH	 (45)	409
		$NaBH_4$, H_2SO_4, THF, H_2O, 15 min	 (57)	163
		$NaBH_4$, H_2SO_4, THF, H_2O, 15 min	 R: H (15) + ; 2-adamantyl (71)	162
	H—N(Ph)—H	Na(CN)BH_3, MeOH, 3 d	 (50)	1945
	NH_4OAc	Na(CN)BH_3, MeOH, MS 3 Å, 48 h	 (40)	1946
C_{10-11} 	H—N(R^2)—H	NaBH(OAc)$_3$, DCE	 (—)	1447

R^1	R^2
H	*n*-Pr
Cl	*n*-Pr
Br	*n*-Pr
OMe	Me
OMe	*n*-Pr

TABLE IIE. CYCLIC KETONES (*Continued*)

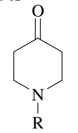
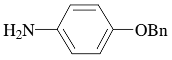
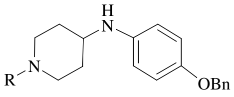
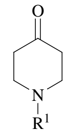
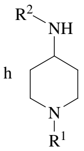
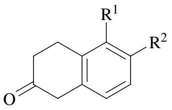
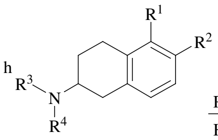
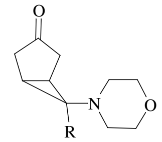
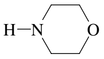
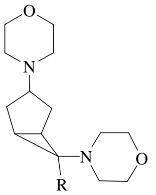
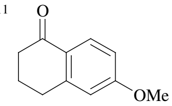
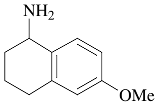
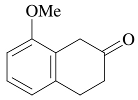
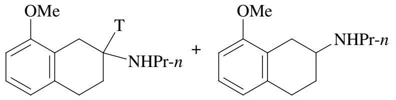
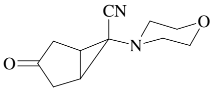
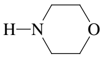
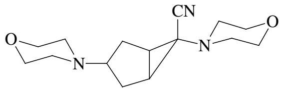
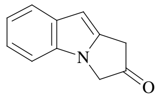
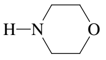
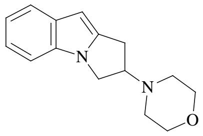
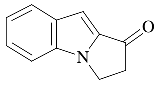
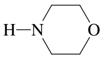
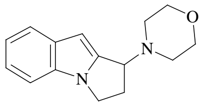
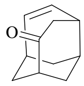
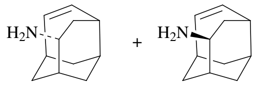
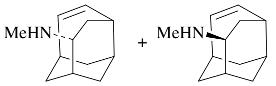
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{10-12}				
		$NaBH(OAc)_3$, CH_2Cl_2, 0° to rt, 12 h	 R Boc (94) Bn (—)	470
	H—N(R^2)—H	$Na(CN)BH_3$, MeOH, 20 h	 R^1 R^2 Boc c-C_3H_5 (84) Bn n-Pr (96) Bn i-Pr (45)	476
	H—N(R^3)—R^4	$Na(CN)BH_3$, MeOH, 22 h	 R^1 R^2 R^3 R^4 H H Me Me (25) OMe OMe H Et (42) OMe OMe H n-Pr (69) OMe OMe H n-Bu (17)	650
C_{10-14}				
		1. $Ti(OPr$-$i)_4$, 1 h 2. $Na(CN)BH_3$, EtOH, 24 h	 R H (51) N-succinamidyl (44)	412
C_{11}				
	NH_4OAc	$Na(CN)BH_3$	 (6)	1947
	H—N(Pr-n)—H	$Na(CN)BT_3$, AcOH, MS, MeOH, 18 h	 I + II (—) **I**:**II** = 4:1	141
		1. $Ti(OPr$-$i)_4$, 20°, 1 h 2. $Na(CN)BH_3$, EtOH, 24 h	 (45)	92
		$Na(CN)BH_3$, MeOH, 20 h	 (91)	1948
		$Na(CN)BH_3$, MeOH	 (51)	1948
	NH_4OAc	$Na(CN)BH_3$, MeOH, 4 d	 I + II (93) **I**:**II** = 2:1	1169
	H—N(Me)—H	$Na(CN)BH_3$, MeOH, 3 d	 I + II (82) **I**:**II** = 11:4	1169

TABLE IIE. CYCLIC KETONES (*Continued*)

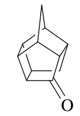
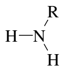
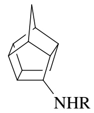
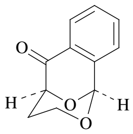
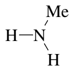
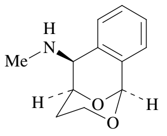
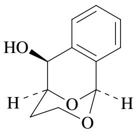
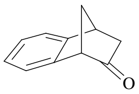
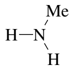
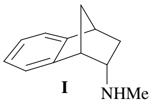
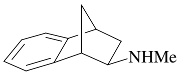
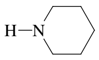
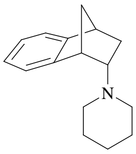
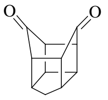
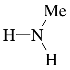
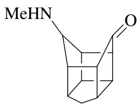
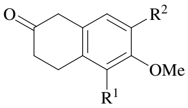
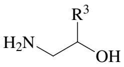
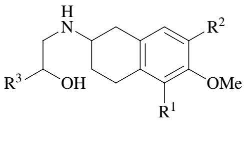
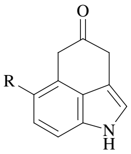
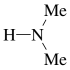
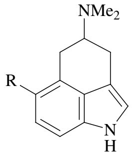
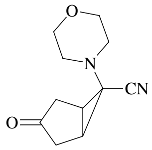
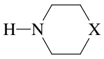
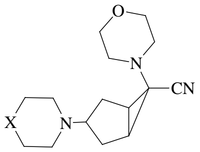
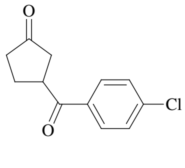
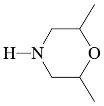
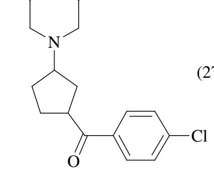
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. EtOH, 100° 2. $NaBH_4$ in cold H_2O, 0° to rt, 5 h	 R H (85) Me (91) Et (84) $(CH_2)_2OH$ (92) *i*-Pr (80) *n*-Bu (80) *i*-Bu (82) C_5H_{11} (87) Bn (90) C_8H_{17} (90)	1949
		$Na(CN)BH_3$, HCl (5 N) in MeOH, MS 3 Å, MeOH, 3 d	 (61) +  (15)	759
		$Na(CN)BH_3$, MS 3 Å, MeOH, rt, 45 h	 **I** +  **II** (41) **I**:**II** = 5:1	1950
		$Na(CN)BH_3$, HCl (5 N), in MeOH, 72 h	 (20)	1951
	 (40% aq)	$Na(CN)BH_3$, HOAc, MeCN, 3 h	 (22)	1952
C_{11-12}				
		$Na(CN)BH_3$, MeOH, 25 h	 R^1 R^2 R^3 H H H (59) OMe H H (51) H OMe H (65) H OMe Me (70)	856
		$Na(CN)BH_3$, MeOH, 1-2 h	 R H (52) OMe (57)	743
		1. $Ti(OPr\text{-}i)_4$, 1 h 2. $Na(CN)BH_3$, EtOH, 24 h	 X O (43) CH_2 (38)	90
C_{12}				
		$Bu_4N(CN)BH_3$, MS	 (27)	62

TABLE IIE. CYCLIC KETONES (*Continued*)

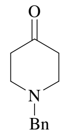
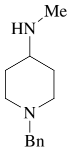
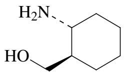
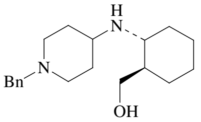
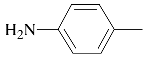
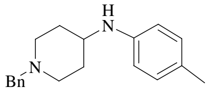
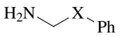
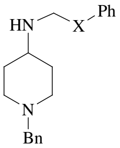
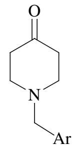
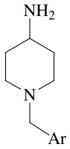
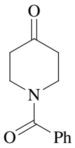
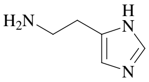
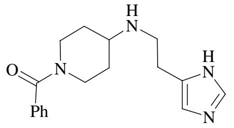
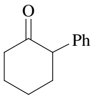
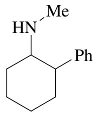
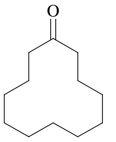
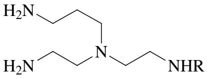
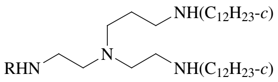
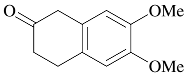
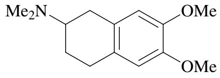
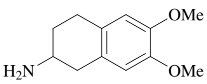
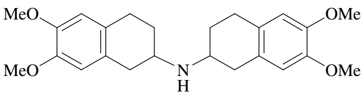
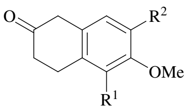
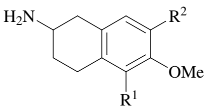
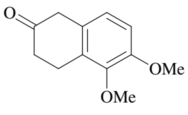
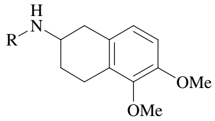
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	H—N(Me)—H	$Na(CN)BH_3$, MS 4 Å, MeOH, 1 d	 (76)	476
	H—N(Me)(H)•HCl	$Na(CN)BH_3$, $ZnCl_2$, MeOH	" (—)	111
		1. EtOH, 300 min, rt 2. $NaBH_4$, 10 min, 0°	 (75)	1770
		1. MS 3 Å, MS 5 Å, MeOH, 6 h 2. HOAc, overnight 3. $Na(CN)BH_3$, 3 h	 (67)	73
		$Na(CN)BH_3$, $ZnCl_2$, MeOH	 X: —CH=CH— (46); —C≡C— (69)	111
 Ar = 4-$O_2NC_6H_4$	NH_4OAc	$Na(CN)BH_3$, MS 3 Å, MeOH, 16 h	 (83)	1953
		1. MS 3 Å, NaOAc, MeOH, 45 min 2. $Na(CN)BH_3$, 1.5 h	 (24)	1221
	H—N(Me)(H)•HCl	1. $Ti(OPr\text{-}i)_4$, NEt_3, EtOH, 10 h 2. $NaBH_4$, 8 h	 (70)	194
		$Na(CN)BH_3$, HOAc, MeOH	 R: Bn (77); COPh (72)	409
	H—N(Me)—Me	$Na(CN)BH_3$	 (—)	1177
		$Na(CN)BH_3$, MeOH, 3 d	 (—)	1809
	NH_4OAc	$Na(CN)BH_3$, MeOH, 22 h	 R^1, R^2: OMe, H (94); H, OMe (54)	650
	H—N(R)—H	$NaBH_4$, MeOH, 5 h	 R: Me (60); Et (57); *n*-Pr (72); *i*-Pr (25)	1172

TABLE IIE. CYCLIC KETONES (*Continued*)

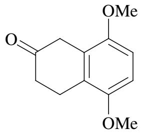
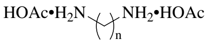
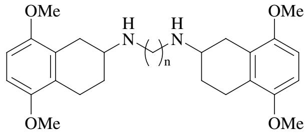
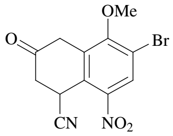
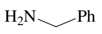
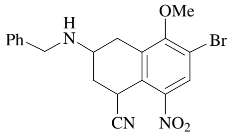
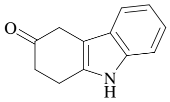
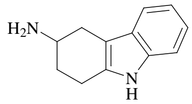
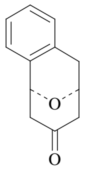
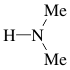
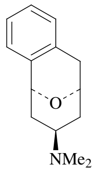
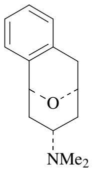
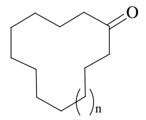
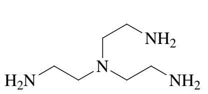
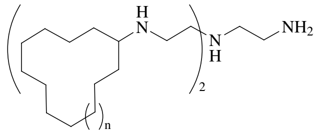
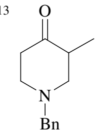
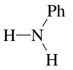
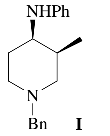
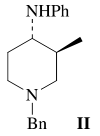
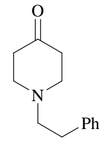
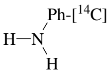
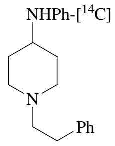
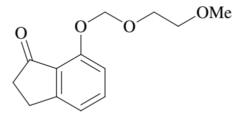
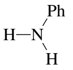
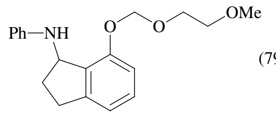
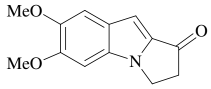
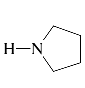
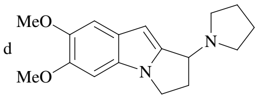
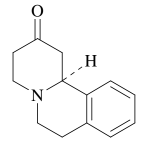
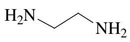
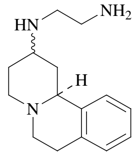
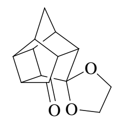
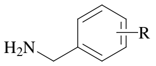
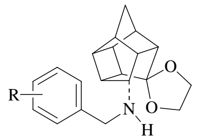
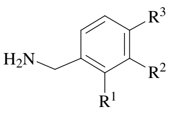
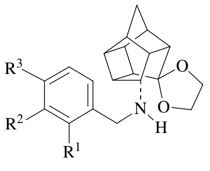
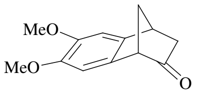
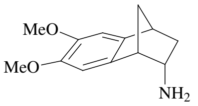
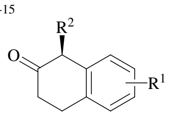
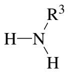
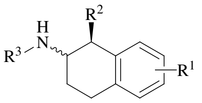
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, HOAc, pH 5, MeOH, 24 h	 n: 2 (56); 3 (36); 4 (35)	1954
		1. THF, 1 h 2. Na(CN)BH$_3$, MeOH, 3 h	 (76)	1955
	NH$_4$OAc	Li(CN)BH$_3$, MS 4 Å, MeOH, 50 h	 (38)	58
	NH$_4$OAc	Li(CN)BH$_3$, MeOH,	" (—)	59
		Na(CN)BH$_3$, EtOH, 68 h	 **I** +  **II** (95) **I**:**II** = 9:2	1956
C$_{12-15}$				
	 (1.0 mol-eq)	Na(CN)BH$_3$, HOAc, MeOH	 n: 1 (44); 3 (13)	409
C$_{13}$				
		Na(CN)BH$_3$, MS 3 Å, MeOH, 3 d	 **I** +  **II** (84) **I**:**II** = 2:1	1939
		Na(CN)BH$_3$, THF, 14 h	 (26)	1957
		Na(CN)BH$_3$, HOAc, MeOH, 36 h	 (79)	1611
		Na(CN)BH$_3$, MeOH, 44 d	 (76)	1958
		1. EtOH, 1.5 h 2. NaBH$_4$, 18 h	 (86)	1959
	 R = H, 3-Br, 3-I, 4-I	1. EtOH, 100°, 14 h 2. NaBH$_4$, rt, 14 h	 (—)	1960

TABLE IIE. CYCLIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. EtOH, 100°, 14 h 2. $NaBH_4$, rt, 6 h	 R¹ R² R³: F H H (—); H H F (—); H F F (—); H NO_2 H (—); H CF_3 H (—)	1961
	NH_4OAc	$Na(CN)BH_3$, MeOH, 36 h	 (82)	1962
$C_{13\text{-}15}$ 		1. HOAc, pH 4-5, MeOH:THF (1:1), 0-5°, 30 min 2. $Na(CN)BH_3$, 48 h		754, 1053

R^1	R^2	R^3		*cis*:*trans*
H	allyl	*n*-Pr	(67)	6:1
H	allyl	allyl	(74)	10:1
8-OMe	CO_2Me	allyl	(84)	3.4:1
5-OMe	allyl	*n*-Pr	(88)	7.5:1
8-OMe	allyl	*n*-Pr	(60)	5.4:1
8-OMe	allyl	allyl	(83)	5:1
8-OMe	allyl	$CH_2C_3H_5$-*c*	(30)	3.4:1
8-OMe	$CH_2C_3H_5$-*c*	*n*-Pr	(78)	5:1
8-OMe	$CH_2C_3H_5$-*c*	allyl	(89)	4.2:1
8-OMe	$CH_2C_3H_5$-*c*	$CH_2C_3H_5$-*c*	(40)	3.6:1

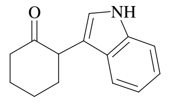
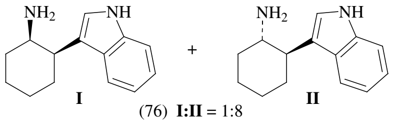
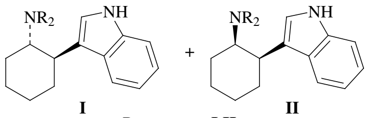
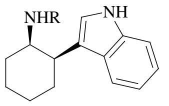
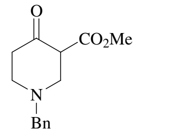
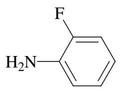
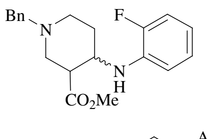
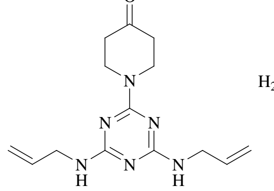
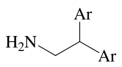
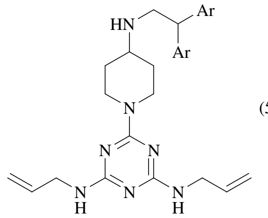
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{14} 	NH_4OAc	$Na(CN)BH_3$, MS 3 Å, *i*-PrOH, 72 h	 (76) **I**:**II** = 1:8	36
	NH_4OAc	$Zn(BH_4)_2$, Et_2O	**I** + **II** (—) **I**:**II** = 4.2:1	37
	NH_4OAc	$Na(CN)BH_3$, MS 3 Å	**I** + **II** (—) **I**:**II** = 9:1	37
	R H—N•HOAc R	$Na(CN)BH_3$, MS 3 Å, *i*-PrOH, 72 h	 R, **I**:**II**: H (89) 9:1; Me (62) 0:1	35
	R H—N•HOAc H	1. $TiCl_4$ (0.1 M)/CH_2Cl_2, 0-25°, 3 h 2. $Na(CN)BH_3$, *i*-PrOH, 12 h	 R: Me (96); *n*-Pr (92); Bn (96)	35
		$Na(CN)BH_3$, HCl, MS 3 Å, MeOH	 (49) *cis*:*trans* = 2:1	466
	 Ar = 4-FC_6H_4	1. HCl in MeOH, pH 6, MeOH 2. $Na(CN)BH_3$, MS 3 Å, 15° to rt, 24 h	 (53)	483

TABLE IIE. CYCLIC KETONES (*Continued*)

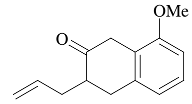
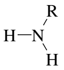
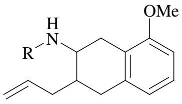
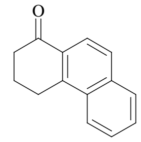
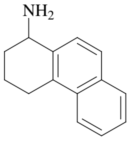
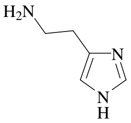
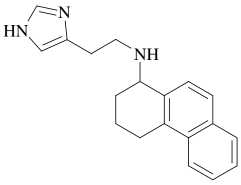
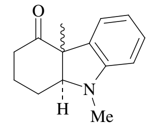
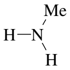
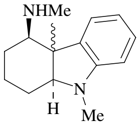
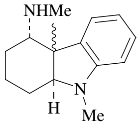
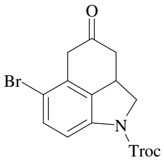
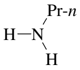
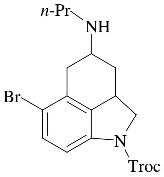
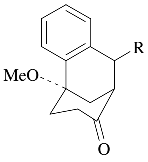
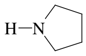
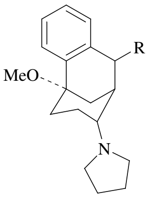
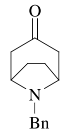
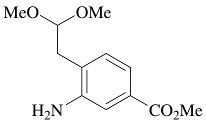
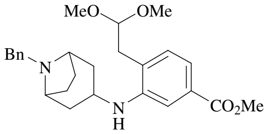
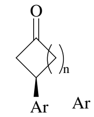
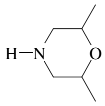
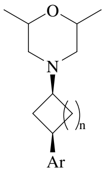
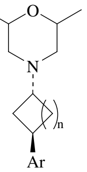
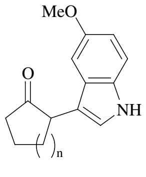
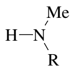
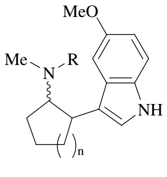
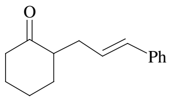
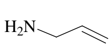
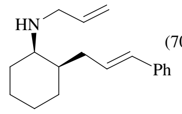
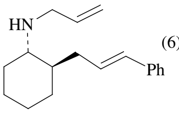
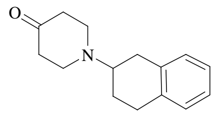
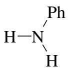
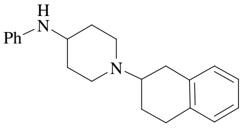
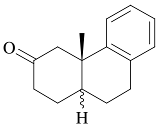
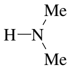
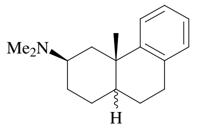
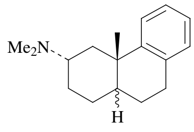
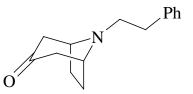
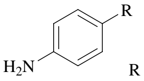
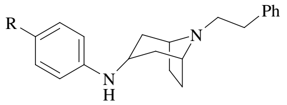
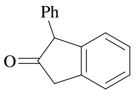
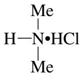
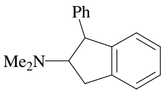
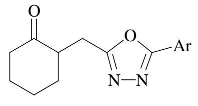
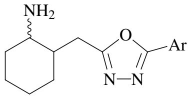
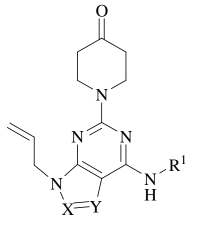
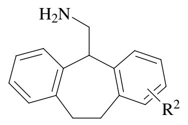
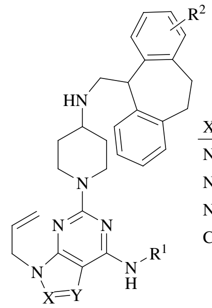
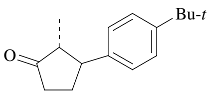
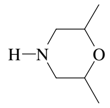
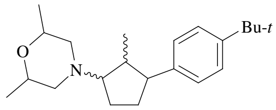
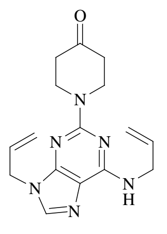
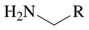
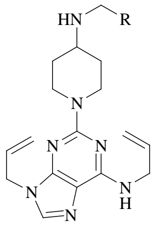
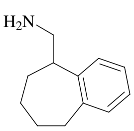
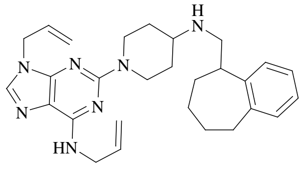
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. HOAc, pH 4-5, MeOH-THF (1:1), 0-5°, 30 min 2. Na(CN)BH_3, 48 h	 R / *cis*:*trans* *n*-Pr 6:1 (79) allyl 6:1 (86)	754
	NH_4OAc	Na(CN)BH_3	 (23)	1947
		Na(CN)BH_3, MS 3 Å, NaOAc, MeOH, 14 d	 (31)	1221
		Na(CN)BH_3, MeOH	 **I** (—) +  **II** (—) **I**:**II** *cis* 65:35 *trans* 93:7	1963, 1964
		Na(CN)BH_3, MS 3 Å, HOAc-MeCN, 7.5 h	 (—)	1357
		Na(CN)BH_3, MeOH, 72 h	 R H (96) OH (95)	1965
		1. Na_2SO_4, HOAc, 10-15 min 2. NaBH$(OAc)_3$, 2 min 3. 15 min-1 h	 (51)	278
C_{14-15}  Ar = 4-*t*-BuC_6H_4		Bu_4NBH_4, MS	 **I** +  **II** n / **I**:**II** 1 (82) 74:8 2 (85) 7:3	62, 770
		1. MeOH, 0°, 15 min 2. Na(CN)BH_3, 0°, 1 h 3. Na(CN)BH_3, rt, overnight	 n R 1 H (34) 1 Me (48) 2 H (44) 2 Me (51)	39
C_{15} 		NaBH$(OAc)_3$, HOAc, DCE	 (70) +  (6)	1817

TABLE IIE. CYCLIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, MS 3 Å, MeOH, 5 d	 (53)	1939
		Na(CN)BH_3, MS 3 Å, MeOH, 72 h	 I +  II I+II, I:II: *cis* (75) 3:1; *trans* (—) 85:15	1966
	 R = Me, OMe	Na(CN)BH_3, MeOH, 3 d	 (—)	1967
		1. KOH, MeOH 2. Na(CN)BH_3, MeOH, 30 min	 (32)	1968
$C_{15\text{-}16}$				
 Ar = Ph, 4-ClC_6H_4, 4-MeC_6H_4 4-$MeOC_6H_4$	NH_4OAc	Na(CN)BH_3, MeOH, reflux, 4 h	 (—)	805

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
$C_{15\text{-}17}$				
		NaBH$(OAc)_3$, CH_2Cl_2, 5 h		1969
C_{16}				
		Na(CN)BH_3	 (—)	770
		NaBH$(OAc)_3$, CH_2Cl_2, 5 h		1969
		NaBH$(OAc)_3$, CH_2Cl_2, 5 h	 (68)	1969

X	Y	R^1	R^2	
N	N	propyl	H	(62)
N	CH	allyl	H	(97)
N	CH	allyl	OMe	(62)
CH	CH	allyl	H	(95)

R	
1-naphthyl	(57)
$CHPh_2$	(59)
$CH(C_6H_4F\text{-}4)_2$	(61)
CPh_3	(89)

TABLE IIE. CYCLIC KETONES (*Continued*)

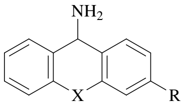
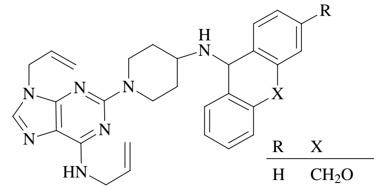
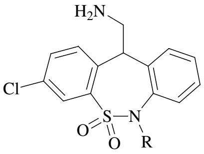
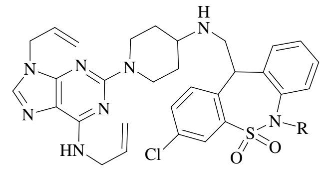
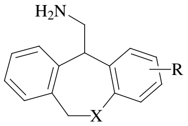
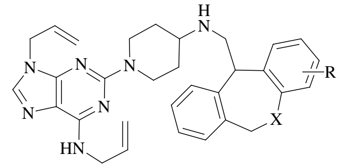
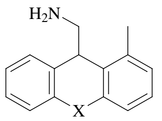
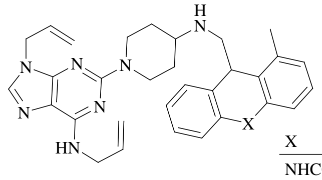
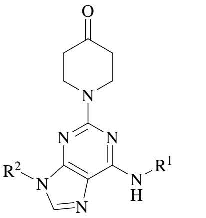
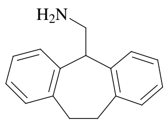
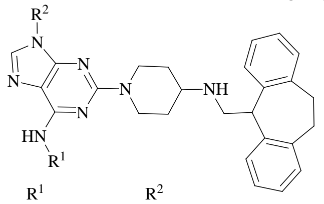
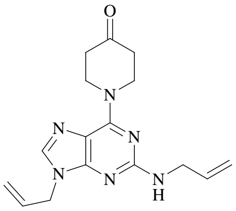
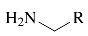
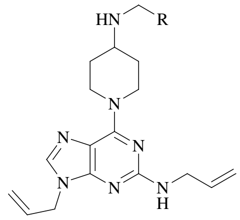
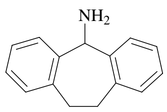
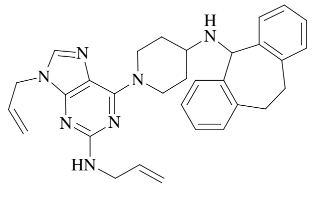
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, CH_2Cl_2, 5 h	 R / X H / CH_2O (43) Cl / $SO_2N(Me)$ (81) H / CH_2CH_2 (66)	1969
		$NaBH(OAc)_3$, CH_2Cl_2, 5 h	 R Me (34) Pr (81)	1969
		$NaBH(OAc)_3$, CH_2Cl_2, 5 h	 X / R O / H (89) CH_2 / H (88) CH_2 / 3-OMe (86) CH_2 / 1,2,3-$(OMe)_3$ (56)	1969
		$NaBH(OAc)_3$, CH_2Cl_2, 5 h	 X NHCO (64) CH=CH (94)	1969
		$NaBH(OAc)_3$, CH_2Cl_2, 5 h	 R^1 / R^2 $CH_2CH{=}CH_2$ / *n*-Pr (82) *n*-Pr / $CH_2CH{=}CH_2$ (62) *n*-Pr / *n*-Pr (34)	1969
		$NaBH(OAc)_3$, CH_2Cl_2, 5 h	 R 1-naphthyl (78) $CH(C_6H_4F\text{-}4)_2$ (59)	1969
		$NaBH(OAc)_3$, CH_2Cl_2, 5 h	 (85)	1969

TABLE IIE. CYCLIC KETONES (*Continued*)

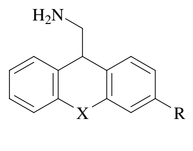
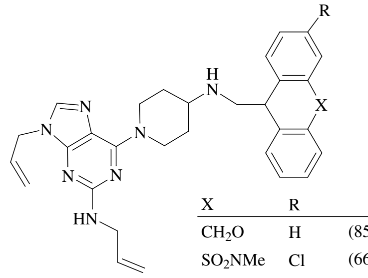
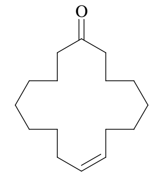
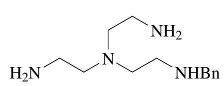
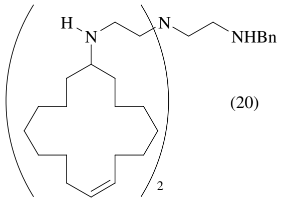
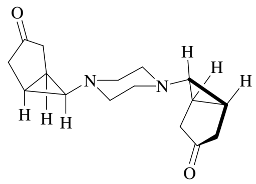
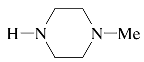
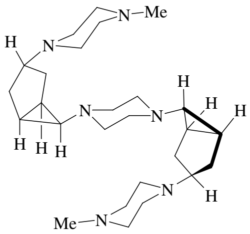
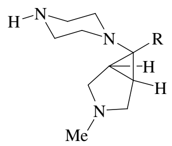
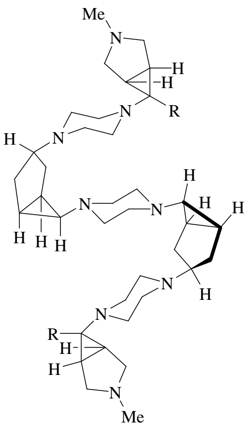
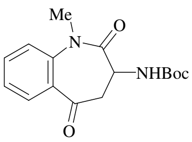
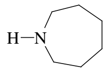
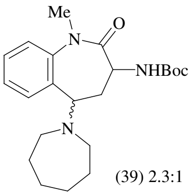
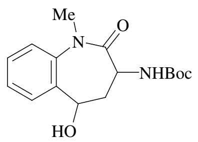
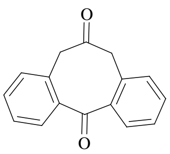
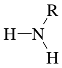
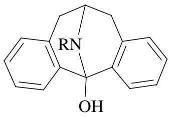
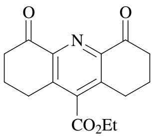
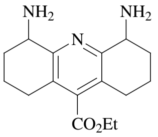
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		NaBH(OAc)$_3$, CH_2Cl_2, 5 h	 X / R CH_2O / H (85) SO_2NMe / Cl (66) CH=CH / H (84) CH_2CH_2 / H (7) CH_2CH_2 / OMe (64)	1969
		Na(CN)BH$_3$, HOAc, MeOH	 (20)	409
		1. Ti(OPr-*i*)$_4$, 1 h 2. Na(CN)BH$_3$, EtOH, 30 h	 (50)	413
		1. Ti(OPr-*i*)$_4$, 2 d 2. Na(CN)BH$_3$, EtOH, 5 d	 R H (29) CN (44)	91
		1. Ti(OPr-*i*)$_4$, THF 2. Na(CN)BH$_3$, EtOH	 (39) 2.3:1 +  (43)	94
		Na(CN)BH$_3$, MS 3 Å, HOAc, THF, 18 h	 R H (67) Me (81) Bn (77)	404
	NH_4OAc	Na(CN)BH$_3$, EtOH	 (—)	1970

TABLE IIE. CYCLIC KETONES (*Continued*)

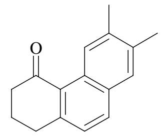
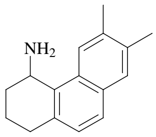
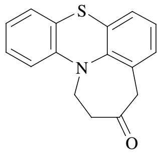
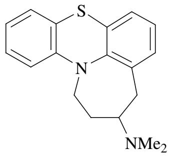
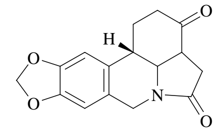
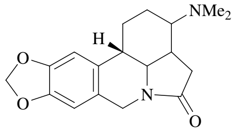
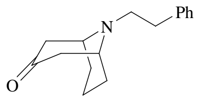
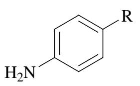
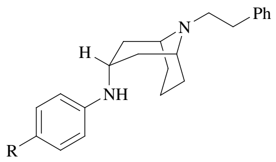
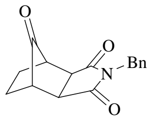
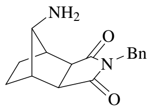
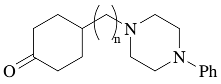
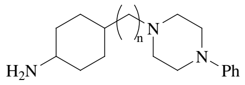
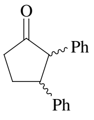
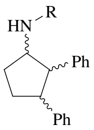
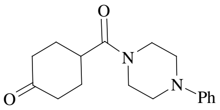
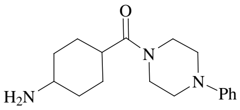
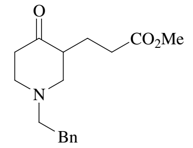
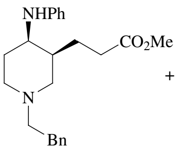
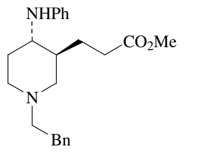
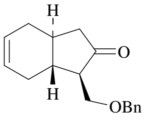
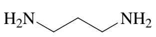
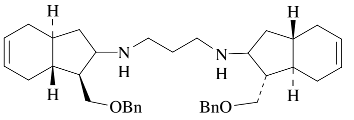
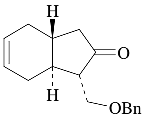
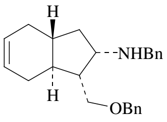
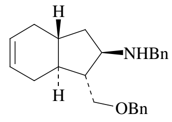
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	NH_4OAc	$Na(CN)BH_3$, *i*-PrOH, reflux, 22 h	 (—)	1036
	H—N(Me)Me	$Na(CN)BH_3$, MS 3 Å, MeOH, THF, 12 h	 (84)	1047
	H—N(Me)Me	$Na(CN)BH_3$, MeOH, 3 d	 (43)	1971, 1972
		$Na(CN)BH_3$, MeOH, 72 h	 R: H (44); F (41); Me (49); OMe (40)	1973, 1974
	NH_4OAc	$Na(CN)BH_3$, MeOH, 2 d	 (—)	756
	NH_4OAc	$Na(CN)BH_3$, MeOH, 2-18 h	 n, *trans*:*cis*: 0 (—) —; 2 (67) 2:1	1915
C_{17}				
	H—N(R)H	1. $TiCl_4$, CH_2Cl_2, –70° to –65°, 30 min 2. –70° to –65°, 2 h 3. $NaBH_4$, –70° to –65°, 2 h 4. MeOH, –70° to –65°, 20 min 5. –70° to –65°, 2.5 h 6. rt, 2 h	 R: *t*-Bu *trans* (69); *t*-Bu *cis* (66); *t*-amyl *cis* (35)	186
	NH_4OAc	$Na(CN)BH_3$, MeOH	 (—)	1915
	H—N(Ph)H	$Na(CN)BH_3$, MS 3 Å, MeOH, 3 d	 **I** +  **II** (46) **I**:**II** = 2.5:1	1975
		$NaBH_4$, HOAc, DCE, 48 h	 (58)	1976
	H—N(Bn)H	1. $Na(BH(OAc)_3)$, HOAc, THF, 0- 5°, 1 h 2. rt, 24 h	 **I** +  **II** (70) **I**:**II** = 4.5:1	1977, 1978

TABLE IIE. CYCLIC KETONES (*Continued*)

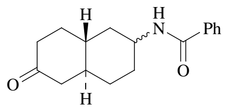
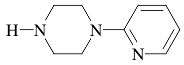
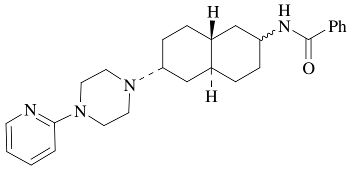
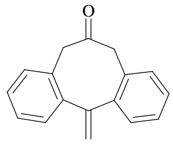
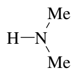
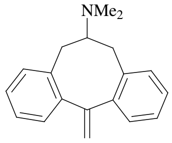
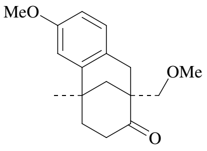
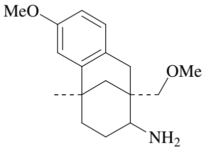
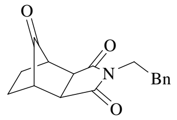
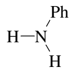
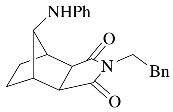
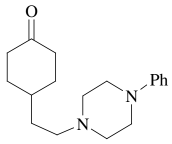
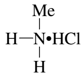
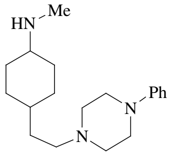
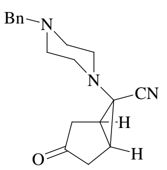
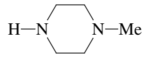
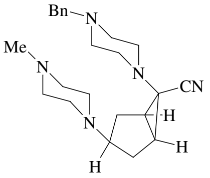
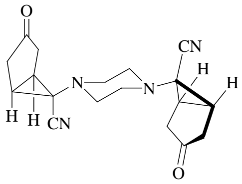
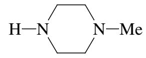
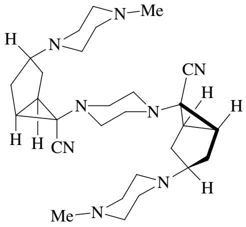
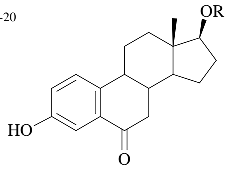
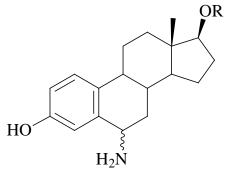
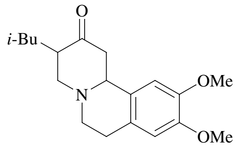
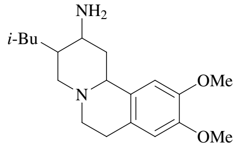
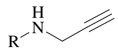
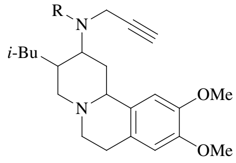
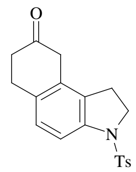
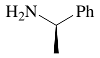
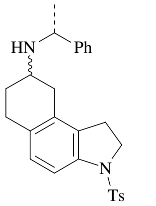
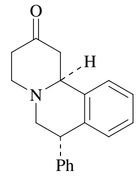
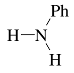
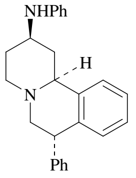
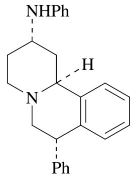
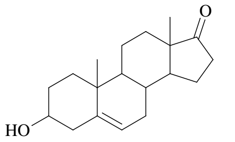
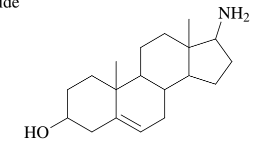
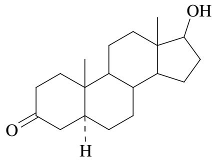
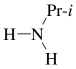
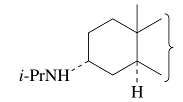
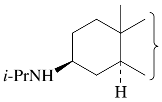
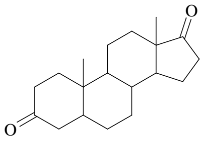
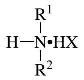
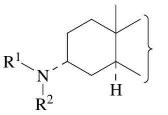
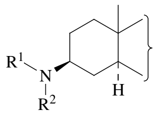
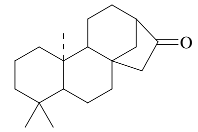
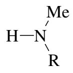
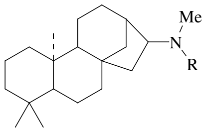
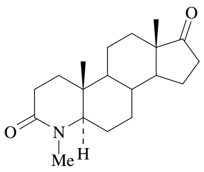
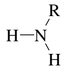
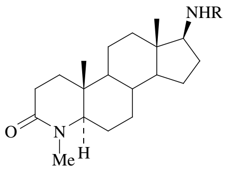
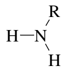
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		NaBH(OAc)$_3$, HOAc, DCE, 2 h	 α (43) β (54)	1979
		Na(CN)BH$_3$, HOAc, THF, 24 h	 (79)	1077
	NH$_4$OAc	Na(CN)BH$_3$, MS 4 Å, MeOH	 (86)	1980
		NaBH(OAc)$_3$, HOAc, DCE	 (—)	756
C$_{18}$ 		Na(CN)BH$_3$, MeOH, 24 h	 (9)	1915
		1. Ti(OPr-*i*)$_4$, 6 h 2. Na(CN)BH$_3$, 65 h	 (45)	413
		1. Ti(OPr-*i*)$_4$, 24 h 2. Na(CN)BH$_3$, EtOH, 7 d	 (44)	413
C$_{18-20}$ 	NH$_4$OAc	Na(CN)BH$_3$, MeOH, 65°, 48 h	 R / α:β H (85) 1:2.3 OAc (70) —	1981
C$_{19}$ 	NH$_4$OAc	Na(CN)BH$_3$, MS 3 Å, 24 h	 (74)	1982
		Na(CN)BH$_3$, MS 3 Å, 72 h	 R H (64) Me (18)	1982

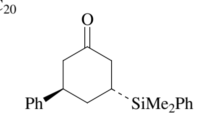
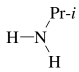
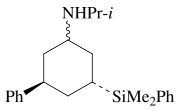
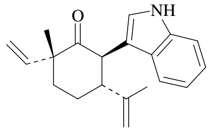
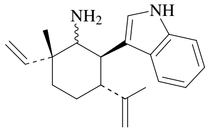
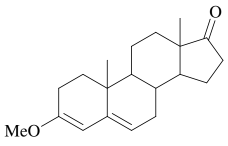
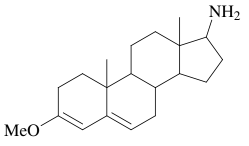
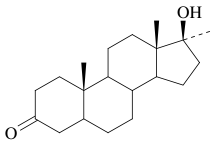
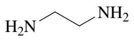
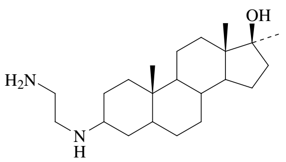
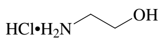
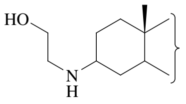
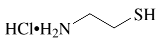
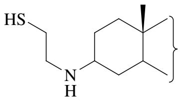
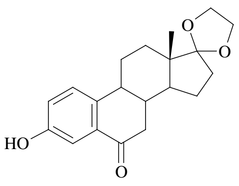
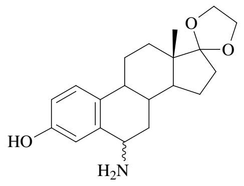
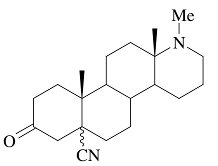
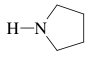
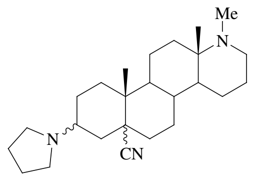
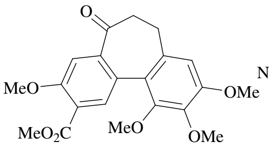
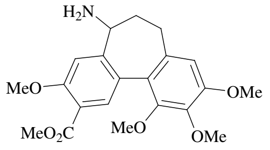
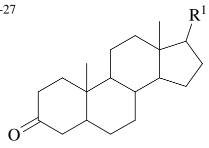
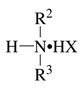
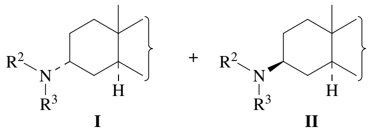
TABLE IIE. CYCLIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, THF, MeOH, 18 h	 α (42) β (45)	1983
		Reducing agent, THF, 0°, 30 min	 I +  II	285
		Na(CN)BH_3	I+II (96), I:II 3:2	
		Li(Et)$_3$BH	I+II (—), I:II 1:1	
		Li(*s*-Bu)$_3$BH	I+II (—), I:II 1:2	
		Sodium diisopinocampheylcyanoborohydride	I+II (—), I:II <1:99	
	NH_4OAc	Na(CN)BH_3, THF, MeOH, 4 d	 (29)	790
		NaBH$(OAc)_3$, HOAc, DCE, 24 h	 I +  II (91) I:II = 1:3	21
		Na(CN)BH_3, MeOH	 I +  II	398
		Na(CN)BH_3, MeOH, 72 h	 R = H (82); Me (89)	1984
		NaBH$(OAc)_3$, HOAc, DCE, 24 h	 R = *n*-Pr (90); *i*-Pr (61); *i*-Bu (97); $CH_2C_3H_5$-*c* (99)	849
		Na(CN)BH_3, HOAc, DCE, 48 h	"	829

X	R^1	R^2	Time	I+II	I:II
OAc	H	H	1 h	(100)	10:90
Cl	H	Me	24 h	(86)	13:87
Cl	Me	Me	70 h	(100)	12:88

R	
n-C_4H_9	(92)
n-C_5H_{11}	(90)
$(CH_2)_2CHMe_2$	(96)
$CHEt_2$	(57)
n-C_6H_{13}	(82)
CH_2CH_2Bu-*t*	(83)
c-C_6H_{11}	(77)
n-C_7H_{15}	(83)
Bn	(87)
n-C_8H_{17}	(93)

TABLE IIE. CYCLIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{20} 		$Na(CN)BH_3$, MS 4 Å, MeOH, 4 d	 (—)	1985
	NH_4OAc	$Na(CN)BH_3$, MeOH:THF (4:1)	 (—) *R*:*S* = 1:3.1	1986
	NH_4OAc	$Na(CN)BH_3$, THF, MeOH, 4 d	 (67)	790
		1. 110°, 1 h 2. $NaBH_4$, MeOH, 1 h 3. 7 h	 (53)	1987
		$Na(CN)BH_3$, MeOH, MS 3 Å, 12 h	 (43)	1987
		$Na(CN)BH_3$, HOAc, pH 5-6, MeOH, 12 h	 (47)	1987
	NH_4OAc	$Na(CN)BH_3$, MeOH, 65°, 48 h	 (75) α:β = 1:1.5	1981
C_{21} 		1. MeOH, reflux, 5 min 2. $NaBH_4$, rt, 15 min 3. 2 h	 α-CN (73) β-CN (69)	1988
	NH_4OAc	$Na(CN)BH_3$, HOAc, MeOH, 60°, 5 d	 (—)	1989
C_{21-27} 		$Na(CN)BH_3$, MeOH	 I + II	398

R^1	R^2	R^3	X	**I+II**	**I:II**
COMe	H	H	OAc	(100)	14:86
COMe	H	Me	Cl	(80)	20:80
COMe	Me	Me	Cl	(95)	17:83
n-C_8H_{17}	H	H	OAc	(98)	11:89
n-C_8H_{17}	H	Me	Cl	(98)	14:86
n-C_8H_{17}	Me	Me	Cl	(100)	17:83

TABLE IIE. CYCLIC KETONES (*Continued*)

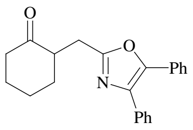
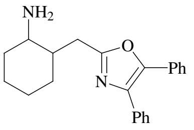
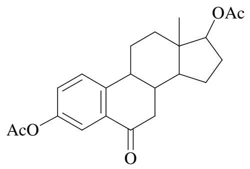
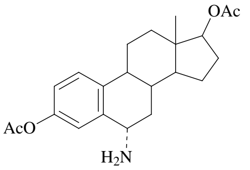
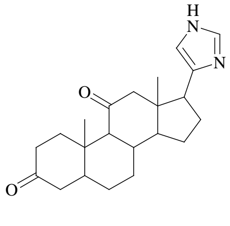
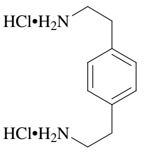
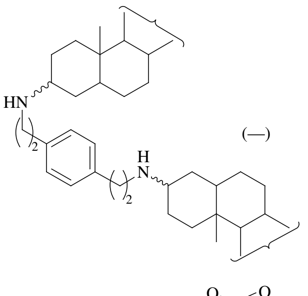
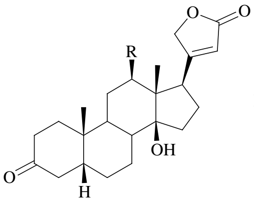
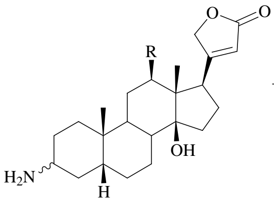
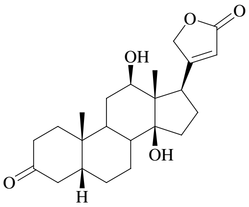
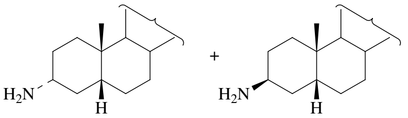
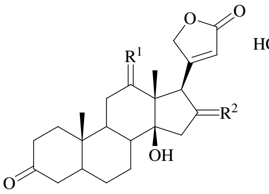
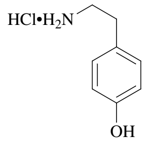
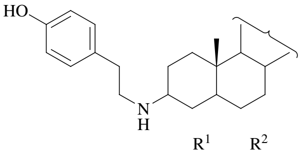
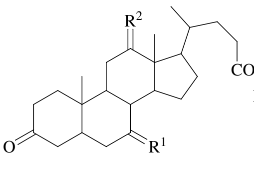
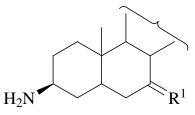
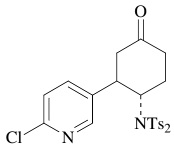
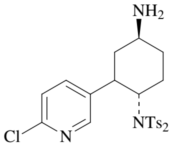
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{22} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 1 h	 (49)	1832
	NH_4OAc	$Na(CN)BH_3$, MeOH, reflux, 48 h	 (93)	1990
		1. $Na(CN)BH_3$, NaOAc, MeOH, 36 h 2. Amine, NaOAc, 36 h	 (—)	400
C_{23} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 65°, 48 h	 R / β-NH_2 / α-NH_2 H / (21) / (52) OH / (—) / (—)	1991
	NH_4OAc	$Na(CN)BH_3$, MeOH, 1 h	 **I** (68) **I**:**II** = 2:1 **II**	1992
		$Na(CN)BH_3$, HCl (2N) in MeOH, pH 6-8, 2 h	 R^1 / R^2 H, H / H, H / (21) O / H, H / (28) H, H / O / (30)	399
C_{24} 	NH_4OAc	$Na(CN)BH_3$, MeOH, KOH, pH 7	 R^1 / R^2 H, H / H, H / (85) H, H / O / (88) O / H, H / (85) O / O / (82)	401
C_{25} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 2 h	 (58)	1993

TABLE IIE. CYCLIC KETONES (*Continued*)

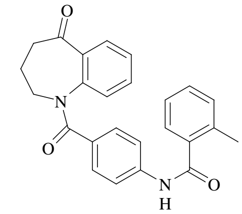
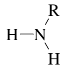
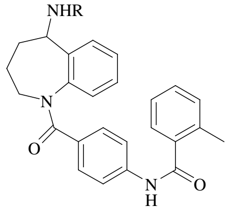
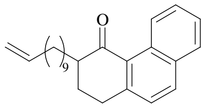
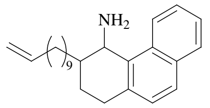
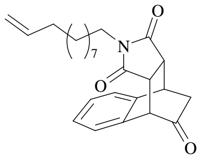
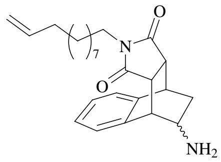
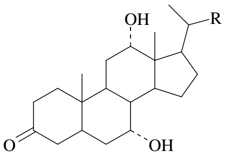
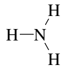
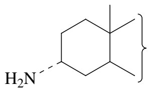
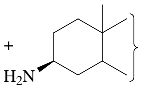
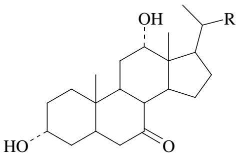
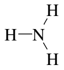
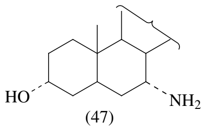
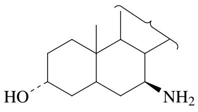
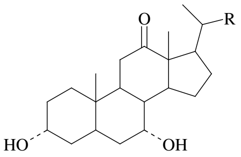
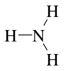
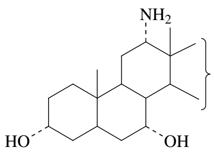
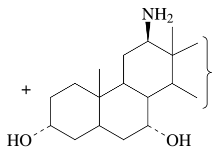
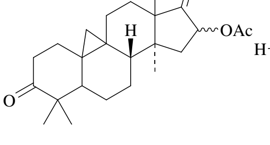
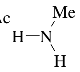
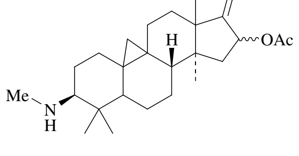
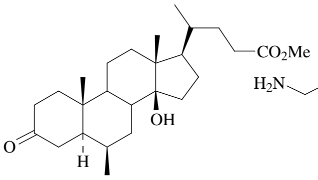
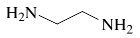
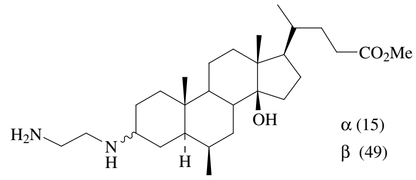
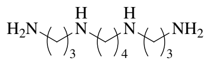
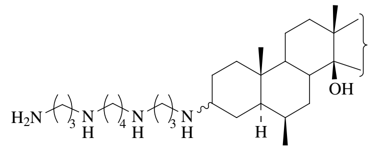
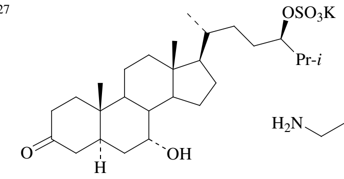
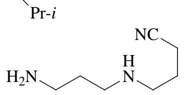
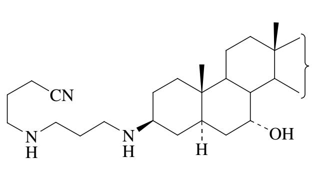
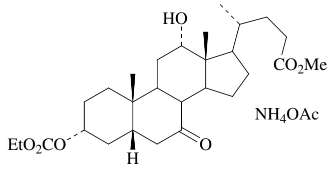
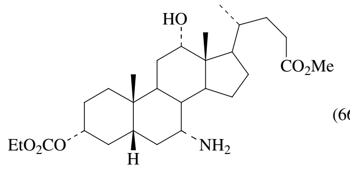
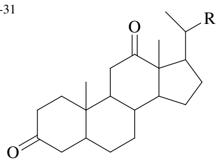
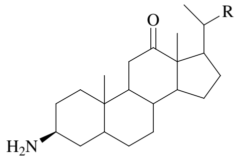
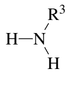
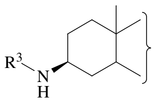
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MS 4 Å, MeOH, DMF, reflux, 5 h 2. $NaBH_4$, 25°, 1 h	 R: Me (77); Et (—); Pr (—)	169
	NH_4OAc	$Na(CN)BH_3$, *i*-PrOH, 90-95°, 24 h	 (—)	1034
	NH_4OAc	$Na(CN)BH_3$, MeOH, reflux, 48 h	 (—)	1994
C_{26}				
 R = $(CH_2)_2CONH(CH_2)_2SO_3^-$		$Na(CN)BH_3$, MeOH, 24 h	 (25) +  (25)	1995
 R = $(CH_2)_2CONH(CH_2)_2SO_3^-$		$Na(CN)BH_3$, MeOH, 24 h	 (47) +  (3)	1995
 R = $(CH_2)_2CONH(CH_2)_2SO_3^-$		$Na(CN)BH_3$, MeOH, 24 h	 (45) +  (10)	1995
		$Na(CN)BH_3$, MS 4 Å, MeOH	 (67)	1996, 1997
		$Na(CN)BH_3$, THF:MeOH (1:1), 1 h	 α (15) β (49)	1998
		1. MeOH, MS 3 Å, 18 h 2. $Na(CN)BH_3$, 8 h	 (58)	1998

TABLE IIE. CYCLIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{27} 	 (2.5 eq)	1. TMOF, MeOH, 18 h 2. $NaBH_4$, MeOH, –78°, 3.5 h 3. –78° to rt	 (—)	1999
C_{28} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 50°, 18 h	 (66)	1038
C_{28-31}  R = $(CH_2)_2CONR^1R^2$	NH_4OAc	$Na(CN)BH_3$, MeOH, 2 d	 R^1 / R^2 $(CH_2)_2OH$ / $(CH_2)_2OH$ (75) —$(CH_2)_2O(CH_2)_2$— (80) —$(CH_2)_2NMe(CH_2)_2$— (75) H / $(CH_2)_2NEt_2$ (85) H / Bn (80)	402
		$Na(CN)BH_3$, MeOH, 2 d		402

R^1	R^2	R^3	
$(CH_2)_2OH$	$(CH_2)_2OH$	Me	(76)
$(CH_2)_2OH$	$(CH_2)_2OH$	Et	(78)
$(CH_2)_2OH$	$(CH_2)_2OH$	Bn	(75)
—$(CH_2)_2O(CH_2)_2$—		Me	(82)
—$(CH_2)_2O(CH_2)_2$—		Et	(78)
—$(CH_2)_2O(CH_2)_2$—		Bn	(76)
—$(CH_2)_2NMe(CH_2)_2$—		Me	(78)
—$(CH_2)_2NMe(CH_2)_2$—		Et	(75)
—$(CH_2)_2NMe(CH_2)_2$—		Bn	(80)
H	$(CH_2)_2NEt_2$	Me	(76)
H	$(CH_2)_2NEt_2$	Et	(80)
H	$(CH_2)_2NEt_2$	Bn	(75)
H	Bn	Me	(75)
H	Bn	Et	(80)
H	Bn	Bn	(80)

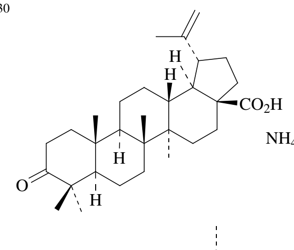
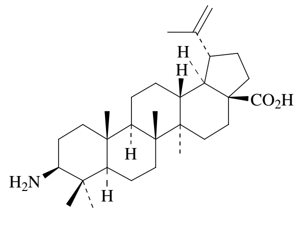
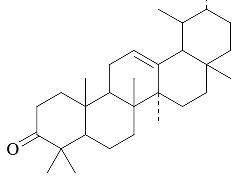
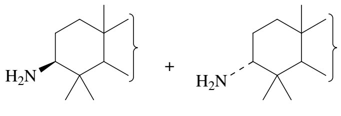
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{30} 	NH_4OAc	$Na(CN)BH_3$, MeOH	 (80)	2000
	NH_4OAc	$Na(CN)BH_3$, MeOH, 24 h	 I + II (77) **I**:**II** = 2.5:1	405

TABLE IIE. CYCLIC KETONES (*Continued*)

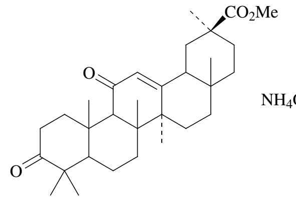
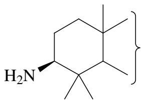
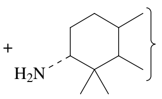
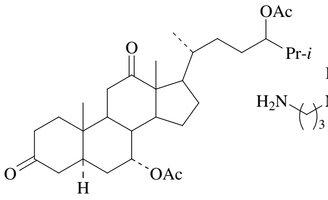
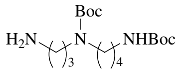
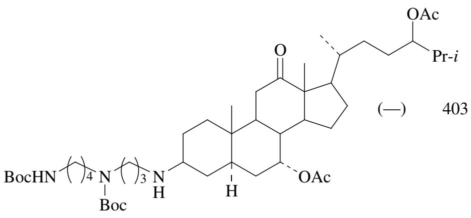
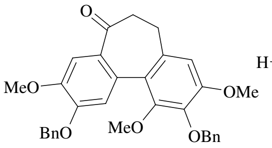
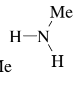
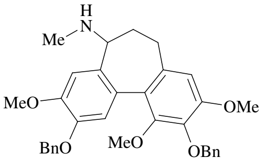
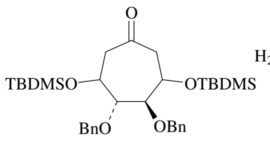
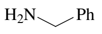
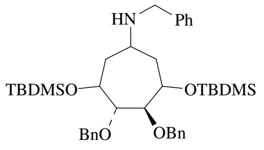
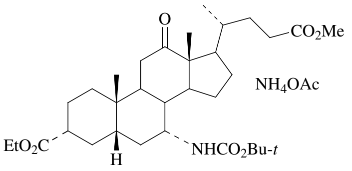
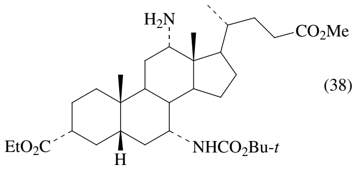
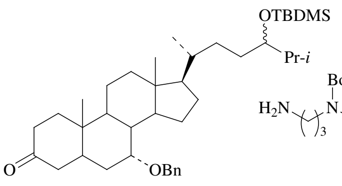
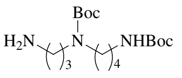
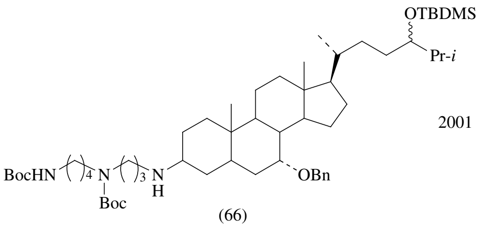
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{31} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 24 h	 +  **I** (60) **I**:**II** = 3:1 **II**	405
		$Na(CN)BH_3$, MeOH	 (—)	403
C_{32} 		$Na(CN)BH_3$, HOAc, MeOH, 60°, 5 d	 (91)	1989
C_{33} 		1. $Ti(OPr\text{-}i)_4$ 2. $Na(CN)BH_3$	 (50-55)	89
	NH_4OAc	$Na(CN)BH_3$, *t*-BuOH, 75°, 5 h	 (38)	1038
C_{40} 		1. MS 3 Å, MeOH, 12 h 2. $Na(CN)BH_3$, 24 h	 (66)	2001

TABLE IIF. MIXED ALIPHATIC AROMATIC KETONES

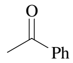
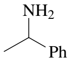
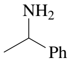
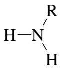
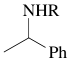
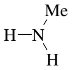
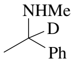
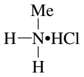
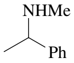
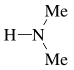
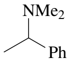
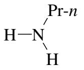
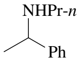
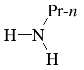
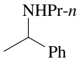
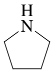
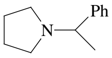
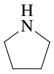
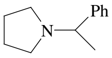
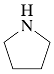
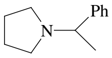
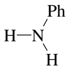
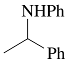
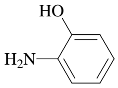
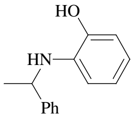
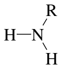
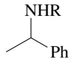
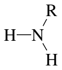
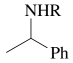
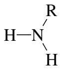
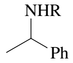
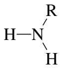
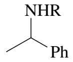
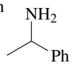
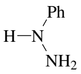
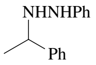
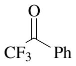
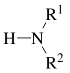
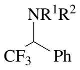
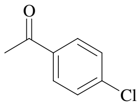
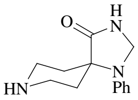
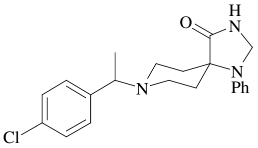
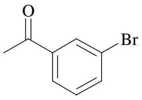
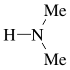
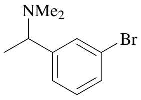
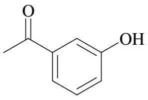
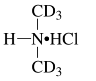
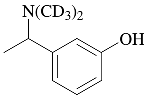
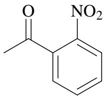
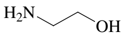
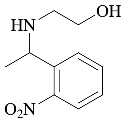
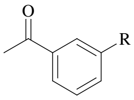
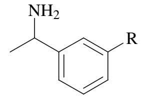
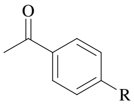
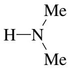
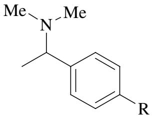
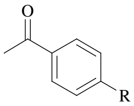
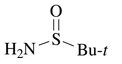
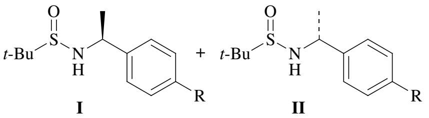
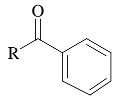
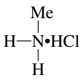
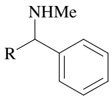
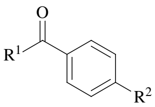
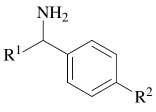
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_8 	NH_4OAc	1. $Na(CN)BH_3$•Amberlyst 26, EtOH, 78°, 48 h	 (53-66)	65
	NH_4OAc	$Bu_4N(CN)BH_3$, MeOH, 45 h	 (49)	42
		1. KOH, MeOH, 15 min 2. $Na(CN)BH_3$ in MeOH, 30 min 3. 30 min	 R H (77) Me (78)	1118, 1365
		$Na(CN)BD_3$ MeOH (abs), pH 6-8	 (72)	19
		$Bu_4N(CN)BH_3$, MeOH	 (71)	42
		BER, $ZnCl_2$, EtOH, 15 h	 (85)	223
		$Na(CN)BH_3$, Aliquat 336, CH_2Cl_2, 48 h	 (36)	42
		$Na(CN)BH_3$, $ZnCl_2$, MeOH, 20 h	 (73)	105
		$Na(CN)BH_3$, MeOH, 70 h	 (70)	42
		$Na(CN)BH_3$, Aliquat 336, C_6H_6, or CH_2Cl_2, 48 h	 (70-71)	42
		n-$Bu_4N(CN)BH_3$, C_6H_6, hexane, or CH_2Cl_2, 48-72 h	 (61-89)	42
	"	BER, EtOH, 6 h	" (53)	222
		1. $TiCl_4$ (1 M) in CH_2Cl_2, CH_2Cl_2, 18 h 2. $Na(CN)BH_3$ in MeOH, 15 min	 (94)	81
		BH_3•THF (2.4 M) in THF, THF, 0-25°, 24 h	 (94)	331
		$NaBH(OAc)_3$, HOAc, DCE	 R c-C_6H_{11} (15) Bn (55)	21
		1. K10 Clay, 10 min, microwaves 2. $NaBH_4$, 30 sec, 65°	 R Ph (92) Bn (66)	215
		1. Silica gel, 4 h, 30° 2. $ZnBH_4$, DME, 60 min	 R c-C_6H_{11} (75) Ph (86) Bn (90)	210
		1. BH_3•pyridine, 15 min 2. 2 h		22
	R			
	Ph	HOAc	(69)	
	4-$O_2NC_6H_4$	HOAc:THF (1:1)	(<10)	
	4-$MeOC_6H_4$	HOAc:CH_2Cl_2 (1:1)	(72)	

TABLE IIF. MIXED ALIPHATIC AROMATIC KETONES *(Continued)*

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	HCl•H_2N—NH_2•HCl	1. BER, sonication, MeOH, 1 h 2. $Ni(OAc)_2$•$4H_2O$, reflux, 3 h 3. BER, $Ni(OAc)_2$•$4H_2O$, reflux, 3 h	 (77)	225
		1. Heating, 1 h 2. BER, MeOH, rt, 1 h 3. $Pd(OAc)_2$	 (40)	226
		1. $TiCl_4$ (1 M) in CH_2Cl_2, CH_2Cl_2, 18 h 2. Na(CN)BH_3 in MeOH, 15 min	 R^1 / R^2 H / H (82) —$(CH_2)_5$— (60)	81
		Na(CN)BH_3, MeOH, HOAc (4%), 72 h	 (27)	2002
		1. HOAc, EtOH, pH 6.5 2. Na(CN)BH_3, 4 d	 (70)	1643
		1. KOH, MeOH, 15 min 2. Na(CN)BH_3 in MeOH, 30 min 3. KOH	 (—)	2003

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, $ZnCl_2$	 (80)	116
	NH_4OAc	Na(CN)BH_3, MS 3 Å, MeOH, 3 d	 R OH (—) NO_2 (46)	2004
		BER, EtOH, Et_3N•HCl, 1 h	 R H (10) NO_2 (91)	223
C_{8-9} 		1. $Ti(OEt)_4$ (2 eq), THF 2. $NaBH_4$, THF, –48°	 **I** + **II** R / (yield) / **I**:**II** H (78) 96:4 CN (82) 96:4	203
		1. Ti(OPr-*i*)$_4$, Et_3N, EtOH, 9 h 2. $NaBH_4$, 7 h	 R Me (86) Et (85)	194
	NH_4Cl	1. Ti(OPr-*i*)$_4$, 10 h, Et_3N, EtOH 2. $NaBH_4$, 7 h	 R^1 / R^2 Me H (83) Me OMe (82) Et H (92)	195

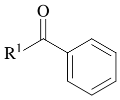
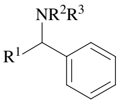
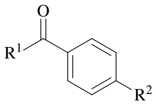
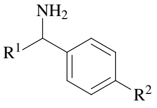
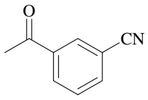
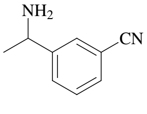
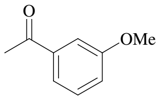
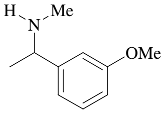
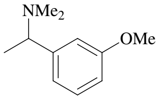
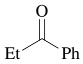
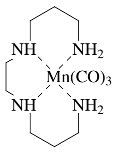
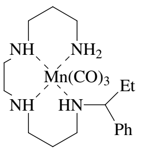
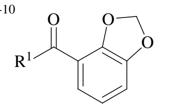
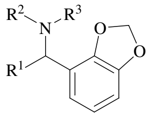
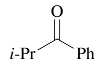
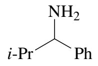
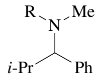
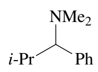
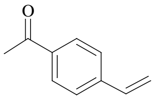
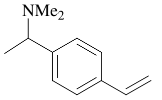
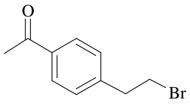
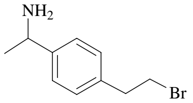
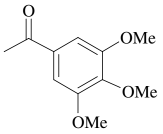
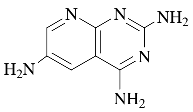
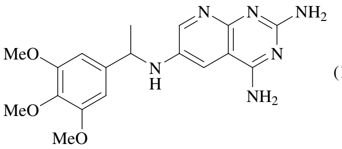
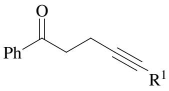
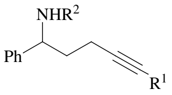
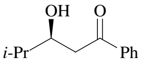
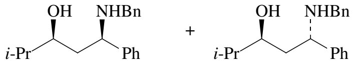
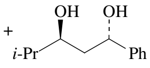
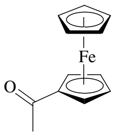
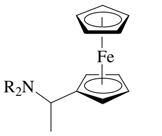
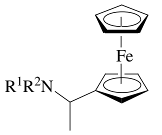
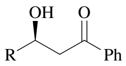
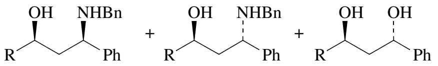
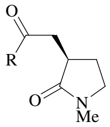
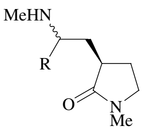
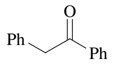
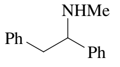
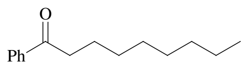
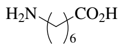
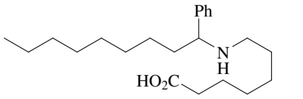
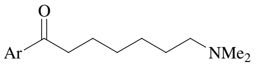
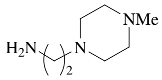
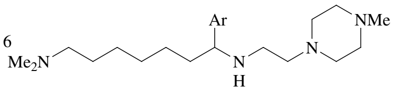
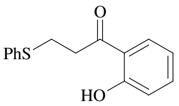
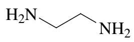
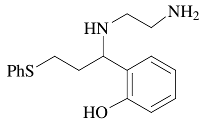
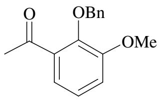
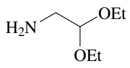
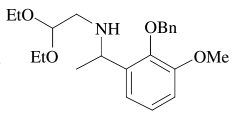
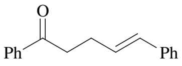
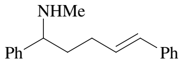
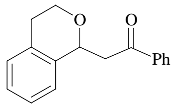
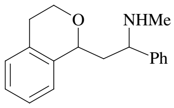
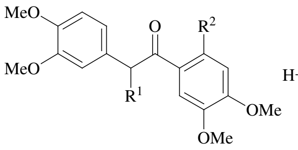
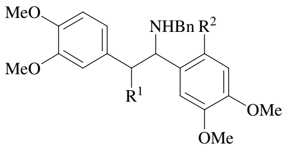
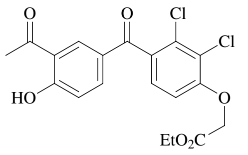
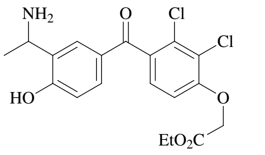
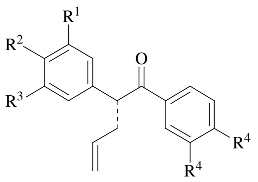
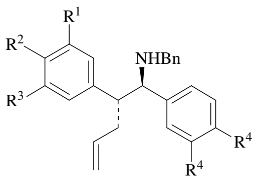
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{8-13} 	H—N(R^2)R^3	1. Ti(OPr-*i*)$_4$ (2 M) in pentane, Et_2O, 0°, 1 h 2. $TiCl_4$ (2 M) in pentane, 0°, 30 min 3. BH_3•SMe_2, 0° to rt, 14 h	 R¹ / R² / R³: Me / H / *i*-Pr (79) Me / —(CH_2)$_4$— (60) *c*-C_6H_{11} / H / *i*-Pr (17) *c*-C_6H_{11} / H / *n*-Bu (26)	333
	NH_4Cl	1. Ti(OPr-*i*)$_4$, Et_3N, EtOH, 10 h 2. $NaBH_4$, 7 h	 R¹ / R²: Me / H (88) Me / OMe (88) *i*-Pr / H (88) *c*-C_5H_9 / H (88) *n*-C_6H_{13} / H (89)	223
C_9 	NH_4OAc:NH_4Cl 4:1	Na(CN)BH_3, HOAc, MeOH, pH 6, 40°, 48 h	 (15)	2005
	H—N(Me)H	1. EtOH, H_2 (3 atm), 70-100°, 3-6 h 2. $NaBH_4$, rt, 5 h	 (99)	183
	H—N(Me)(Me)•HCl	1. Ti(OPr-*i*)$_4$, NEt_3, EtOH (abs), 9-10 h 2. $NaBH_4$, 10 h	 (72)	192
		1. $MgSO_4$, DMF, 100°, 2 h 2. $NaBH_4$, overnight	 (—)	175
C_{9-10} 	H—N(R^2)R^3	Na(CN)BH_3, MeOH, 24 h	 (—) R¹ / R² / R³: Me / H / H Me / H / Me Me / H / Et Me / Me / Me Me / H / *n*-Pr Me / H / *i*-Pr Et / H / H Et / H / Me Et / H / Et Et / Me / Me Et / H / *n*-Pr Et / H / *i*-Pr	2006, 2007
C_{10} 	NH_4OAc	Li(CN)BH_3, MeOH, 48 h	 (59)	19
	H—N(R)Me	Li(CN)BH_3, HCl (5 N) MeOH, MeOH, 72 h	 R: H (91) Me (5)	19
	H—N(Me)Me	1. $TiCl_4$ (1 N) in CH_2Cl_2, 10 h 2. Na(CN)BH_3 in MeOH, 15 min	 (54)	81

TABLE IIF. MIXED ALIPHATIC AROMATIC KETONES (*Continued*)

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	Me / H—N / Me	1. HCl (20%) in MeOH, MeOH, –78° 2. Na(CN)BH_3, $C_6H_3(OH)_2$Bu-*t*-4 3. 25°, 72 h	 (34)	2008
	NH_4OAc	Na(CN)BH_3, 4-*t*-butyl catechol, MeOH, 48 h	 (66)	2008
C_{11} 		1. BH_3•Et_3N, HOAc, MeOH, 2 h 2. HOAc, overnight	 (12)	322
C_{11-17} 	R^2 / H—N•HCl / H	Na(CN)BH_3, MeOH, overnight	 R^1 / R^2 H / Me (—) Ph / Me (93) Ph / $CH_2CH=CH_2$ (—)	1815
C_{12} 	Bn / H—N / H	MBH(OAc)$_3$	 I + II +  III M / I+II / I:II / III Na / (—) / 2:1 / (—) NMe_4 / (—) / 3:2 / (16)	757
	R / H—N•HCl / R	1. Ti(OPr-*i*)$_4$, NEt_3, EtOH (abs), 15-20 h 2. $NaBH_4$, 12-16 h	 R H (75) Me (76)	189, 190
	R^1 / H—N / R^2	1. Ti(OPr-*i*)$_4$, 3-4 h 2. $NaBH_4$, EtOH (abs), 12-13 h	 R^1 / R^2 Me / $(CH_2)_2OH$ (85) Et / Et (80) —$(CH_2)_4$— (80) —$(CH_2)_2O(CH_2)_2$— (88) —$(CH_2)_5$— (80) —$(CH_2)_2N(Me)(CH_2)_2$— (75) *n*-Pr / *n*-Pr (82)	198
C_{12-16} 	Bn / H—N / H	1. HOAc, MS 4 Å, THF, 10 min 2. Na(CN)BH_3, 24 h	 I + II + III	757
C_{13-14} 	Me / H—N•HOAc / H	Na(CN)BH_3, MeOH, 48 h	 R Ph (94) 4-ClC_6H_4 (87) 2-MeC_6H_4 (75)	286

R	Temp	I+II	I:II	III
i-Pr	–25°	(72)	91:9	(6)
i-Bu	0°	(79)	95:5	(5)
Ph	0°	(77)	84:16	(11)
Bn	–15°	(79)	98:2	(4)
Bn	20°	(77)	81:19	(12)

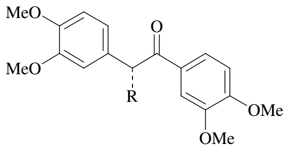
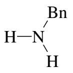
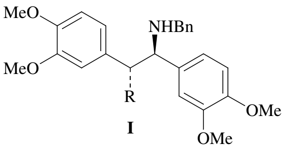
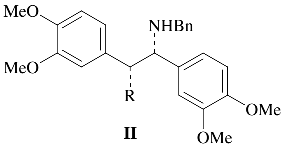
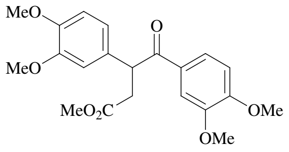
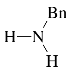
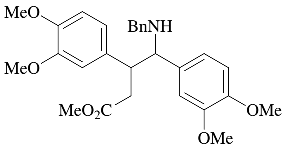
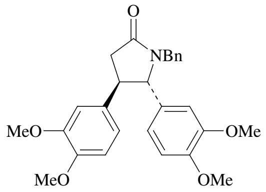
TABLE IIF. MIXED ALIPHATIC AROMATIC KETONES *(Continued)*

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{14} 	Me–N(H)–H•HCl	$Na(CN)BH_3$, MeOH (abs), 3 d	 (70)	2009
C_{15} 		$Na(CN)BH_3$, MeOH, 2 h	 (10)	1397
 Ar = 4-ClC_6H_4		1. EtOH (abs), HCl/EtOH, pH 6 2. $Na(CN)BH_3$, 4 d	 (12)	2010
		1. HCl in MeOH, MeOH, 0-25° 2. $Na(CN)BH_3$, 20°, 2 d	 (41)	1581
C_{16} 		$Na(CN)BH_3$, calcined $MgSO_4$, HOAc, MeOH, reflux, 9 h	 (93)	2011
C_{17} 	H–N(Me)–H	$Na(CN)BH_3$, HCl (5 N) in MeOH, 5 d	 (77)	1816
	Me–N(H)–H•HCl	$Na(CN)BH_3$, MeOH (abs), 7 d	 (53)	185
$C_{18\text{-}26}$ 	H–N(Bn)–H	1. $TiCl_4$ in CH_2Cl_2, TEA, DME, –78 to 25° 2. $Na(CN)BH_3$ in MeOH, 3 h		84
C_{19} 	NH_4OAc	$Na(CN)BH_3$, EtOH, 20 h	 (—)	406
$C_{19\text{-}22}$ 	H–N(Bn)–H	1. $TiCl_4$, CH_2Cl_2, THF, 1 h, –78 to –20° 2. $NaBH_4$, MeOH, –20°, 2.5 h		27

R^1	R^2		*anti*:*syn*
H	H	(78)	—
Me	H	(84)	55:45
Et	H	(85)	75:25
c-C_3H_5	H	(82)	72:28
H	$(CH_2)_2OTBDMS$	(75)	—

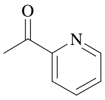
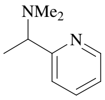
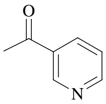
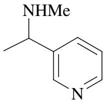
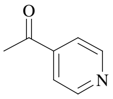
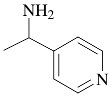
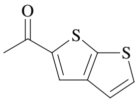
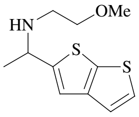
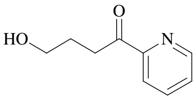
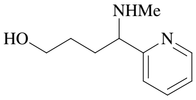
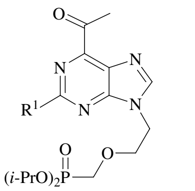
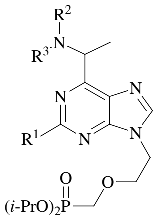
R^1	R^2	R^3	R^4	
—OCH_2O—		H	OMe	(86)
OMe	OMe	H	OCH_2O	(66)
OMe	OMe	H	OMe	(73)
OMe	OMe	OMe	OMe	(81)

TABLE IIF. MIXED ALIPHATIC AROMATIC KETONES *(Continued)*

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{19-25}				
		1. $TiCl_4$, CH_2Cl_2, TEA, THF, 1 h, –78 to –20° 2. $NaBH_4$, MeOH, –20°, 2.5 h	 **I** +	28
			 **II**	
C_{21}				
		1. $TiCl_4$ (1 M) in CH_2Cl_2, TEA, DME, –78 to 25° 2. $Na(CN)BH_3$ in MeOH, 3 h	 (56) +	28
			 (26)	

R	I	II
Me	(69)	(19)
Et	(70)	(9)
i-Pr	(77)	(5)
Bn	(79)	(4)

TABLE IIG. MIXED ALIPHATIC HETEROAROMATIC KETONES

Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_7 	Me H—N•HCl Me	$Na(CN)BH_3$, NaOH, MeOH, 3 d	 (80)	1212
	Me H—N•HCl H	$Na(CN)BH_3$	 (—)	2012
	NH_2 H—N•HCl H	1. Heating, 1 h 2. BER, MeOH, rt, 1 h 3. $Pd(OAc)_2$	 (90)	226
C_8 	H_2N⁀⁀OMe	1. HCl (5.54 M) in MeOH, MeOH, 45-50°, 2 d 2. MS 3 Å, 1 d 3. $NaBH_4$, 0°, 6 h	 (—)	859
C_9 	Me H—N H	$Na(CN)BH_3$	 (—)	2013
C_{16} 	R^2 H—N R^3	$Na(CN)BH_3$, MeOH, 3 d		2014, 2015

R^1	R^2	R^3	
H	H	H	(31)
H	H	Me	(21)
H	Me	Me	(28)
H	H	C_3H_5	(48)
H	H	c-C_3H_5	(39)
H	—$(CH_2)_4$—		(37)
H	H	c-C_6H_{11}	(28)
NH_2	H	H	(—)
NH_2	Me	Me	(—)
NH_2	H	c-C_3H_5	(—)

TABLE IIH. BIARYL KETONES

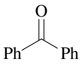
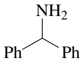
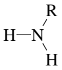
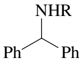
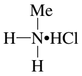
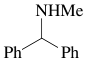
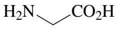
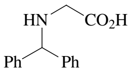
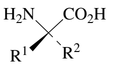
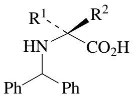
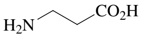
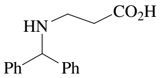
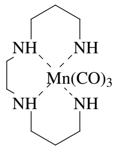
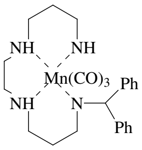
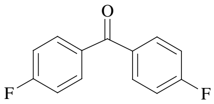
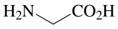
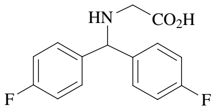
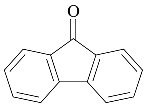
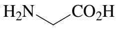
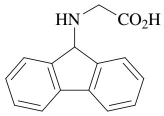
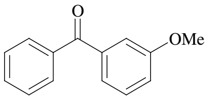
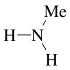
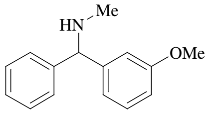
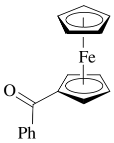
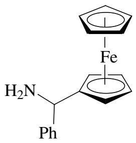
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{13} 	NH_4OAc	1. Ti(OPr-*i*)$_4$, NEt_3, EtOH, 1 h 2. $NaBH_4$, 8 h	 (73)	195
		1. $TiCl_4$ (1M)/CH_2Cl_2, CH_2Cl_2, 18 h 2. Na(CN)BH_3/MeOH, 15 h	 R: H (66); *t*-Bu (52)	81
		1. Ti(OPr-*i*)$_4$, NEt_3, EtOH, 10 h 2. $NaBH_4$, 8 h	 (79)	194
		Na(CN)BH_3, MeOH:H_2O (10:1), reflux, 26 h	 (—)	2016
		Na(CN)BH_3, MeOH:H_2O (10:1), 90°, 18 h	 R^1 R^2: H H (—); Me H (20); H Me (—); H CH_2OH (—)	1573
		Na(CN)BH_3, MeOH:H_2O (10:1), 90°, 18 h	 (—)	1573
		1. $MgSO_4$, DMF, 100°, 2 h 2. $NaBH_4$, overnight	 (—)	175
		Na(CN)BH_3, MeOH:H_2O (10:1), 90°, 18 h	 (—)	1573
		Na(CN)BH_3, MeOH:H_2O (10:1), 90°, 18 h	 (—)	1573
C_{14} 		1. EtOH, H_2 (3 atm), 70-100°, 3-6 h 2. $NaBH_4$, rt, 5 h	 (97)	183
C_{17} 	NH_4Cl	1. Ti(OPr-*i*)$_4$, Et_3N, EtOH (abs), 20 h 2. $NaBH_4$, 20 h	 (75)	191

TABLE IIi. α,β-UNSATURATED KETONES

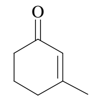
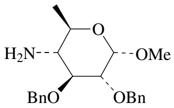
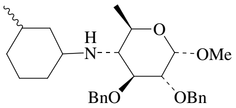
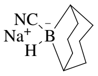
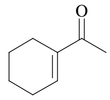
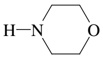
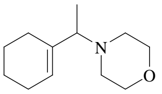
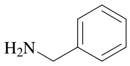
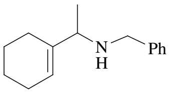
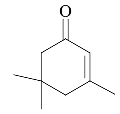
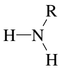
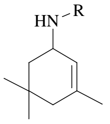
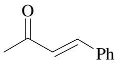
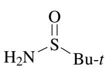
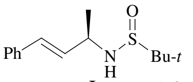
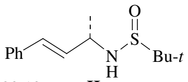
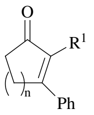
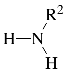
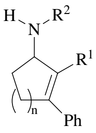
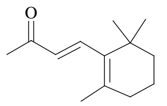
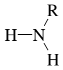
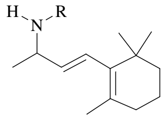
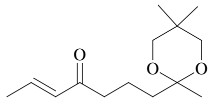
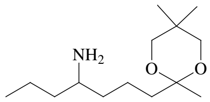
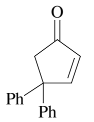
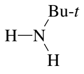
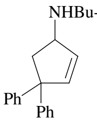
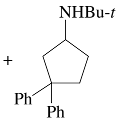
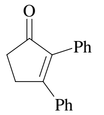
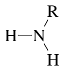
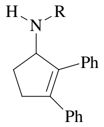
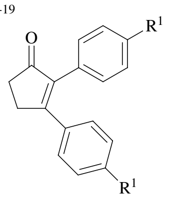
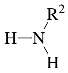
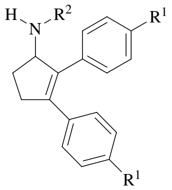
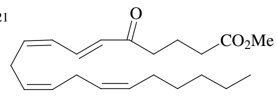
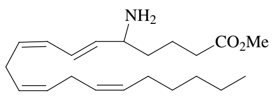
Ketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_7 		$Na(CN)BH_3$, MeOH, 6 h	 (76)	61
	"	, MeOH, 6 h	" (91)	61
C_8 		$NaBH(OAc)_3$, DCE	 (10)	21
		1. $Ti(OPr\text{-}i)_4$, 3 h 2. $NaBH_4$, MeOH, 5 min	 (83)	21
C_9 		1. Silica gel, 30°, 4 h 2. $Zn(BH_4)_2$, DME, 60 min	 R: $c\text{-}C_6H_{11}$ (80); Ph (90); Bn (78)	210
C_{10} 		1. $Ti(OEt)_4$, THF 2. $NaBH_4$, THF, –48°	 I +  II (76) **I:II** = 90:10	203
C_{11-18} 		1. $TiCl_4$ (1 M)/CH_2Cl_2, CH_2Cl_2, –70 to –65°, 30 min 2. –70 to –65°, 2 h 3. $NaBH_4$, –70 to –65°, 2 h 4. MeOH, –70 to –65°, 20 min 5. –70 to –65°, 2.5 h 6. rt, 2 h	 R^1, R^2, n: H, t-Bu, 1 (24) H, t-Bu, 2 (48) Ph, t-Bu, 1 (34) Ph, t-Bu, 2 (28) Ph, $CH_2C≡CCH_2NMe_2$, 1 (30) Ph, $CH_2C_6H_4OMe\text{-}4$, 1 (45)	186
C_{13} 		1. Silica gel, 30°, 4 h 2. $Zn(BH_4)_2$, DME, 60 min	 R: $c\text{-}C_6H_{11}$ (85); Ph (83); Bn (80)	210
C_{14} 	NH_4OAc	$Na(CN)BH_3$, MS 4 Å, MeOH, 24 h	 (77)	408
C_{17} 		1. $TiCl_4$, CH_2Cl_2, –70 to –60°, 2 h 2. $NaBH_4$, MeOH, 0°	 (26) +  (38)	187
		1. $TiCl_4$ (1 M)/CH_2Cl_2, CH_2Cl_2, –70 to –60°, 15 min 2. –70 to –60°, 3 h 3. MeOH, –70 to –60°, 10 min 4. $NaBH_4$, –70 to –55°, 2 h 5. rt, overnight	 R: i-Pr (5); n-Bu (4); t-Bu (4); Bn (21)	186
C_{17-19} 		1. $TiCl_4$ (1 M)/CH_2Cl_2, CH_2Cl_2, –70 to –60°, 15 min 2. $NaBH_4$ in MeOH, 10 min 3. –70 to –55°, 2 h	 R^1, R^2: H, t-Am (5) Me, t-Bu (39)	186
C_{21} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 24 h	 (67)	2017

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TABLE IIJ. KETALS AND HEMIKETALS

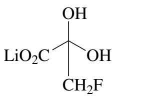
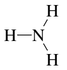
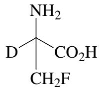
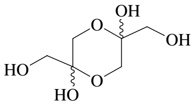
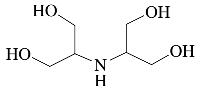
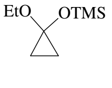
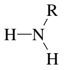
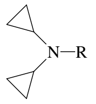
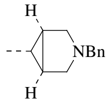
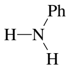
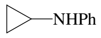
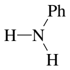
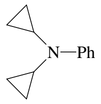
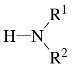
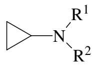
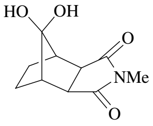
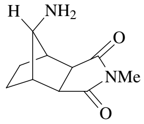
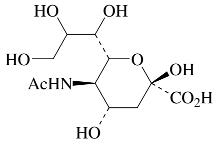
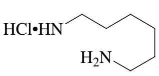
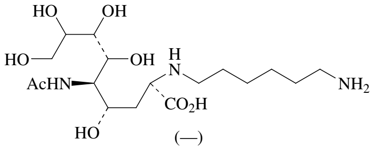
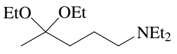
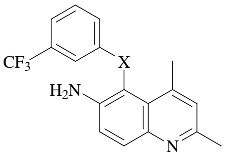
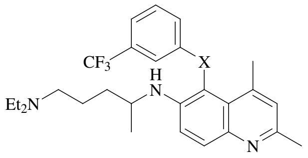
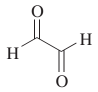
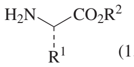
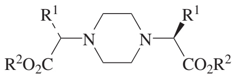
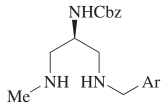
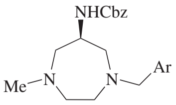
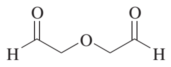
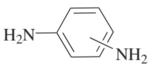
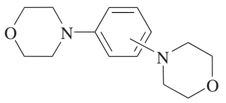
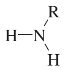
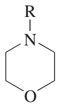
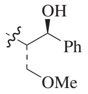
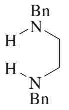
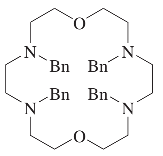
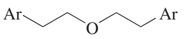
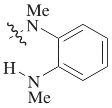
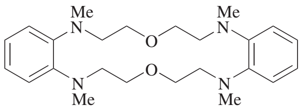
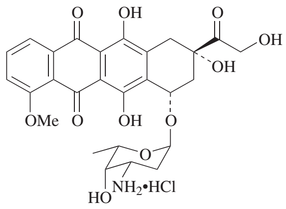
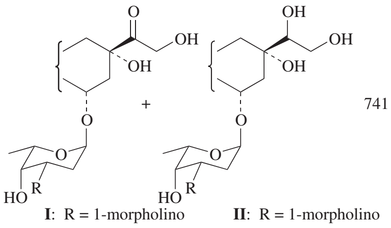
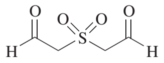
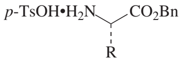
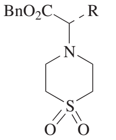
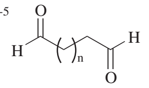
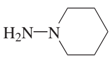
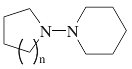
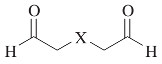
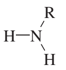
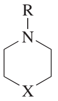
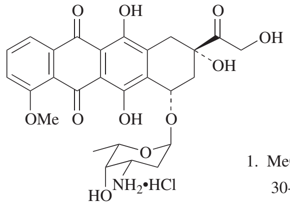
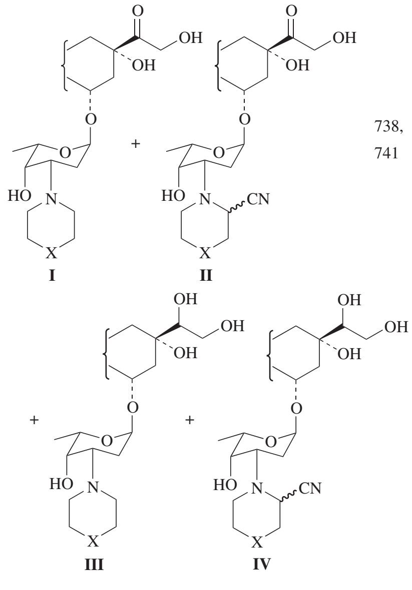
Ketal	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_3 	 (13N aq)	1. 37°, 1.5 h 2. $NaBD_4$, 10-30° 3. HCl (2.5 N), 0-25°	 (80)	227
C_6 	NH_4Cl	$Na(CN)BH_3$, HOAc, MeOH, 20 h	 (85)	714
C_8 		$Na(CN)BH_3$, HOAc, MS 3 Å, reflux, overnight	 R: cyclopropyl (64); 2-thienylmethyl (78); 4-(*N*-benzylpiperidinyl) (91);  (66)	2018
	 (1.1 eq)	$Na(CN)BH_3$, HOAc, MS 3 Å, reflux, overnight	 (41)	2018
	 (4-6 eq)	$Na(CN)BH_3$, HOAc, MS 3 Å, reflux, overnight	 (63)	2018
		$Na(CN)BH_3$, HOAc, MS 3 Å, reflux, overnight	 R^1, R^2: *n*-Pr, *n*-Pr (83); Me, c-C_6H_{11} (75); 4-Boc-1-piperazinyl (58)	2018
C_{10} 	NH_4OAc	1. MS 4 Å, MeOH (anhyd) 2. $Na(CN)BH_3$ (85%) in MeOH (anhyd), NaOMe, 5 d 3. NaOH (2 N)	 (—)	756
C_{11} 		$Na(CN)BH_3$, phosphate buffer (0.2 M), pH 6.0, 24 h	 (—)	1067
C_{13} 		1. NH_4Cl, 220°, 10 min 2. BH_3•$HNMe_2$, rt 3. 40°, 15 min	 X: O (62); NH (41)	321

TABLE IIIA. DIALDEHYDES

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_2 	 (1.0 mol eq)	$Na(CN)BH_3$, MeOH, 10 h		837
			R^1 / R^2	
			CH_2CH_2SMe / Me (70-80)	
			i-Pr / Me (70-80)	
			s-Bu / Me (70-80)	
			Bn / Me (70)	
			i-Pr / Et (70-80)	
			$CH_2C_6H_4OH$-4 / Et (70-80)	
	 Ar = 3-MeC_6H_4	$Na(CN)BH_3$, 6 h, MeOH, 5° to rt	 (71)	323
	"	BH_3•NEt_3	" (79)	323
C_4 		1. $Na(CN)BH_3$, MeOH, –50°, 10 min 2. 0°, 2 h	 *ortho* (39) *meta* (73)	1493
		1. $Na(CN)BH_3$, MeOH, –50°, 10 min 2. 0°, 2 h		1493
			R	
			n-Bu (57)	
			s-Bu (54)	
			t-Bu (16)	
			Ph (75)	
			3-ClC_6H_4 (72)	
			3-MeC_6H_4 (72)	
			3-$MeOC_6H_4$ (78)	
			3,5-$(MeO)_2C_6H_3$ (83)	
			Bn (44)	
			(*S*)-$MeCHC_6H_5$ (55)	
			 (41)	
		1. $Na(CN)BH_3$, MeOH, –60° 2. 0°, 2 h	 (41)	1493
	 Ar = 	1. $Na(CN)BH_3$, MeOH, –60° 2. 0°, 2 h	 (40)	1493

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeCN, H_2O, 30-45 min 2. $Na(CN)BH_3$, MeCN, H_2O, 10 min	 **I**: R = 1-morpholino **II**: R = 1-morpholino **III**: R = 1-(2-cyano)-morpholino **IV**: R = 1-(2-cyano)-morpholino **I** (55) + **II** (33) + **III** (2) + **IV** (8)	741
		1. $Na(CN)BH_3$, CH_2Cl_2:MeOH (4:1), –60° 2. MeOH, –60-0°, 20 h	 R: Me (10); CH_2CO_2H (33); CH_2Pr-*i* (21)	2019
C_{4-5} 		1. $Na(CN)BH_3$, MeCN 2. HOAc, 1 h 3. 2-4 h	 n: 1 (36); 2 (29)	477
C_{4-6} 		1. $Na(CN)BH_3$, CH_2Cl_2:MeOH (1:1), –60° 2. H_2NR in MeOH, 0°, 20 h		2019
		1. MeCN, H_2O, 30-45 min 2. $Na(CN)BH_3$, MeCN, H_2O, 0.5-2 h		738, 741

X	R	
SO_2	*s*-Bu	(26)
SO_2	Ph	(76)
SO_2	Bn	(28)
SO_2	3-MeC_6H_4	(69)
$NCOCF_3$	*s*-Bu	(21)
$NCOCF_3$	Ph	(60)
$NCOCF_3$	Bn	(43)
$NCOCF_3$	3-MeC_6H_4	(55)

X	I	II	III	IV
O	(32)	(—)	(7)	(—)
CH_2	(17)	(—)	(4)	(—)
CH(OMe)	(17)	(—)	(9)	(—)

TABLE IIIA. DIALDEHYDES *(Continued)*

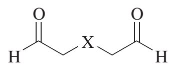
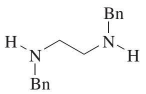
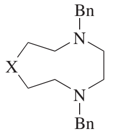
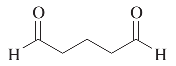
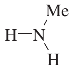
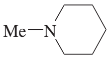
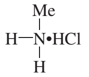
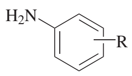
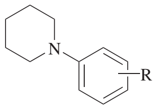
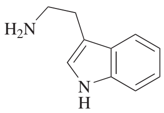
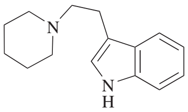
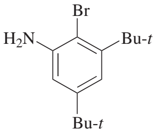
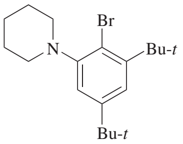
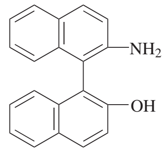
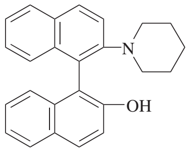
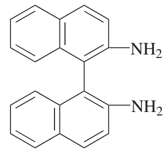
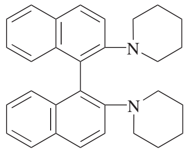
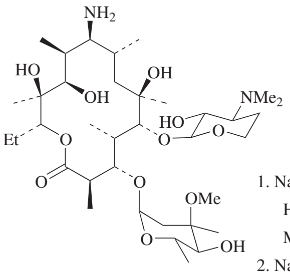
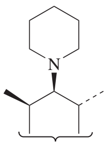
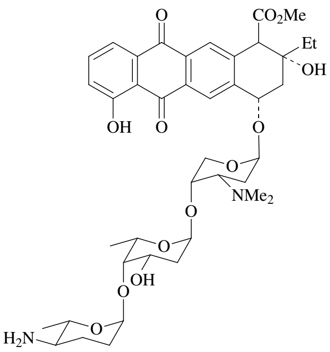
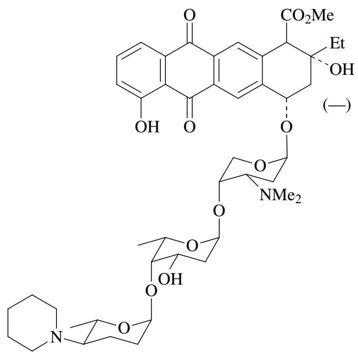
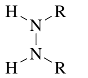
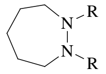
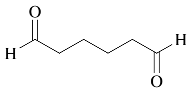
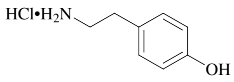
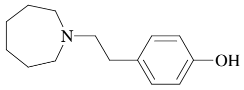
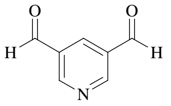
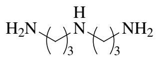
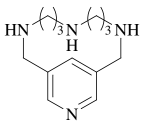
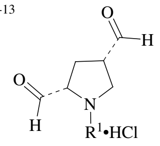
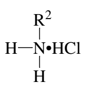
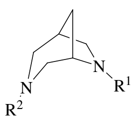
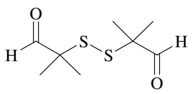
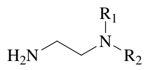
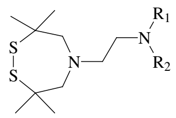
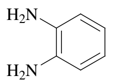
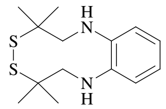
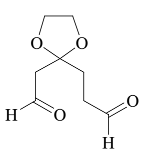
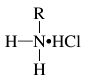
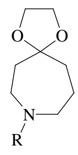
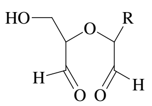
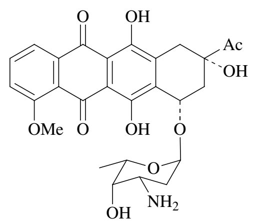
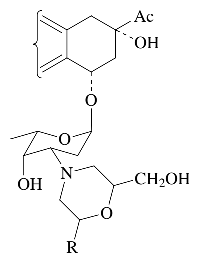
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{4-7} 		1. $Na(CN)BH_3$, MeOH, –50°, 10 min 2. MeOH, –50-0°, 20 h	 X SO_2 (18) NCO_2Et (41)	2019
C_5 		$Li(CN)BH_3$, HCl (5 N) in MeOH, 72 h	 (43)	19
		1. KOH, 15 min 2. $Na(CN)BH_3$, MeOH, 30 min	" (43)	1118, 1365
		1. $NaBH_4$ pellets, H_2SO_4 (3 M), MeOH:THF (3:2), 0° 2. 0° to rt, 90 min	 R H (90) 2-Cl (85) 4-Br (86) $2-NO_2$ (30) $3-NO_2$ (90) $4-NO_2$ (91) 2-Me (79) 4-Me (82) 4-OMe (69) 4-CN (89) 4-COMe (90) $2-CO_2Me$ (86) $4-CO_2Me$ (90) 2,6-(Pr-*i*)$_2$ (40)	158
		1. $Na(CN)BH_3$, KH_2PO_4•$NaHPO_4$, pH 6-7, 0-5°, 1 h 2. rt, 12 d	 (86)	1012
		$NaBH_4$	 (73)	2020
	(*R*) 	$NaBH_4$, THF, H_2SO_4/H_2O, rt, 30 min	(*R*)  (96)	163
	(*R*) 	$NaBH_4$, THF, H_2SO_4/H_2O, rt, 30 min	(*R*)  (98)	163
		1. NaH_2PO_4 (0.1 M), HCl (6 N), pH 6, MeCN, 3 h 2. $Na(CN)BH_3$, 1 h	 (—)	335

TABLE IIIA. DIALDEHYDES *(Continued)*

Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, HOAc, MeOH:H_2O (1:1), 1.5 h	 (—)	737
		1. Na(CN)BH_3, MeCN 2. HOAc, 1 h 3. 2-4 h	 R, R: Me, Me (27); —$(CH_2)_3$— (32)	477
C_6 		Na(CN)BH_3, MeOH	 (8)	2021
C_7 		1. $NiCl_2$•6 H_2O, HOAc, EtOH:H_2O (1:1) 2. 60°, 6 h 3. $NaBH_4$, 10° to rt 4. 80°, 2 h	 (72)	181
$C_{7\text{-}13}$ 		1. MS 3 Å, MeOH, 0° to rt, 16 h 2. Na(CN)BH_3, 0° to rt, 4.5 h	 R^1, R^2: Me, Bn (40); Bn, Me (18)	2022
C_8 		Na(CN)BH_3, MS 3 Å, HOAc, pH 6, MeOH	 R^1, R^2: Et, Et (28); —$(CH_2)_4$— (27); —$(CH_2)_2O(CH_2)_2$— (29); —$(CH_2)_5$— (26)	2023
		Na(CN)BH_3, HOAc, MeOH, 15 h	 (25)	1501
		Na(CN)BH_3, phosphate buffer, pH 4.3, MeCN, 24 h	 R: Me (—); Ph (—); *c*-C_6H_{11} (—); tryptophanyl methyl ester (—)	1107
$C_{8\text{-}10}$ 		1. $NaHCO_3$, pH 5, H_2O 2. Na(CN)BH_3, 3 h	 R: 6-azauracil-1-yl (30); uracil-1-yl (37); adenin-9-yl (41); guanin-9-yl (72)	758

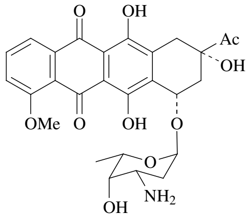
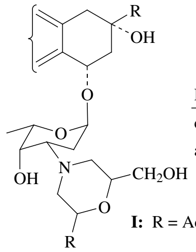
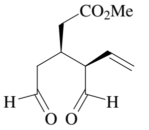
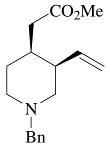
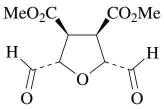
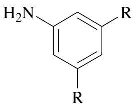
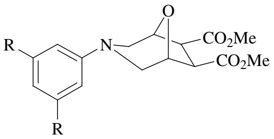
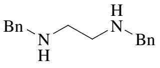
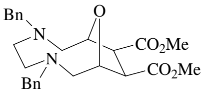
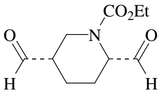
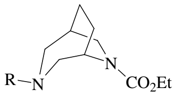
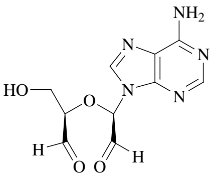
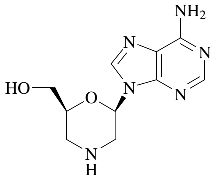
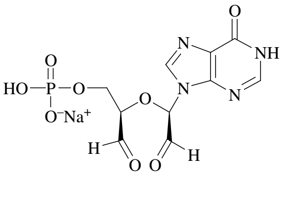
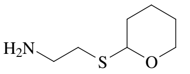
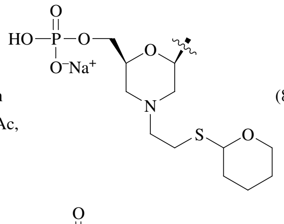
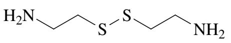
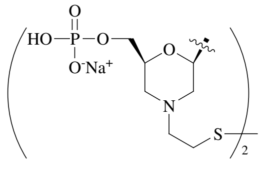
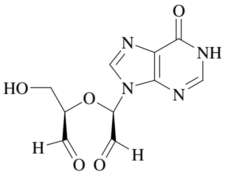
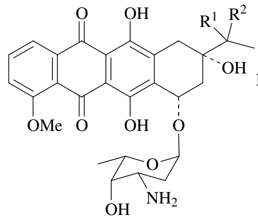
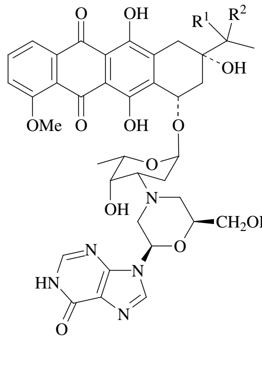
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{9-10}		$Na(CN)BH_3$, 3 h, MeCN:H_2O (1:1)	 R / I / II cytosin-1-yl (28) (18) adenin-9-yl (18) (16) **I:** R = Ac + **II**: R = CH(OH)Me	758
C_{10} 	Bn H—N•HCl H	$Na(CN)BH_3$, NaH_2PO_4 (0.5 M aq), MeCN, 24 h	 (34)	1106
		1. $Na(CN)BH_3$, MeOH, –50°, 10 min 2. 0°, 2 h	 R H (78) OMe (64)	1493
		1. $Na(CN)BH_3$, MeOH, –50°, 10 min 2. 0°, 2 h	 (28)	1493
	R H—N•HCl H	1. MS 3 Å, MeOH, 4 h 2. $Na(CN)BH_3$, MeOH, 40 min, 0° 3. 0° to rt, 5 h	 R Me (33) Bn (33)	2021
	$(NH_4)_2B_4O_7$	$Na(CN)BH_3$, MeOH	 (—)	2024
		1. H_2O, NaOH (1 M) pH 8.5-9.0, 0°, 30 min 2. $Na(CN)BH_3$, 10% HOAc, pH 7, 0°, 1 h	 (80)	1507
		1. H_2O, NaOH (1 M) pH 8.5-9.0, 0°, 30 min 2. $Na(CN)BH_3$, 10% HOAc, pH 7, 0°, 1 h	 (23)	1507
		$Na(CN)BH_3$, 3 h, MeOH, 20°	 R^1, R^2 =O (50) H, OH (19)	2025

TABLE IIIA. DIALDEHYDES *(Continued)*

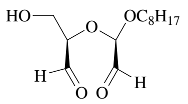
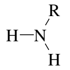
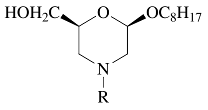
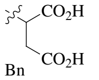
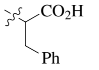
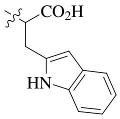
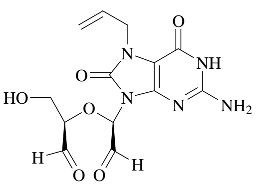
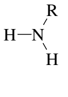
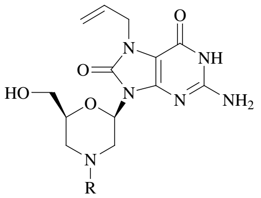
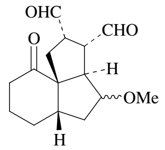
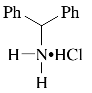
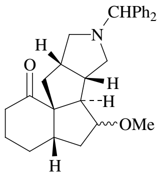
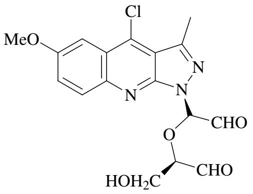
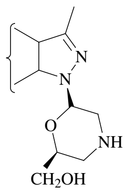
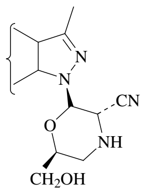
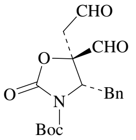
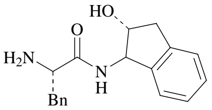
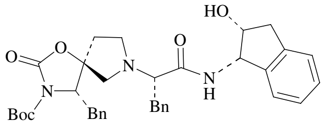
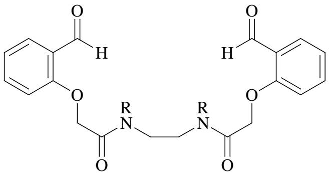
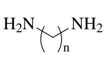
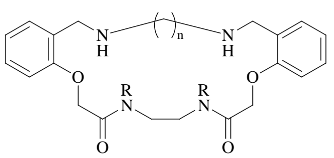
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{13} 		$Na(CN)BH_3$, MeOH	 R OH (84) $CH_2CH_2NH_2$ (59) CH_2CO_2H (55)  (41) Bn (83)  (37)  (34)	2026
	 R = Bn, $CHPh_2$	$Na(CN)BH_3$, HOAc, pH 6-7, H_2O, 1 h	 (15)	2027
C_{15} 		$Na(CN)BH_3$, *i*-PrOH	 (—)	386
C_{16} 	$(NH_4)_2B_2O_7$	$Na(CN)BH_3$	 (50) +  (10)	771
C_{18} 		$Na(CN)BH_3$, HOAc, pH 6, MeOH	 (38-42)	2028
C_{20-22} 		1. MeOH, heating, 15 min 2. $NaBH_4$, rt	 R n H 3 (41) Me 2 (47) Me 3 (36)	782

TABLE IIIA. DIALDEHYDES (*Continued*)

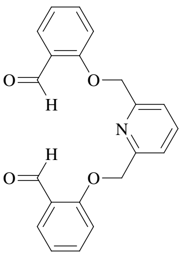
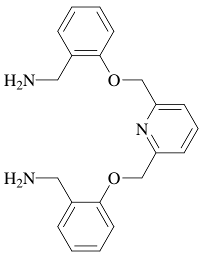
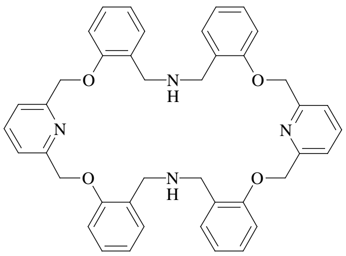
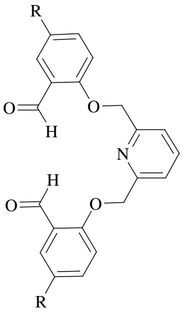
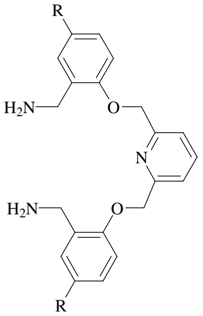
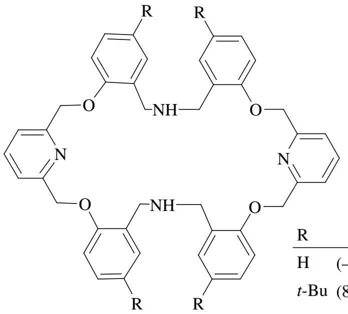
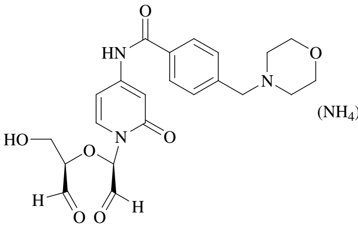
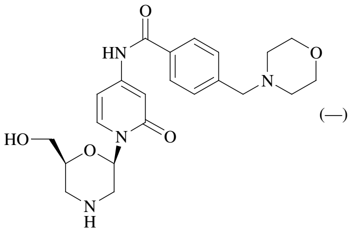
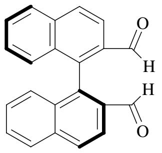
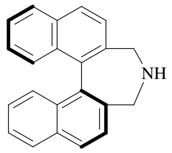
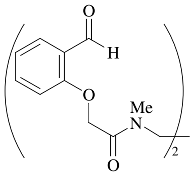
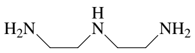
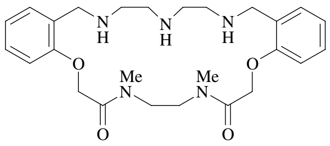
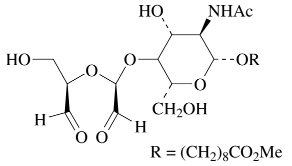
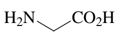
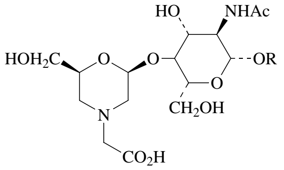
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{21} 		1. EtOH, reflux, 8 h 2. $NaBH_4$, reflux, 1 h	 (30)	2029
$C_{21\text{-}29}$ 		1. MS 4 Å, EtOH, reflux, 20 h 2. $Na(CN)BH_3$, reflux, 20 h	 R: H (—); *t*-Bu (82)	2029, 2030
	"	1. EtOH, reflux 2. $NaBH_4$, reflux, 15 h	" R: H (—); *t*-Bu (45)	2029, 2030
C_{22} 	$(NH_4)_2B_4O_7$	$Na(CN)BH_3$	 (—)	2031
	NH_4OAc	$Na(CN)BH_3$	 (—)	2032
		1. MeOH, heating, 15 min 2. $NaBH_4$, rt	 (41)	782
C_{23}  R = $(CH_2)_8CO_2Me$		1. $NaHCO_3$ (aq), MeOH 2. $Na(CN)BH_3$, 4 h	 (56)	2026

TABLE IIIA. DIALDEHYDES

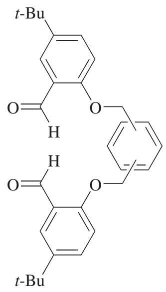
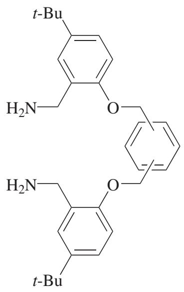
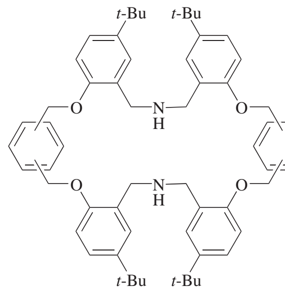
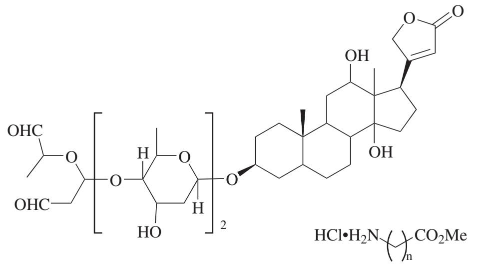
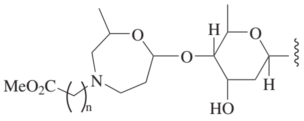
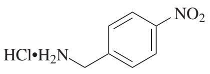
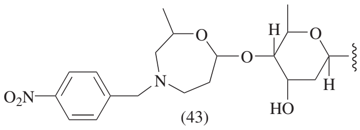
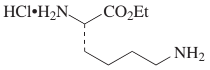
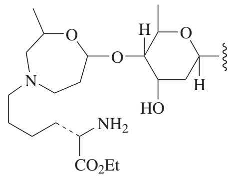
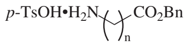
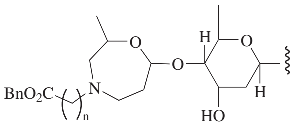
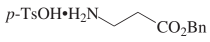
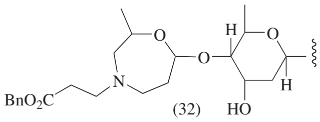
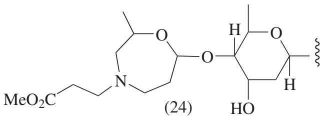
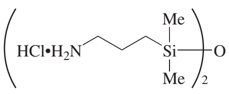
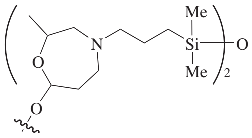
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{30} 		1. EtOH, reflux, 8 h 2. $NaBH_4$, reflux, 1 h	 1,3 (47) 1,4 (58)	2029
C_{41} 	$HCl\cdot H_2N(CH_2)_nCO_2Me$	1. MeOH, 5 min 2. $Na(CN)BH_3$, 12 h	 n = 1, 3, 4, 5 (—) n = 2 (66)	796
		$Na(CN)BH_3$, MeOH, 24 h	 (43)	2033
		$Na(CN)BH_3$, MeOH, 24 h	 (—)	2034
		1. DIPEA, MeOH, 5 min 2. $Na(CN)BH_3$, 12 h	 n = 1, 3, 4 (—) n = 5 (63)	796
		1. DIPEA, MeOH, 5 min 2. $Na(CN)BH_3$, 12 h	 (32) +  (24)	796
		$Na(CN)BH_3$, MeOH, overnight	 (75)	2035
	"	1. NaOH (0.1 N aq) MeOH, 3 h 2. $NaBH_4$, overnight	" (60)	2035

TABLE IIIA. DIALDEHYDES (*Continued*)

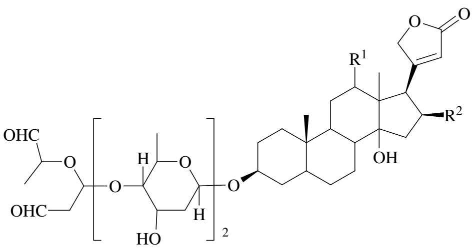
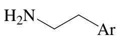
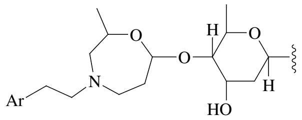
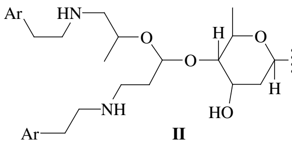
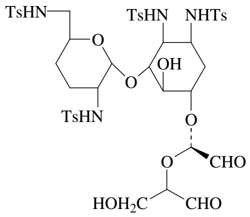
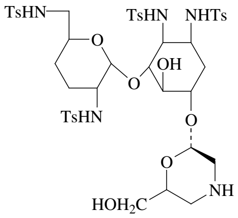
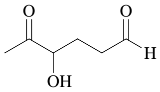
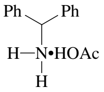
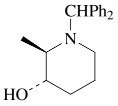
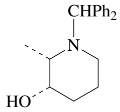
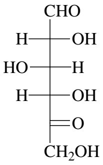
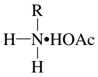
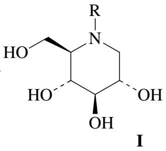
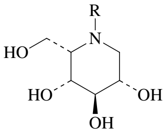
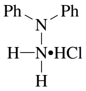
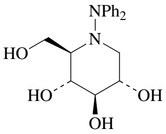
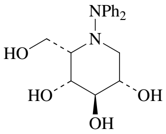
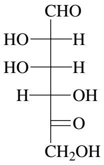
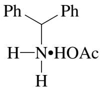
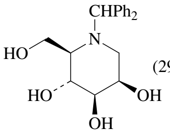
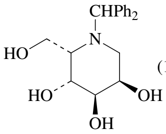
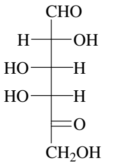
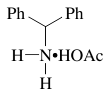
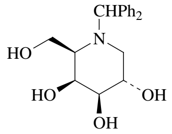
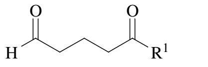
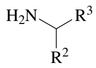
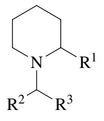
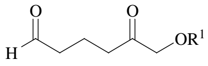
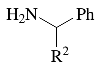
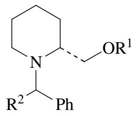
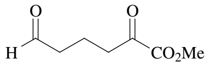
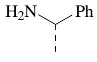
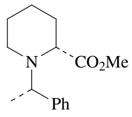
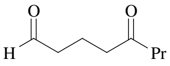
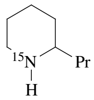
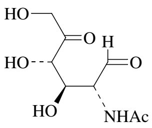
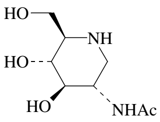
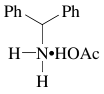
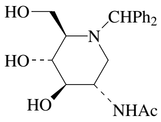
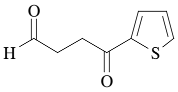
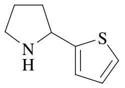
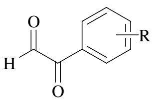
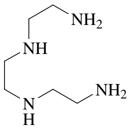
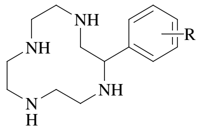
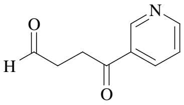
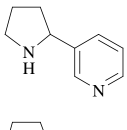
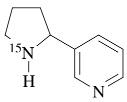
Aldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
	 Ar = 4-HOC$_6$H$_4$	Na(CN)BH$_3$, MeOH, 72 h	 **I** +  **II** R[1] R[2] **I** **II** H H (16) (11) OH H (22) (9) H OH (33) (13)	399
C$_{45}$				
	NH$_4$OH	1. MeOH, 2 h 2. Na(CN)BH$_3$, MeOH, overnight	 (14)	2036

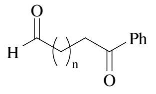
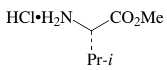
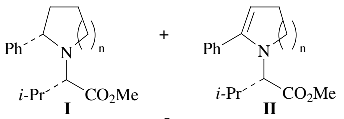
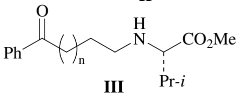
TABLE IIIB. KETOALDEHYDES

Ketoaldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_6 		1. $Na(CN)BH_3$, MeOH, –78°, 1 h 2. rt, 16 h	 (8-11) +  (8-11)	444
		1. $Na(CN)BH_3$, MeOH, –78°, 1-2 h 2. rt, 18-24 h	 **I** +  **II**	441, 2037
		1. $Na(CN)BH_3$, MeOH, –78°, 2 h 2. rt, 66 h	 **I** +  **II** (39) **I**:**II** = 60:40	441
		1. $Na(CN)BH_3$, MeOH, –78°, 1 h 2. rt, 48 h	 (29) +  (15)	441, 2038

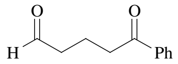
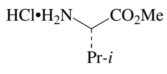
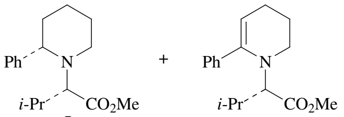
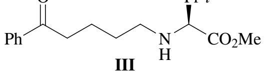
R	**I**:**II**	
$CHPh_2$	>95:5	(70)
CH_2CHPh_2	>95:5	(73)
(*R*)-α-MeCH(Ph)	>95:5	(79)
(*S*)-α-MeCH(Ph)	75:25	(53)
n-Bu	>95:5	(55)
$C_{12}H_{25}$	>95:5	(27)
4-FC_6H_4	>95:5	(35)

TABLE IIIB. KETOALDEHYDES (*Continued*)

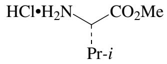
Ketoaldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. Na(CN)BH_3, MeOH, –78°, 2 h 2. –78° to rt, 48 h	 (36)	452
$C_{6\text{-}8}$				
		1. Na(CN)BH_3, MeOH:CH_2Cl_2 (1:5), –50°, 10 min 2. Amine in MeCN, –30°, 1 h 3. HOAc in MeCN, –30° 4. –10°, overnight	 R^1 / R^2 / R^3 Me / Ph / Me (50) Me / 1-naphthyl / Me (—) Me / Ph / CH_2OH (—) *n*-Pr / Ph / Me (—)	834
$C_{6\text{-}11}$				
		1. Na(CN)BH_3, MeOH:CH_2Cl_2 (1:1), –60°, 30 min 2. Amine, HOAc, MeOH, –60° 3. 0°, 15 h	 (—) R^1 / R^2 H / Me TMS / Me COBu-*t* / Me H / CH_2OH	834
C_7				
		"	 (22)	834
C_8				
	$^{15}NH_4Br$	Na(CN)BH_3, MeOH, 3 d	 (58)	2039
	NH_4OAc	1. Na(CN)BH_3, MeOH, –10° to 0-4°, 7 d 2. rt, 1 d	 (37)	2040
		Na(CN)BH_3, dry MeOH, 0°, 2 weeks	 (40)	2040
	NH_4O_2CH	Na(CN)BH_3, MS 3 Å, MeOH, 24 h	 (32)	2041
$C_{8\text{-}22}$				
		1. $FeCl_3$, MeOH, 2 h 2. Ketoaldehyde, 4 h, MeOH 3. $NaBH_4$	 R H (90) 4-NO_2 (—) 3-NO_2 (26) 3-CN (54) 4-(Bn_2N) (31)	182
C_9				
	NH_4OAc	Na(CN)BH_3, MeOH	 (47)	19
	$^{15}NH_4NO_3$	Na(CN)BH_3, MeOH, 2 d	 (—)	2029

Ketoaldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{10-11} 		Na(CN)BH$_3$, MeOH	 I + II +  III	443

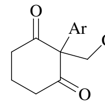
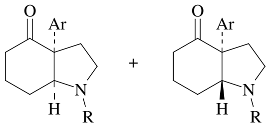
n	Temp	Time	% de	I+II+III	I:II:III
1	0-25°	12 h	88	(96)	99:1:0
1	–15°	4 h	90	(75)	76:24:0
2	0-25°	24 h	96	(88)	88:12:0
2	–30-25°	6 h	—	(98)	5:43:50
2	–30-25°	36 h	96	(81)	88:12:0

Ketoaldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{11} 		Na(CN)BH$_3$	 I + II +  III	443

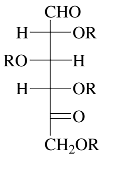
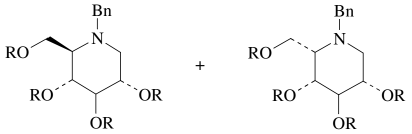
Conditions	Temp	Time	% de	I+II+III	I:II:III
CH$_2$Cl$_2$	0-25°	24 h	92	(99)	98:2:0
THF	0-25°	24 h	—	(95)	8:55:32
THF	0-25°	72 h	94	(99)	33:42:25

Ketoaldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		NaBH(OAc)$_3$	I + II + III	443

Conditions	Temp	Time	% de	I+II+III	I:II:III
CH$_2$Cl$_2$	0-25°	24 h	58	(100)	100:0:0
THF	0-25°	24 h	52	(84)	100:0:0

Ketoaldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{14}  Ar = 2-O$_2$NC$_6$H$_4$	R–N(H)$_2$•HCl	1. Na(CN)BH$_3$, MeOH, 30 min 2. Na(CN)BH$_3$, 1 h 3. Na(CN)BH$_3$, 2.5 h	 I + II	442, 2042, 2043, 2044

R	I+II	I:II	Diastereo. mix
Me	(62)	1.5:1	
CH$_2$CO$_2$Et	(51)	1:1	
(*S*)-CH(Me)CH$_2$OH	(20)	0:1	
(*S*)-OH(Me)CH(OMe)$_2$	(18)	0:1	
(*S*)-CH(Me)CO$_2$Me	(53)	2:1	27:6/20:13
CH$_2$CH(OMe)$_2$	(33)	1:3	
Bn	(56)	2.1:1	
CH$_2$CH$_2$SePh	(41)	2.5:1	
(*R*)-CH(Me)Ph	(35)	4:1	39:1/3:1
(*S*)-CH(Me)Ph	(45)	9:2	—/3:1
(*S*)-CH(Ph)CH$_2$OH	(46)	7:3	
CHPh$_2$	(22)	9:2	

Ketoaldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{14-34} 	Bn–N(H)$_2$•HCl	1. Na(CN)BH$_3$, MeOH, –78°, 1 h 2. 0°, 1 h 3. rt, 22 h	 I + II	441, 2037

R	I	II
Ac	(13)	(12)
Bz	(9)	(18)

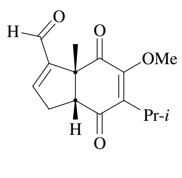
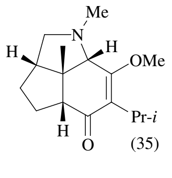
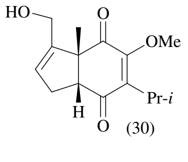
Ketoaldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{15} 	Me–N(H)$_2$•HCl	Na(CN)BH$_3$, pH 5, MeOH	 (35) +  (30)	715

TABLE IIIB. KETOALDEHYDES (*Continued*)

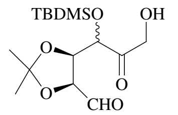
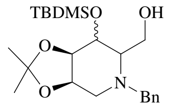
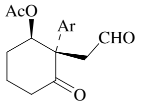
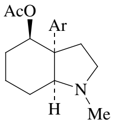
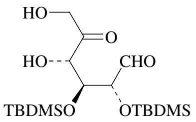
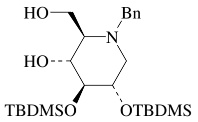
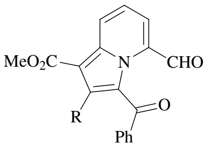
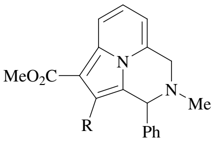
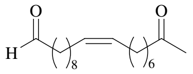
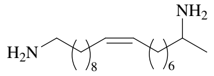
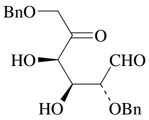
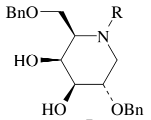
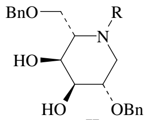
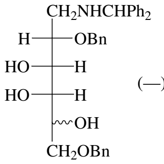
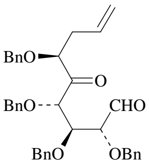
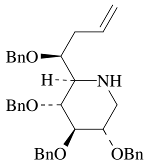
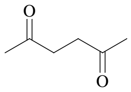
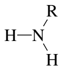
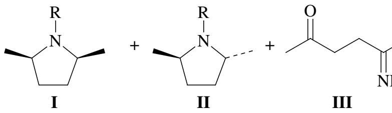
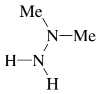
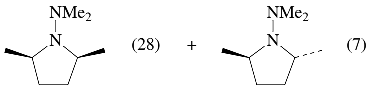
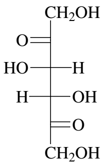
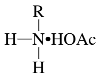
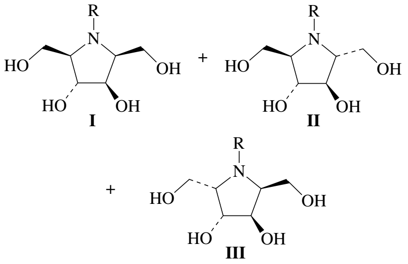
Ketoaldehyde	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{15} 	$BnNH_2$•HCl	$Na(CN)BH_3$, MeOH	 (—) syn:anti α 1:8; β >20:1	2045
C_{16}  Ar = 2-$O_2NC_6H_4$	$MeNH_2$•HCl	$Na(CN)BH_3$, MeOH, overnight	 (41)	2046
C_{18} 	$BnNH_2$•HCl	$Na(CN)BH_3$, MeOH	 (—)	2047
C_{18-20} 	$MeNH_2$	$Na(CN)BH_3$	 R = H (—); R = CO_2Me (—)	2048
C_{19} 	NH_4OAc	$Na(CN)BH_3$, MeOH	 (—)	2049
C_{20} 	NH_4OAc	1. $Na(CN)BH_3$, MeOH, rt 2. 60°, 2 h	 I +  II (74) **I**:**II** = 70:30 R = H	458
	NH_4X	1. $Na(CN)BH_3$, MeOH, –78°, 2 h 2. –78° to rt, 90 h	**I** + **II** R = H X / **I+II** / **I:II** HCO_2 (55) 81:19 $MeCO_2$ (52) 85:15 Br (56) 82:18	458
	$MeNH_2$•HCl	1. $Na(CN)BH_3$, HOAc, MeOH, –78°, 2 h 2. –78° to rt, 48 h	**I** + **II** (45) **I**:**II** = 2.5:1 R = Me	458
	Ph_2CHNH_2•HCl	1. $Na(CN)BH_3$, HOAc, MS 3 Å, –78°, 2 h 2. –78° to rt, 48 h	**I** (—) + **II** (—) R = $CHPh_2$ +  (—)	458
	RNH_2•HCO_2H	$Na(CN)BH_3$, MS 3 Å, MeOH, 30 min	**I** R: H (73); Bu (77)	2050
C_{37} 	NH_4O_2CH	$Na(CN)BH_3$, MeOH	 (54)	451

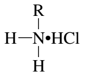
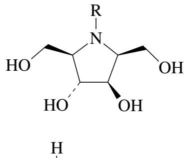
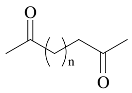
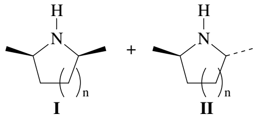
TABLE IIIC. DIKETONES

Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_6 		Na(CH)BH$_3$, MeOH, −10-20°		487

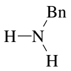
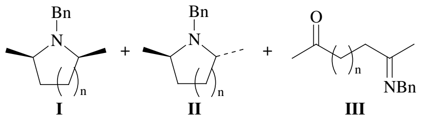
R	I	II	III
Ph	(29)	(67)	(—)
2-ClC$_6$H$_4$	(15)	(13)	(—)
4-MeOC$_6$H$_4$	(33)	(50)	(4)
CHPh$_2$	(54)	(18)	(4)

Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, MeCN, 20°	 (28) + (7)	487
	"	1. Na(CN)BH$_3$, MeCN 2. HOAc, 1 h 3. 2-4 h	" (34) " (11)	477
		Na(CN)BH$_3$, HOAc, pH 6-7, MeOH, reflux, 3-20 h		444, 2037

R	I+II+III	I:II:III
(CH$_2$)$_3$OH	(26)	57:39:4
n-Bu	(30)	30:0:0
4-FC$_6$H$_4$	(25)	60:30:10
(*R*)-α-MeCHPh	(50)	79:19:2
(*S*)-α-MeCHPh	(15)	92:8:0
(CH$_2$)$_2$C$_6$H$_4$F-4	(31)	76:12:12
CHPh$_2$	(68)	86:8:6
C$_{18}$H$_{37}$	(54)	78:19:3

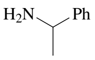
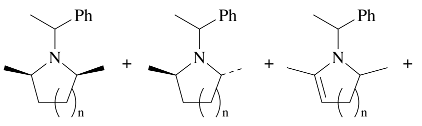
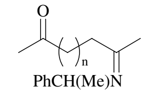
Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, MeOH, reflux, 10-24 h	 R: NPh$_2$ (10); 9-fluorenyl (33)	444
C_{6-7} 	NH$_4$X	Na(CN)BH$_3$, MeOH, 20°, overnight		487

n	X	I:II	I+II
1	OAc	50:50	(62)
2	Br	83:17	(70)

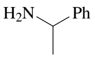
Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH$_3$, MeOH, overnight		487

n	Temp	I	II	III
1	−10-0°	(45)	(45)	(9)
2	−10-20°	(17)	(81)	(0)

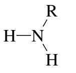
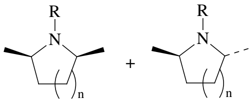
TABLE IIIC. DIKETONES *(Continued)*

Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH(OAc)_3$, CH_2Cl_2	 I + II + III +  IV	487

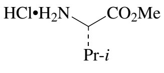
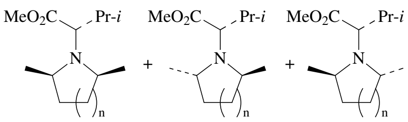
n	I	II	III	IV
1	(58)	(5:14)	(0)	(22)
2	(15)	(51:19)	(5)	(0)

Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, MeOH, overnight	I + II + III	487

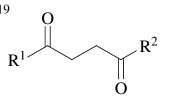
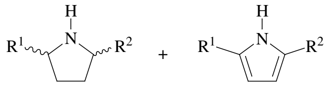
n	Temp	I	II	III
1	–30-10°	(40)	(7:13)	(0)
1	–10-0°	(65)	(7:13)	(0)
1	20°	(70)	(10:20)	(0)
2	–10-20°	(24)	(39:17)	(10)

Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, MeOH, 20°	 I + II	487

n	R	I	II
1	2,6-$Me_2C_6H_3$	(22)	(13)
2	Ph	(61)	(33)

Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		Na(CN)BH_3, KOH, HOAc, MeOH, 0-20°	 I + II + III	443

n	I+II+III	I:II:III
1	(89)	84:8:8
2	(84)	17:55:28

Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{6-19} 	NH_4OAc	1. Na(CN)BH_3, KOH, MeOH, 24 h 2. $NaBH_4$, 2-3 h	 I + II	145

R^1	R^2	I	II
Me	Me	(62)	(0)
n-C_5H_{11}	n-C_6H_{13}	(50-90)	(0)
Et	n-C_5H_{11}	(50-90)	(0)
n-C_5H_{11}	$(CH_2)_4CH=CH_2$	(50-90)	(0)
n-C_9H_{19}	$(CH_2)_4CH=CH_2$	(70)	(15)

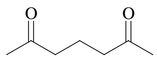
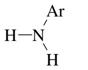
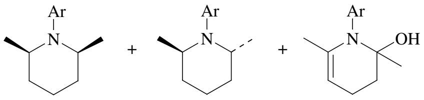
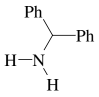
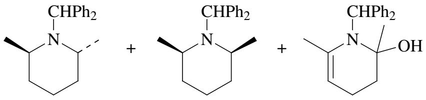
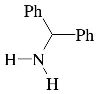
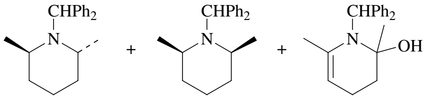
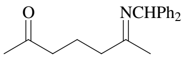
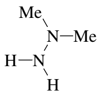
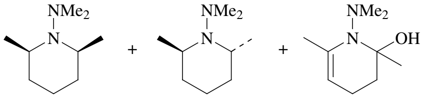
Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_7 	 Ar = 4-$MeOC_6H_4$	$NaBH(OAc)_3$, CH_2Cl_2	 (48) + (20) + (5)	487
		Na(CN)BH_3, HOAc (1.0 eq), KOH (0.25 eq), MeOH, –10-20°	 (73) + (4) + (7)	487
		Na(CN)BH_3, HOAc, CH_2Cl_2	 (70) + (7) + (10) +  (12)	487
		$NaBH(OAc)_3$, HOAc, MeCN, 20°	 (11) + (25) + (15)	487

TABLE IIIC. DIKETONES *(Continued)*

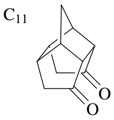
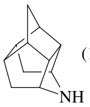
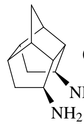
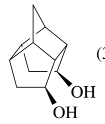
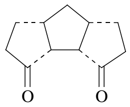
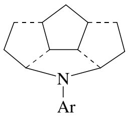
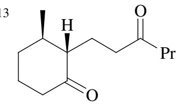
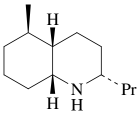
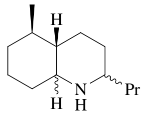
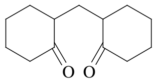
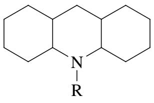
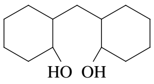
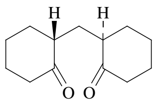
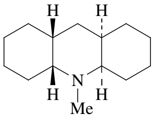
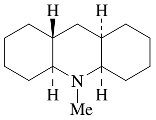
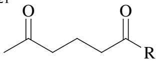
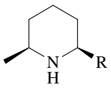
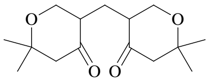
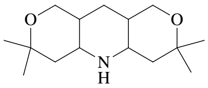
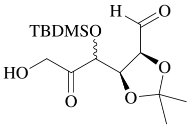
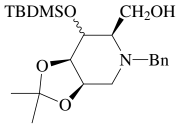
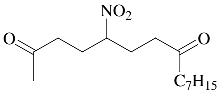
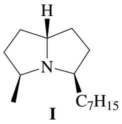
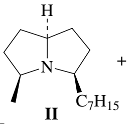
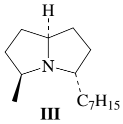
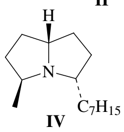
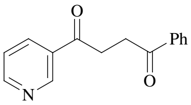
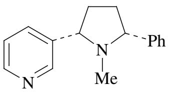
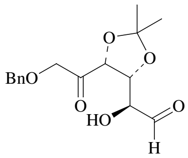
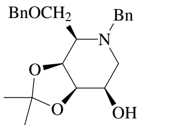
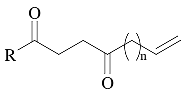
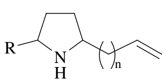
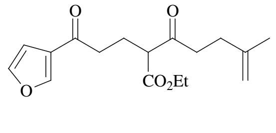
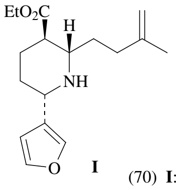
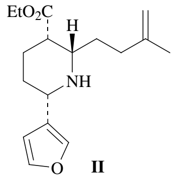
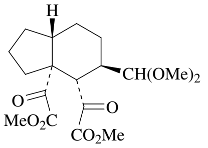
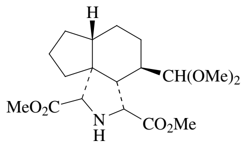
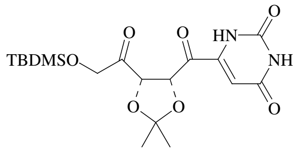
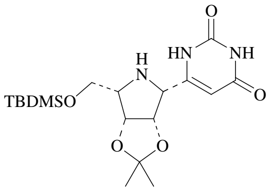
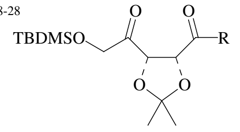
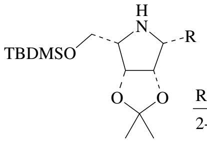
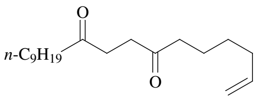
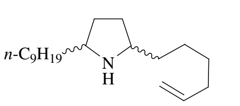
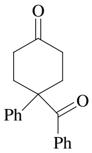
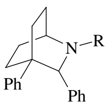
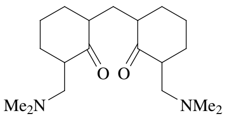
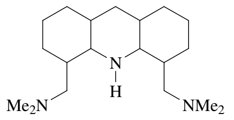
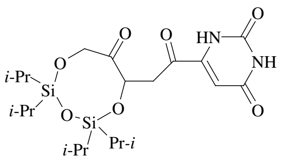
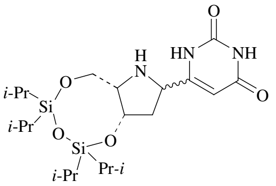
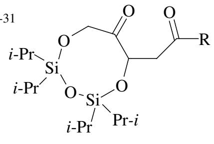
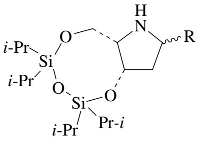
Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{11} 	NH_4Br	1. Dry MeOH, 2 h 2. $Na(CN)BH_3$, 4 d	 (14) +  (10) +  (3)	746
	$ArNH_2$ Ar = 4-RC_6H_4	$Na(CN)BH_3$, HCl (5 N) in MeOH, MeOH, 72 h	 R: H (75); Me (69); OMe (72); $OC_6H_4NH_2$-4 (70); $CH_2C_6H_4NH_2$-4 (75); $CH_2CH_2C_6H_4NH_2$-4 (61)	2051
C_{13} 	NH_4Br	$Na(CN)BH_3$, dry MeOH, 4 d	 **I** +  **II** (88) **I**:**II** = 48:52	2052
	RNH_2	KBH_4, H_2O:alcohol (5:4), 30-35°, 30 min	 **I** +  **II** R: Me, **I** (40), **II** (—); CH_2CH_2OH, **I** (25), **II** (—); $CH_2CH_2NH_2$, **I** (40), **II** (—)	220
	$MeNH_2$	KBH_4	 (32) +  (25)	221
C_{13-21} 	NH_4Br	$Na(CN)BH_3$, dry MeOH, 3 d	 R: n-C_7H_{15} (80); n-C_9H_{19} (71); n-$C_{11}H_{23}$ (75); n-$C_{13}H_{27}$ (81); n-$C_{15}H_{31}$ (91)	2053
C_{15} 	NH_3	KBH_4, H_2O:alcohol (5:4), 30-35°, 30 min	 (—)	220
	$BnNH_2$•HCl	$Na(CN)BH_3$, MeOH	 α, β (—)	2045
	NH_4OAc	1. $Na(CN)BH_3$, KOH, MeOH 2. $NaBH_4$	 **I** +  **II** +  **III** +  **IV** (—) **I**:**II**+**III**+**IV** = 88:12	995
	$MeNH_2$•HCl	$Na(CN)BH_3$, MeOH, 5 d	 (52)	2054
C_{16} 	$BnNH_2$•HCl	$Na(CN)BH_3$, MeOH	 (—)	2045, 2055

TABLE IIIC. DIKETONES *(Continued)*

Diketone	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{17} 	NH_4OAc	$Na(CN)BH_3$, KOH	 R / n n-C_7H_{15} / 4 / (—) n-C_4H_9 / 7 / (—)	448
	NH_4OAc	1. $Na(CN)BH_3$, HOAc, EtOH, 4 h 2. $Na(CN)BH_3$, HOAc, 20 h 3. $Na(CN)BH_3$, HOAc, 21 h	 **I** +  **II** (70) **I**:**II** = 1:3	1030
C_{18} 	NH_4Br	$Na(CN)BH_3$, MeOH:THF	 (75)	407
	NH_4OAc	1. $Na(CN)BH_3$, MS 3 Å, MeOH, 18 h 2. $NaBH_4$, 2 h	 (57)	150
$C_{18\text{-}28}$ 	NH_4O_2CH	$Na(CN)BH_3$, MS 3 Å, MeOH, 18 h	 R 2-thienyl (34) 2-benzofuranyl (39) 2-(1-benzenesulfonylindolyl) (56)	2041
C_{19} 	NH_4OAc	1. $Na(CN)BH_3$, KOH, 15 h 2. $NaBH_4$, 1 h	 (90) *cis*:*trans* = 1:1	2056
C_{19} 	RNH_2•HOAc	$Na(CN)BH_3$, dry MeOH, 7 d	 R H (80) Me (51) Bn (57)	2057
	NH_3	KBH_4, H_2O:alcohol (5:4), 30-35°, 30 min	 (—)	220
C_{21} 	NH_4OAc	1. $Na(CN)BH_3$, MeOH, MS 3 Å, 18 h 2. $NaBH_4$, 2 h	 (—)	150
$C_{21\text{-}31}$ 	NH_4OAc	1. $Na(CN)BH_3$, MeOH, MS 3 Å, 18 h 2. $NaBH_4$, 2 h	 R / α:β 2-thienyl (42) 1:1 2-benzofuranyl (30) 1:1 5-[2,4-di(*t*-BuO)pyrimidinyl] (27) 1:1 2-(1-benzenesulfonyl)indolyl (35) 1:1	148

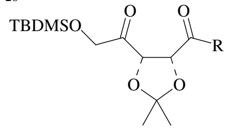
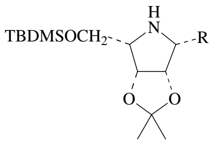
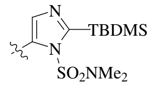
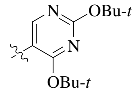
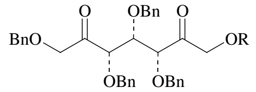
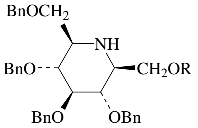
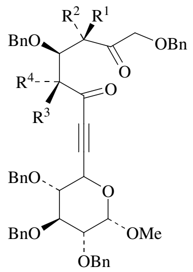
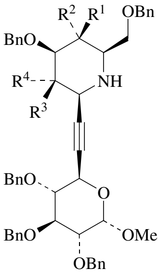
C_{25-26} 	NH_4O_2CH	1. $Na(CN)BH_3$, MeOH, MS 3 Å, 18 h 2. $NaBH_4$		R  (68)  (57)	149
C_{37-41}  R = CH_2OMe, TBDMS	NH_4O_2CH	$Na(CN)BH_3$, MeOH, MS 3 Å , 14 h		(—)	836, 2058
C_{65} 	NH_4O_2CH	$Na(CN)BH_3$, CH_2Cl_2:MeOH (1:5), MS 3 Å		R^1 / R^2 / R^3 / R^4 H / OBn / OBn / H (61) H / OBn / H / OBn (63) OBn / H / H / OBn (58)	2059

TABLE IIID. MASKED DICARBONYL SUBSTRATES

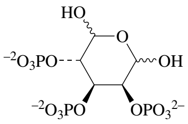
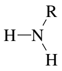
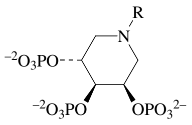
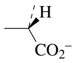
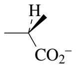
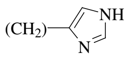
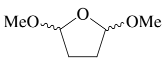
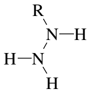
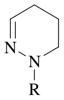
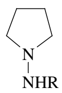
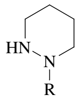
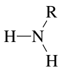
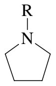
Masked Dicarbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_5 		1. H_2O, Et_2O or DME, 10 min 2. $NaBH_4$, 1 d	 (—) R: H; Me; $CH_2CO_2^-$; $(CH_2)_2OH$; $(CH_2)_3OH$; ; ; $(CH_2)_3NMe_2$; ; c-C_6H_{11}; Bn; $(CH_2)_2Ph$; $CH_2CH_2C_6H_3(OMe)_2$-3,4; n-$C_{12}H_{25}$; $(CH_2)_4NHCbz$; $CHPh_2$	725
C_6 		1. H_2SO_4 2. Hydrazine, $NaBH_4$, THF	 **I** +  **II** +  **III**	263

TABLE IIID. MASKED DICARBONYL SUBSTRATES *(Continued)*

Masked Dicarbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.

R	I	II	III	I:II:III
Ph	(30)	(—)	(—)	72:4:24
2-ClC_6H_4	(30)	(40)	(—)	40:56:4
3-ClC_6H_4	(44)	(—)	(—)	88:10:2
4-ClC_6H_4	(14)	(—)	(—)	82:8:10
2-$O_2NC_6H_4$	(—)	(35)	(—)	0:100:0
3-$O_2NC_6H_4$	(24)	(—)	(—)	50:45:5
4-$O_2NC_6H_4$	(—)	(40)	(—)	20:90:0
2-MeC_6H_4	(32)	(—)	(—)	79:8:19
3-MeC_6H_4	(40)	(—)	(—)	85:2:13
4-MeC_6H_4	(33)	(—)	(—)	93:1:6
4-$MeOC_6H_4$	(24)	(—)	(—)	100:0:0
Bn	(—)	(—)	(—)	100:0:0

Masked Dicarbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. H_2SO_4 (2 eq) 2. Amine, $NaBH_4$, MeOH/THF		727

R	
Ph	(87)
2,3,4-$F_3C_6H_2$	(79)
2-ClC_6H_4	(85)
3-ClC_6H_4	(83)
4-ClC_6H_4	(86)
3-BrC_6H_4	(79)
2-$O_2NC_6H_4$	(65)
3-$O_2NC_6H_4$	(87)
4-$O_2NC_6H_4$	(89)
c-C_6H_{11}	(36)
2-MeC_6H_4	(80)
3-MeC_6H_4	(83)
4-MeC_6H_4	(83)
2-$F_3CC_6H_4$	(82)
3-$MeOC_6H_4$	(78)

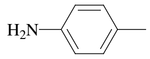
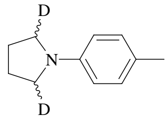
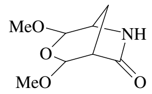
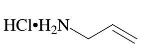
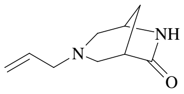
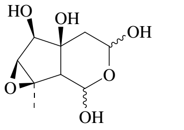
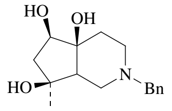
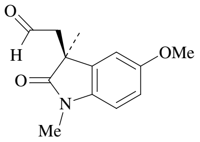
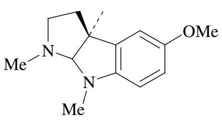
			4-$MeOC_6H_4$ (81)	
			Bn (40)	
			4-AcC_6H_4 (87)	
			2-$MeO_2CC_6H_4$ (77)	
			2,6-(i-$Pr)_2C_6H_3$ (80)	
			2,6-(i-$Pr)_2C_6H_2I$-4 (72)	
		1. H_2SO_4 (2 eq) 2. Amine, $NaBD_4$, MeOH/THF	 (72)	727
C8 		1. MS 4 Å, HOAc, DMF, 0° 2. Na(CN)BH_3, 0-23°, overnight	 (35)	2060
C9 	H—N(Bn)—H	1. MeOH, 3 h 2. Na(CN)BH_3, MeOH, 3 d	 (37)	2061
C13 	H—N(Me)—H	1. $MgSO_4$, THF, 23° overnight 2. $LiAlH_4$, reflux, 1.5 h	 (88)	296

TABLE IIID. MASKED DICARBONYL SUBSTRATES *(Continued)*

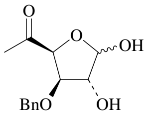
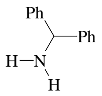
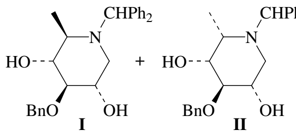
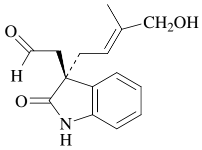
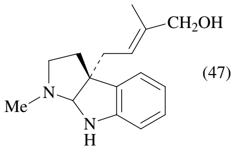
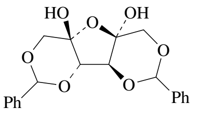
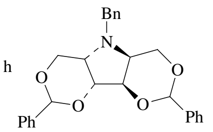
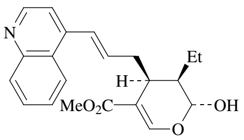
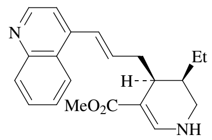
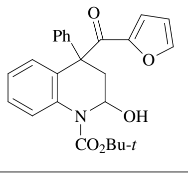
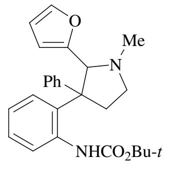
Masked Dicarbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. HOAc, MeOH, –78°, 30 min 2. Na(CN)BH_3, 10 min 3. –78°, 2 h 4. –78° to rt, 20 h	 (60) **I**:**II** = 86:14	2062
C15 	H—N(Me)—H	1. $MgSO_4$, TEA, 23° 2. $LiAlH_4$, reflux, THF	 (47)	297
C20 	H—N(Bn)—H	1. MS 4 Å, THF:MeOH (1:1), 3 h 2. Na(CN)BH_3, HOAc, 4 h	 (88)	105
C21 	NH_4OAc	Na(CN)BH_3, pH 6.2, 18 h	 (—)	432
C25 	H—N(Me)(H)•HCl	Na(CN)BH_3, MS 3 Å, MeOH, overnight	 (50)	820

TABLE IV. TRICARBONYL SUBSTRATES

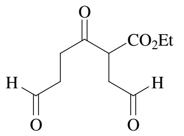
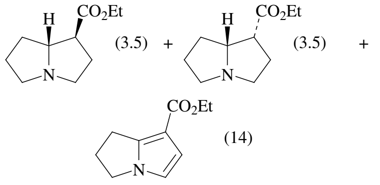
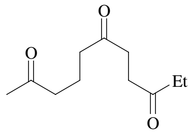
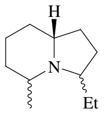
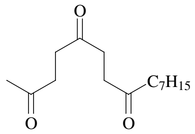
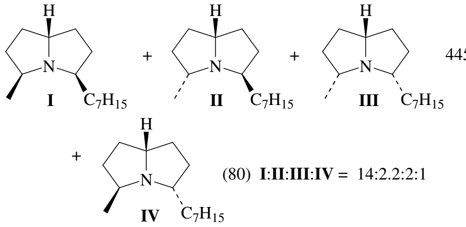
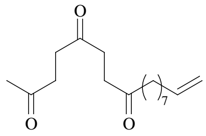
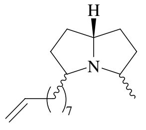
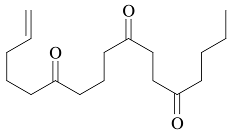
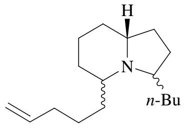
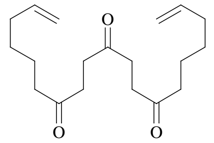
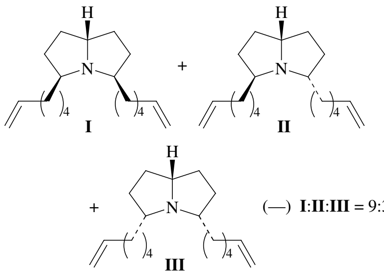
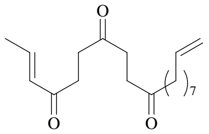
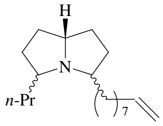
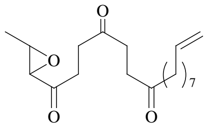
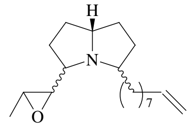
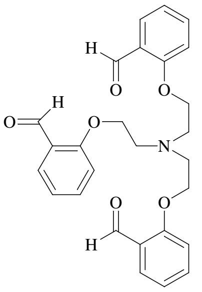
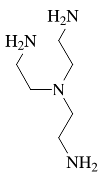
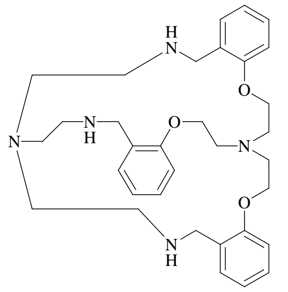
Tricarbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{10} 	NH_4NO_3	1. $Na(CN)BH_3$, MeOH, 0°, 5 h 2. rt, 48 h	 (3.5) + (3.5) + (14)	436
C_{11} 	NH_4OAc	1. $Na(CN)BH_3$, KOH, MeOH (anhyd), 16 h 2. $NaBH_4$	 (70) 4 isomers	1232
C_{15} 	NH_4OAc	1. $Na(CN)BH_3$, KOH, MeOH, 12 h 2. $NaBH_4$, 1 h	 I + II + III + IV (80) **I**:**II**:**III**:**IV** = 14:2.2:2:1	445
C_{17} 	NH_4OAc	$Na(CN)BH_3$, KOH, MeOH	 (—) 40:7:3:1	448
	NH_4OAc	$Na(CN)BH_3$, KOH, MeOH, overnight	 (80) 3:1.2:1:2	449

TABLE IV. TRICARBONYL SUBSTRATES *(Continued)*

Tricarbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{19} 	NH_4OAc	$Na(CN)BH_3$, KOH, MeOH, overnight	 I + II + III (—) **I**:**II**:**III** = 9:3:1	448
	NH_4OAc	$Na(CN)BH_3$, KOH, MeOH	 (—) 4 isomers	447
	NH_4OAc	$Na(CN)BH_3$, KOH, MeOH	 (—) 4 isomers	447
C_{27} 		1. MeOH, 40°, 5 h 2. Reflux, 3 h 3. $NaBH_4$, reflux, 3 h	 (70)	179

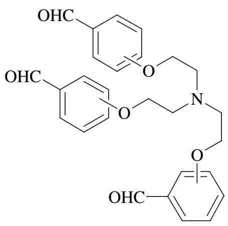
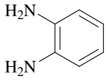
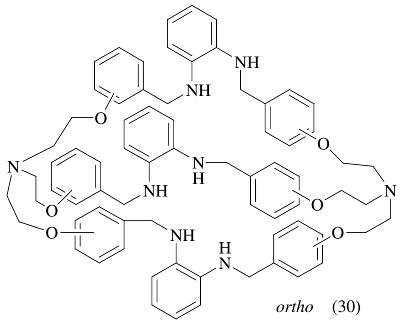
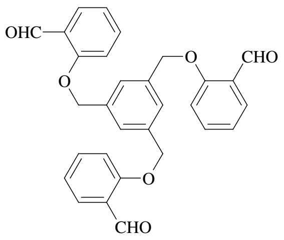
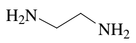
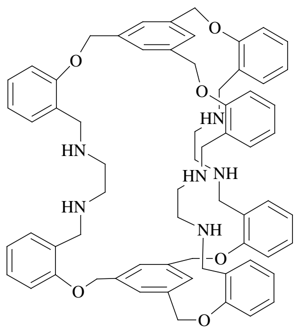
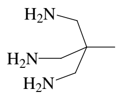
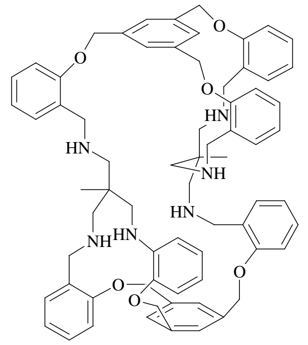
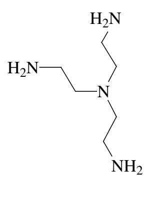
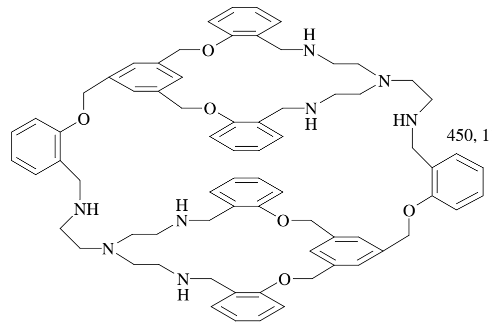
		1. CsCl, MeOH, 40°, 5 h 2. 40°, 5 h 3. $NaBH_4$, reflux	 *ortho* (30) *para* (53)	179
C_{30}				
		1. MeOH:THF (1:1), 5°, 3 h 2. 5° to rt, 12 h 3. $NaBH_4$, rt, 2 h 4. Reflux, 1 h	 (38)	1127

TABLE IV. TRICARBONYL SUBSTRATES *(Continued)*

Tricarbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH:THF (1:1), 5°, 3 h 2. rt, 12 h 3. $NaBH_4$, rt, 2 h 4. Reflux, 1 h	 (40)	1127
		1. MeOH:THF (1:1), 5°, 3 h 2. rt, 12 h 3. $NaBH_4$, rt, 2 h 4. Reflux, 1 h	 (50)	450, 1127

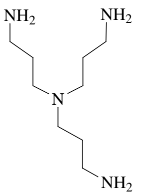
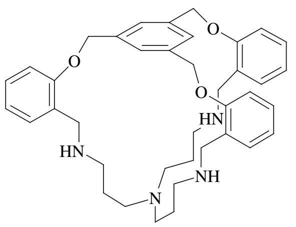
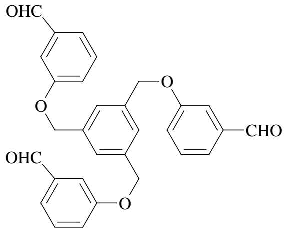
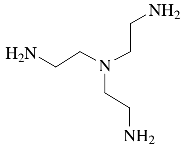
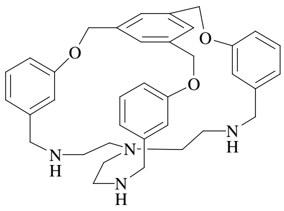
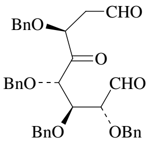
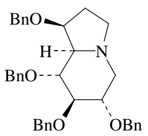
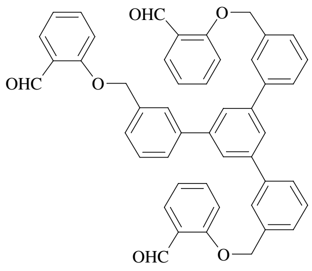
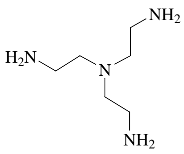
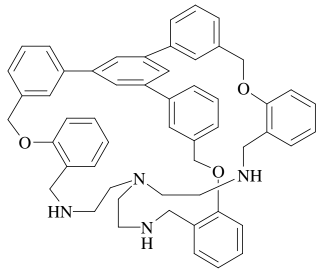
Tricarbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH:THF (1:1), 5°, 3 h 2. rt, 12 h 3. $NaBH_4$, rt, 2 h 4. Reflux, 1 h	 (45)	140, 1127
		1. MeOH:THF (1:1), 5°, 3 h 2. rt, 12 h 3. $NaBH_4$, rt, 2 h 4. Reflux, 1 h	 (25)	1127
C_{36} 	NH_4HCO_2	$Na(CN)BH_3$, MeOH	 (53)	451
C_{48} 		1. MeOH:THF (1:1), 5°, 3 h 2. 5° to rt, 12 h 3. $NaBH_4$, rt, 2 h 4. Reflux, 1 h	 (42)	1127

TABLE IV. TRICARBONYL SUBSTRATES *(Continued)*

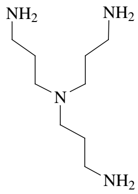
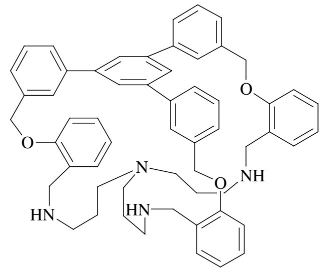
Tricarbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. MeOH:THF (1:1), 5°, 3 h 2. 5° to rt, 12 h	 (37)	1127

TABLE V. CARBOXYLIC ACIDS

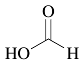
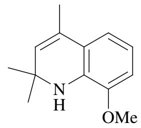
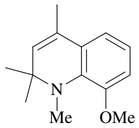
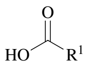
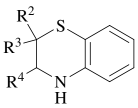
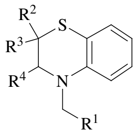
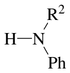
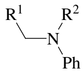
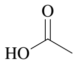
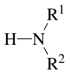
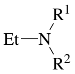
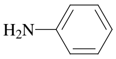
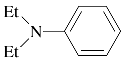
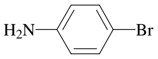
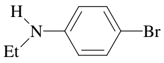
Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_1 		1. $NaBH_4$, 0°, THF, 30 min 2. 25°, overnight 3. 60°, 1.5 h	 (70)	2063
C_{1-2} 		1. $NaBH_4$, 20° 2. Amine, 80°, 3 h	 R^1 R^2 R^3 R^4 H H —$(CH_2)_5$— (70) Me Me Me Ph (95)	248
C_{1-5}		$NaBH_4$, 15-20°	 R^1 R^2 H Me (77) Me H (88) Me Me (72) Me *i*-Pr (69) Me Ph (80) Et Me (83) Et Et (70) *t*-Bu H (80)	235
C_2 		1. $NaBH_4$, 20° 2. Amine, 80°, 3 h	 R^1 R^2 —$(CH_2)_5$— (60) *n*-Bu *n*-Bu (80) Ph Ph (95)	248
		$NaBH_4$, 50-60°	 (74)	235
		$NaBH_4$, 24 h	 (50)	2064

TABLE V. CARBOXYLIC ACIDS *(Continued)*

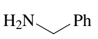
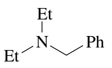
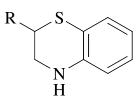
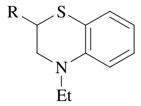
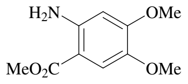
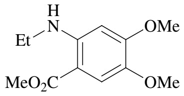
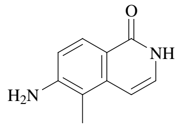
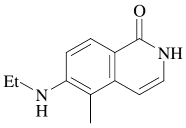
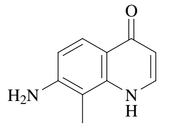
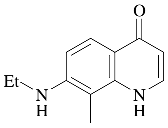
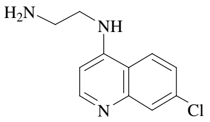
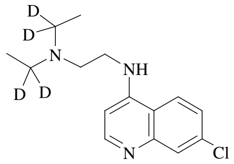
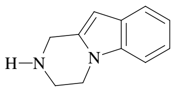
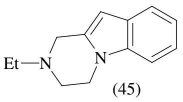
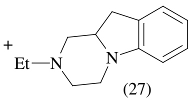
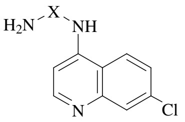
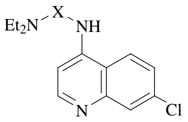
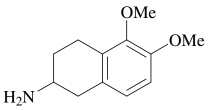
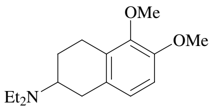
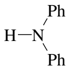
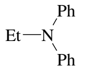
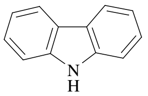
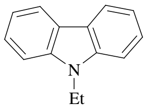
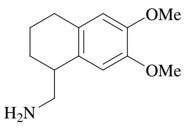
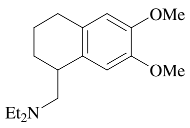
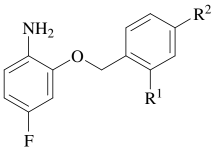
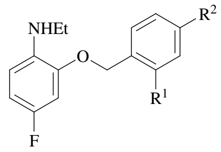
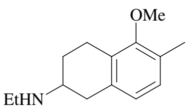
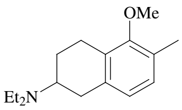
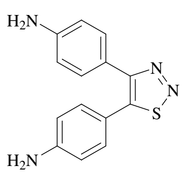
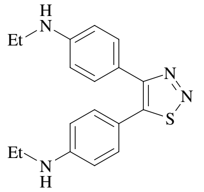
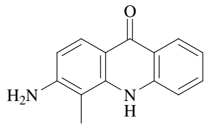
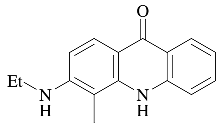
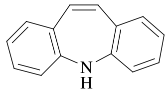
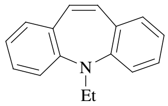
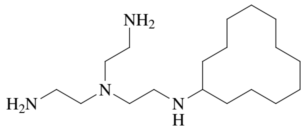
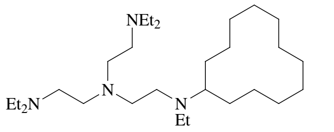
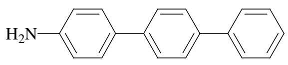
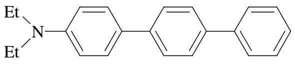
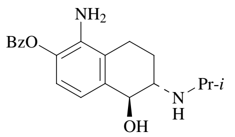
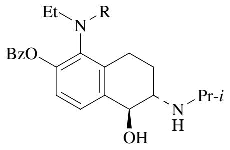
Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $NaBH_4$, 50-55°, 30-60 min 2. 50-55°, 8.5-12 h	 (66)	247
		1. $NaBH_4$, 10° 2. rt, 30 min	 R: H (77); Me (86); Ph (91)	259
		1. $NaBH_4$, 30 min 2. 80°, 9 h	 (70)	2065
		$NaBH_4$, 10 h	 (62)	2066
		$NaBH_4$, 10 h	 (65)	2066
		1. $NaBD_4$, HOAc, 5° 2. rt, 1 h 3. 55°, 18 h	 (70)	240
		1. $NaBH_4$, 10° 2. rt, 2 h	 (45) +  (27)	767
		1. $NaBH_4$, HOAc, 5° 2. rt, 1 h 3. 55°, 18 h	 X: —$(CH_2)_2$— (70); —$CHMeCH_2$— (70); —$(CH_2)_5$— (70); —$(CH_2)_6$— (75); —$(CH_2)_8$— (70); —$(CH_2)_{10}$— (75); —$(CH_2)_{12}$— (75)	240
		1. $NaBH_4$, <20°, dry C_6H_6 2. Amine, reflux, 2 h	 (77)	650
		$NaBH_4$, overnight	 (—)	418
		$NaBH_4$, 15°, 30 min	 (92)	235
		$NaBH_4$, C_6H_6 reflux, overnight	 (80)	660
		1. $NaBH_4$ pellets, 0.5-2 h 2. $NaBH_4$ pellets, 6-20 h	 R^1 R^2: H H (35); F CO_2Me (70)	2067
		1. $NaBH_4$, <20°, dry C_6H_6 2. Amine, reflux, overnight	 (96)	1172

TABLE V. CARBOXYLIC ACIDS *(Continued)*

Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, 15°, 30 min	 (44)	1307
		$NaBH_4$, 10 h	 (75)	2066
		$NaBH_4$, 15-20°	 (72)	235
		1. $NaBH_4$, 50-55°, 2 h 2. 50-55°, 42 h	 (51)	409
		1. $NaBH_4$, 15-20° 2. rt, 30 min 3. $NaBH_4$, 60°, 30 min	 (20)	669
		$NaBH_4$	 R — Time H — 7 h (—) Et — 5 d (—)	55

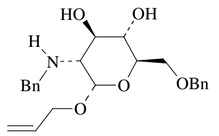
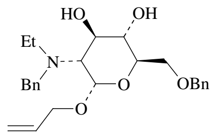
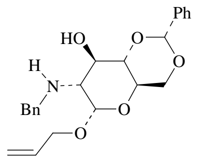
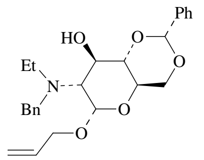
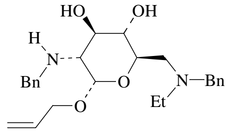
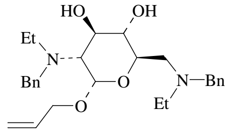
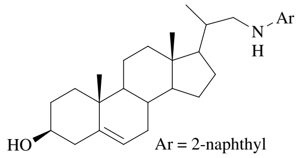
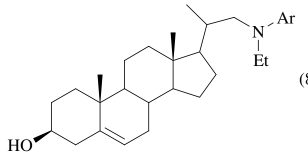
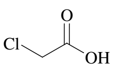
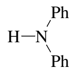
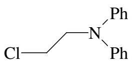
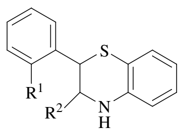
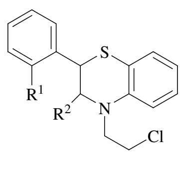
Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $NaBH_4$, HOAc, 1.5 h 2. 1.5 h	 (90)	2068
		$NaBH_4$, HOAc, 80°, 2 h	 (—)	2068
		1. $NaBH_4$, HOAc, 1.5 h 2. 1.5 h	 (98)	2068
	 Ar = 2-naphthyl	1. $NaBH_4$, rt, 30 min 2. 70°, 30 min 3. $NaBH_4$, rt 4. 70°, 30 min	 (88)	2069
		$NaBH_4$, dry toluene, reflux, 3 h	 (66)	417
		$NaBH_4$, dry C_6H_6, reflux, 2-4 h	 R^1 — R^2 H — Me (58) —CH_2— (60)	415

TABLE V. CARBOXYLIC ACIDS *(Continued)*

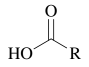
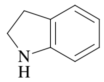
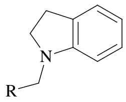
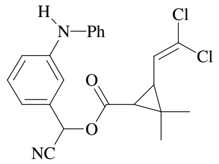
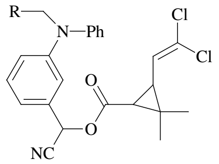
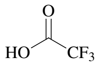
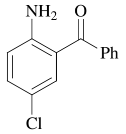
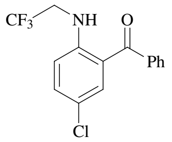
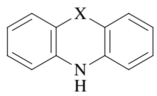
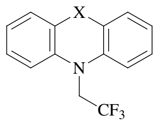
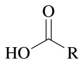
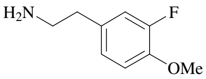
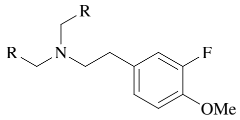
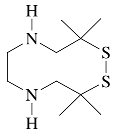
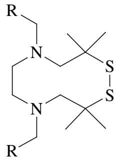
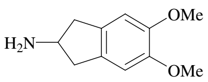
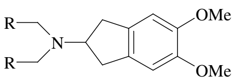
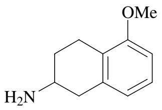
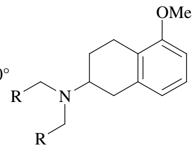
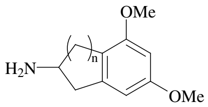
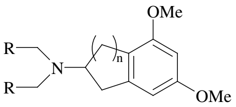
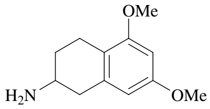
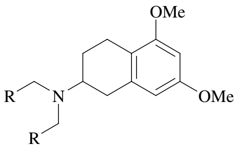
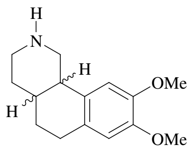
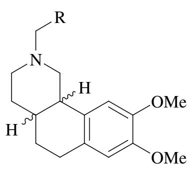
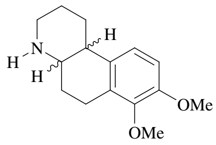
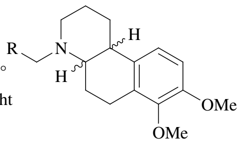
Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, 15-20°	 R: Me (92); CF_3 (73)	235
		$NaBH_4$, 3 h	 R: Me (92); CF_3 (73)	416
		1. $NaBH_4$, toluene, rt, 1 h 2. Reflux, 4 h	 (64)	245
		$NaBH_4$	 X: CH=CH (61); CH_2CH_2 (44)	246
C_{2-3} 		$NaBH_4$, dry C_6H_6, reflux, 22 h	 R: Me (30); Et (75)	664
		1. $NaBH_4$, 10° 2. 10° to rt 3. Reflux, 3 h 4. Amine, 8 h	 R: Me (99); Et (99)	2070
		1. $NaBH_4$, C_6H_6, 10° 2. Reflux, 20 h	 R: Me (75); Et (30)	659
		1. $NaBH_4$, dry C_6H_6, <20° 2. Amine, reflux, 5 h	 R: Me (98); Et (89)	654
		$NaBH_4$, C_6H_6, CH_2Cl_2, reflux, overnight	 R, n: Me, 1 (75); Et, 1 (30); Me, 3 (74); Et, 3 (96)	1778
		1. $NaBH_4$, C_6H_6 2. Reflux, overnight	 R: Me (35); Et (82)	656
		$NaBH_4$, dry C_6H_6	 R: Me *trans* (86); Et *trans* (83); Et *cis* (58)	1246
		1. $NaBH_4$, dry C_6H_6, <20° 2. Amine, reflux, overnight	 R: Me *cis* (89); Et *cis* (73); Et *trans* (90)	1247

TABLE V. CARBOXYLIC ACIDS *(Continued)*

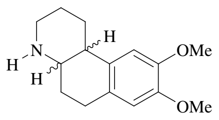
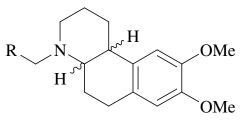
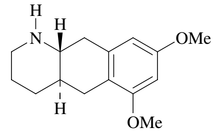
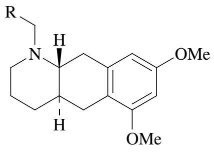
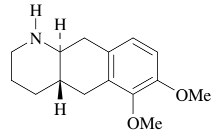
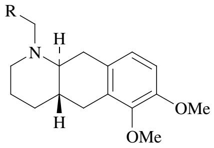
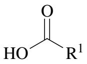
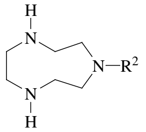
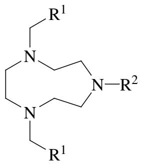
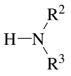
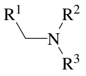
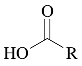
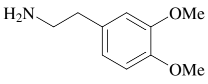
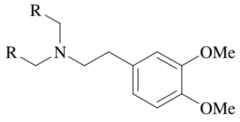
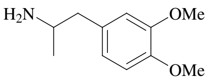
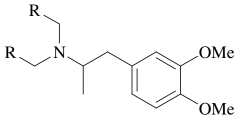
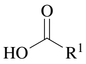
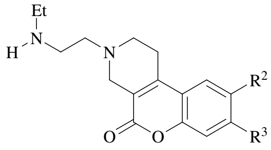
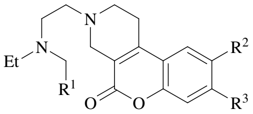
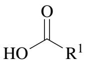
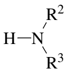
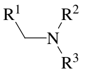
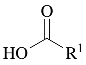
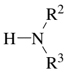
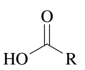
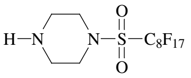
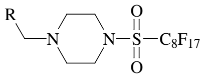
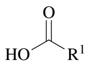
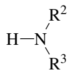
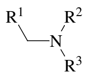
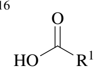
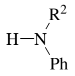
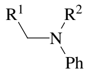
Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$NaBH_4$, C_6H_6	 R Me *trans* (91) Me *cis* (73) Et *trans* (79) Et *cis* (93)	1244
		1. $NaBH_4$, C_6H_6, rt, 5 h 2. C_6H_6, reflux, overnight	 R Me (67) Et (67)	2071
		$NaBH_4$, C_6H_6	 R Me (82) Et (80)	1245
		1. $NaBH_4$, dry C_6H_6, <20º 2. Amine, reflux, 5 h	 R^1 R^2 Me H (80) Me Me (39) Et H (—)	2072
		BH_3•NMe_3, xylenes, reflux, 7 h	 R^1 R^2 R^3 CH_2Cl Bn Bn (78) Et Et Ph (70) Et Bn Bn (57)	327
$C_{2\text{-}4}$ 		1. $NaBH_4$, dry C_6H_6, <20°, 1.5 h 2. Amine, reflux, 5 h	 R Me (93) Et (46) Pr (57)	651
		1. $NaBH_4$, C_6H_6, 10-15° 2. Reflux, 16 h	 R Me (87) Et (54) Pr (87)	652
		$NaBH_4$, 55°, 20 h	 R^1 R^2 R^3 Me H H (79) Me H Me (50) Me H OMe (58) Me OMe OMe (54) Et OMe OMe (38) *n*-Pr OMe OMe (20) *i*-Pr OMe OMe (30)	2073, 2074
		1. $NaBH_4$, 20° 2. Amine, 70-80°	 R^1 R^2 R^3 Me Me Bn (80) *i*-Pr —$(CH_2)_2O(CH_2)_2$— (39) *t*-Bu Me Bn (25)	247
		1. BH_3•NMe_3, xylenes 2. Reflux, 7 h	" R^1 R^2 R^3 Ph $(—CH_2CHMe)_2O$ (61) CH_2Cl Me Ph (93) Ph Me Ph (90) Ph Et Ph (99) Ph Et Bn (65) Ph Bn Bn (65) 4-$O_2NC_6H_4$ Me Ph (99) 4-$MeOC_6H_4$ Me Ph (91)	327
$C_{2\text{-}8}$  R = Me, *n*-Pr, *n*-C_5H_{11}, *n*-C_7H_{15}		$NaBH_4$	 (—)	2075

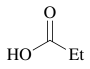
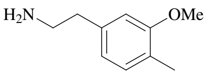
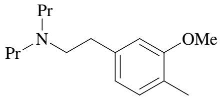
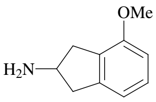
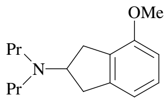
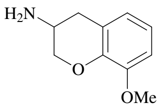
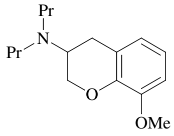
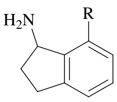
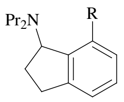
TABLE V. CARBOXYLIC ACIDS *(Continued)*

Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $NaBH_4$, 50-55°, 30-60 min 2. 8.5-12 h, 50-55°		247

R^1	R^2	R^3	Yield (%)
Me	—$(CH_2)_2O(CH_2)_2$—		(76)
Me	—$(CH_2)_4$—		(74)
Me	—$(CH_2)_5$—		(84)
Me	—$(CH_2)_2N(Me)(CH_2)_2$—		(69)
Me	*i*-Pr	*i*-Pr	(60)
Me	Me	*n*-C_5H_{11}	(72)
Me	Me	Bn	(77)
Me	Et	Bn	(82)
Me	*i*-Pr	Bn	(82)
Me	*t*-Bu	Bn	(79)
Me	Bn	Bn	(84)
n-Pr	Me	Bn	(66)
i-Pr	Me	Bn	(77)
t-Bu	Me	Bn	(62)
n-C_7H_{15}	Et	Et	(70)

Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{2-16} 		1. $NaBH_4$, dry C_6H_6, 20° 2. Amine, reflux, 3 h		248

R^1	R^2	Yield (%)
Me	H	(30)
Me	Ph	(70)
CH_2Cl	Ph	(35-90)
Et	H	(60)
Et	Me	(65)
Et	Ph	(35)
Ph	Me	(20)
n-$C_{15}H_{31}$	Me	(70)
n-$C_{15}H_{31}$	Ph	(25)

Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_3 		1. $NaBH_4$, dry C_6H_6, <20° 2. Amine, reflux, 5 h	 (53)	663
		1. $NaBH_4$, dry C_6H_6, <20° 2. Amine, reflux, 5 h	 (84)	663
	"	$NaBH_4$, dry C_6H_6, reflux, 20 h	" (88)	662
	"	$NaBH_4$, C_6H_6, 80°	" (80)	657
		1. $NaBH_4$, dry C_6H_6, <17° 2. Amine, reflux, 3 h	 (82)	665
		$NaBH_4$, PhMe, reflux, 20-24 h		668

	R	Yield (%)
R-(–)	CN	(47)
S-(+)	CN	(42)
R-(–)	$CONH_2$	(66)
S-(+)	$CONH_2$	(62)
R-(–)	OMe	(82)
S-(+)	OMe	(75)
R-(–)	OPr	(74)
S-(+)	OPr	(69)
R-(–)	CONHBn	(68)
S-(+)	CONHBn	(61)
R-(–)	$CONHCH_2C_6H_{11}$-*c*	(66)
S-(+)	$CONHCH_2C_6H_{11}$-*c*	(45)

TABLE V. CARBOXYLIC ACIDS (*Continued*)

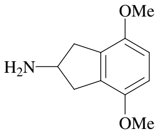
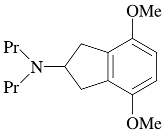
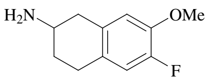
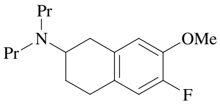
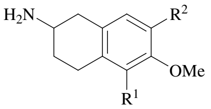
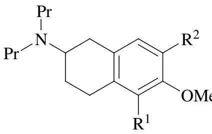
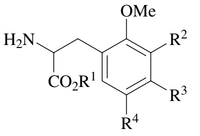
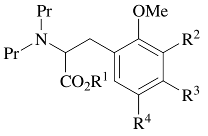
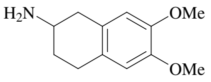
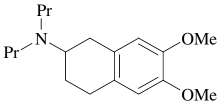
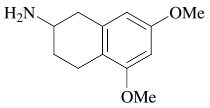
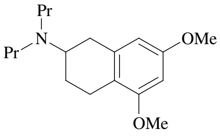
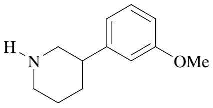
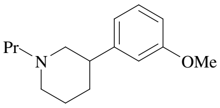
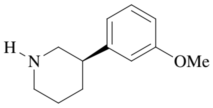
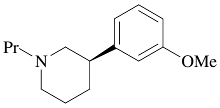
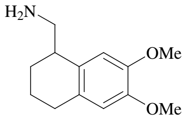
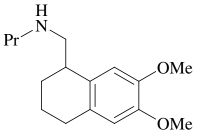
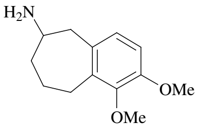
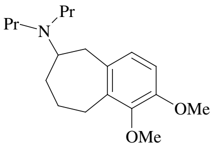
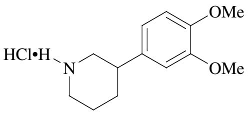
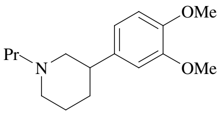
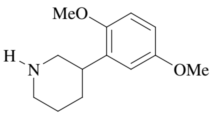
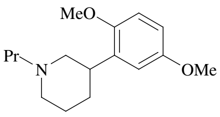
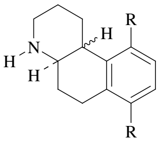
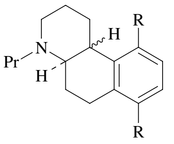
Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $NaBH_4$, dry C_6H_6, 5-10° 2. Amine, reflux, 4 h	 (69)	658
		1. $NaBH_4$, toluene, 15-20°, 1.5 h 2. Amine, 80-85°, 22 h	 (—)	1170
		1. $NaBH_4$, dry C_6H_6, <20° 2. Amine, reflux, 2 h	 R^1 R^2 H OMe (93) OMe H (61)	650
		1. $NaBH_4$, toluene, 0° 2. Reflux, 3 h	 R^1 R^2 R^3 R^4 Me H H H (82) Me H H OMe (81) OEt H OMe OMe (84) OEt OMe OMe H (86)	666
		1. $NaBH_4$, dry C_6H_6, <20°, 1 h 2. Amine, reflux, 3 h	 (80)	653
		$NaBH_4$, 80°, 3 h	 (23)	656
		$NaBH_4$, toluene	 (75)	2076
		1. $NaBH_4$, dry C_6H_6, <15° 2. Reflux, 3 h	 (73)	1229
		$NaBH_4$, C_6H_6, reflux, 3 h	 (50)	660
		1. $NaBH_4$, dry C_6H_6, 10-15° 2. Amine in CH_2Cl_2, reflux, overnight	 (48)	661
		1. $NaBH_4$, dry C_6H_6, <15°, 3 h 2. Reflux, 6 h	 (69)	2077
		$NaBH_4$, C_6H_6, 50-55°, 10 h	 (71)	2078
		1. $NaBH_4$, C_6H_6, 4 h 2. Amine, reflux, overnight	 R H *cis* (95) H *trans* (82) OMe *cis* (90) OMe *trans* (64)	2079, 2080

TABLE V. CARBOXYLIC ACIDS *(Continued)*

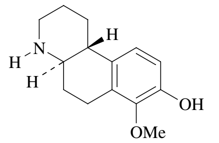
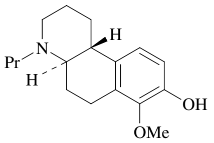
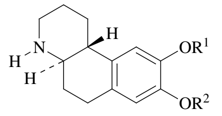
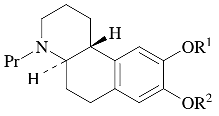
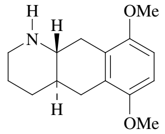
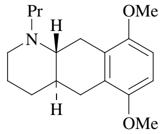
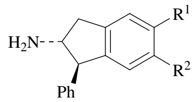
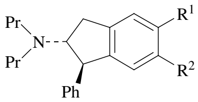
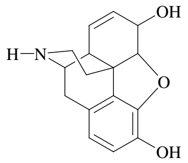
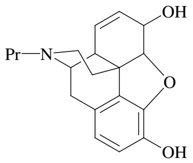
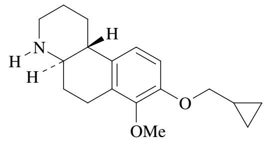
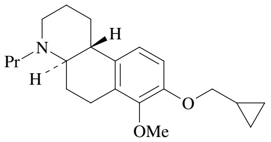
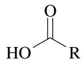
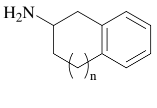
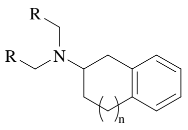
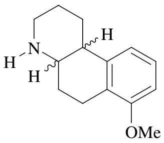
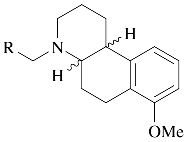
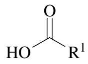
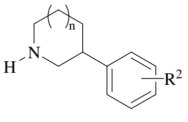
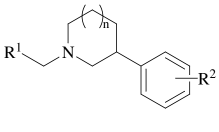
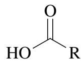
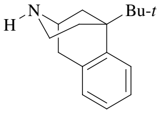
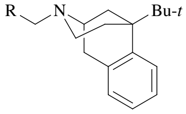
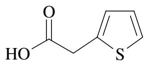
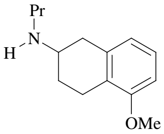
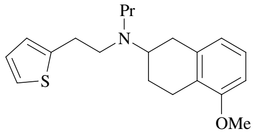
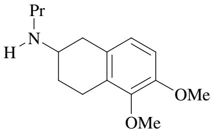
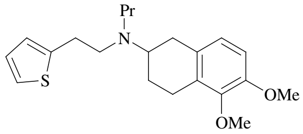
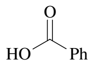
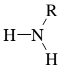
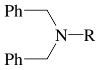
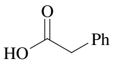
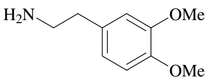
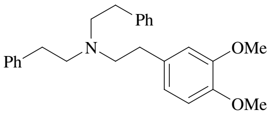
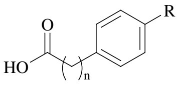
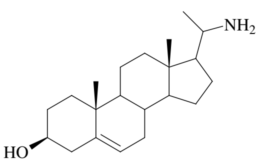
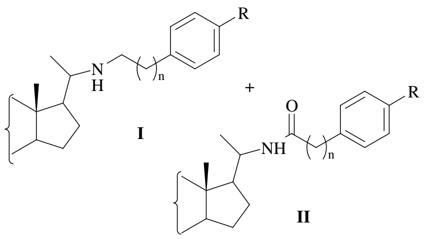
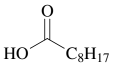
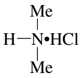
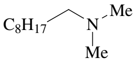
Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. $NaBH_4$, dry C_6H_6, rt, 15 min 2. 55°, 3 h	 (—)	2081
		$NaBH_4$, dry C_6H_6, reflux, 12-24 h	 R^1 R^2 Me H (85) Bn Me (70)	2081
		1. $NaBH_4$, C_6H_6, rt, 4 h 2. Amine, reflux, overnight	 (70)	2079
		$NaBH_4$, dry C_6H_6	 R^1 R^2 (–) H OMe (50) (+) H OMe (55) (–) OMe H (50) (+) OMe H (45)	667
		1. $NaBH_4$, C_6H_6, 30 min, 50-55° 2. 55°, 10 h	 (74)	2082
		1. $NaBH_4$, dry C_6H_6, rt 2. 55°, 12 h	 (75)	2081
C_{3-4} 		1. $NaBH_4$, C_6H_6, <15° 2. rt, 45 min 3. Amine, reflux, 5.5 h	 n R 1 Et (41) 1 Et (52) 2 Pr (79)	655
		1. $NaBH_4$, C_6H_6, <20° 2. Amine, 4 h, reflux	 R Et (68) Pr (93)	2083
C_{3-8} 		1. $NaBH_4$, C_6H_6, 15°, 2 h 2. Amine, 3 h, reflux	 R^1 R^2 n Et H 1 (—) Et 3-OMe 2 (62) Et 3-CO_2Me 1 (72) *t*-Bu 3-OMe 1 (—) Bn 3-OMe 1 (53)	2084
C_{4-8} 		1. $NaBH_4$, PhMe, 20° 2. Reflux, 3 h	 R *c*-C_3H_5 (61) Bn (50)	2085
C_6 		BH_3•NMe_3, reflux, dry xylenes, 18 h	 (54)	328
		BH_3•NMe_3, reflux, dry xylenes, 4 h	 (66)	329
C_7 		BH_3•NMe_3, reflux, xylenes, 7 h	 R Ph (66) Bn (55)	327

TABLE V. CARBOXYLIC ACIDS (*Continued*)

Carboxylic Acid	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_8 		1. $NaBH_4$, dry C_6H_6, 10-15°, 1 h 2. Amine, reflux, 19 h	 (51)	653
$C_{8\text{-}9}$ 		1. $NaBH_4$, dry C_6H_6, 10-15°, 1.5 h 2. Amine, dry C_6H_6, reflux, 17 h	 **I** + **II**	788
C_9 		$NaBH_4$, NaOAc, THF, 50-55°, 20	 (78)	247

R	n	I	II
H	1	(20)	(—)
H	2	(39)	(24)
Cl	1	(53)	(18)
OMe	1	(15)	(—)

TABLE VI. NITRILES

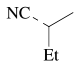
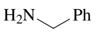
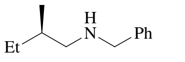
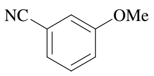
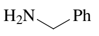
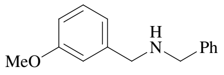
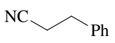
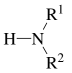
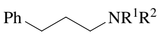
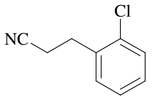
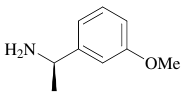
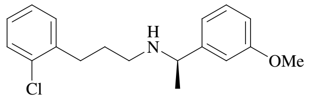
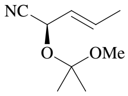
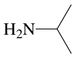
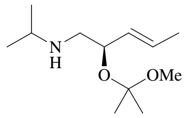
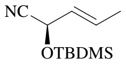
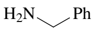
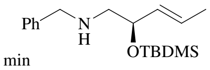
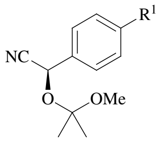
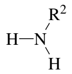
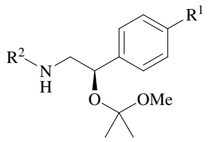
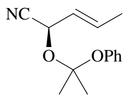
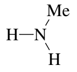
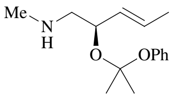
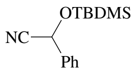
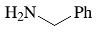
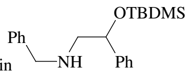
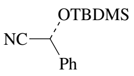
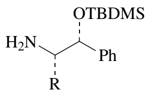
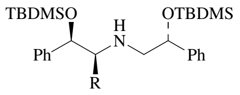
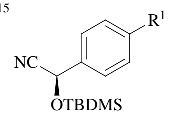
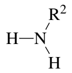
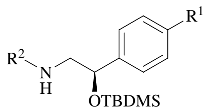
Nitrile	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_5 		1. DIBAL, CH_2Cl_2, –78° to rt, 1 h 2. $BnNH_2$, 0°, 3 h 3. $NaBH_4$ in MeOH, 0° to rt, 1 h	 (86)	428
C_8 		1. DIBAL, CH_2Cl_2, –78° to rt, 1 h 2. $BnNH_2$, 0°, 3 h 3. $NaBH_4$ in MeOH, 0° to rt, 1 h		428
C_9 		1. DIBAL, CH_2Cl_2, –78°to rt, 1 h 2. Amine, 0°, 3 h 3. $NaBH_4$ in MeOH, 0° to rt, 1 h	 (82) R^1 R^2 H *n*-Pr (85) H *t*-Bu (0) H Bn (88) H Ph (42) *i*-Pr *i*-Pr (81) Bn Bn (36)	428
		1. DIBAL, CH_2Cl_2, –78° to rt, 1 h 2. Amine, 0°, 3 h 3. $NaBH_4$ in MeOH, 0° to rt, 1 h	 (82)	428
		1. DIBAL (1 M) in cyclohexanes, Et_2O, –70°, 3 h 2. NH_4Br, MeOH 3. *i*-$PrNH_2$, –70° to rt, 45 min 4. $NaBH_4$, 0°, 2 h	 (81)	423
C_{11} 		1. DIBAL (1 M) in cyclohexanes, Et_2O, –70°, 3 h 2. MeOH, –70° to rt, 1 h 3. $BnNH_2$, MeOH, –70° to rt, 45 min 4. $NaBH_4$, 0°, 2 h	 (92)	426

TABLE VI. NITRILES *(Continued)*

Nitrile	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{12-13} 		1. DIBAL (1 M) in cyclohexanes, Et_2O, –70°, 3 h 2. NH_4Br, MeOH, –70° 3. R^2NH_2, –70° to rt, 45 min 4. $NaBH_4$, 0° 5. 0° to rt, overnight	 R^1 R^2 H Me (79) H *i*-Pr (74) OMe Me (90) OMe *i*-Pr (78)	419
C_{14} 		1. DIBAL (1 M) in hexanes, Et_2O, –70°, 3 h 2. NH_4Br, MeOH,–70° 3. $MeNH_2$, –70° to rt 4. $NaBH_4$, 0° 5. 0° to rt, overnight	 (96)	420
		1. DIBAL (1 M) in hexanes, Et_2O, –80°, 3 h 2. $BnNH_2$, MeOH, –90° to rt, 90 min 3. $NaBH_4$, 0° to rt, overnight	 (74)	424
		1. DIBAL (1 M) in hexanes, Et_2O, –80°, 3 h 2. MeOH, –90° 3. Amine in MeOH, –90° 4. rt, 2 h 5. $NaBH_4$, 0° to rt, overnight	 R H (92) Me (89)	422
C_{14-15} 		1. DIBAL (1 M) in hexanes, Et_2O, –70°, 3 h 2. NH_4Br, MeOH, –70° 3. Amine, –70° to rt 4. $NaBH_4$, 0° 5. 0° to rt, overnight	 R^1 R^2 H Me (97) H *i*-Pr (96) OMe Me (94) OMe *i*-Pr (80)	419

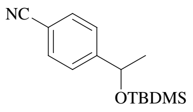
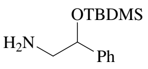
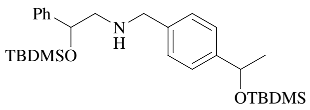
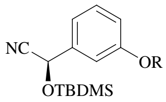
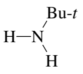
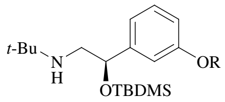
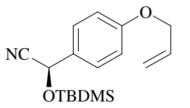
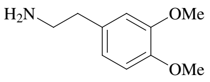
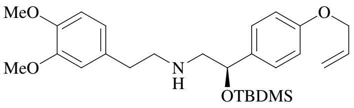
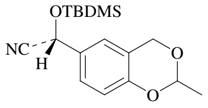
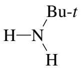
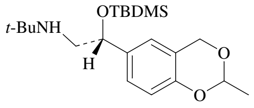
C_{15}					
		1. DIBAL (1 M) in hexanes, Et_2O, -80°, 3 h 2. Amine, MeOH, –70° to rt, 90 min 3. $NaBH_4$, 0° to rt, overnight		(82)	424
C_{15-20}					
		1. DIBAL (1 M) in toluene, Et_2O, –70°, 3 h 2. NH_4Br, MeOH, –70° to 60° 3. *t*-$BuNH_2$, –70° to rt, 70-75 min 4. $NaBH_4$, 0° 5. 0° to rt, overnight		R Me (71) Ph (95)	425
C_{17}					
		1. DIBAL (1 M) in toluene, Et_2O, –70°, 3 h 2. NH_4Br, MeOH, –70° to –60° 3. Amine, –70° to rt, 40 min 4. $NaBH_4$, 0° 5. 0-25°, overnight		(90)	421, 425
		1. DIBAL (1.5 M) in toluene, Et_2O, –70°, 4 h 2. NH_4Br, MeOH, 10 min 3. Amine, –70° to rt, 2 h 4. $NaBH_4$, –50° to rt, 16 h		(42)	427

TABLE VII. INTRAMOLECULAR REDUCTIVE AMINATIONS

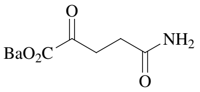
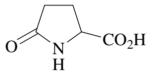
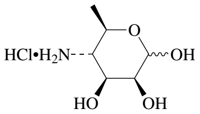
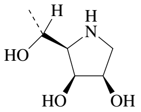
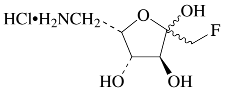
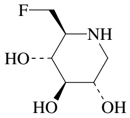
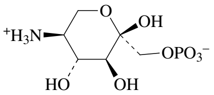
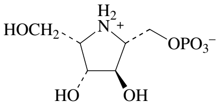
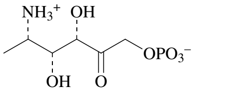
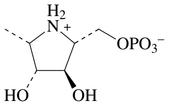
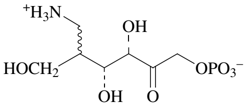
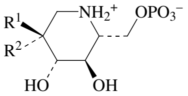
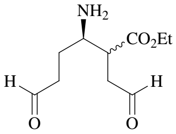
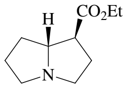
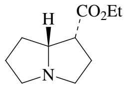
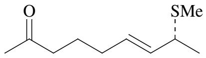
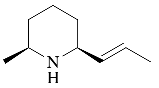
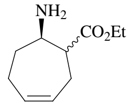
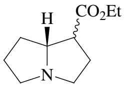
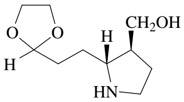
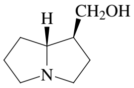
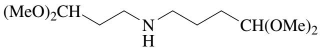
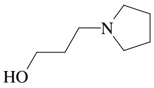
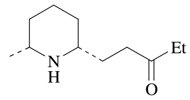
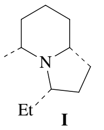
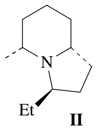
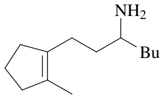
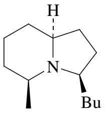
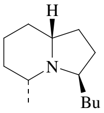
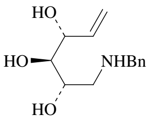
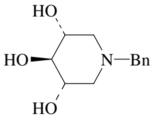
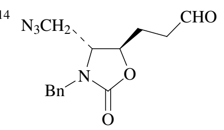
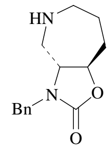
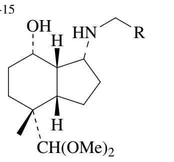
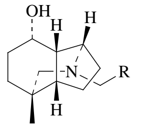
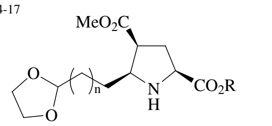
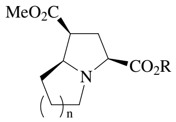
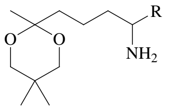
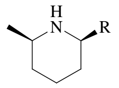
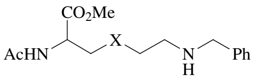
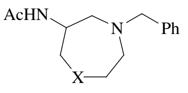
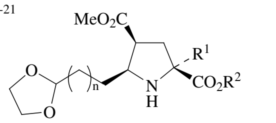
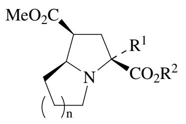
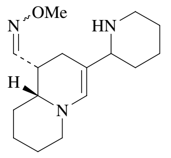
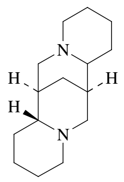
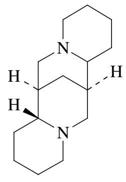
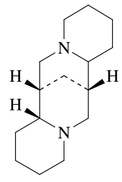
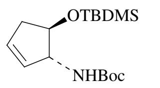
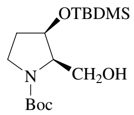
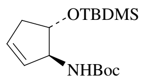
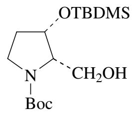
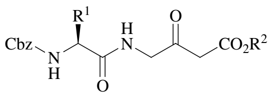
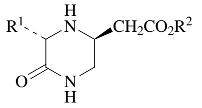
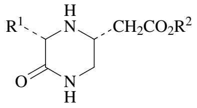
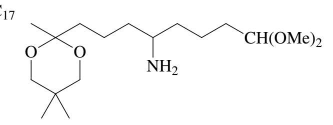
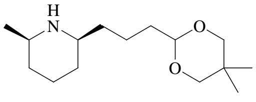
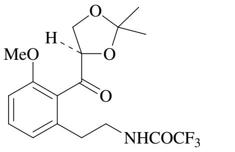
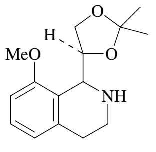
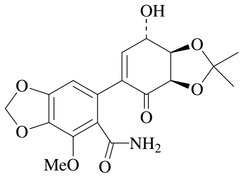
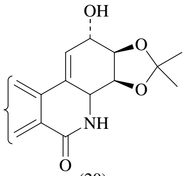
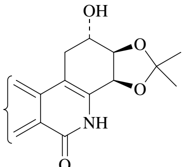
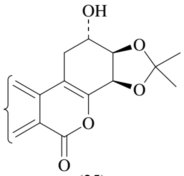
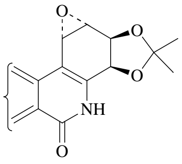
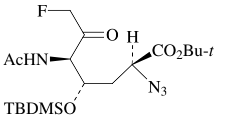
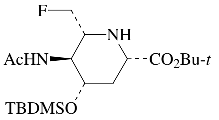
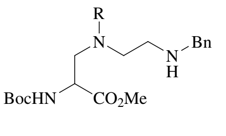
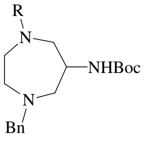
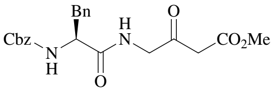
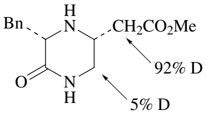
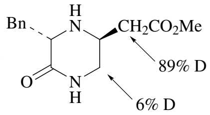
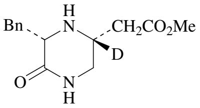
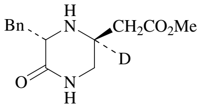
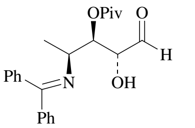
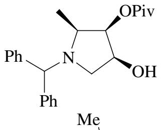
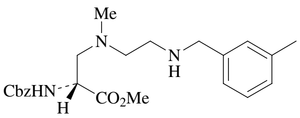
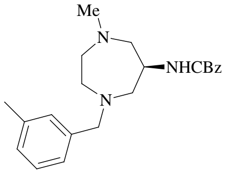
Substrate	Conditions	Product(s) and Yield(s) (%)	Refs.
C_5 	$Na(CN)BH_3$, MeOH, 48 h	 (70)	610
C_6 	$Na(CN)BH_3$, HOAc, MeOH, 36 h	 (60)	592
	$Na(CN)BH_3$, MeOH	 (72)	594
	1. $Na(CN)BH_3$, NaOH, pH 6-7, acetone, H_2O, 4°, 12 h 2. rt, 12 h	 (—)	606
	1. $Na(CN)BH_3$, NaOH, pH 6-7, acetone, H_2O, 4°, 12 h 2. rt, 12 h	 (—)	606
C_7 	1. $Na(CN)BH_3$, HCl, pH 6-7, 4°, 3 h 2. rt, 12 h	 R^1 / R^2 CH_2OH / H (28) (+) H / CH_2OH (31)	606
C_{10} 	$Na(CN)BH_3$, MeOH, 0-5°, 4 d	 I +  II (48) **I**:**II** = 2:1	436
	1. $H_2NOSO_3C_6H_2Me_3$-2,4,6, $P(OEt)_3$, CH_2Cl_2, 0°, 30 min 2. $Na(CN)BH_3$, MeOH	 (70)	608
	1. OsO_4, $NaIO_4$, Et_2O, H_2O, 0°, 3 h 2. $Na(CN)BH_3$, MeOH, 0-5°, 4 d	 (35)	436
	1. 1 N HCl, THF, 0-35°, 20 h 2. $Na(CN)BH_3$, MeOH, 8 h 3. $NaBH_4$, 4 h	 (—)	578
C_{11} 	1. HCl (5 M), 90 min 2. NaOH (aq) 3. Citrate-phosphate buffer, pH 5.5, 4 h 4. $Na(CN)BH_3$, 70 min	 (36)	593
	$Na(CN)BH_3$, pH 4, MeOH, overnight	 I +  II (—) **I**:**II** = 1:1	446
C_{13} 	1. HCl (1 M), pH 5, MeOH 2. NaOAc 3. O_3, –78° 4. $Na(CN)BH_3$, MS 4 Å , –78° to rt, 48 h	 (39) +  (35)	598
	1. TFA, CH_2Cl_2 2. O_3, CH_2Cl_2, –78° 3. Me_2S, $Na(CN)BH_3$, MeOH, rt, 3 h	 (58)	439

TABLE VII. INTRAMOLECULAR REDUCTIVE AMINATIONS (*Continued*)

Substrate	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{14} 	1. PPh_3, dry toluene, rt, 48 h 2. 80°, 16 h 3. $NaBH_4$, MeOH, 0° to rt, 1 h	 (52)	605
C_{14-15} 	1. HCl, buffer, pH 5.5 2. $Na(CN)BH_3$	 R H (80) Me (82)	595
C_{14-17} 	$Na(CN)BH_3$, HCl (2M), THF, 24 h	 R n Me 2 (89) *t*-Bu 1 (44) *t*-Bu 2 (78)	596
C_{14-22} 	1. 10% HCl, THF, 1 h 2. NaOH (40% aq), citrate-phosphate buffer, pH 5.5 3. $Na(CN)BH_3$, 3 h	 R *n*-Pr (35) *n*-$C_{11}H_{23}$ (95)	408
C_{15-16} 	1. DIBAL (1M) in THF, toluene, –70°, 1 h 2. $NaBH_4$, –20° to rt, 16 h	 X S (66) NMe (78)	2086
C_{15-21} 	1. HCl (0.1M), THF, 4-8.5 h 2. NaOH (0.1M) 3. $Na(CN)BH_3$, 10-12 h	 R^1 R^2 n Me Me 2 (88) *i*-Bu Me 1 (88) Bn Me 1 (88)	596
C_{16} 	1. O_3, HCl, MeOH, –50° to rt 2. Me_2S, –50° to rt, 10 min 3. NaOAc, HOAc, 1 h 4. $Na(CN)BH_3$, overnight	 (21)	600
	1. $TiCl_3$, HCl, 80° 2. NaOAc, H_2O, rt 3. $Na(CN)BH_3$, HOAc, rt, 20 h	 (9) +  (11)	600
	1. O_3, $MeOH:CH_2Cl_2$ (1:1) 2. Me_2S 3. $Na(CN)BH_3$, HOAc, MeOH	 (71)	599
	"	 (71)	599
C_{16-22} 	1. H_2 (15 psig), EtOH, 10% Pd/C, 2 h 2. $Na(CN)BH_3$, $ZnCl_2$, 3 h	 I +  II R^1 R^2 **I+II** **I:II** H Et (20) (—) Me Et (80) 3.9:1 Bn Me (77) 5.3:1	120

Substrate	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{17} 	1. 10% HCl, THF, 1 h 2. NaOH (40% aq), citrate-phosphate buffer, pH 5.5 3. $Na(CN)BH_3$, 3 h	 (82)	408
	1. K_2CO_3, MeOH:H_2O (5:2), 2 h 2. $Na(CN)BH_3$, 30 min	 (—)	607
C_{18} 	$Na(CN)BH_3$, HCO_2H, MeCN	 (20) +  (25) +  (25) +  (5)	611
C_{19} 	1. PPh_3, THF, rt, 3 h 2. $NaHCO_2$, HCO_2H, MeOH, 0° 3. $Na(CN)BH_3$ in Et_2O, 0° 4. 15 min	 (69)	604
C_{19-25} 	1. DIBAL (1 M) in THF, toluene, –70°, 1 h 2. MeOH, –70 to –20° 3. $NaBH_4$, rt, 16 h	 R: Me (81); Bn (53)	601
C_{22} 	1. H_2 (15 psig), MeOD, 10% Pd/C, 2 h 2. MeOD, 24 h 2. $Na(CN)BH_3$, 3 h	 (64) +  (11)	120
	1. H_2 (15 psig), 2 h, 10% Pd/C, MeOH 2. $Na(CN)BD_3$, 2 h	 (54) +  (10)	120
C_{23} 	$Na(CN)BH_3$, HOAc, MeCN	 (—)	614
	1. DIBAL (1 M) in THF, PhMe, –70°, 0.5 h 2. MeOH, –70° to –10° 3. $NaBH_4$, –10° to rt 4. rt, 15 h	 (80)	602

640

641

TABLE VII. INTRAMOLECULAR REDUCTIVE AMINATIONS *(Continued)*

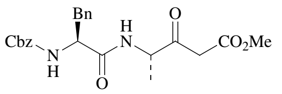
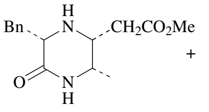
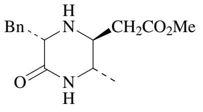
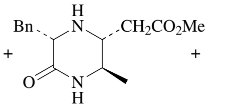
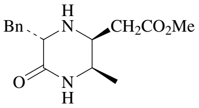
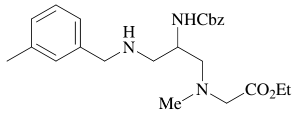
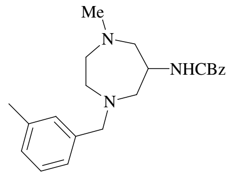
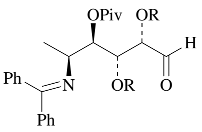
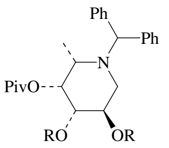
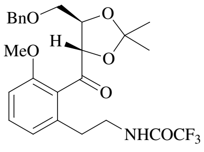
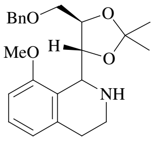
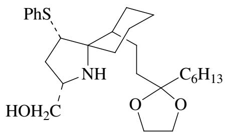
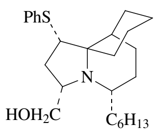
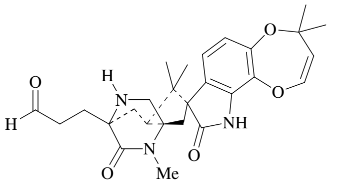
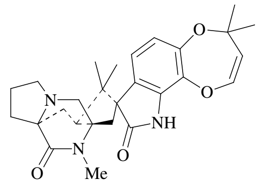
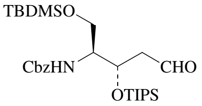
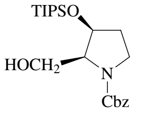
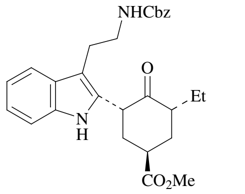
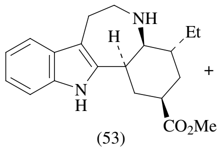
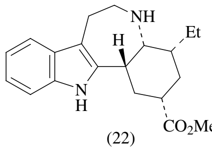
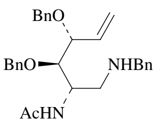
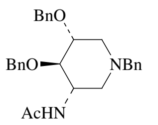
Substrate	Conditions	Product(s) and Yield(s) (%)	Refs.
	1. H_2 (15 psig), MeOH, 10% Pd/C, 2 h 2. MeOH, 24 h 2. Na(CN)BH_3, 3 h	 (35) +  (2) +  (7) +  (1)	120
C_{24} 	1. DIBAL (1 M) in THF, toluene, –70°, 0.5 h 2. MeOH, –70 to –10° 3. $NaBH_4$, –10° to rt, 15 h	 (±) (—) (–) (86)	1735
C_{24-28} 	Na(CN)BH_3, pH 5, HOAc, H_2O	 R: H (—); MOM (88)	613
C_{25} 	1. K_2CO_3, MeOH:H_2O (5:2), 4 h 2. Na(CN)BH_3, 0°, 1 h	 (—)	607
C_{27} 	1. HCl (5%), THF 2. $NaBH_4$, MeOH	 (41)	634
	$NaBH_4$, EtOH, 0°, 10 min	 (50)	2087
C_{28} 	Na(CN)BH_3, HOAc, MeOH	 (—)	612
	1. Cyclohexene, 10% Pd/C, THF:EtOH (1:1), 80°, 40 min 2. Na(CN)BH_3, THF, TFA, 2 h	 (53) +  (22)	627
C_{29} 	1. TFA, CH_2Cl_2 2. O_3, CH_2Cl_2, –78°, 5 min 3. Me_2S, Na(CN)BH_3 in MeOH, –78° to rt, 20 h	 (30)	433

TABLE VII. INTRAMOLECULAR REDUCTIVE AMINATIONS *(Continued)*

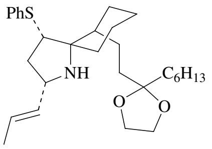
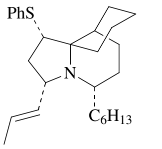
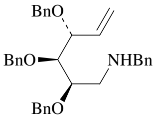
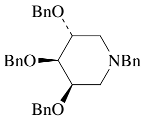
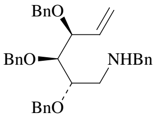
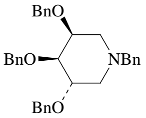
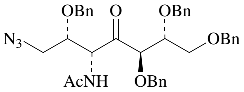
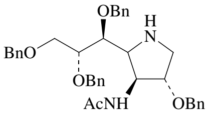
Substrate	Conditions	Product(s) and Yield(s) (%)		Refs.
	1. 10% HCl, THF 2. $NaBH_4$, MeOH		(58)	634
C_{34} 	1. TFA, CH_2Cl_2 2. O_3, CH_2Cl_2, –78° 3. Me_2S, $Na(CN)BH_3$ in MeOH, rt, 3 h		(—)	439
	1. TFA, CH_2Cl_2 2. O_3, CH_2Cl_2, –78° 3. Me_2S, $Na(CN)BH_3$ in MeOH, rt, 3 h		(—)	439
C_{37} 	1. PPh_3, THF, 5 h 2. HBF_4 in Et_2O, 0° 3. $LiBH_4$ in Et_2O 4. EtOH, 70°, 15 h		(70)	216

TABLE VIII. REDUCTIVE LACTAMIZATIONS

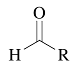
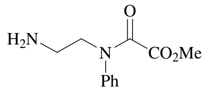
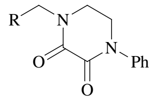
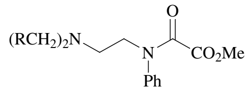
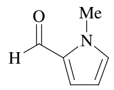
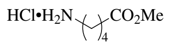
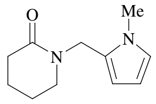
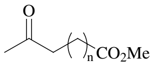
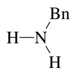
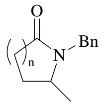
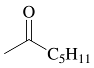
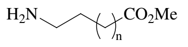
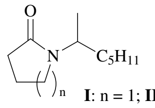
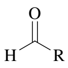
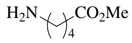
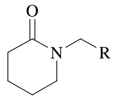
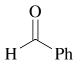
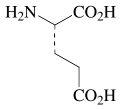
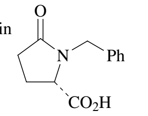
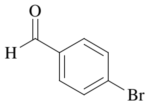
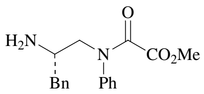
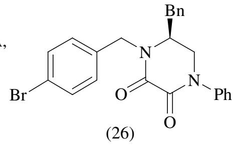
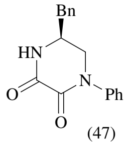
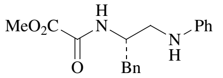
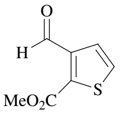
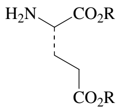
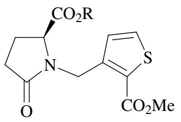
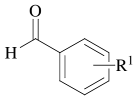
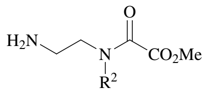
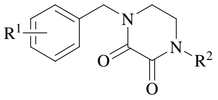
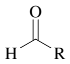
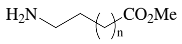
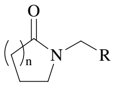
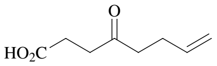
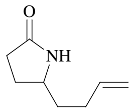
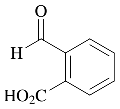
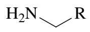
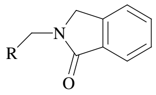
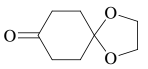
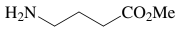
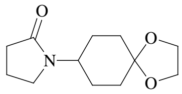
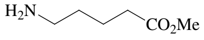
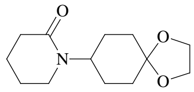
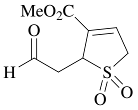
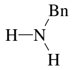
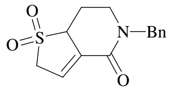
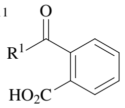
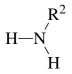
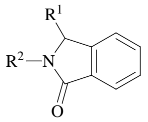
Carbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_4 		1. $NaBH(OAc)_3$, MS 4 Å, DCE, 0°, 30 min 2. rt, 4 h	 **I** +  **II** R — **I** — **II** *n*-Pr (43) (16) *i*-Pr (98) (0)	280
C_6 		1. TEA, MeOH, 0.5 h 2. $NaBH_4$, 0.5 h	 (70)	985
$C_{6\text{-}7}$ 		$NaBH(OAc)_3$, 45°	 n — Time 1 — 1.5 h (84) 2 — 22 h (80)	985
C_7 		$NaBH(OAc)_3$, rt	 **I**: n = 1; **II:** n = 2 Solv — Time — **I** DCE — 90 h (96) THF — 75 h (50)	985
		1. $NaBH(OAc)_3$, THF, rt, 24 h 2. 55°, 10 h	**II** (55)	985
		$NaBH(OAc)_3$, DCE, 45°, 22 h	 R Ph (75) *c*-C_6H_{11} (68)	985

TABLE VIII. REDUCTIVE LACTAMIZATIONS (*Continued*)

Carbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		1. NaOH, H_2O, 10° 2. $NaBH_4$, 10-15°, 30 min 3. PhCHO, 10 min 4. $NaBH_4$, 10-25° 5. 25°, overnight 6. HCl (6 N), H_2O, reflux, overnight	 (55)	2088
		1. $NaBH(OAc)_3$, MS 4 Å, DCE, 0°, 30 min 2. rt, 4 h	 (26) +  (47) +  (10)	280
		1. TEA, MeOH, 15 min 2. HOAc, MS 3 Å, 15 min 3. $Na(CN)BH_3$, 50°, overnight	 R | Me (36) Et (32)	2089
C_{7-8} 		1. $NaBH(OAc)_3$, MS 4 Å, DCE, 0°, 30 min 2. rt, 4 h	 R^1 / R^2 H / Ph (72) 2-Br / Ph (62) 4-Br / Ph (72) 4-NO_2 / Ph (72) 4-Br / Bn (84) 4-OMe / Ph (61)	280
C_{7-9} 		1. TEA, MeOH, 0.5 h 2. $NaBH_4$, 45°	 R / n / Time Ph / 1 / 17 h (80) Ph / 2 / 19 h (90) *c*-C_6H_{11} / 1 / 17 h (82) *c*-C_6H_{11} / 2 / 19 h (80) CH(Me)Ph / 1 / 10 h (75) CH(Me)Ph / 2 / 10 h (79)	985
C_8 	NH_4OAc	$Na(CN)BH_3$, MeOH, 48 h	 (62)	2090
		$NaBH(OAc)_3$, HOAc, DCE, 48 h	 R $(CH_2)_2CO_2Me$ (70) Ph (91)	985
		$NaBH(OAc)_3$, DCE rt, 45 h	 (92)	985
		1. $NaBH(OAc)_3$, DCE, rt, 4 h 2. 55°, 24 h	 (85)	985
		$Na(CN)BH_3$, pH 6.7, MeOH	 (—)	801
C_{8-11} 		1. MeCN, overnight 2. $HCl•H_2NR^2$ 3. $NaBH_4$, 1 h	 R^1 / R^2 H / Me (46) Me / *c*-C_3H_5 (80) Me / Bn (61) *n*-Pr / Me (46)	733

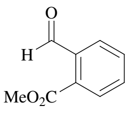
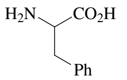
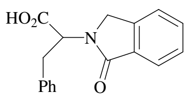
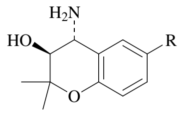
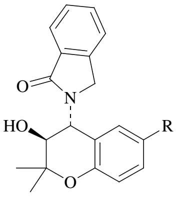
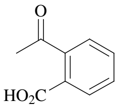
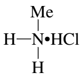
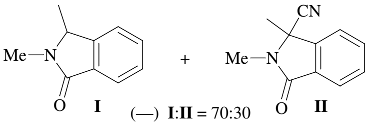
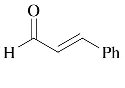
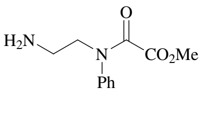
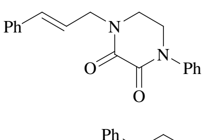
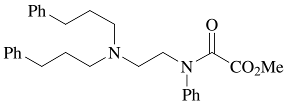
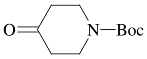
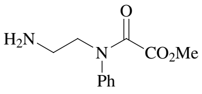
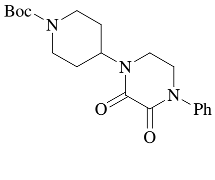
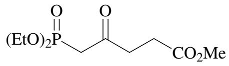
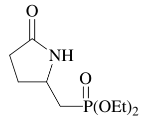
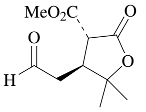
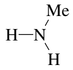
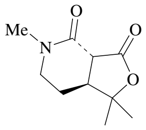
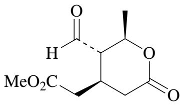
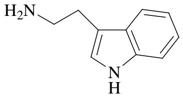
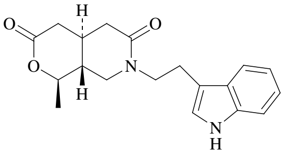
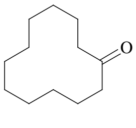
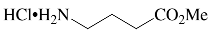
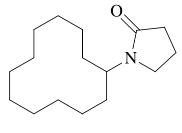
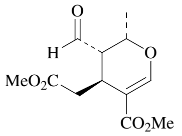
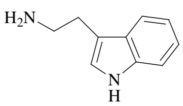
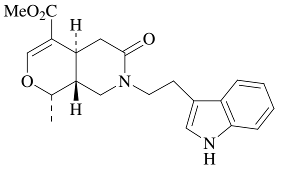
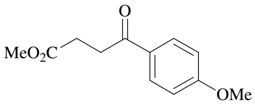
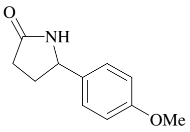
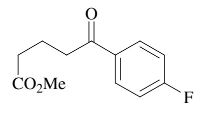
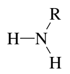
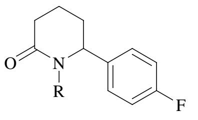
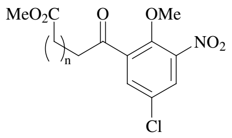
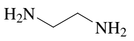
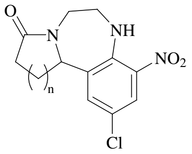
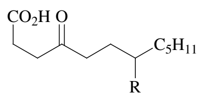
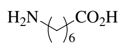
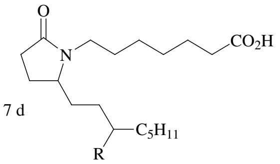
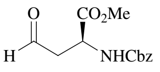
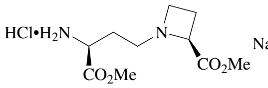
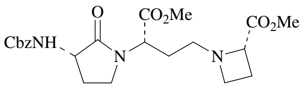
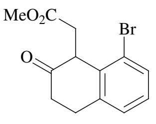
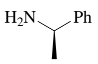
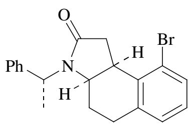
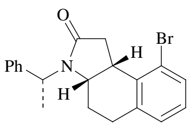
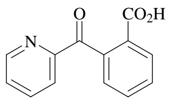
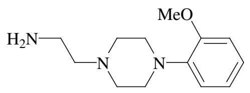
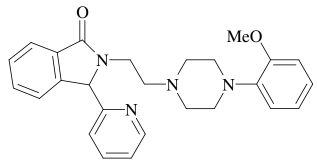
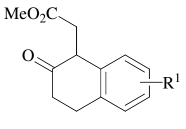
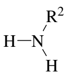
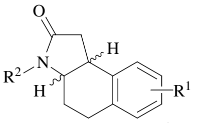
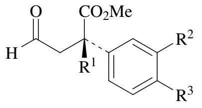
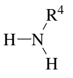
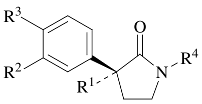
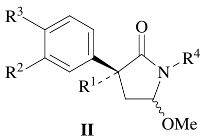
Carbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_9 		1. MeCN, 5 min 2. $Na(CN)BH_3$, reflux, overnight	 (55)	121
		$Na(CN)BH_3$-$ZnCl_2$, MeOH, 45°, 72 h	 R: Br (47); OCF_3 (95)	109, 108
		$Na(CN)BH_3$, NaOH, MeOH, 24 h	 (—) **I**:**II** = 70:30	733
		1. $NaBH(OAc)_3$, MS 4 Å, DCE, 0°, 30 min 2. rt, 4 h	 (20) +  (35)	280
C_{10} 		1. $NaBH(OAc)_3$, MS 4 Å, DCE, 0°, 30 min 2. rt, 4 h	 (90)	280
	NH_4OAc	$Na(CN)BH_3$, MeOH, 5 d	 (70)	383
		$Na(CN)BH_3$, MeOH, 4 h	 (63)	2091
		$Na(CN)BH_3$, MeOH, 4 h	 (—)	176
C_{12} 		$NaBH(OAc)_3$, 120 h	 (91)	985
		1. $Na(CN)BH_3$, MeOH, rt 2. Toluene, reflux	 (79)	2092
	NH_4OAc	$Na(CN)BH_3$, MeOH, reflux, 48 h	 (75)	2093

TABLE VIII. REDUCTIVE LACTAMIZATIONS (*Continued*)

Carbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, pH 6, HOAc, MeOH, reflux, 6 h	 R: Me (92); Bn (98)	2094
C_{12-13}				
		$Na(CN)BH_3$, HOAc, EtOH, reflux, 21 h	 n: 1 (35); 2 (23)	992
C_{12-19}				
		$Na(CN)BH_3$, NaOMe, MeOH (anhyd), 0-20°, 7 d	 R: H (73); OBn (74)	649
C_{13}				
		$Na(CN)BH_3$, pH 6	 (56)	1429
		1. HOAc, pH 4, THF:MeOH (1:1), 20°, 2 h 2. $Na(CN)BH_3$, 3.5 h 3. $Na(CN)BH_3$, –20° to rt, 3 d	 (22) +  (11)	1054

Carbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
		$Na(CN)BH_3$, HOAc, MeOH	 (22)	2095
C_{13-14}				
		1. HOAc, pH 4-5, THF:MeOH (1:1), 0-5°, 30 min 2. $Na(CN)BH_3$, 1-3 d		1052

R^1	R^2		*cis*:*trans*
H	$CH_2CH{=}CH_2$	(55)	—
5-OMe	$CH_2CH{=}CH_2$	(76)	4.3:1
5-OMe	$CH_2C_5H_3$-*c*	(64)	3.9:1
8-OMe	$CH_2CH{=}CH_2$	(57)	—
8-OMe	C_3H_7	(54)	—
8-OMe	(*R*)-CH(Me)Ph	(54)	—

Carbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{13-16}				
		1. $Na(CN)BH_3$, MeOH, rt 2. 90°	 **I** +  **II**	774

R^1	R^2	R^3	R^4	**I**	**II**
Me	H	OMe	Me	(15)	(30)
allyl	OMe	H	Bn	(50-60)	(10-13)
allyl	OMe	OMe	Me	(15)	(30)
Me	H	OMe	Bn	(50-60)	(10-13)
allyl	OMe	OMe	Bn	(50-60)	(10-13)

TABLE VIII. REDUCTIVE LACTAMIZATIONS (*Continued*)

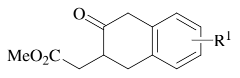
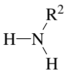
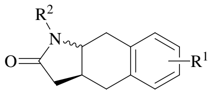
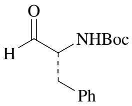
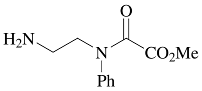
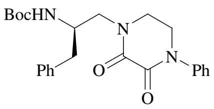
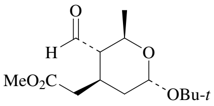
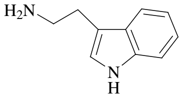
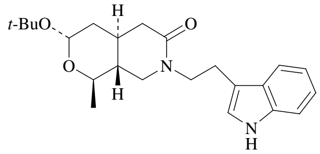
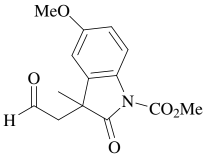
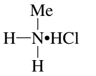
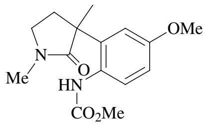
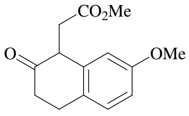
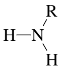
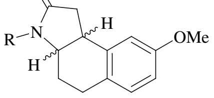
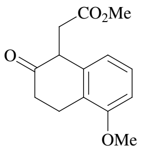
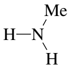
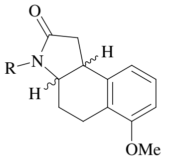
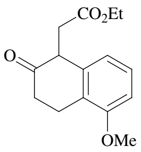
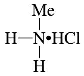
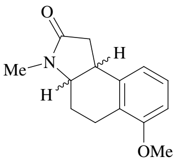
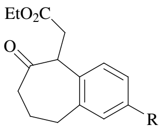
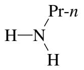
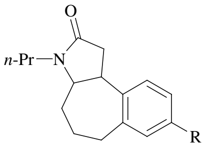
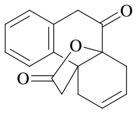
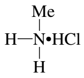
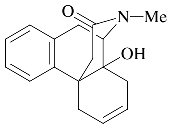
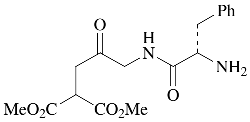
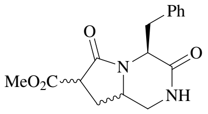
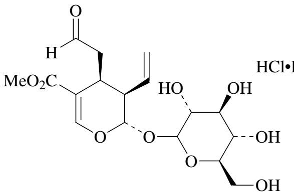
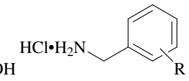
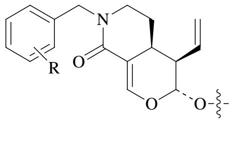
Carbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{14} 		1. HOAc, pH 4-5, THF:MeOH (1:1), 0-5°, 30 min 2. Na(CN)BH_3, 25°, 3 d	 R[1] / R[2] / *cis* / *trans* 5-OMe / allyl / (67) / (19) 5-OMe / C_3H_7 / (49) / (11) 5-OMe / Bn / (66) / (16) 8-OMe / allyl / (67) / (13)	1053
		1. NaBH$(OAc)_3$, MS 4 Å, DCE, 0°, 30 min 2. rt, 4 h	 (60)	280
		1. CH_2Cl_2, MeOH 2. $NaBH_4$	 (93)	984
		Na(CN)BH_3, MeOH, 7 h	 (63)	1319
		Na(CN)BH_3, AcOH, MeOH, overnight	 R / *cis* / *trans* Me / (61) / (—) *n*-Pr / (52) / (18) allyl / (60) / (6)	773
		Na(CN)BH_3, AcOH, MeOH, overnight	 *trans* (8) + *cis* (40)	773
C_{15} 		1. MeOH, 90 min 2. Na(CN)BH_3, 20 h	 *trans* (—) + *cis* (32)	2096
$C_{15\text{-}16}$ 		NaBH$(OAc)_3$	 R H (35) OMe (23)	2097
C_{16} 		1. NaOAc, MeOH, 0°, 30 min 2. Na(CN)BH_3, 28 h 3. KOH, 30 min 4. HOAc, 16 h 5. $NaBH_4$, MeOH, 24 h	 (37)	146
C_{17} 		1. Na(CN)BH_3, $ZnCl_2$, MeOH, overnight 2. PhMe, reflux	 (—)	624
		Na(CN)BH_3, citrate buffer, pH 6, THF, 24 h	 R H (68) 3,4-$(OMe)_3$ (67)	1086

TABLE VIII. REDUCTIVE LACTAMIZATIONS (*Continued*)

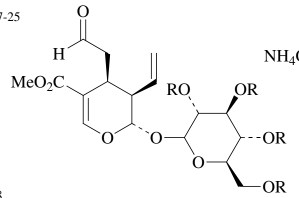
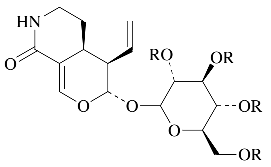
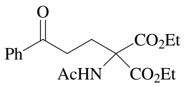
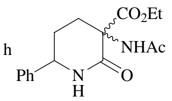
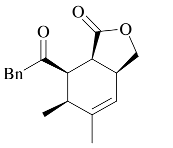
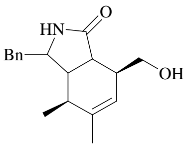
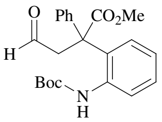
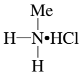
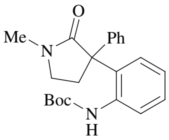
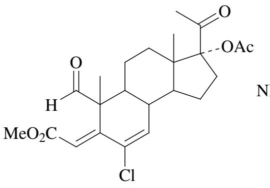
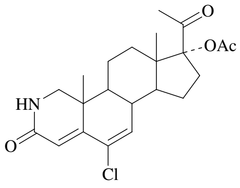
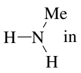
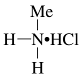
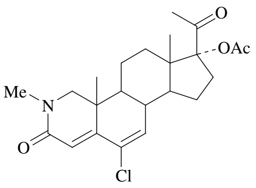
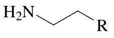
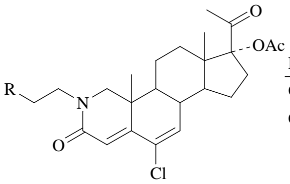
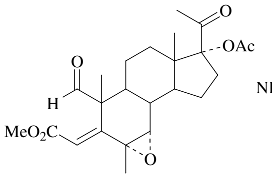
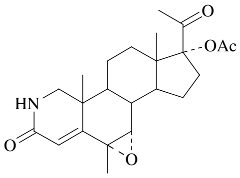
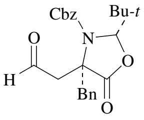
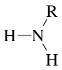
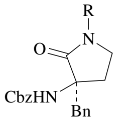
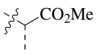
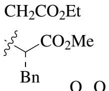
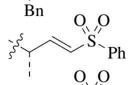
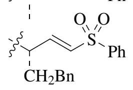
Carbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{17-25} 	NH_4OAc	$Na(CN)BH_3$, MeOH	 R: H (19); Ac (—)	2098, 2099
C_{18} 	NH_4OAc	$Na(CN)BH_3$, MeOH, 48 h	 (71)	1193
	NH_4OH	1. $Na(CN)BH_3$, MS 3 Å, MeOH, 0°, 30 min 2. 40 h	 (63)	802
C_{22} 		$Na(CN)BH_3$, dry *t*-BuOH, 35 h	 (20)	762
C_{23} 	NH_4OAc	$Na(CN)BH_3$, THF:MeOH (5:9), 22 h	 (64)	385
	 in EtOH, 	$Na(CN)BH_3$, THF:MeOH (1:1), 22 h	 (80)	385
		$Na(CN)BH_3$, HCl (26%) in *i*-PrOH, THF:MeOH, 15-22 h	 R: OH (65); CH_2NMe_2 (51)	385
C_{24} 	NH_4OAc	$Na(CN)BH_3$, THF:MeOH (1:2), 16 h	 (32)	385
		$Na(CN)BH_3$, MeOH NaOAc, MS 4 Å	 R:  (82); CH_2CO_2Et (67);  (60);  (49);  (72)	988

TABLE VIII. REDUCTIVE LACTAMIZATIONS (*Continued*)

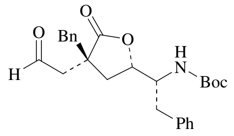
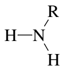
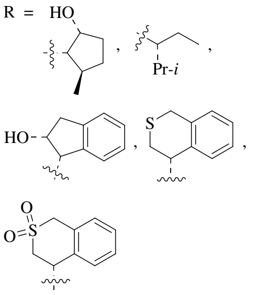
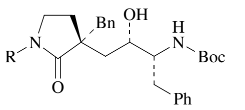
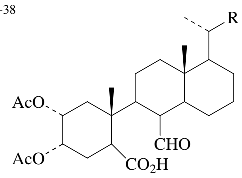
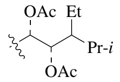
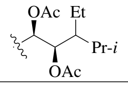
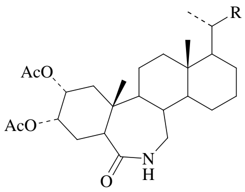
Carbonyl Compound	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_{26} 	 R = 	$Na(CN)BH_3$, HOAc, MeOH	 (—)	987
C_{32-38}  R $(CH_2)_3CHMe_2$  	NH_4OAc	$Na(CN)BH_3$, MeOH, 110 h	 (—)	2100

TABLE IX. MICHAEL-TYPE ADDITIONS AND REDUCTIVE AMINATION

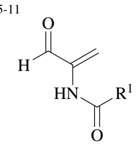
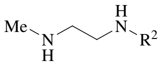
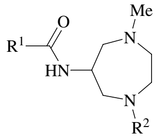
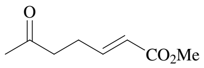
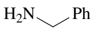
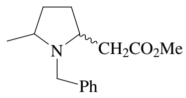
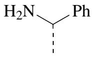
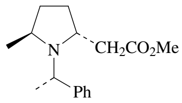
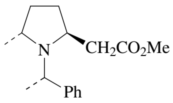
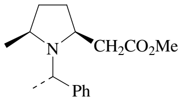
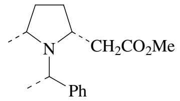
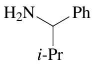
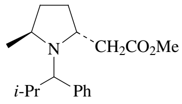
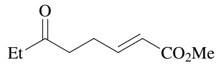
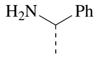
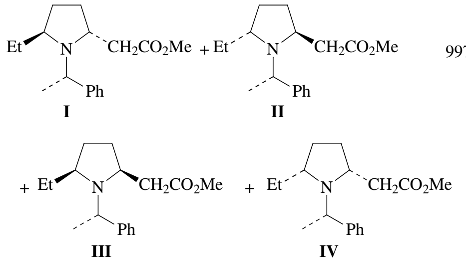
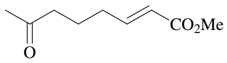
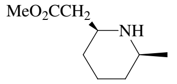
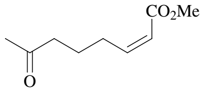
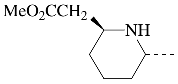
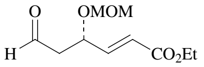
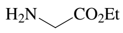
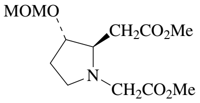
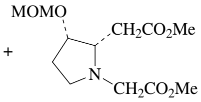
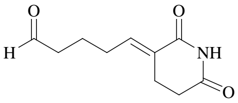
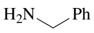
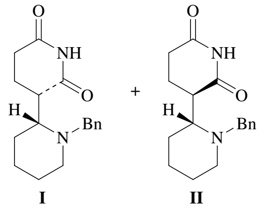
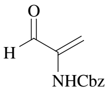
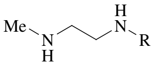
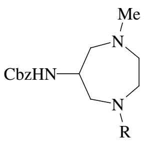
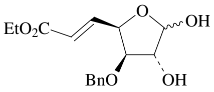
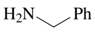
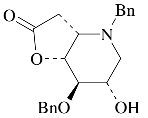
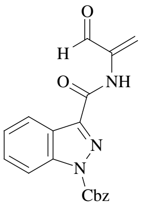
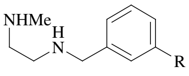
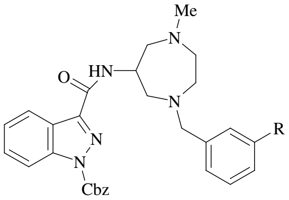
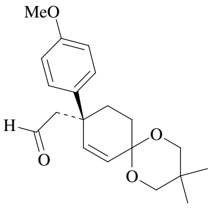
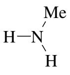
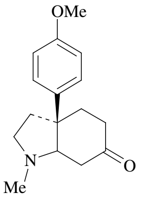
Substrate	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
$C_{5\text{-}11}$ 		1. MeOH, 5°, 5 min 2. $NaBH_4$, 5-10° 3. 25°, 2 h	 R^1 / R^2 Me / Me (58) Me / Ph (33) Bn / Me (35) Bn / OBu-*t* (44) Bn / Ph (48) Bn / OBn (46)	1000
C_8 		1. *c*-C_6H_{12}, reflux, 2 h 2. $Na(CN)BH_3$, MeOH	 (57) *cis*:*trans* = 1:2.6	997
		1. *c*-C_6H_{12}, reflux, 2 h 2. $Na(CN)BH_3$, MeOH	 **I** +  **II** +  **III** +  **IV** (62) **I**:**II**:**III**:**IV** = 43:43:7:7	997
		1. *c*-C_6H_{12}, reflux, MS 5 Å 2. $Na(CN)BH_3$	 (84)	997

TABLE IX. MICHAEL-TYPE ADDITIONS AND REDUCTIVE AMINATIONS (*Continued*)

Substrate	Amine	Conditions	Product(s) and Yield(s) (%)	Refs.
C_9				
		1. c-C_6H_{12}, reflux, 4 h 2. $Na(CN)BH_3$, MeOH	 (75) **I**:**II**:**III**:**IV** = 44:44:6:6	997
	H_4NOAc	$Na(CN)BH_3$, MeOH, 60°, 16 h	 (86)	998, 999
	H_4NOAc	$Na(CN)BH_3$, MeOH, 60°, 16 h	 (86)	998, 999
C_{10}				
		1. MeOH, 0°, 1 h 2. $Na(CN)BH_3$, MeOH, 0°, 3 h	 (48) +  (32)	996
		1. CH_2Cl_2, –18° to rt, 30 min 2. NBu_4BH_3CN, 5 h 3. TEA, overnight	 (70) **I**:**II** = 4.4:1	64
C_{11}				
	 R = Et, n-Pr	1. MeOH 2. $NaBH_4$	 (—)	1002
C_{16}				
		1. $Na(CN)BH_3$, –78°, HOAc, MeOH, 2 h 2. rt, 24 h	 (79)	1003
C_{19}				
		1. MeOH, 3 h 2. $NaBH_4$, 18 h	 R: H (—); Me (73)	603, 1001
C_{20}				
		1. $Na(CN)BH_3$, MeOH, 24 h 2. HCl (3N), 4 h	 (40)	388, 389

15. Acknowledgments

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